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(71) Applicant(s)
GlaxoSmithKline LLC

(72) Inventor(s)
Cai, Shenshen;Johns, Brian Alvin;Spaltenstein, Andrew

(74) Agent / Attorney
Phillips Ormonde Fitzpatrick, L 16 333 Collins St, Melbourne, VIC, 3000

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(71) Applicant: **GLAXOSMITHKLINE LLC** [US/US]; 5 Crescent Drive, Philadelphia, PA 19112 (US).

(72) Inventors: **CAI, Shenshen**; c/o Glaxosmithkline, Global Patents, 1250 S. Collegeville Road, Collegeville, PA 19426-0989 (US). **JOHNS, Brian, Alvin**; c/o Glaxosmithkline, Global Patents, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). **SPALTENSTEIN, Andrew**; c/o Glaxosmithkline, Global Patents, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US).

(74) Agents: **THOMAS, Robert, Steve** et al.; Glaxosmithkline, Global Patents, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US).

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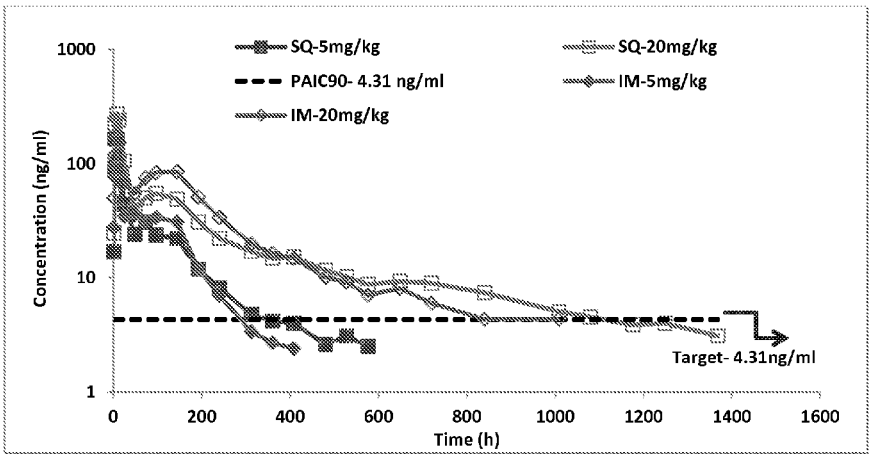

(57) Abstract: The present Invention relates to long acting pharmaceutical compositions of betulin defivatives or pharmaceutically acceptabnle salts thereof, useful in the treatment or prevention of Human Immunodeficiency Virus (HIV) infections.

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PHARMACEUTICAL COMPOSITIONS

CROSS REFERENCE TO RELATED PATENTS AND PATENT APPLICATIONS

[0001] This is a Patent Cooperation Treaty Application and claims the benefit of U.S. Provisional Patent Application No. 61/737,177, filed on December 14, 2012, which is hereby incorporated by reference in its entirety.

FIELD OF THE INVENTION

[0002] The present invention relates to long acting parenteral (LAP) formulations of betulin derivatives as well as methods of treating Human Immunodeficiency Virus (HIV) infection and acquired immunodeficiency syndrome (AIDS) using the same.

BACKGROUND OF THE INVENTION

[0003] Presently, long-term suppression of viral replication with antiretroviral drugs is the only option for treating HIV-1 infection. To date, a number of approved drugs have been shown to greatly increase patient survival. However, therapeutic regimens known as highly active antiretroviral therapy (HAART) are often complex because a combination of different drugs must be administered to the patient to avoid the rapid emergence of drug-resistant HIV-1 variants. Such regimens typically entail frequent administration of multiple drugs at high doses to maintain efficacious drug plasma levels. Consequently, a prescribed treatment may require ingestion of multiple and/or large dosage forms which can lead to reduced patient compliance resulting in reduced drug efficacy and development of multiple drug resistant strains of HIV. Therefore, despite the positive impact of HAART on patient survival, drug effectiveness and resistance issues can still occur with sometimes fatal consequence.

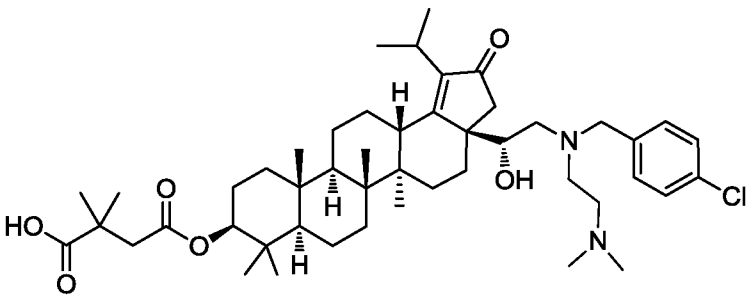
[0004] The emergence of multidrug-resistant (MDR) HIV-1 isolates has serious clinical consequences and must be suppressed with a new drug regimen, known as salvage therapy. Current guidelines recommend that salvage therapy includes at least two, and preferably three, fully active drugs. Typically, first-line therapies combine three to four drugs targeting the viral enzymes reverse transcriptase (RT) and protease (PR). One option for salvage therapy is to administer different combinations of drugs from the same mechanistic class that remain active against the resistant isolates. However, the options for this approach are often limited, as resistant mutations frequently confer broad cross-resistance to different drugs in the same class. Alternative therapeutic strategies have recently become available

with the development of fusion, entry, and integrase (IN) inhibitors. However, resistance to all three new drug classes has already been reported both *in vitro* and *in vivo*.

[0005] Accordingly, successful treatments of HIV-1-infected patients which alleviate compliance issues and are effective against resistant strains are a continual need.

[0006] PCT Published Application No. WO2013090664 deriving from US Provisional Application 61/576448, filed December 16, 2011, discloses maturation inhibitors which are betulin derivatives useful in the treatment of HIV infection and AIDS. Such betulin derivatives include 4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-Chlorobenzyl)(2-(dimethylamino) ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b, 6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid which is the compound of Formula I,

I



[0006a] The discussion of documents, acts, materials, devices, articles and the like is included in this specification solely for the purpose of providing a context for the present invention. It is not suggested or represented that any or all of these matters formed part of the prior art base or were common general knowledge in the field relevant to the present invention as it existed before the priority date of each claim of this application.

[0006b] Where the terms "comprise", "comprises", "comprised" or "comprising" are used in this specification (including the claims) they are to be interpreted as specifying the presence of the stated features, integers, steps or components, but not precluding the presence of one or more other features, integers, steps or components, or group thereof.

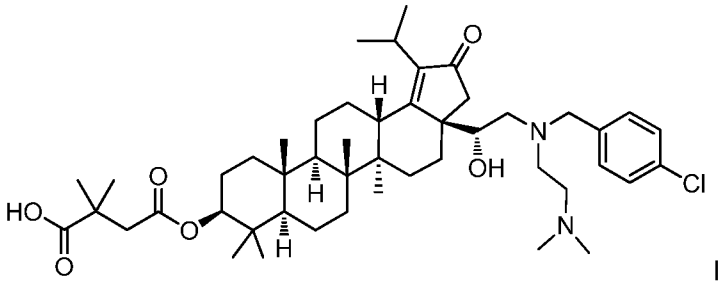
SUMMARY OF THE INVENTION

[0007] The present invention addresses the issue of non-compliance as well as treatment of resistant strains of HIV by formulating betulin derivatives, including the compound of Formula I, as a LAP composition suitable for administration, for example, once

per month, once every 2 months, once every 3 months, once every 6 months or once every 12 months.

[0008] In a first aspect of the present invention, there is provided a LAP pharmaceutical composition including at least one betulin derivative or a pharmaceutically acceptable salt thereof.

[0009] In a second aspect of the present invention, there is provided a LAP pharmaceutical composition including the compound of Formula I

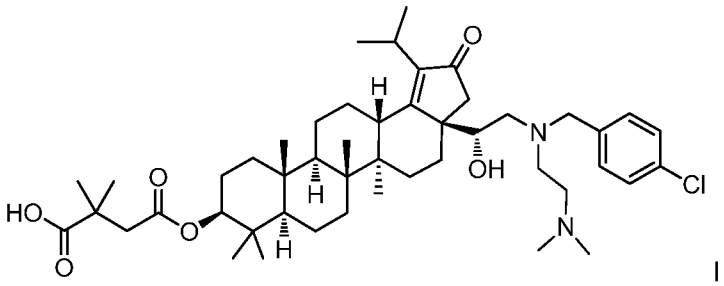


I

or a pharmaceutically acceptable salt thereof.

In a third aspect of the present invention, there is provided a method for the treatment of an HIV infection in a human having an HIV infection including administering to the human a LAP pharmaceutical composition including at least one betulin derivative or a pharmaceutically acceptable salt thereof.

[0010] In a fourth aspect of the present invention, there is provided a method for the treatment of an HIV infection in a human having an HIV infection including administering to the human a LAP pharmaceutical composition including the compound of Formula I

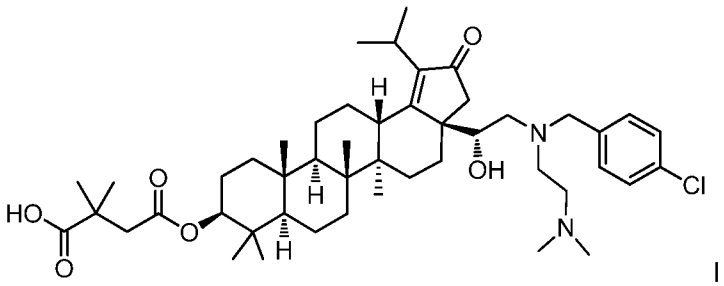


I

or a pharmaceutically acceptable salt thereof.

[0011] In a fifth aspect of the present invention, there is provided use of a LAP pharmaceutical composition including at least one betulin derivative or a pharmaceutically acceptable salt thereof in medical therapy.

[0012] In a sixth aspect of the present invention, there is provided use a LAP pharmaceutical composition including the compound of Formula I



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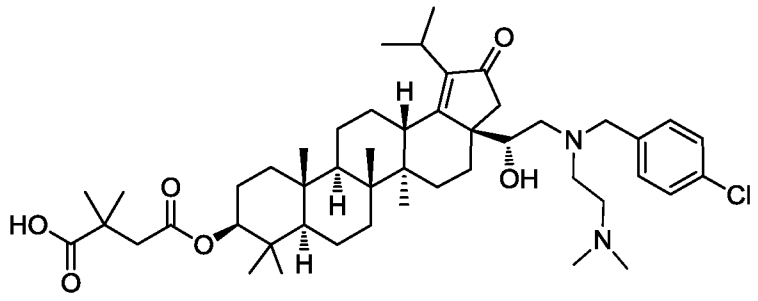
or a pharmaceutically acceptable salt thereof in medical therapy.

[0013] In a seventh aspect of the present invention, there is provided the use of at least one betulin derivative or a pharmaceutically acceptable salt thereof in the preparation of a long acting parenteral medicament for use in the treatment of HIV infection in a human.

[0014] In an eighth aspect of the present invention, there is provided the use of a compound of Formula I



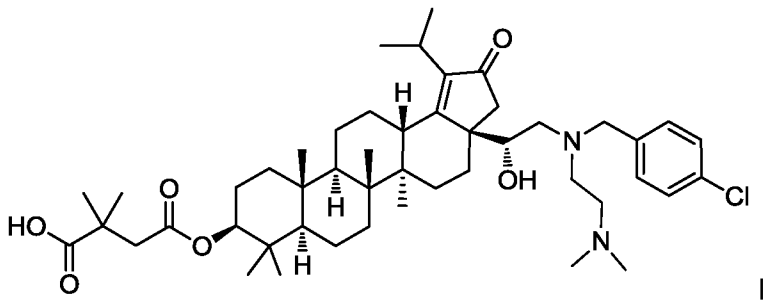
I

or a pharmaceutically acceptable salt thereof in the preparation of a long acting parenteral medicament for use in the treatment of HIV infection in a human.

[0014a] In a ninth aspect, the present invention provides a LAP pharmaceutical composition, comprising: a compound of Table 1 or a pharmaceutically acceptable salt thereof.

[0014b] In a tenth aspect, the present invention provides a method for the prevention of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition comprising at least one betulin derivative or a pharmaceutically acceptable salt thereof.

[0014c] In an eleventh aspect, the present invention provides a method for the prevention of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition comprising the compound of Formula I



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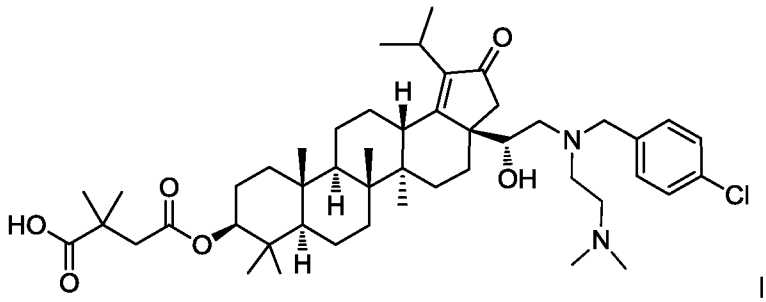
or a pharmaceutically acceptable salt thereof.

[0014d] In a twelfth aspect, the present invention provides a LAP pharmaceutical composition, comprising: the compound of Formula I



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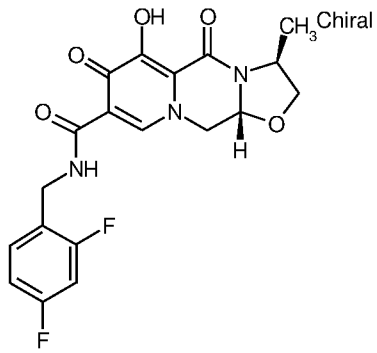
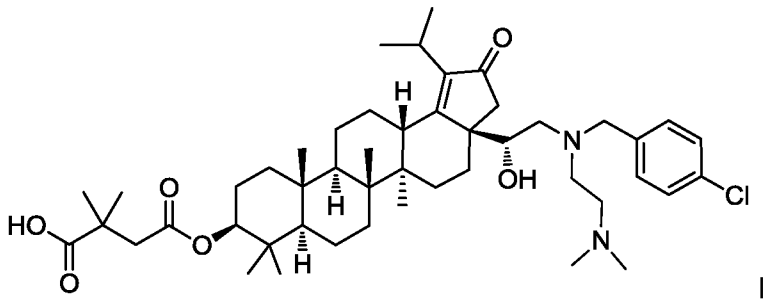
or a pharmaceutically acceptable salt thereof,

in combination with one or more additional compounds selected from the group consisting of dolutegravir, ritonavir, rilpivirine, and a compound having the following structure:



, or a pharmaceutically salt thereof.

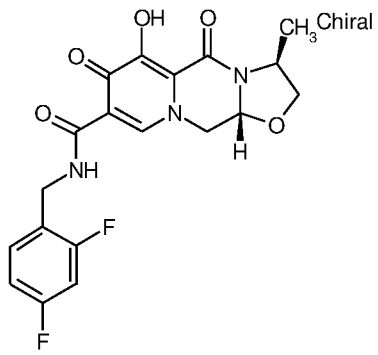
[0014e] In a thirteenth aspect, the present invention provides a method for the treatment of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition comprising the compound of Formula I



I

or a pharmaceutically acceptable salt thereof,

in combination with one or more additional compounds selected from the group consisting of dolutegravir, ritonavir, rilpivirine, and a compound having the following structure:



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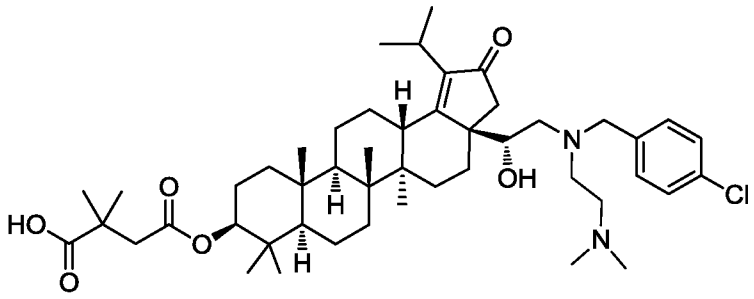
[0014f] In another aspect, the present invention provides a LAP pharmaceutical composition, comprising a compound of Formula I:



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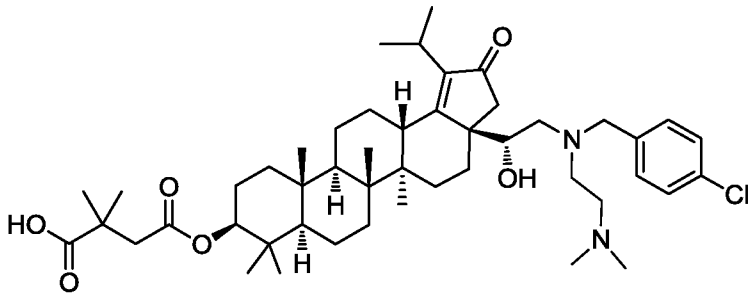
or a pharmaceutically acceptable salt thereof, further comprising a surfactant system, wherein the surfactant system comprises a surfactant in an amount ranging from about 0.1% (w/v) to about 3% (w/v) surfactant.

[0014g] In another aspect, the present invention provides a method for the treatment of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition comprising a compound of Formula I:



I

or a pharmaceutically acceptable salt thereof, wherein the human is administered the LAP pharmaceutical composition comprising the compound of Formula I, on a dosing regimen ranging from about every week to about every three months.

BRIEF DESCRIPTION OF THE DRAWINGS

[0015] **Figure 1** depicts a plot of LAP Mean Concentration of a compound of Formula I versus time in hours of a LAP Rat PK study at 5 mg/kg and 20 mg/kg doses.

[0016] **Figure 2** depicts a plot of LAP Mean Concentration of a compound of Formula I versus time in hours of a LAP Dog PK study at 2.5 mg/kg and 5 mg/kg doses.

[0017] **Figure 3** depicts a plot of LAP Mean Concentration of a compound of Formula I versus time in hours of a LAP Rat (IM) PK study for different drug microparticle formulations.

DETAILED DESCRIPTION OF THE INVENTION

[0018] The HIV Gag polyprotein precursor (Pr55Gag), which is composed of four protein domains – matrix (MA), capsid (CA), nucleocapsid (NC) and p6 – and two spacer peptides, SP1 and SP2, represents a new therapeutic target. Although the cleavage of the Gag polyprotein plays a central role in the progression of infectious virus particle production, to date, no antiretroviral drug has been approved for this mechanism.

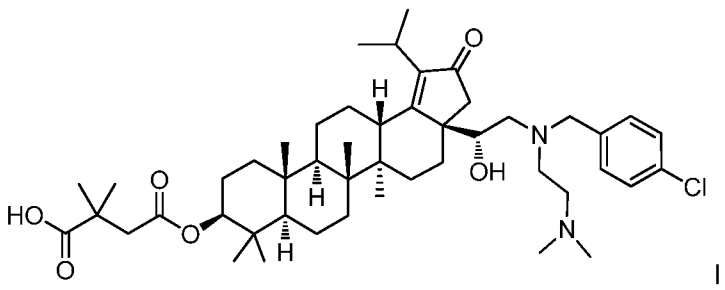
[0019] In most cell types, assembly occurs at the plasma membrane, and the MA domain of Gag mediates membrane binding. Assembly is completed by budding of the immature particle from the cell. Concomitant with particle release, the virally encoded PR cleaves Gag into the four mature protein domains, MA, CA, NC and p6, and the two spacer peptides, SP1 and SP2. Gag-Pol is also cleaved by PR, liberating the viral enzymes PR, RT and IN. Gag proteolytic processing induces a morphological rearrangement within the particle, known as maturation. Maturation converts the immature, donut-shaped particle to the mature virion, which contains a condensed conical core composed of a CA shell surrounding the viral RNA genome in a complex with NC and the viral enzymes RT and IN.

Maturation prepares the virus for infection of a new cell and is absolutely essential for particle infectivity.

[0020] Bevirimat (PA-457) is a maturation inhibitor that inhibits the final step in the processing of Gag, the conversion of capsid-SP1 (p25) to capsid, which is required for the formation of infectious viral particles. Bevirimat has activity against ART-resistant and wild-type HIV, and has shown synergy with antiretrovirals from all classes. Bevirimat reduced HIV viral load by a mean of 1.3 $\log_{10}$/mL in patients who achieved trough levels of >= 20 µg/mL and who did not have any of the key baseline Gag polymorphisms at Q369, V370 or T371. However, Bevirimat users with Gag polymorphisms at Q369, V370 or T371 demonstrated significantly lower load reductions than patients without Gag polymorphisms at these sites.

[0021] Other examples of maturation inhibitors can be found in PCT Patent Application No. WO2011/100308, "*Derivatives of Betulin*"; PCT Patent Application No. PCT/US2012/024288, "*Novel Anti-HIV Compounds and Methods of Use Thereof*"; Chinese PCT Application No. PCT/CN2011/001302, "*Carbonyl Derivatives of Betulin*"; Chinese PCT Application No. PCT/CN2011/001303, "*Methylene Derivatives of Betulin*"; Chinese PCT Application Nos. PCT/CN2011/002105 and PCT/CN2011/002159, "*Propenoate Derivatives of Betulin*"; and US Provisional Application No. 61/576,448, "*Derivatives of Betulin*". With each iteration of maturation inhibitor a need exists to optimize the polymorphism isolate coverage and achieve maximum potency while minimizing the protein shift. To date, no maturation inhibitor has achieved an optimal balance of these three properties.

[0022] 4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-Chlorobenzyl)(2-(dimethylamino) ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b, 6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid which is the compound of Formula I,



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is a maturation inhibitor believed to provide optimization of the polymorphism isolate coverage which achieves maximum potency while minimizing the protein shift. This compound is currently being developed for the treatment of HIV infection and associated

disease states. In addition, for purposes of the present invention, a suitable compound other than the compound of Formula I may also be selected from any compound described in Table 1 below.

[0023] In spite of major progress made in the past decade to inhibit the replication of HIV-1, thereby preventing the clinical presentation of AIDS, none of the currently available treatments for HIV infection can cure the infection. Also HAART, or highly active antiretroviral therapy consisting of at least three antiretroviral drugs, may fail following the development of viral resistance. Factors contributing to the incomplete suppression of HIV and to the development of resistance include insufficient drug potency, non-compliance, restricted tissue penetration, drug resistance and several host factors, such as host genetics. Thus, compliance during a life-long treatment is crucial, as establishing minimal inhibitory drug concentrations in the blood inhibits viral growth and the development of resistant strains.

[0024] The present invention addresses such problematic issues in the treatment of HIV by formulating a betulin derivative, including -(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-Chlorobenzyl)(2-(dimethylamino) ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b, 6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid (the compound of Formula I) as a long-acting parenteral (LAP) composition or depot formulation suitable for administration, for example, once per week, once every two weeks, once per month, once every 2 months, once every 3 months, once every 6 months or once every 12 months.

[0025] Long-acting parenteral formulations of “betulin derivatives” (meaning the compound of Formula I and the compounds of Table 1) could generate sustained effective inhibitory concentrations with infrequent dosing and may improve adherence to therapy. Next to facilitating maintenance of viral suppression following traditional anti-HIV therapy, a long-acting formulation, may also serve as a practical opportunity for pre-exposure prophylaxis.

[0026] The present invention features pharmaceutical compositions comprising an active ingredient which is the compound of Formula I, or a pharmaceutically acceptable salt thereof, suitable for administration once monthly or longer.

[0027] Further features of the present invention are methods of using these pharmaceutical compositions.

[0028] In one embodiment, the present invention features pharmaceutical compositions, comprising a compound of Formula I, or a pharmaceutically acceptable salt thereof, and a surfactant system.

[0029] In other embodiments, the present invention features a pharmaceutical composition, comprising a therapeutically effective amount of hexadecyloxypropyl-9-*R*-[2-

(phosphonomethoxy)propyl]-adenine, or a pharmaceutically acceptable salt thereof, and a surfactant system.

[0030] Pharmaceutically acceptable salts include, but are not limited to those described in PCT Published Application No. WO2013090664 deriving from US Provisional Application 61/576448, filed December 16, 2011.

[0031] The term "therapeutically effective amount," as used herein, means a sufficient amount of a drug, compound, composition, product or pharmaceutical agent to abate or reverse or treat a malady in a human or other mammal.

[0032] The present invention features parenteral pharmaceutical compositions for administration to a subject, for example a human.

[0033] In another embodiment, the present invention features long-acting parenteral pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and a surfactant system for weekly (once every week) administration.

[0034] In another embodiment, the present invention features long-acting parenteral pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and a surfactant system for bi-weekly (once every two weeks) administration.

[0035] In another embodiment, the present invention features long-acting parenteral pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and a surfactant system for once monthly administration.

[0036] In another embodiment, the present invention features long-acting parenteral pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and a surfactant system for bi-monthly (once every two months) administration.

[0037] In another embodiment, the present invention features long-acting parenteral pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and a surfactant system for tri-monthly (once every three months) administration.

[0038] In another embodiment, the present invention features long-acting parenteral pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and a surfactant system administration once every six or twelve months, or any time point within this range.

[0039] The compositions of the present invention provide for the slow release of a compound of formula (I) over an extended period of time within the body of a subject. Therefore, in order to achieve therapeutic levels of drug, a compound of formula (I) advantageously is released from the composition within approximately one to three months, or any time point within this range.

[0040] An embodiment of the present invention is a pharmaceutical composition suitable for parenteral administration comprising a compound of formula (I) and a surfactant system comprising a combination of polymers providing for the release of a compound of formula (I) over a period of one week to three months. A suitable combination of polymers is, for example, polysorbate 80 and polyvinylpyrrolidone (PVP).

[0041] The compositions of the present invention may be administered to the subject by various routes, including intramuscular (IM), intravenous (IV), or subcutaneous (SQ). Therefore, in one embodiment, the compositions of the present invention are administered to a subject by an intramuscular route. In another embodiment, the compositions of the present invention are administered to a subject by an intravenous route. In another embodiment, the compositions of the present invention are administered to a subject by a subcutaneous route.

[0042] For purposes of the present invention, a "surfactant system" means any formulation suitable for pharmaceutical purposes that includes at least one surfactant. For example, a surfactant system that can be used with the present invention may include, in addition to a surfactant, additional components such as buffers, polymers (for drug particles), wetting agents, stabilizers, tonicity modifiers, and solvents such as water.

[0043] The surfactant system may include any surfactant as long as it is compatible with pharmaceutical applications. For example, suitable surfactants include, but are not limited to, polyoxyethylene sorbitan fatty acid esters (polysorbates such as polysorbate 20 or 80), poloxamers (such as LUTROL™ F68, F108 and F127 which are block copolymers of ethylene oxide and propylene oxide, sodium dodecylsulfate and/or sodium lauryl sulphate), sorbitan esters of fatty acids (SPAN), polyethoxylated castor oil and its derivatives, tocopheryl polyethylene glycol succinate, and polyvinyl alcohols. In certain embodiments, the surfactant system comprises an amount of surfactant that ranges from about 0.01% (w/v) to about 5% (w/v) surfactant. In other embodiments, the surfactant system comprises an amount of surfactant that ranges from about 0.1% (w/v) to about 3% (w/v) surfactant. In still other embodiments, the surfactant system comprises about 0.2% (w/v) surfactant. In still other embodiments, the surfactant system comprises about 0.4% (w/v) surfactant. In other embodiments, the surfactant system comprises polysorbate-80 (e.g., Tween-80). In still other embodiments, the surfactant system comprises 0.4% (w/v) polysorbate-80.

[0044] Representative stabilizers include, but are not limited to, polyethylene glycols, carboxymethylcellulose calcium, carboxymethylcellulose sodium, methylcellulose, hydroxyethylcellulose, hydroxypropylcellulose, hydroxymethylpropylcellulose, polysaccharides, hyarluronic acid, polyvinyl alcohol (PVA) and polyvinylpyrrolidone (PVP). In certain embodiments, the surfactant system comprises an amount of stabilizer that ranges from about 0.01% (w/v) to about 5% (w/v) stabilizer. In other embodiments, the surfactant system comprises an amount of stabilizer that ranges from about 1% (w/v) to about 5% (w/v)

stabilizer. In other embodiments, the surfactant system comprises an amount of stabilizer that ranges from about 1% (w/v) to about 3% (w/v) stabilizer. In still other embodiments, the surfactant system comprises about 2% (w/v) stabilizer. In other embodiments, the surfactant system comprises polyethylene glycols. In other embodiments, the surfactant system comprises PEG-3350. In still other embodiments, the surfactant system comprises 2% (w/v) PEG-3350.

[0045] Suitable buffer salts include, but are not limited to, buffer salts selected from phosphate salts, citrate salts, acetate salts, and tartrate salts, etc. In certain embodiments, the surfactant system comprises an amount of buffer salts that ranges from about 1mM to about 100mM buffer salt. In other embodiments, the surfactant system comprises an amount of buffer salts that ranges from about 2mM to about 50mM buffer salt. In other embodiments, the surfactant system comprises an amount of buffer salts that ranges from about 3mM to about 25mM buffer salt. In other embodiments, the surfactant system comprises an amount of buffer salts that ranges from about 5mM to about 15mM buffer salt. In still other embodiments, the surfactant system comprises about 10mM buffer salt. In certain embodiments, the pH of the buffer salt is adjusted to range from about pH 6.0 to about pH 8.0. In other embodiments, the pH of the buffer salt is adjusted to range from about pH 6.5 to about pH 7.5. In other embodiments, the pH of the buffer salt is adjusted to range from about pH 6.7 to about pH 7.3. In one embodiment, the buffer salt comprises phosphate buffered saline (PBS). In another embodiment, the buffer salt comprises phosphate buffered saline at a concentration of about 10mM. In another embodiment, the buffer salt comprises phosphate buffered saline at a concentration of about 10 mM and a pH of about 6.9.

[0046] Suitable tonicity modifiers include, but are not limited to, sodium chloride, mannitol, sucrose, maltose, and dextrose, etc. In one embodiment, the tonicity modifier comprises sodium chloride. In another embodiment, the tonicity modifier is sodium chloride. In certain embodiments, the surfactant system comprises a concentration of tonicity modifier that ranges from about 0 to about 350 mM. In certain embodiments, the surfactant system comprises a concentration of tonicity modifier that ranges from about 0 to about 175 mM. In certain embodiments, the surfactant system has a tonicity that ranges from about 250 to about 350 mOsmol/kg.

[0047] In one embodiment, the compound of Formula I (or any compound in Table 1) can be suspended as microparticles in a surfactant system and aqueous buffer. In some embodiments, the compound of Formula I can be in an amorphous form or in a crystalline form. Typically, the drug particle size (D_{50}) will range from about 0.05 μm to about 100 μm. In other embodiments, the drug particle size will range from about 0.1 μm to about 50 μm. In other embodiments, the drug particle size will range from about 0.1 μm to about 20 μm. In

other embodiments, the drug particle size (D_{50}) will range from about 0.1 μm to about 10 μm. In other embodiments, the drug particle size (D_{50}) will range from about 0.1 μm to about 5 μm. In other embodiments, the drug particle size (D_{50}) will range from about 1 μm to about 5 μm. In other embodiments, the drug particle size (D_{50}) will range from about 0.05 μm to about 0.05 μm. In other embodiments, the drug particle size (D_{50}) will range from about 0.5 μm to about 5 μm. In other embodiments, the drug particle size (D_{50}) will range from about 5 μm to about 25 μm. In other embodiments, the drug particle size (D_{50}) will range from about 25 μm to about 100 μm.

[0048] In still other embodiments, the drug particle size in the surfactant system can be mixed sizes. For example, having substantially different particle sizes from relatively large to relatively small, can achieve acceptable pharmacokinetic parameters for the formulation because the small particles are absorbed and metabolized quicker than the larger particles. This type of mixed particle size formulation could enhance the long acting nature of the present invention by providing a quicker release of drug to the subject early after administration while still maintaining a long acting release of the drug at distant times after administration. Therefore, in one embodiment, the present LAP invention could comprise two or more substantially different particle sizes that would allow for earlier and later release of the compound of Formula I (or compound of Table 1) and such differing absorption kinetics would be a means of enhancing a durable long acting drug exposure. In one embodiment, the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.05 μm to about 100 μm, wherein said microparticles comprise two or more substantially different particle sizes.

[0049] In still other embodiments, the drug particles of the compound of Formula I (or any compound in Table 1) are encapsulated into polymer based microparticles that can, optionally, be subsequently freeze dried for extended storage. When the term "encapsulated" is used with regards to the present invention, it is meant that the compound of Formula I (or any compound in Table 1) is substantially surrounded by a polymer even though some compound may still be present on the surface of the encapsulated compound/polymer structure. Immediately before use, the dry microparticles can optionally suspended in an aqueous buffer solution. The polymers used to prepare such microparticles can be selected from a series of biodegradable polymers including poly (lactic-*co*-glycolic) acid (M_w 5-200 kD) and its derivatives, such as polyethylene glycol based amphiphilic polymers, etc. The microparticle size (D_{50}) could range from about 1 μm to about 100 μm and the drug encapsulation could range from about 10% to about 70% (w/w). In one embodiment, the drug particles of the compound of Formula I (or any compound in Table 1)

are encapsulated into polymer based microparticles such as those containing Resomer™. In another embodiment, the drug particles of the compound of Formula I (or any compound in Table 1) are encapsulated into polymer based microparticles such as those containing Resomer™ 752S.

[0050] In other embodiments, in-situ gels could be used to encapsulate the compound of Formula I. This could be a water-miscible organic solvent-based solution that contains both the compound of Formula I and a gel-forming polymer that is water-insoluble. Once administrated (IM or SC), the organic solvent dissipates away and the water-insoluble polymer precipitates out to form the gel containing the compound of Formula I. The the compound of Formula I would then slowly diffuse out as the polymer-based gel degrades in body. The polymers used to prepare in-situ gels are selected from a series biodegradable polymers including poly (lactic-*co*-glycolic) acid (M_w 5-200 kD) and its derivatives, polyethylene glycol based amphiphilic polymers, etc. The organic solvents are selected from N-methyl pyrrolidone (NMP), dimethylsulfoxide (DMSO), dimethylformamide (DMF), dimethylacetamie (DMA), etc. The concentration of the polymer in the organic solvent could be between 1-50% (w/w) and the compound of Formula I concentration could be between 1-50% (w/w).

[0051] Alternatively, the microparticle formulation can be made through spray-drying process. Similarly, the organic solution containing both the compound of Formula I and the selected polymer prepared as described herein is subjected to a spray-drying process where the organic solvent is rapidly evaporated under nitrogen gas flow to form the the compound of Formula I encapsulated microparticles. The drying temperature is no less than 35C and the solution spray rate is no less than 0.1 ml/min. For the in-situ gel microparticles, the the compound of Formula I and the selected polymer could be co-dissolved into the suitable organic solvent wherein the organic solvent must meet the following critieria: a) has a good solubility for the selected polymer; b) has a good miscibility with aqueous solution; and c) has a low toxicity and demonstrated safety when use in human; for example N-methyl pyrrolidone (NMP), dimethylsulfoxide (DMSO), dimethylformamide (DMF), dimethylacetamie (DMA), etc. The resulted solution containing both the compound of Formula I and selected polymer can be formulated by varying the polymer concentration, the polymer to the compound of Formula I ratio in the solvent so as to control the gel forming rate after administration and the subsequent drug diffusion rate. The solution finally is subjected to a terminal sterilization by γ-irradiation on dry ice at a minimum dose of 25 kGy.

[0052] An example of a combination of polymers includes a polysorbate, for example, polysorbate 80 as wetting agent and a polyvinylpyrrolidone (PVP), for example, Plasdone K29/32 as a stabilizer. Therefore, in one embodiment, the present invention features a parenteral pharmaceutical composition comprising a compound of formula (I), or a

pharmaceutically acceptable salt thereof, and polysorbate 80 and the polyvinylpyrrolidone: Plasdone K29/32.

[0053] An embodiment of the present invention is a pharmaceutical composition for parenteral administration comprising a compound of formula (I) and a surfactant system suitable for commonly known sterilization technologies such as gamma irradiation, electron beam irradiation and autoclave sterilization.

[0054] An embodiment of the present invention is a pharmaceutical composition for parenteral administration comprising a compound of formula (I) and a surfactant system that can be manufactured using aseptic technique.

[0055] An embodiment of the present invention is a pharmaceutical composition for parenteral administration comprising a compound of formula (I) and a surfactant system suitable for gamma radiation sterilization.

[0056] An embodiment of the present invention is a pharmaceutical composition for parenteral administration comprising a compound of formula (I) and a surfactant system suitable for sterilization technologies by electron beam irradiation or autoclave sterilization.

[0057] An embodiment of the present invention is a pharmaceutical composition for parenteral administration that can be presented as a “ready to use” sterile suspension or lyophile for reconstitution.

[0058] The compositions of the present invention may be administered by subcutaneous or intramuscular injection. The compositions of the present invention may also be administered by intradermal or intravitreal injection or implant. The compositions of the present invention may also be administered by other parenteral routes of administration.

[0059] The preparation of the compositions of the present invention may be performed by milling using a wet bead mill and sterilized by gamma irradiation.

[0060] Another feature of the present invention is to simplify treatment regimens for HIV with the goal of enhancing patient compliance by providing a simplified dosage form containing therapeutically effective amounts of a compound of formula (I) or a pharmaceutically acceptable salt thereof.

[0061] The present invention also features a method for treating HIV infections in a human, which method comprises administering to said human a composition according to the invention. The present invention features the use of a pharmaceutical composition according to the invention in the treatment of HIV infections. The present invention features the manufacture of a medicament according to the invention for use in medical therapy. The present invention features the manufacture of a medicament according to the invention for use in the treatment of HIV infection.

[0062] The present invention also features a method for treating HIV infections in a human which method comprises administering to said human a composition according to the

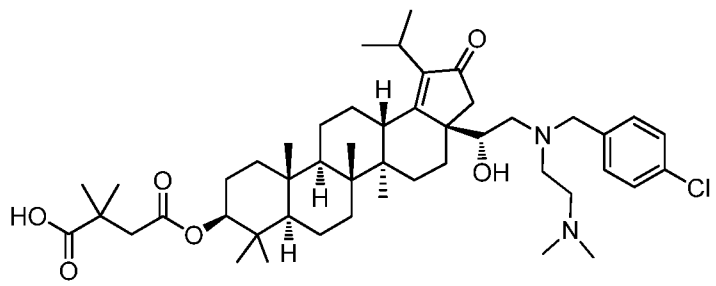
invention before, during, or after therapy with a compound of formula (I) in tablet or solution form.

[0063] It will be appreciated by those skilled in the art that reference herein to "treatment" extends to treatment of an established malady, infection or symptoms thereof.

[0064] The present invention also features a method for preventing HIV infections in a human, which method comprises administering to said human a composition according to the invention. The present invention features the use of a pharmaceutical composition according to the invention in the prevention of HIV infections. The present invention features the manufacture of a medicament according to the invention for use in prophylactic medical therapy. The present invention features the manufacture of a medicament according to the invention for use in preventing HIV infection.

[0065] The present invention also features a method for treating or preventing HIV infections in a human which method comprises administering to said human a composition according to the invention before, during, or after therapy with a compound of formula (I) in tablet or solution form.

[0066] Therefore, in certain embodiments of the present invention, there is provided a single treatment pharmaceutical composition comprising a therapeutically effective amount of a long acting formulation comprising a compound of formula (I):



(I)

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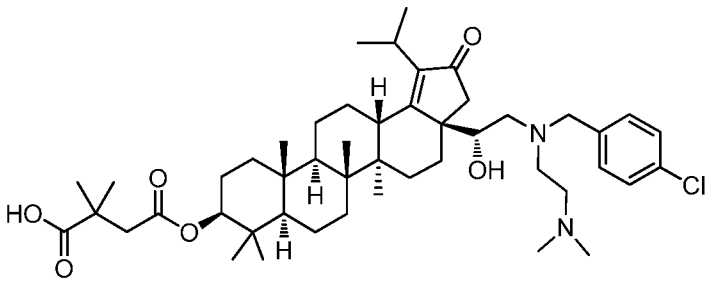
or a pharmaceutically acceptable salt thereof, in a pharmaceutically acceptable carrier for parenteral administration.

[0067] In other embodiments, there is provided a parenteral pharmaceutical composition comprising a compound of formula (I):

(I)



or a pharmaceutically acceptable salt thereof.

[0068] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for subcutaneous administration.

[0069] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for intramuscular administration.

[0070] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for administration once weekly or longer.

[0071] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for administration once weekly.

[0072] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for administration once per month.

[0073] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for administration once every two months. In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for administration once every three months. In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I) that is formulated for administration at any interval between 30 and 365 days.

[0074] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I), wherein the compound of formula (I) is present in the compostion in the form of crystalline nanoparticles.

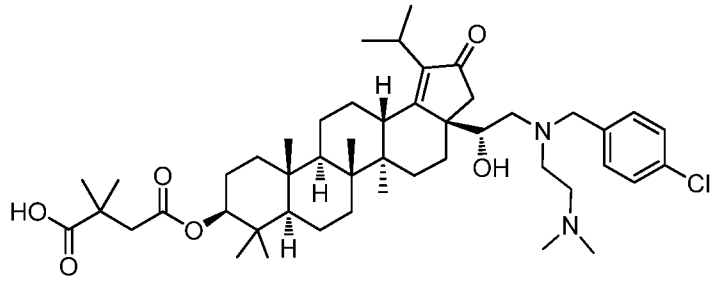
[0075] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I), wherein the compound of formula (I) is present in the compostion in the form of matrix release particles.

[0076] In other embodiments, there is provided a pharmaceutical composition comprising a compound of formula (I), wherein the composition can be terminally sterilized by gamma irradiation.

[0077] In other embodiments, there is provided a method for the treatment of an HIV infection in a human having an HIV infection comprising administering to the human a single

treatment pharmaceutical composition comprising a therapeutically effective amount of a long acting formulation comprising a compound of formula (I):

(I)

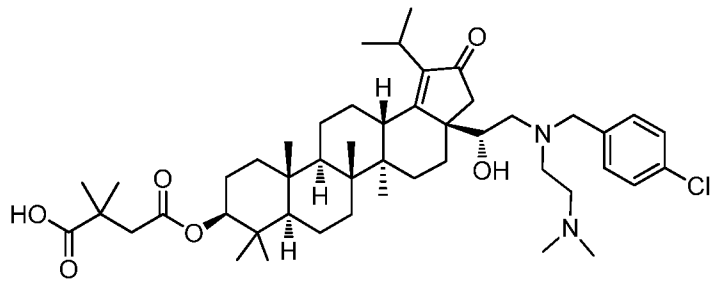


.

or a pharmaceutically acceptable salt thereof, in a pharmaceutically acceptable carrier for parenteral administration.

[0078] In other embodiments, there is provided a method for the prevention of an HIV infection in a human comprising administering to a human at risk of acquiring an HIV infection, a single treatment pharmaceutical composition comprising a therapeutically effective amount of a long acting formulation comprising a compound of formula (I):

(I)

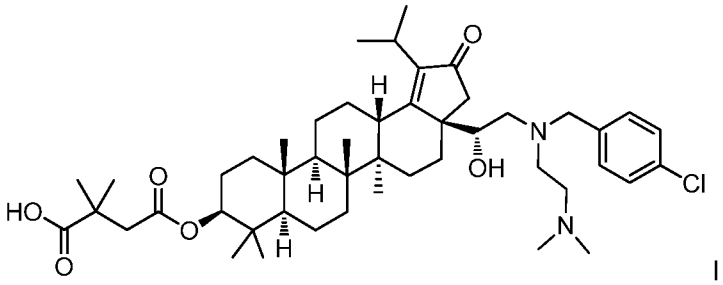


.

or a pharmaceutically acceptable salt thereof, in a pharmaceutically acceptable carrier for parenteral administration.

[0079] In other embodiments, there is provided a LAP pharmaceutical composition, comprising: at least one betulin derivative or a pharmaceutically acceptable salt thereof.

[0080] In other embodiments, there is provided a LAP pharmaceutical composition, comprising: the compound of Formula I

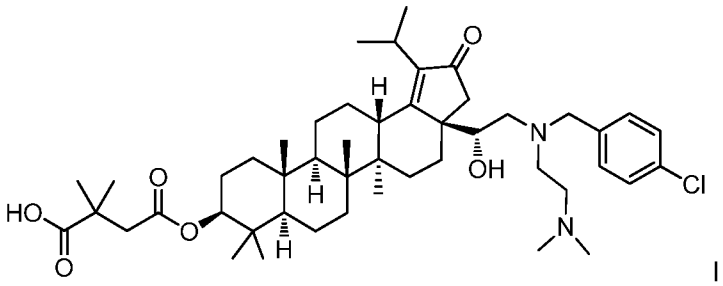


I

or a pharmaceutically acceptable salt thereof.

[0081] In other embodiments, there is provided a method for the treatment of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition including at least one betulin derivative or a pharmaceutically acceptable salt thereof.

[0082] In other embodiments, there is provided a method for the treatment of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition including the compound of Formula I

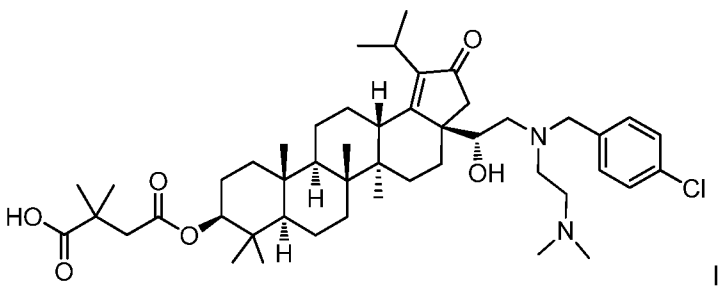


I

or a pharmaceutically acceptable salt thereof.

[0083] In other embodiments, there is provided a method for the prevention of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition including at least one betulin derivative or a pharmaceutically acceptable salt thereof.

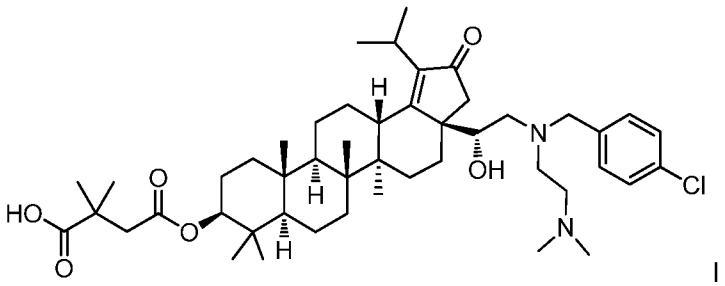
[0084] In other embodiments, there is provided a method for the prevention of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition including the compound of Formula I



I

or a pharmaceutically acceptable salt thereof.

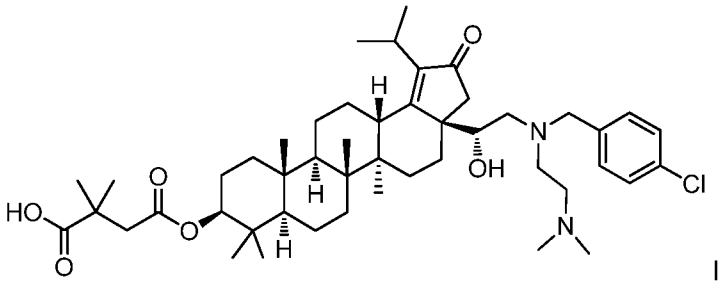
[0085] In other embodiments, there is provided a LAP pharmaceutical composition, comprising: the compound of Formula I



I

or a pharmaceutically acceptable salt thereof, further comprising a surfactant system.

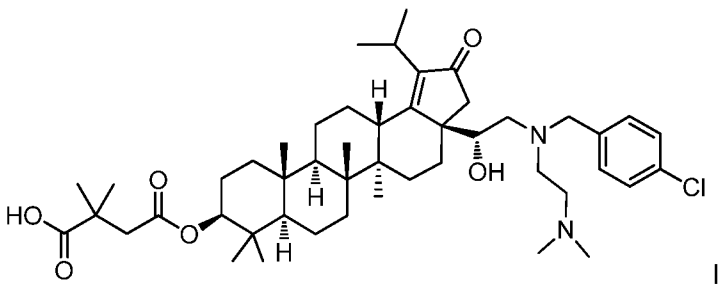
[0086] In other embodiments, there is provided a LAP pharmaceutical composition, comprising: the compound of Formula I



I

or a pharmaceutically acceptable salt thereof, further comprising a surfactant system, wherein the surfactant system comprises a surfactant in an amount ranging from about 0.1% (w/v) to about 3% (w/v) surfactant, or an amount ranging from 0.2% (w/v) to about 0.4% (w/v) surfactant, or the surfactant system comprises about 0.4% (w/v) surfactant.

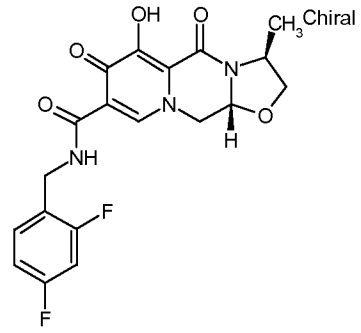
[0087] In other embodiments, there is provided a LAP pharmaceutical composition, comprising: the compound of Formula I



I

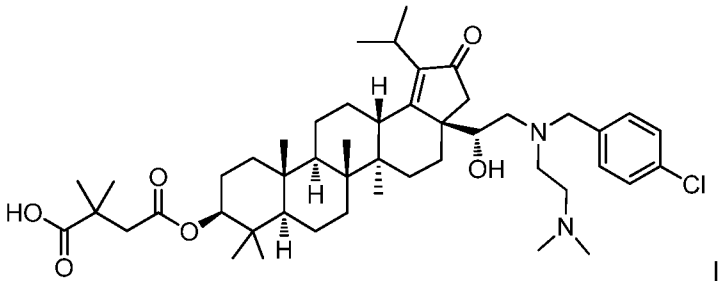
or a pharmaceutically acceptable salt thereof,

in combination with one or more additional compounds selected from the group consisting of dolutegravir, ritonavir, rilpivirine, and a compound having the following structure:



, or a pharmaceutically acceptable salt thereof.

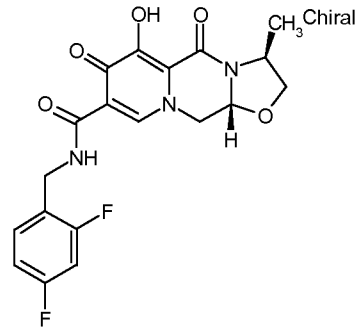
[0088] In other embodiments, there is provided a method for the treatment of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition including the compound of Formula I



I

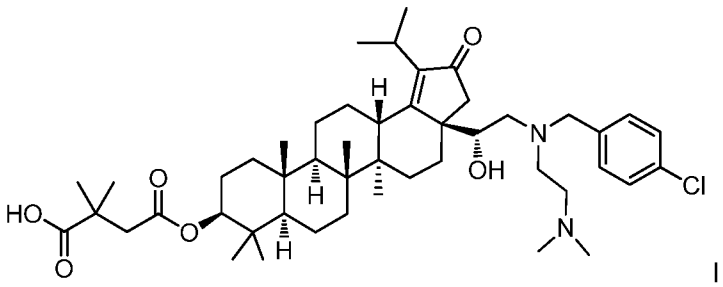
or a pharmaceutically acceptable salt thereof,

in combination with one or more additional compounds selected from the group consisting of dolutegravir, ritonavir, rilpivirine, and a compound having the following structure:



, or a pharmaceutically acceptable salt thereof.

[0089] In other embodiments, there is provided a LAP pharmaceutical composition, comprising: the compound of Formula I



I

or a pharmaceutically acceptable salt thereof,

in combination with any boosting agent, such as, ritonavir. The boosting agent could be dosed simultaneously as the compound of Formula I in the same IV or SC syringe, or it could be dosed separately as an oral tablet or capsule.

[0090] In other embodiments, the the LAP composition comprising the compound of Formula I is administered to the subject only after the subject has been administered treatment comprising a generally accepted antiretroviral (ARV) regimen. An initial ARV regimen generally consists of two NRTIs in combination with an NNRTI, a PI (preferably boosted with ritonavir [RTV]), an INSTI, or a CCR5 antagonist (namely maraviroc [MVC]). In clinical trials, NNRTI-, PI-, INSTI-, or CCR5 antagonist-based regimens have all resulted in HIV RNA decreases and CD4 cell increases in a large majority of patients. For example, one generally accepted ARV regimen comprises could be selected from any of the following for antiretroviral (ARV)-naive patients:

efavirenz/tenofovir disoproxil fumarate/emtricitabine (EFV/TDF/FTC)

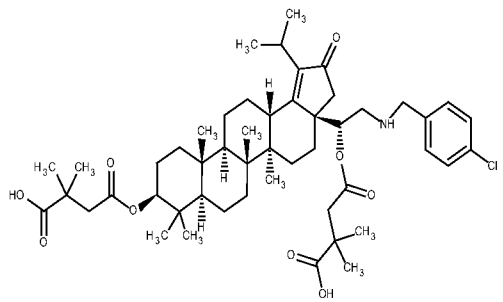
ritonavir-boosted atazanavir + tenofovir disoproxil fumarate/emtricitabine (ATV/r + TDF/FTC)

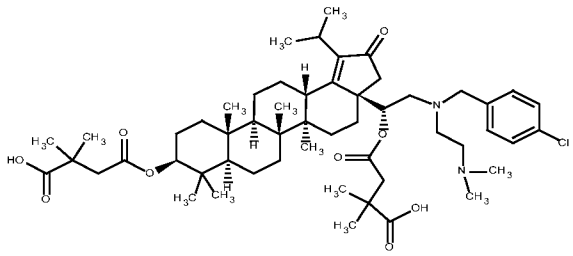
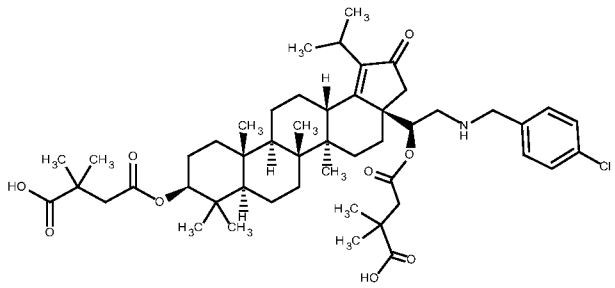
ritonavir-boosted darunavir + tenofovir disoproxil fumarate/emtricitabine (DRV/r + TDF/FTC)

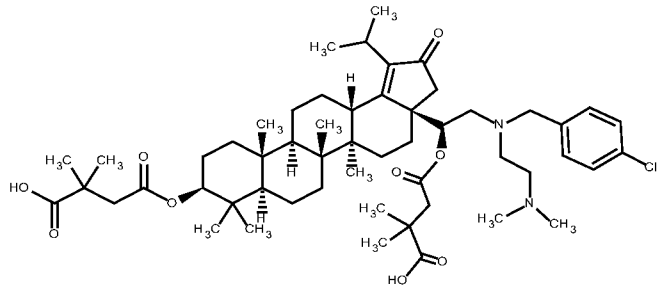
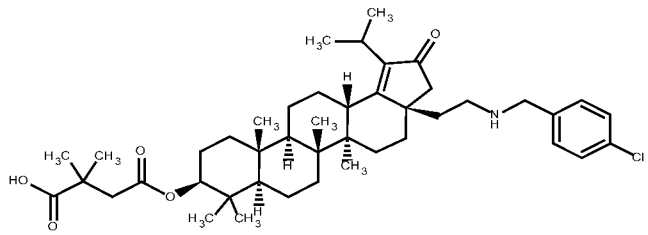
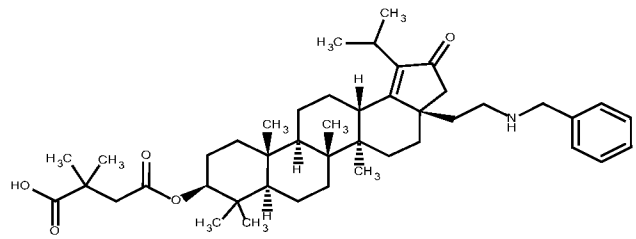
raltegravir + tenofovir disoproxil fumarate/emtricitabine (RAL + TDF/FTC)

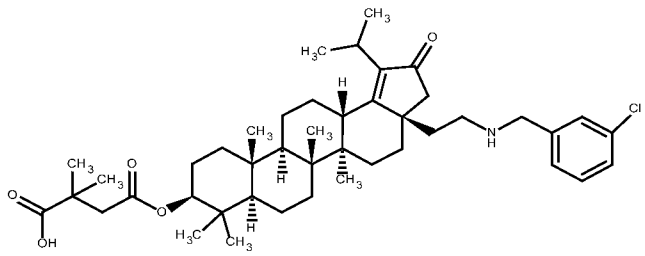
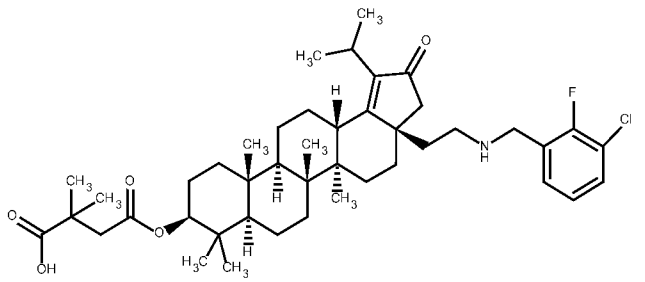
[0091] While the present invention has been described in terms of the compound of Formula (I), it is contemplated that other betulin derivatives may be utilized in lieu of or with the compound of Formula I in the LAP formulations of the present invention. Such betulin derivatives may be chosen from those shown in Table 1 below:

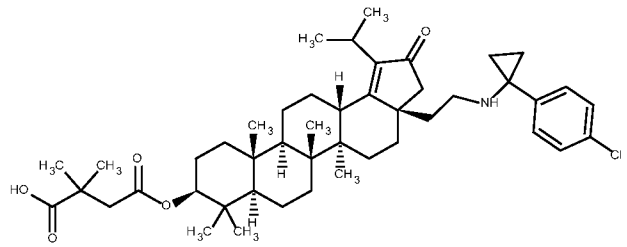
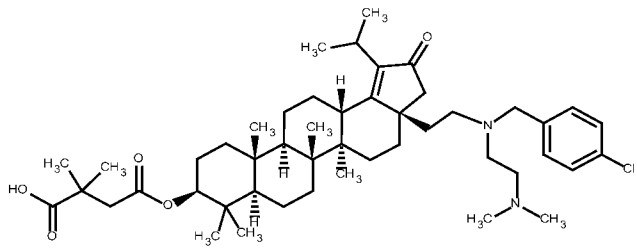
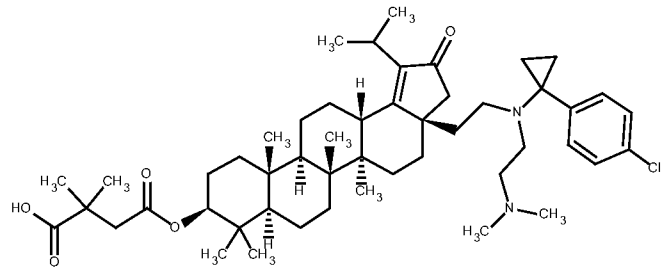
Table 1

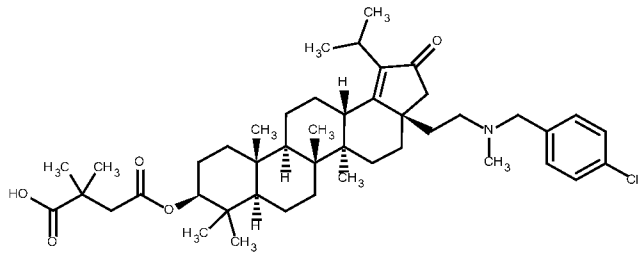
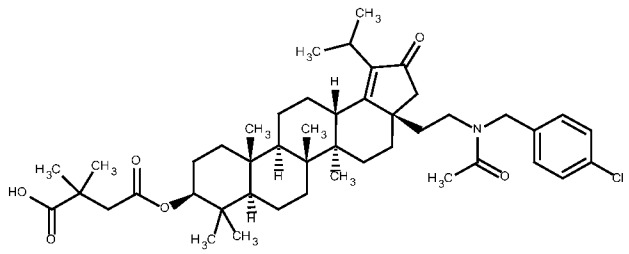
Parent Structure	Chemical Name
	4-[(1R)-1-[(1R,2R,5R,10S,13R,14R,17S,19R)-17-[(3-carboxy-3,3-dimethylpropanoyl)oxy]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8

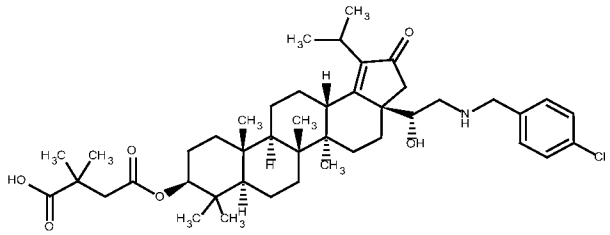
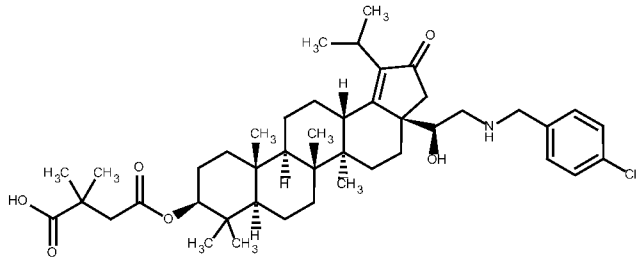
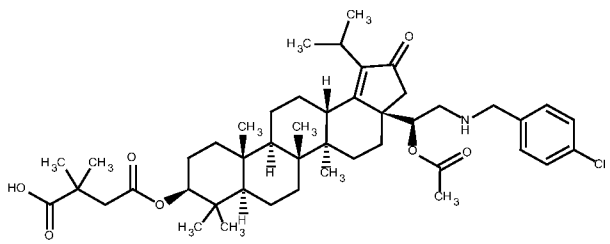
	.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-5-yl]-2-{[(4-chlorophenyl)methyl]amino}ethoxy]-2,2-dimethyl-4-oxobutanoic acid
	4-[(1R)-1-[(1R,2R,5R,10S,13R,14R,17S,19R)-17-[(3-carboxy-3,3-dimethylpropanoyl)oxy]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-5-yl]-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}ethoxy]-2,2-dimethyl-4-oxobutanoic acid
	4-[(1S)-1-[(1R,2R,5R,10S,13R,14R,17S,19R)-17-[(3-carboxy-3,3-dimethylpropanoyl)oxy]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-5-yl]-2-{[(4-chlorophenyl)methyl]amino}ethoxy]-2,2-dimethyl-4-oxobutanoic acid

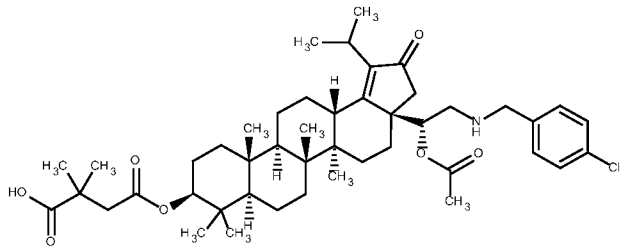
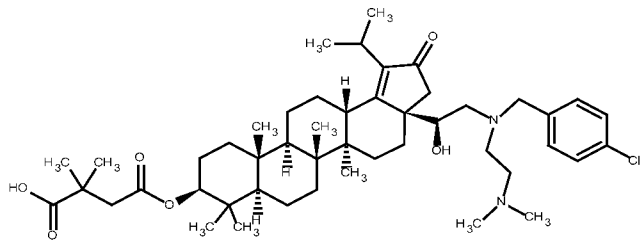
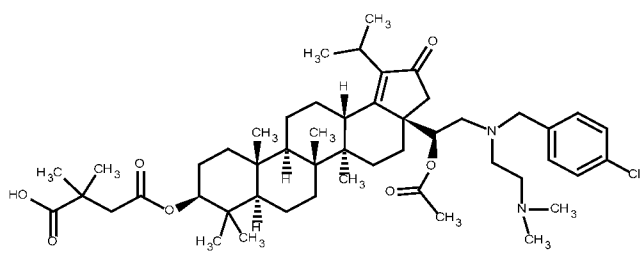
	4-[(1S)-1-[(1R,2R,5R,10S,13R,14R,17S,19R)-17-[(3-carboxy-3,3-dimethylpropanoyl)oxy]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-5-yl]-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}ethoxy]-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(4-chlorophenyl)methyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[2-(benzylamino)ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

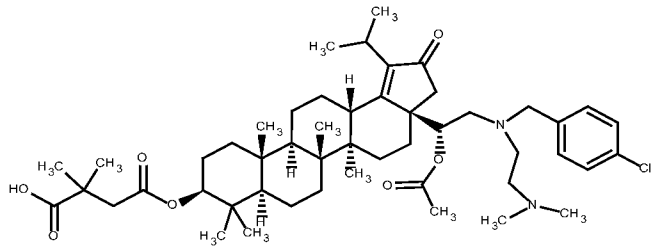
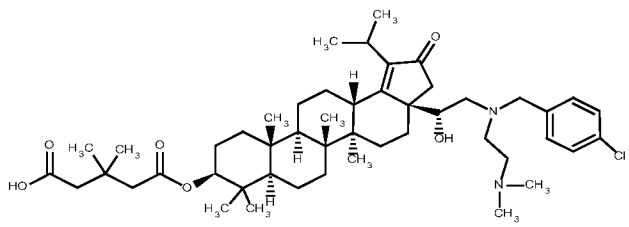
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(3-chlorophenyl)methyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(3-chloro-2-fluorophenyl)methyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

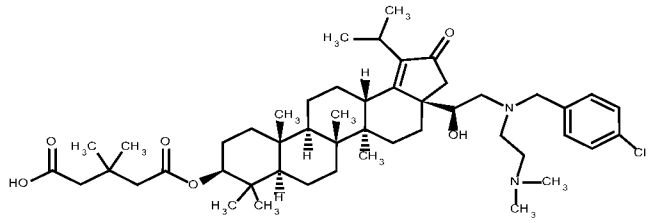
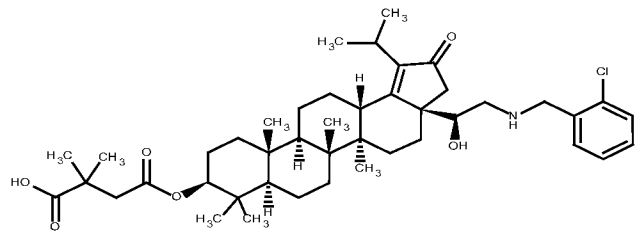
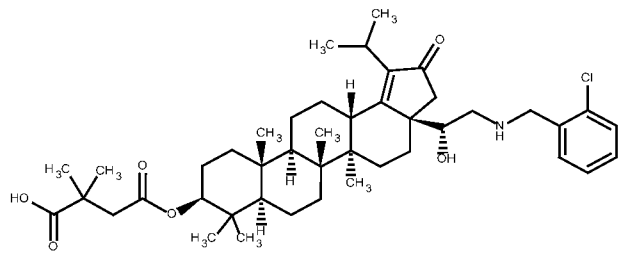
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[1-(4-chlorophenyl)cyclopropyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[1-(4-chlorophenyl)cyclopropyl][2-(dimethylamino)ethyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

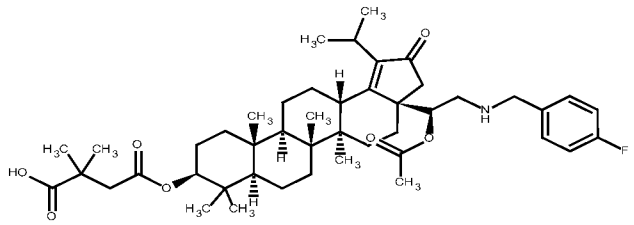
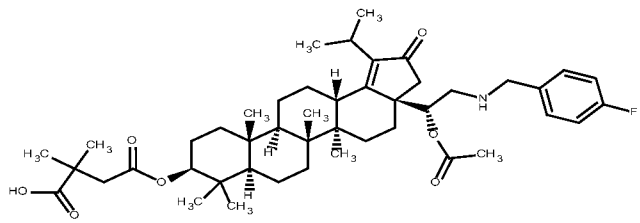
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(4-chlorophenyl)methyl](methyl)amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{N-[(4-chlorophenyl)methyl]acetamido}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

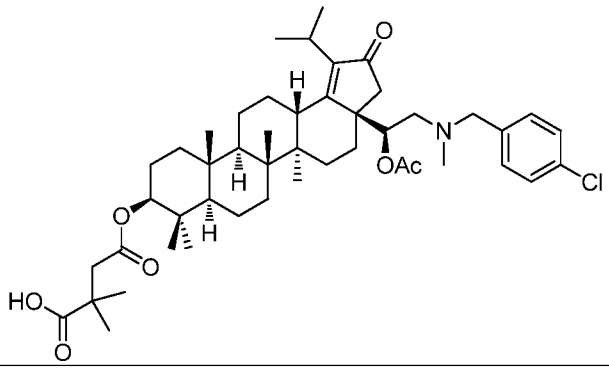
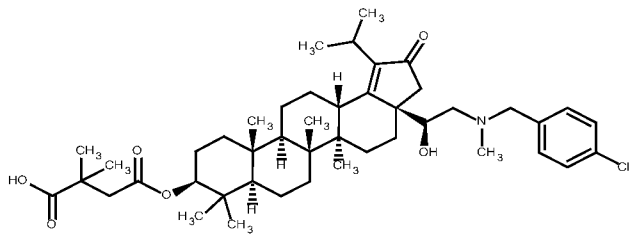
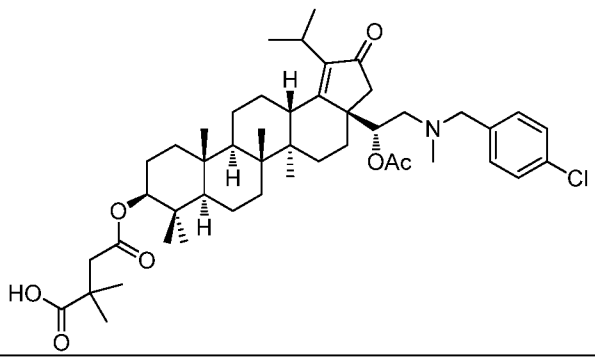
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(4-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

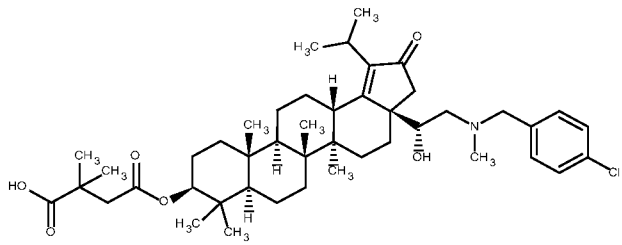
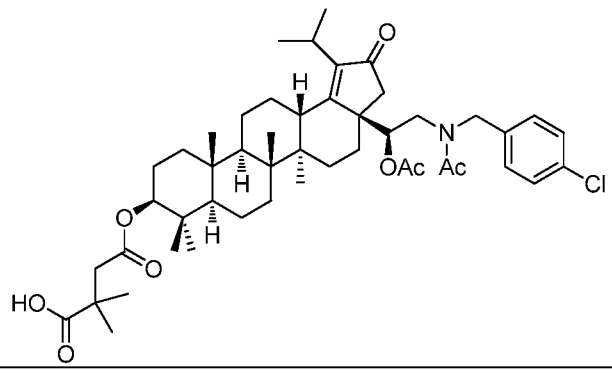
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-(acetyloxy)-2-{[(4-chlorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-(acetyloxy)-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-

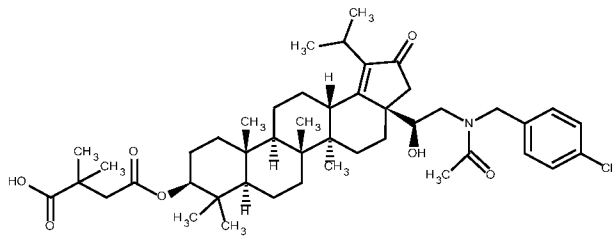
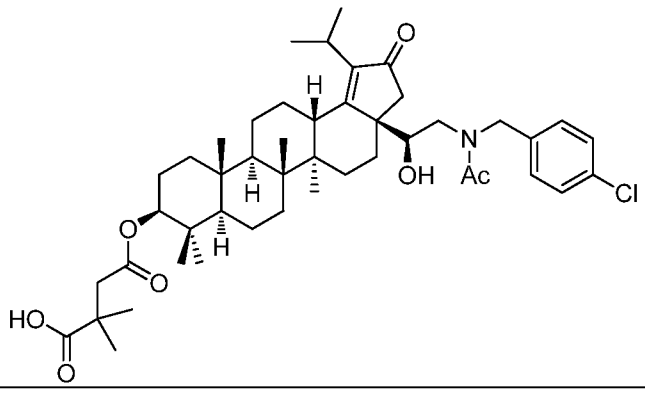
	oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-(acetyloxy)-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	5-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-3,3-dimethyl-5-oxopentanoic acid

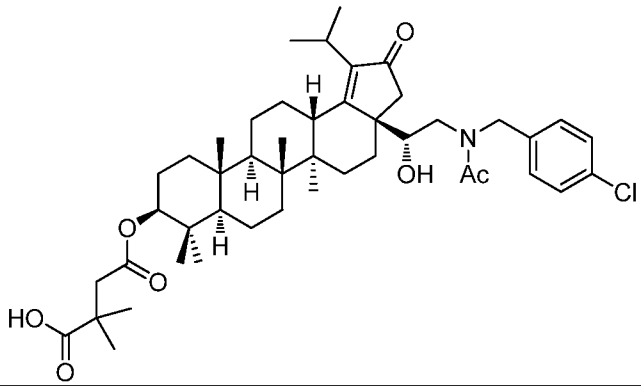
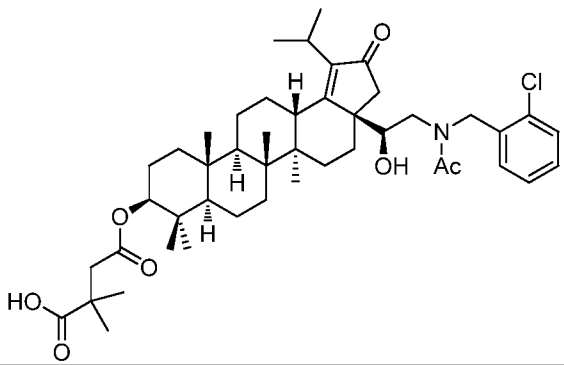
	5-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-3,3-dimethyl-5-oxopentanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(2-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(2-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

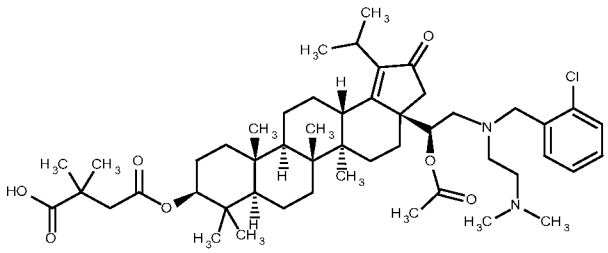
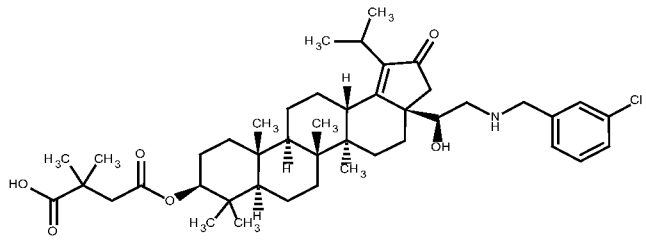
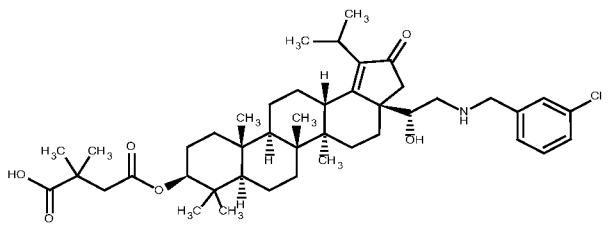
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-(acetyloxy)-2-{[(4-fluorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-(acetyloxy)-2-{[(4-fluorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

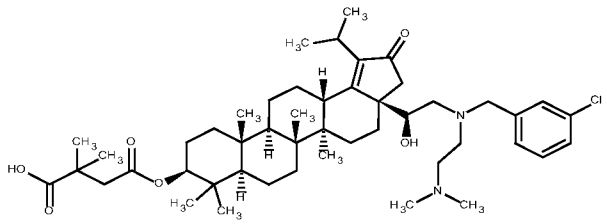
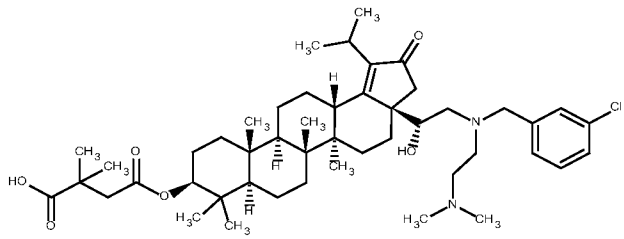
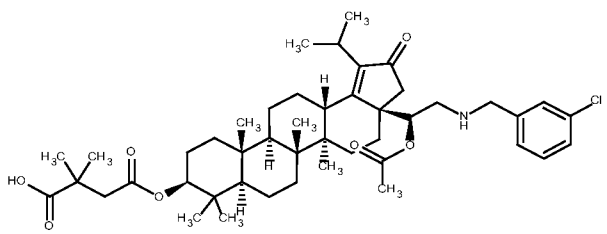
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-acetoxy-2-((4-fluorobenzyl)(methyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl](methyl)amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-Acetoxy-2-((4-chlorobenzyl)(methyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-

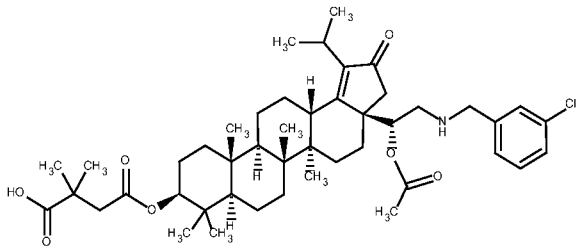
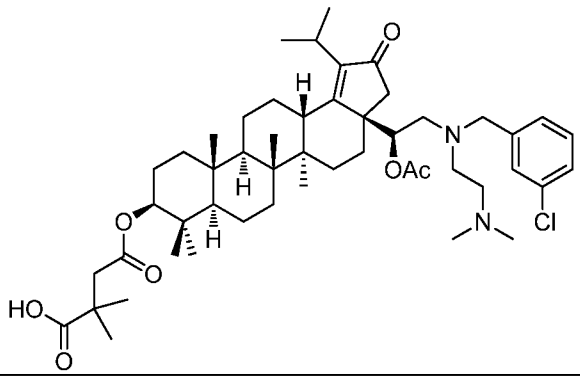
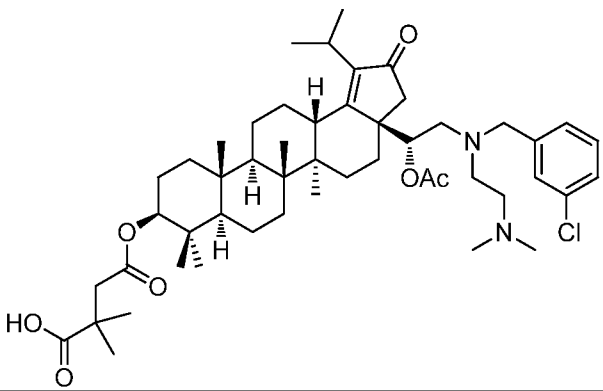
	octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(4-chlorophenyl)methyl](methyl)amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-Acetoxy-2-(N-(4-chlorobenzyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

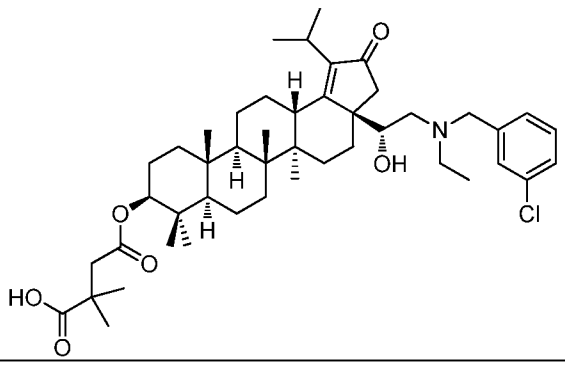
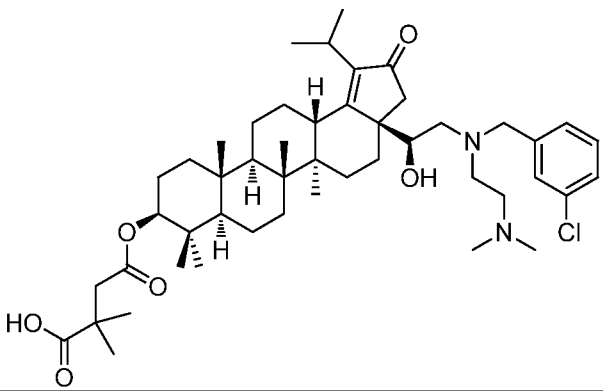
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{N-[(4-chlorophenyl)methyl]acetamido}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(N-(4-Chlorobenzyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

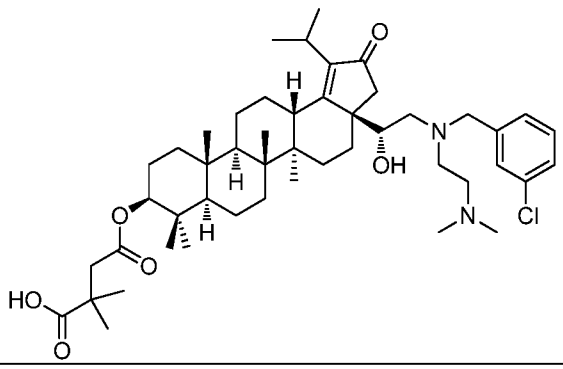
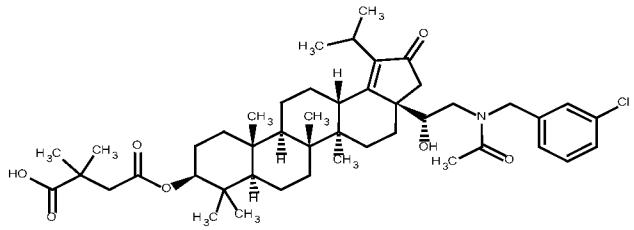
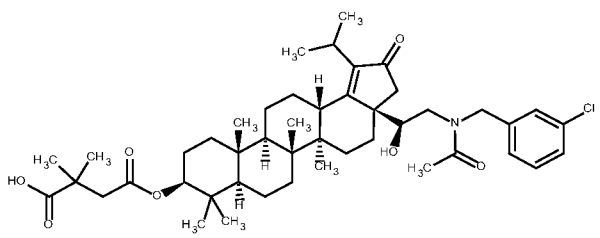
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(4-Chlorobenzyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(N-(2-Chlorobenzyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

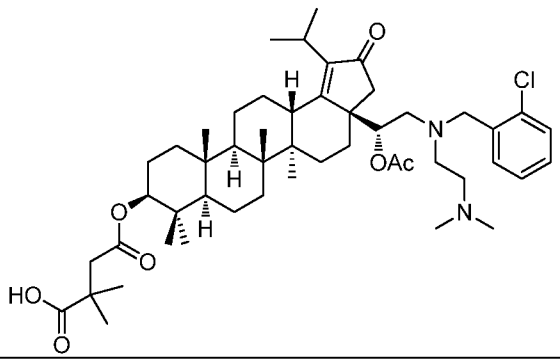
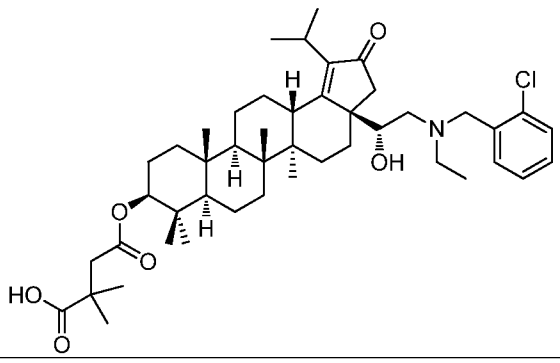
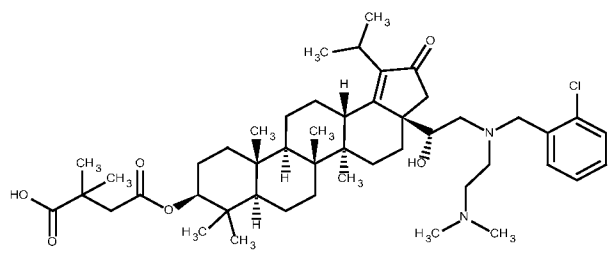
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-(acetyloxy)-2-{[(2-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(3-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(3-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

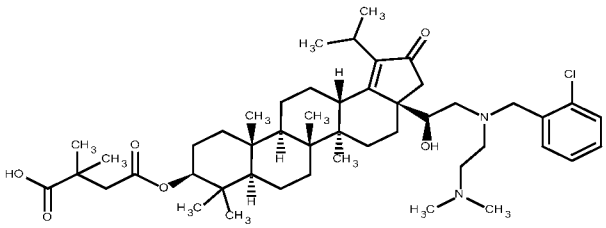
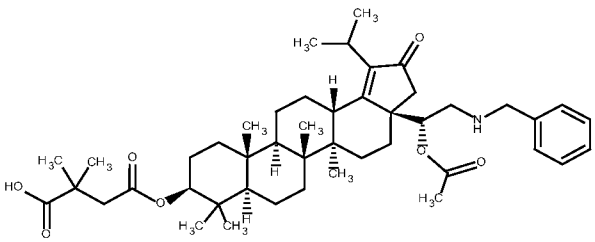
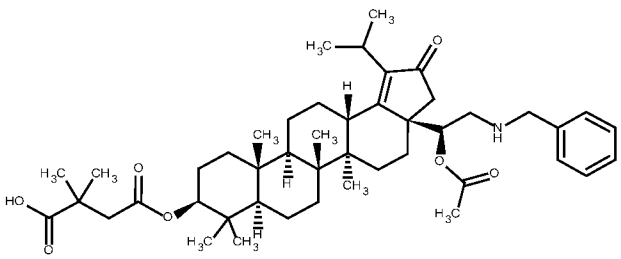
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(3-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(3-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-(acetyloxy)-2-{[(3-chlorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

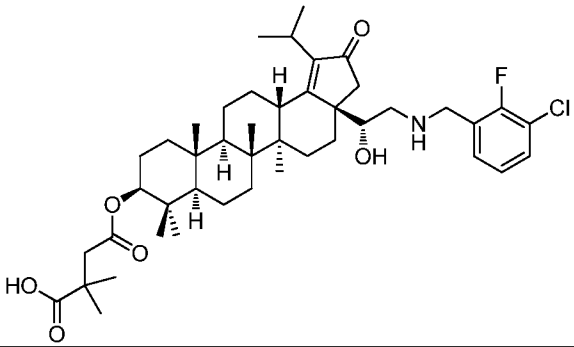
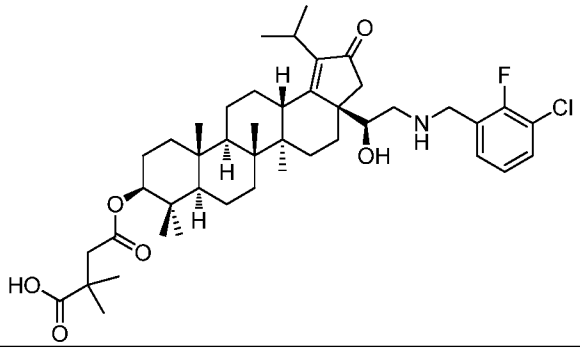
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-(acetyloxy)-2-{[(3-chlorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-acetoxy-2-((3-chlorobenzyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-acetoxy-2-((3-chlorobenzyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,

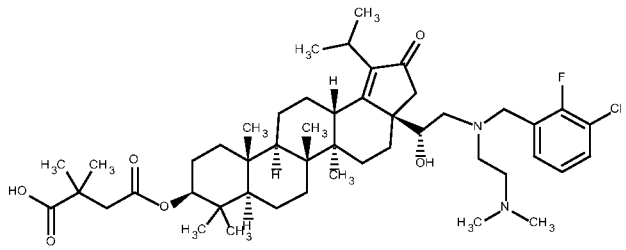
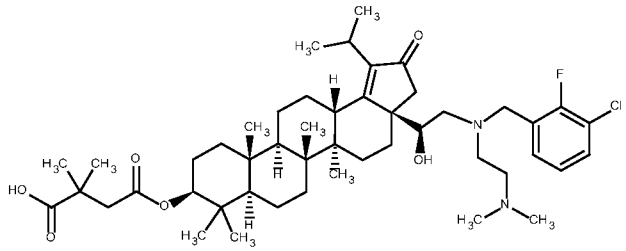
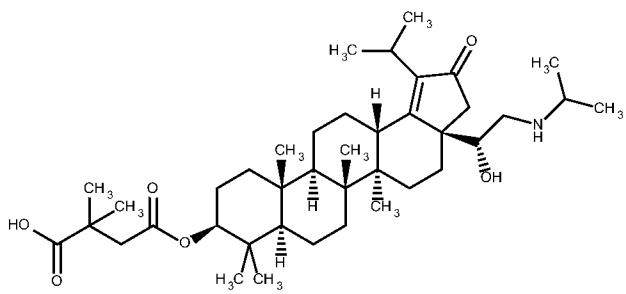
	11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((3-chlorobenzyl)(ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((3-Chlorobenzyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

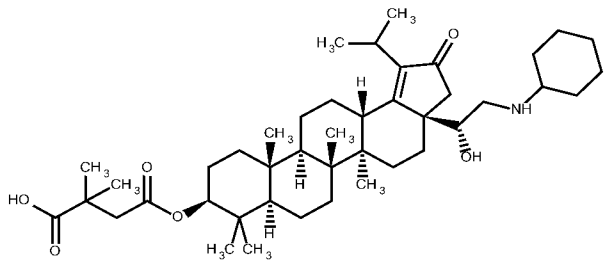
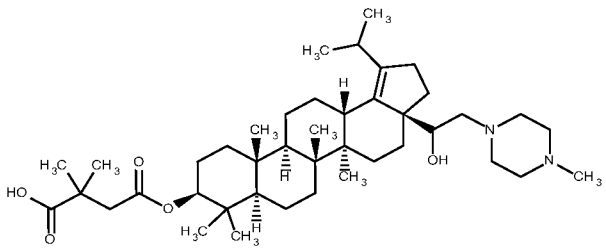
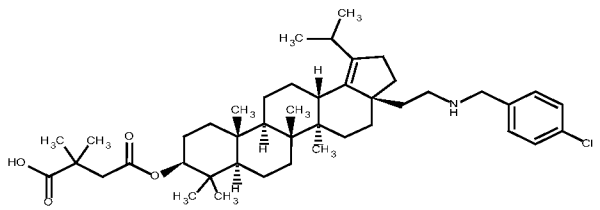
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((3-Chlorobenzyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{N-[(3-chlorophenyl)methyl]acetamido}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{N-[(3-chlorophenyl)methyl]acetamido}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

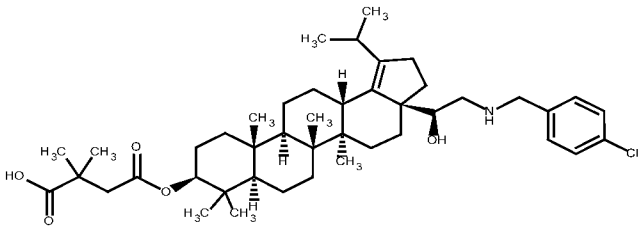
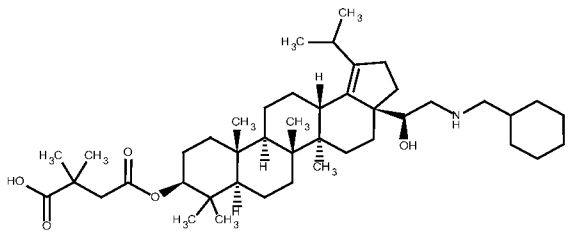
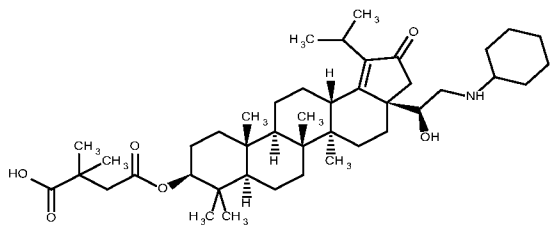
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-acetoxy-2-((2-chlorobenzyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-chlorobenzyl)(ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(2-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8

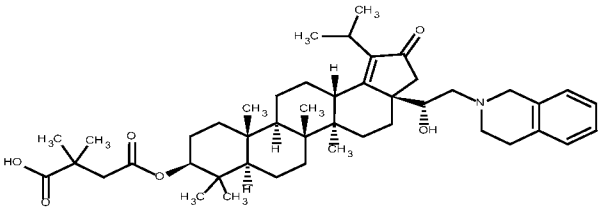
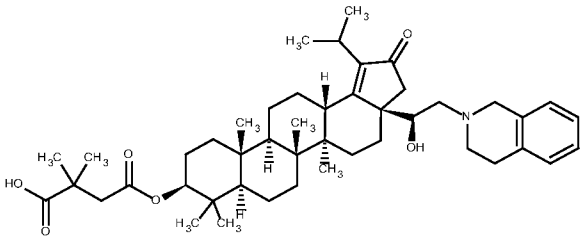
	.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(2-chlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-(acetyloxy)-2-(benzylamino)ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-(acetyloxy)-2-(benzylamino)ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-

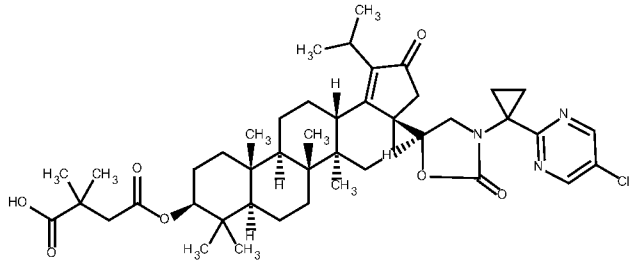
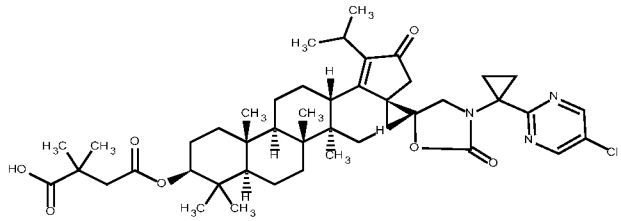
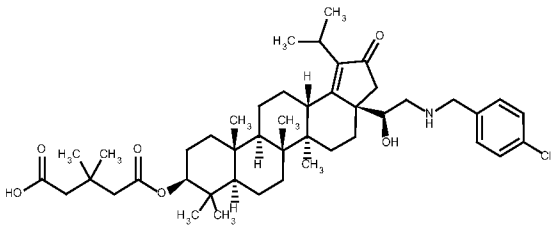
	oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((3-Chloro-2-fluorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((3-chloro-2-fluorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

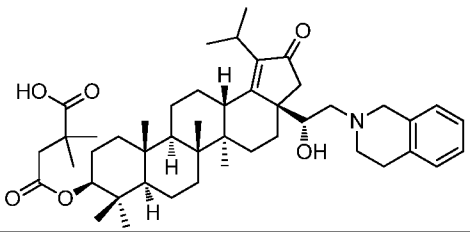
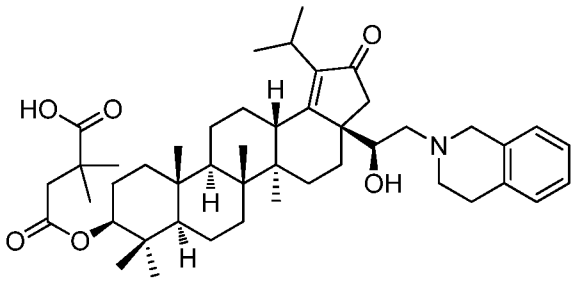
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(3-chloro-2-fluorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(3-chloro-2-fluorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-hydroxy-2-[(propan-2-yl)amino]ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

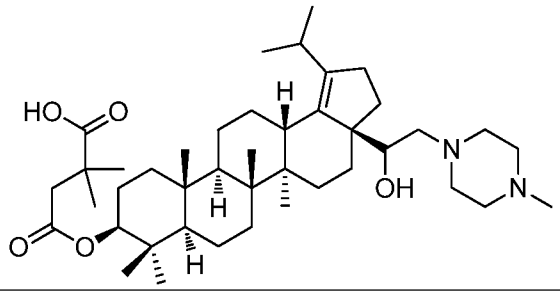
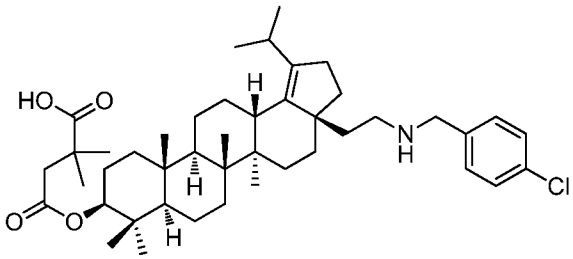
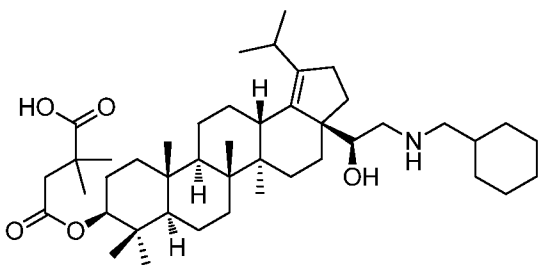
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-(cyclohexylamino)-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5S,10S,13R,14R,17S,19R)-5-[1-hydroxy-2-(4-methylpiperazin-1-yl)ethyl]-1,2,14,18,18-pentamethyl-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(4-chlorophenyl)methyl]amino}ethyl)-1,2,14,18,18-pentamethyl-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-

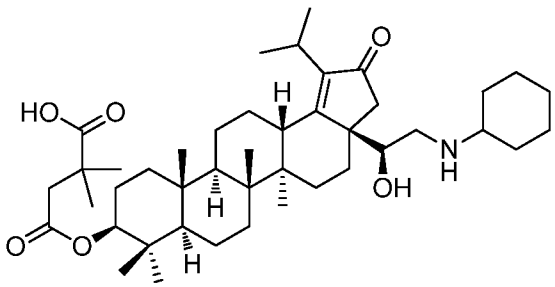
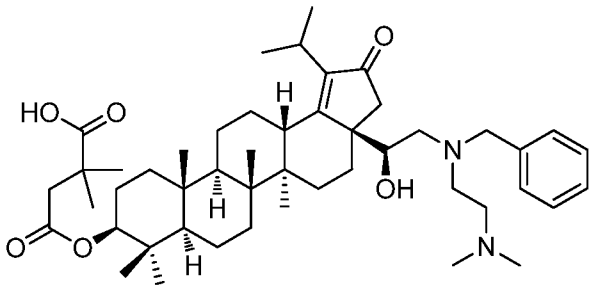
	oxobutanoic acid
	4-{[(1R,2R,5S,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5S,10S,13R,14R,17S,19R)-5-[(1S)-2-[(cyclohexylmethyl)amino]-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-(cyclohexylamino)-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos

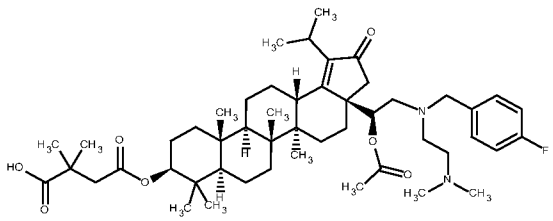
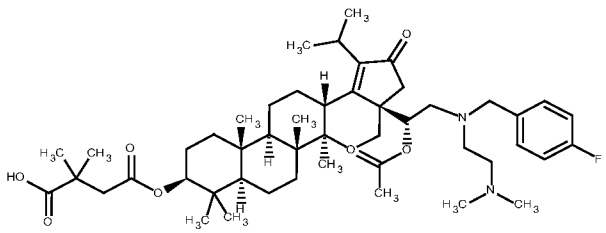
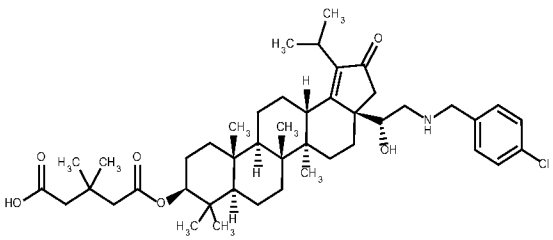
	-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-hydroxy-2-(1,2,3,4-tetrahydroisoquinolin-2-yl)ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-hydroxy-2-(1,2,3,4-tetrahydroisoquinolin-2-yl)ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

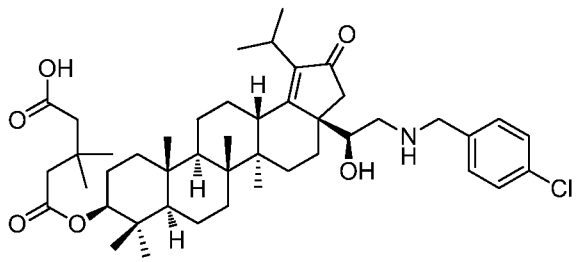
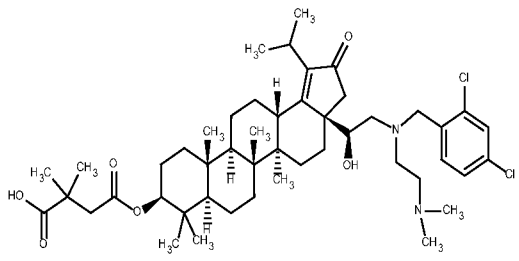
	4-{[(1R,2R,10S,13R,14R,17S,19R)-5-[(5S)-3-[1-(5-chloropyrimidin-2-yl)cyclopropyl]-2-oxo-1,3-oxazolidin-5-yl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,10S,13R,14R,17S,19R)-5-[(5R)-3-[1-(5-chloropyrimidin-2-yl)cyclopropyl]-2-oxo-1,3-oxazolidin-5-yl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	5-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-3,3-dimethyl-5-oxopentanoic acid

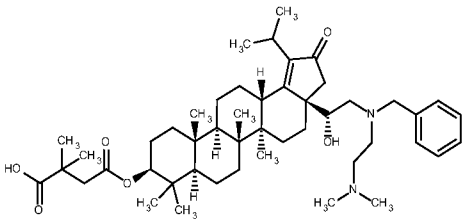
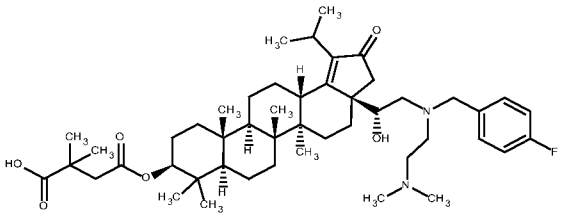
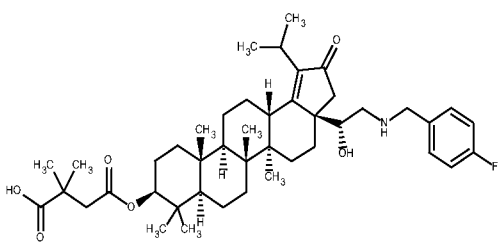
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(3,4-dihydroisoquinolin-2(1H)-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(3,4-dihydroisoquinolin-2(1H)-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

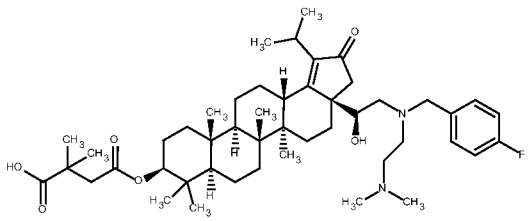
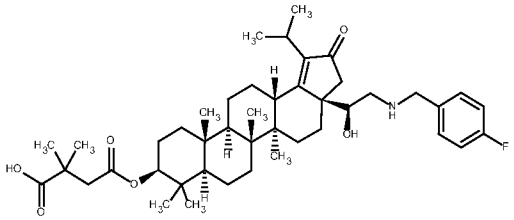
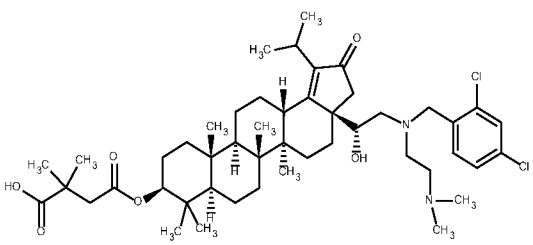
	4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(4-methylpiperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((4-chlorobenzyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((cyclohexylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-

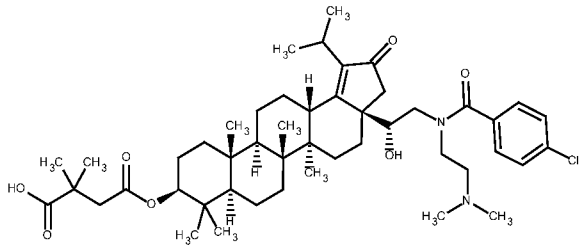
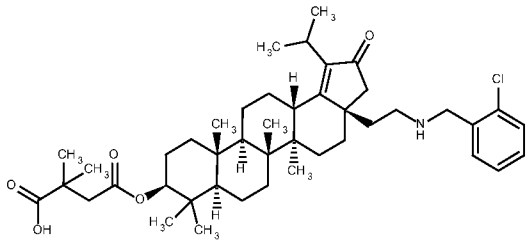
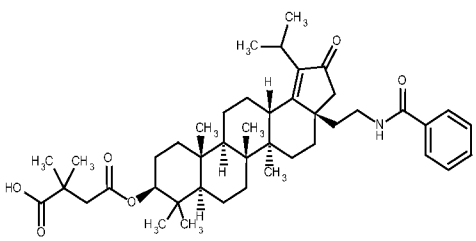
	octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(cyclohexylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid
	4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(benzyl(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-1-(acetyloxy)-2-{[2-(dimethylamino)ethyl][(4-fluorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-1-(acetyloxy)-2-{[2-(dimethylamino)ethyl][(4-fluorophenyl)methyl]amino}ethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	5-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(4-chlorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-3,3-dimethyl-5-

	oxopentanoic acid
	5-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-3,3-dimethyl-5-oxopentanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(2,4-dichlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{benzyl[2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[2-(dimethylamino)ethyl][(4-fluorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(4-fluorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

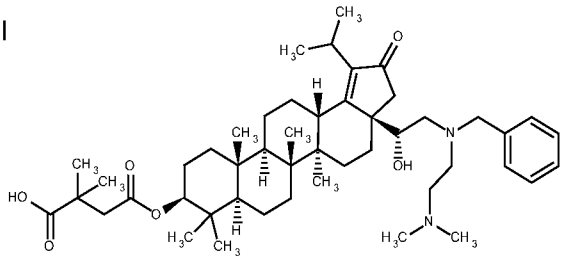
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[2-(dimethylamino)ethyl][(4-fluorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1S)-2-{[(4-fluorophenyl)methyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-{[(2,4-dichlorophenyl)methyl][2-(dimethylamino)ethyl]amino}-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid

	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-[(1R)-2-[1-(4-chlorophenyl)-N-[2-(dimethylamino)ethyl]formamido]-1-hydroxyethyl]-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-5-(2-{[(2-chlorophenyl)methyl]amino}ethyl)-1,2,14,18,18-pentamethyl-7-oxo-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}-2,2-dimethyl-4-oxobutanoic acid
	2,2-dimethyl-4-oxo-4-{[(1R,2R,5R,10S,13R,14R,17S,19R)-1,2,14,18,18-pentamethyl-7-oxo-5-[2-(phenylformamido)ethyl]-8-(propan-2-yl)pentacyclo[11.8.0.0^{2,10}.0^{5,9}.0^{14,19}]henicos-8-en-17-yl]oxy}butanoic acid

or pharmaceutically acceptable salts thereof.

[0092] In one embodiment, the betulin derivative is a compound of formula II:

II

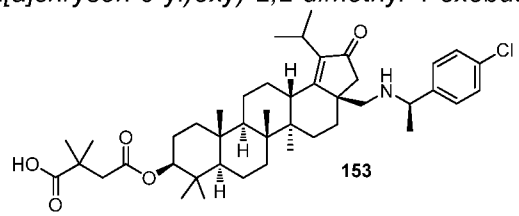


or a pharmaceutically acceptable salt thereof.

[0093] Below are additional betulin derivatives that are suitable for the LAP formulations described herein.

Example 84: Compound 153

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((((R)-1-(4-Chlorophenyl)ethyl)amino)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



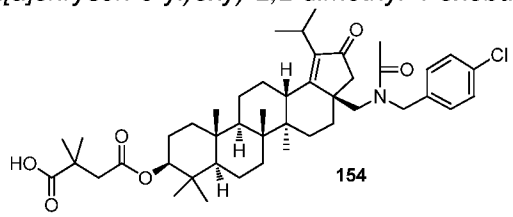
153

[0094] LC/MS: m/z calculated 721.5, found 722.3 $(M + 1)^+$.

Example 85: Compound 154

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((N-(4-chlorobenzyl)acetamido)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid

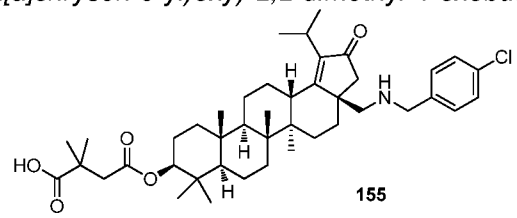


154

LC/MS: m/z calculated 749.4, found 750.3 $(M + 1)^+$.

Example 86: Compound 155

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(((4-chlorobenzyl)amino)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

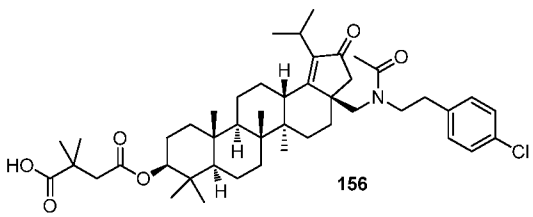


155

[0095] LC/MS: m/z calculated 707.4, found 708.3 $(M + 1)^+$.

Example 87: Compound 156

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((N-(4-chlorophenethyl)acetamido)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

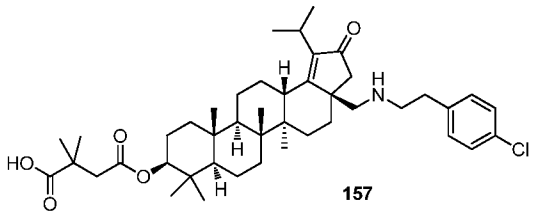


156

[0096] LC/MS: m/z calculated 763.5, found 764.3 $(M + 1)^+$.

Example 88: Compound 157

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(((4-chlorophenethyl)amino)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

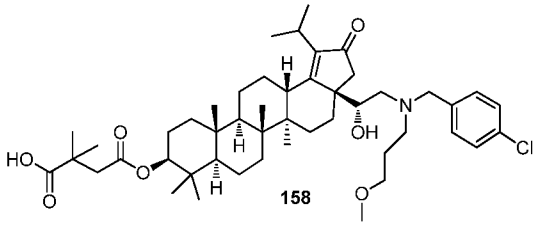


157

[0097] LC/MS: m/z calculated 721.5, found 722.3 $(M + 1)^+$

Example 89: Compound 158

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(3-methoxypropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

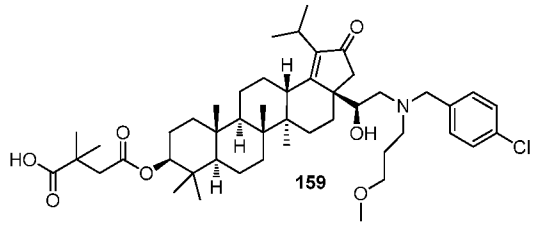


158

LC/MS: m/z calculated 809.50, found 810.7 $(M + 1)^+$

Example 90: Compound 159

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(3-methoxypropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

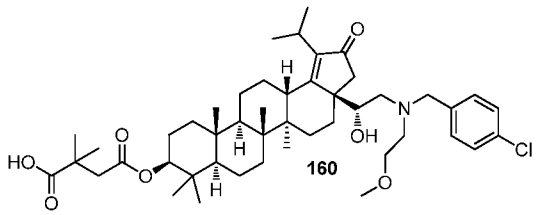


159

[0098] LC/MS: m/z calculated 809.5, found 810.4 $(M + 1)^+$

Example 91: Compound 160

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-methoxyethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

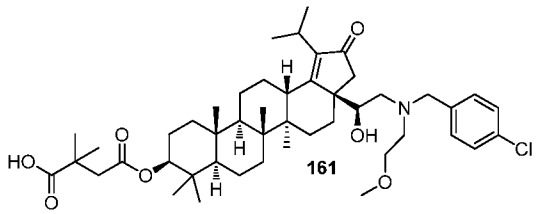


160

[0099] LC/MS: m/z calculated 795.5, found 796.3 $(M + 1)^+$

Example 92: Compound 161

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-methoxyethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

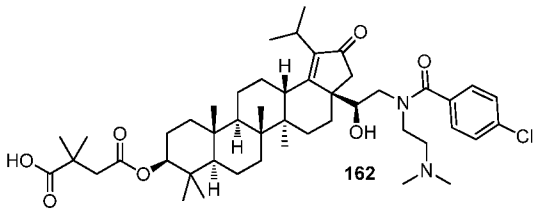


161

[00100] LC/MS: m/z calculated 795.5, found 796.3 $(M + 1)^+$

Example 93: Compound 162

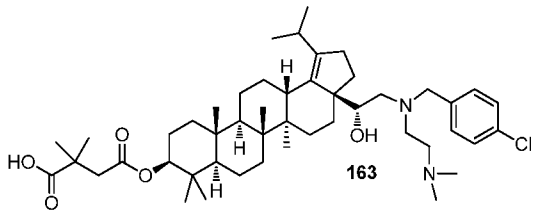
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(4-chloro-N-(2-(dimethylamino)ethyl)benzamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00101] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 94: Compound 163

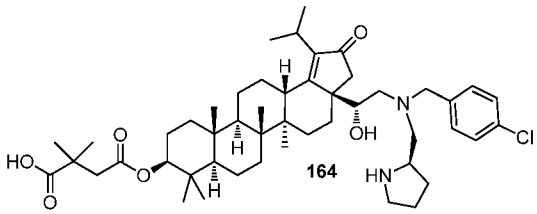
4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00102] LC/MS: m/z calculated 794.5, found 795.5 $(M + 1)^+$

Example 95: Compound 164

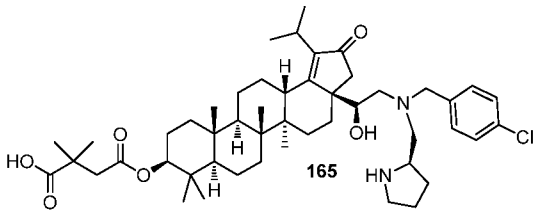
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)((R)-pyrrolidin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00103] LC/MS: m/z calculated 820.5, found 821.5 $(M + 1)^+$

Example 96: Compound 165

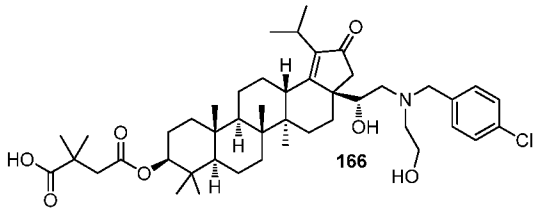
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)((R)-pyrrolidin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00104] LC/MS: m/z calculated 820.5, found 821.5 $(M + 1)^+$

Example 97: Compound 166

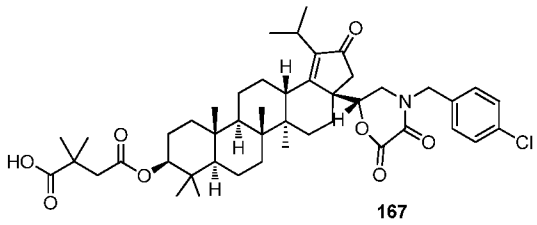
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-hydroxyethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00105] LC/MS: m/z calculated 781.5, found 782.5 $(M + 1)^+$

Example 98: Compound 167

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-4-(4-chlorobenzyl)-5,6-dioxomorpholin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

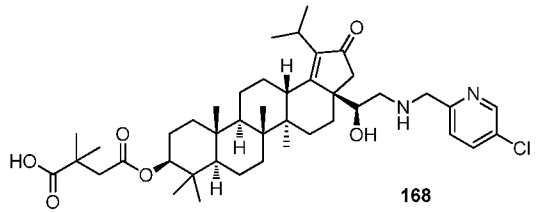


167

[00106] LC/MS: m/z calculated 791.4, found 792.5 $(M + 1)^+$

Example 99: Compound 168

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((5-chloropyridin-2-yl)methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

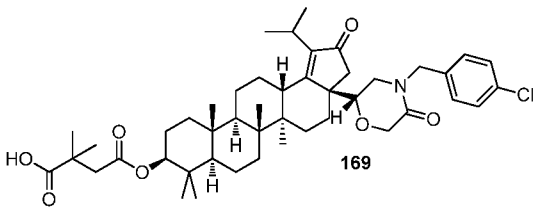


168

[00107] LC/MS: m/z calculated 738.4, found 739.5 $(M + 1)^+$

Example 100: Compound 169

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-4-(4-chlorobenzyl)-5-oxomorpholin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



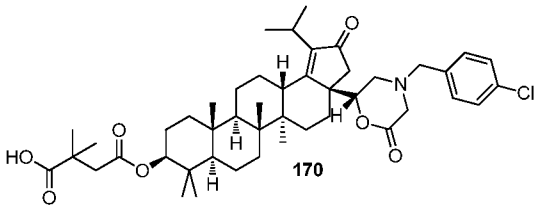
169

[00108] LC/MS: m/z calculated 777.4, found 778.6 $(M + 1)^+$

Example 101: Compound 170

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-4-(4-chlorobenzyl)-6-oxomorpholin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

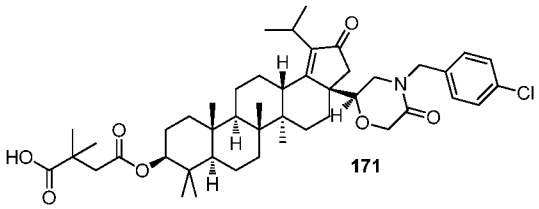


170

[00109] LC/MS: m/z calculated 777.4, found 777.9 $(M + 1)^+$

Example 102: Compound 171

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-4-(4-chlorobenzyl)-5-oxomorpholin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

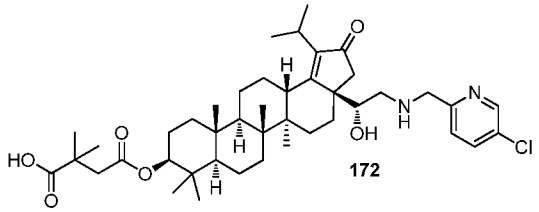


171

[00110] LC/MS: m/z calculated 777.4, found 777.9 $(M + 1)^+$

Example 103: Compound 172

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((5-chloropyridin-2-yl)methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

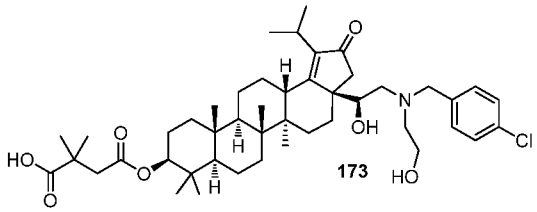


172

[00111] LC/MS: m/z calculated 737.4, found 739.4 $(M + 1)^+$

Example 104: Compound 173

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-hydroxyethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

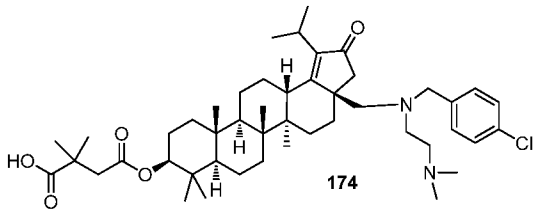


173

[00112] LC/MS: m/z calculated 781.5, found 782.3 $(M + 1)^+$

Example 105: Compound 174

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(((4-chlorobenzyl)(2-(dimethylamino)ethyl)amino)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

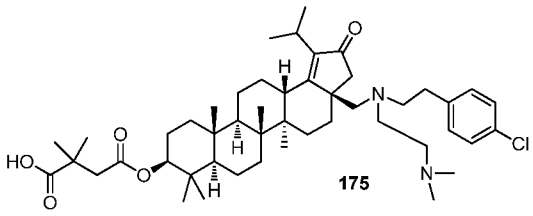


174

[00113] LC/MS: m/z calculated 778.5, found 779.5 $(M + 1)^+$

Example 106: Compound 175

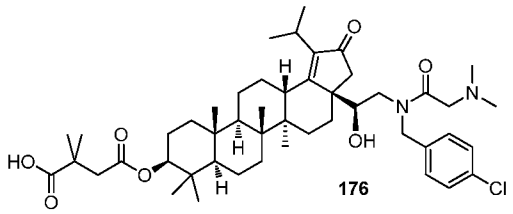
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(((4-chlorophenethyl)(2-(dimethylamino)ethyl)amino)methyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00114] LC/MS: m/z calculated 792.5, found 793.5 $(M + 1)^+$

Example 107: Compound 176

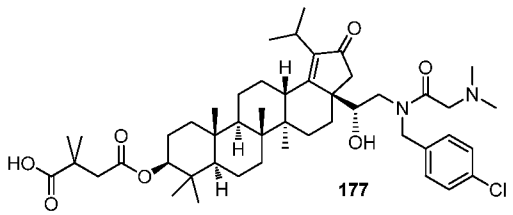
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(N-(4-chlorobenzyl)-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00115] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 108: Compound 177

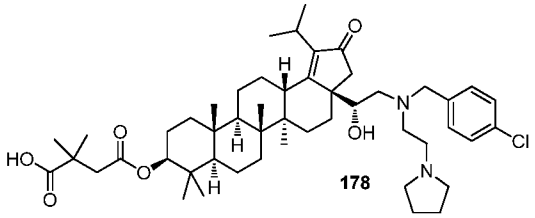
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(4-chlorobenzyl)-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00116] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 109: Compound 178

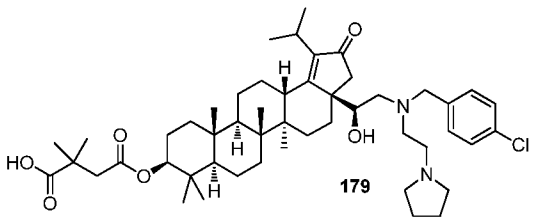
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-(pyrrolidin-1-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00117] LC/MS: m/z calculated 834.5, found 835.5 $(M + 1)^+$

Example 110: Compound 179

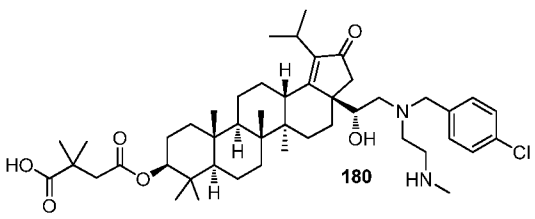
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-(pyrrolidin-1-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00118] LC/MS: m/z calculated 834.5, found 835.5 $(M + 1)^+$

Example 111: Compound 180

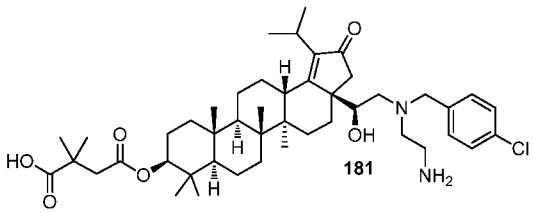
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-(methylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00119] LC/MS: m/z calculated 794.5, found 795.4 $(M + 1)^+$

Example 112: Compound 181

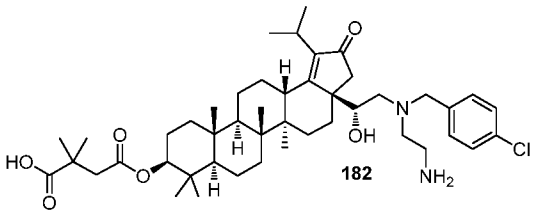
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((2-aminoethyl)(4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00120] LC/MS: m/z calculated 780.5, found 781.4 $(M + 1)^+$

Example 113: Compound 182

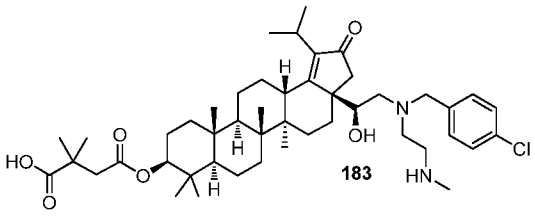
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00121] LC/MS: m/z calculated 780.5, found 781.4 $(M + 1)^+$

Example 114: Compound 183

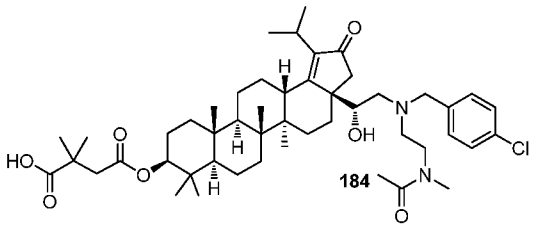
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-(methylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00122] LC/MS: m/z calculated 794.5, found 795.5 $(M + 1)^+$

Example 115: Compound 184

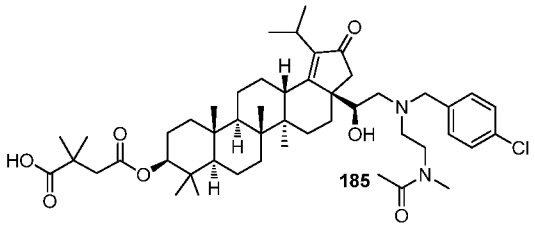
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-(N-methylacetamido)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00123] LC/MS: m/z calculated 836.5, found 837.5 $(M + 1)^+$

Example 116: Compound 185

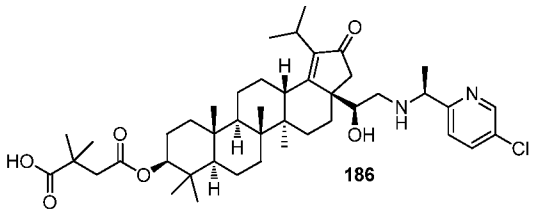
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-(N-methylacetamido)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00124] LC/MS: m/z calculated 836.5, found 837.5 $(M + 1)^+$

Example 117: Compound 186

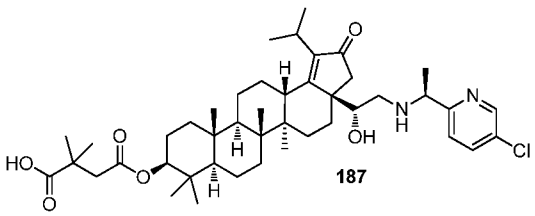
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((S)-1-(5-chloropyridin-2-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00125] LC/MS: m/z calculated 752.5, found 753.4 $(M + 1)^+$

Example 118: Compound 187

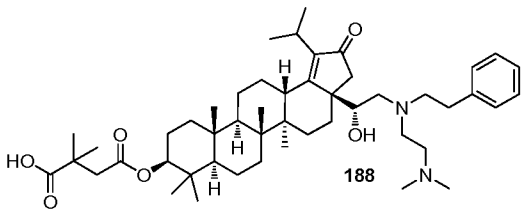
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((S)-1-(5-chloropyridin-2-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00126] LC/MS: m/z calculated 752.5, found 753.4 $(M + 1)^+$

Example 119: Compound 188

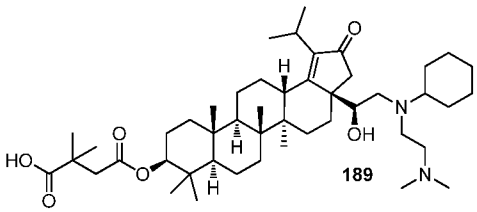
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(phenethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00127] LC/MS: m/z calculated 788.6, found 789.5 $(M + 1)^+$

Example 120: Compound 189

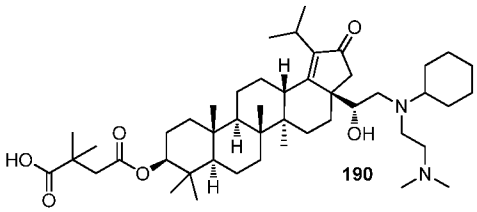
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(cyclohexyl(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00128] LC/MS: m/z calculated 766.6, found 767.6 $(M + 1)^+$

Example 121: Compound 190

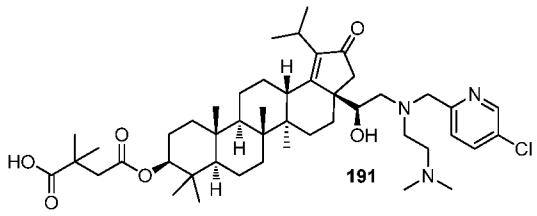
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(cyclohexyl(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00129] LC/MS: m/z calculated 766.6, found 767.6 $(M + 1)^+$

Example 122: Compound 191

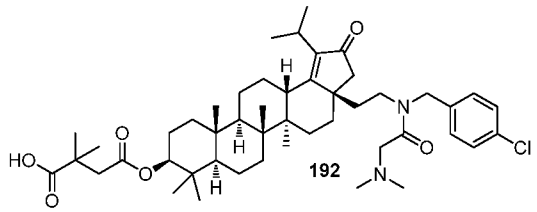
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((5-chloropyridin-2-yl)methyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00130] LC/MS: m/z calculated 809.5, found 810.5 $(M + 1)^+$

Example 123: Compound 192

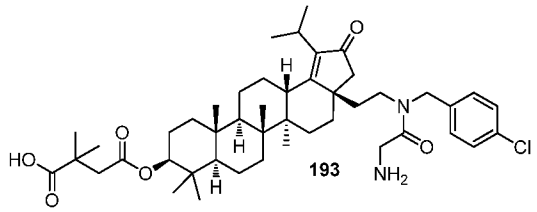
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-(4-chlorobenzyl)-2-(dimethylamino)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00131] LC/MS: m/z calculated 806.5, found 807.4 $(M + 1)^+$

Example 124: Compound 193

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(2-amino-N-(4-chlorobenzyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

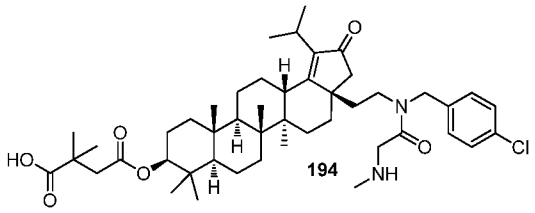


[00132] LC/MS: m/z calculated 778.5, found 779.4 $(M + 1)^+$

Example 125: Compound 194

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-(4-chlorobenzyl)-2-(methylamino)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

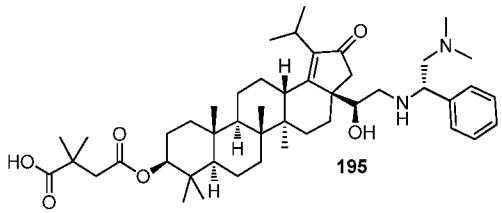


194

LC/MS: m/z calculated 792.5, found 793.4 $(M + 1)^+$

Example 126: Compound 195

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((S)-2-(dimethylamino)-1-phenylethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

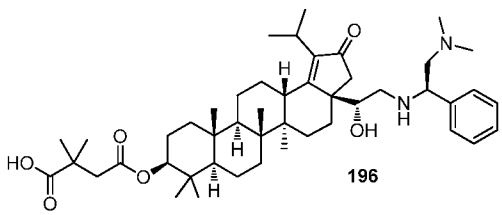


195

[00133] LC/MS: m/z calculated 760.5, found 761.5 $(M + 1)^+$

Example 127: Compound 196

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((R)-2-(dimethylamino)-1-phenylethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

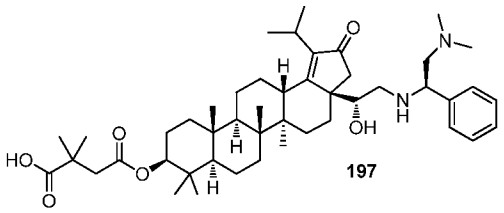


196

[00134] LC/MS: m/z calculated 760.5, found 761.5 $(M + 1)^+$

Example 128: Compound 197

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((R)-2-(dimethylamino)-1-phenylethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

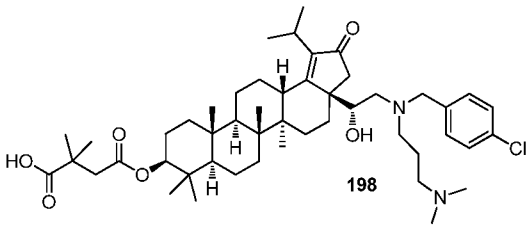


197

[00135] LC/MS: m/z calculated 760.5, found 761.5 $(M + 1)^+$

Example 129: Compound 198

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(3-(dimethylamino)propyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

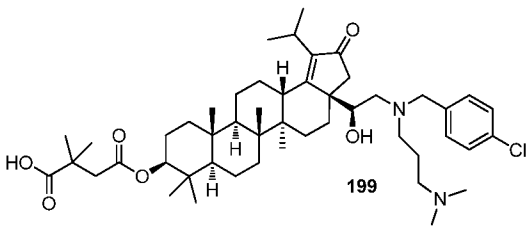


198

[00136] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 130: Compound 199

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(3-(dimethylamino)propyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

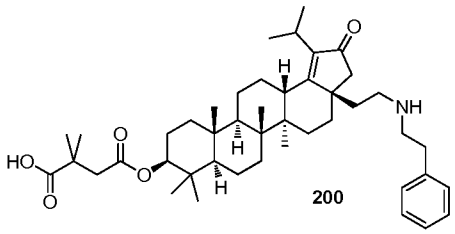


199

[00137] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 131: Compound 200

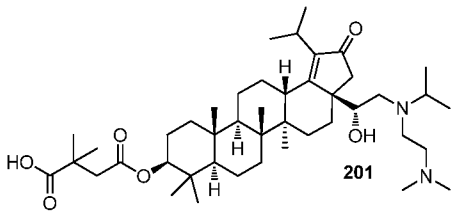
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(phenethylamino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00138] LC/MS: m/z calculated 701.5, found 702.5 $(M + 1)^+$

Example 132: Compound 201

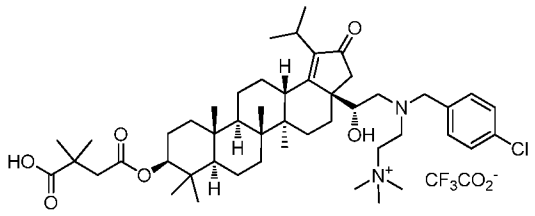
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00139] LC/MS: m/z calculated 726.5, found 727.5 $(M + 1)^+$

Example 133: Compound 202

2-(((R)-2-((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-9-((3-carboxy-3-methylbutanoyl)oxy)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-3a-yl)-2-hydroxyethyl)(4-chlorobenzyl)amino)-N,N,N-trimethylethanaminium trifluoroacetate.

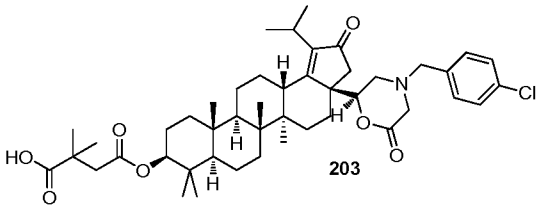


202

[00140] LC/MS: m/z calculated 823.5, found 823.5 $(M)^+$

Example 134: Compound 203

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-4-(4-chlorobenzyl)-6-oxomorpholin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

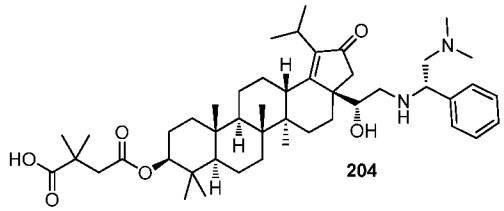


203

[00141] LC/MS: m/z calculated 777.4, found 778.4 $(M + 1)^+$

Example 135: Compound 204

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((S)-2-(dimethylamino)-1-phenylethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

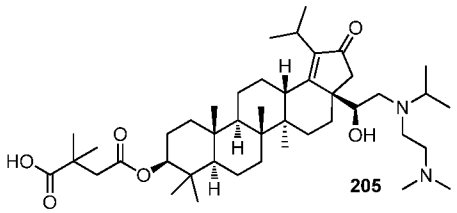


204

[00142] LC/MS: m/z calculated 760.5, found 761.4 $(M + 1)^+$

Example 136: Compound 205

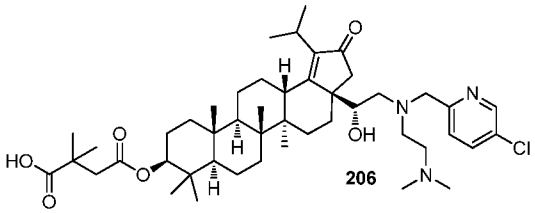
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((2-(dimethylamino)ethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00143] LC/MS: m/z calculated 726.6, found 727.5 $(M + 1)^+$

Example 137: Compound 206

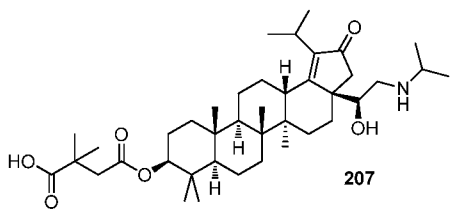
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((5-chloropyridin-2-yl)methyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00144] LC/MS: m/z calculated 809.5, found 810.5 $(M + 1)^+$

Example 138: Compound 207

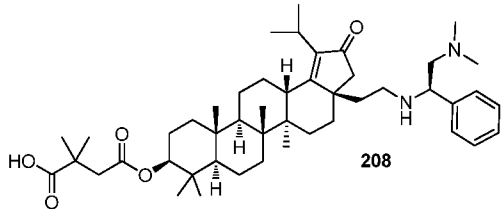
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(isopropylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00145] LC/MS: m/z calculated 655.5, found 656.4 $(M + 1)^+$

Example 139: Compound 208

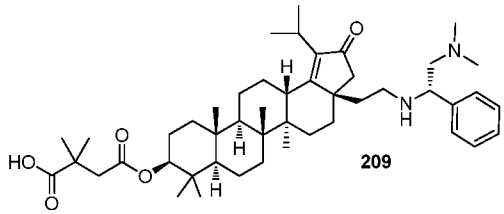
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(((R)-2-(dimethylamino)-1-phenylethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00146] LC/MS: m/z calculated 744.5, found 745.5 $(M + 1)^+$

Example 140: Compound 209

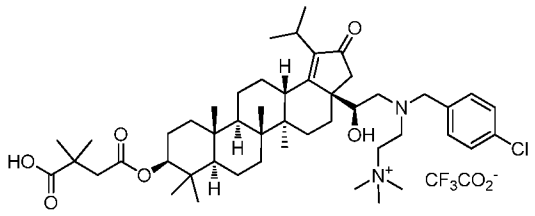
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(((S)-2-(dimethylamino)-1-phenylethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00147] LC/MS: m/z calculated 744.5, found 745.5 $(M + 1)^+$

Example 141: Compound 210

2-(((S)-2-((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-9-((3-carboxy-3-methylbutanoyl)oxy)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-3a-yl)-2-hydroxyethyl)(4-chlorobenzyl)amino)-N,N,N-trimethylethanaminium trifluoroacetate.

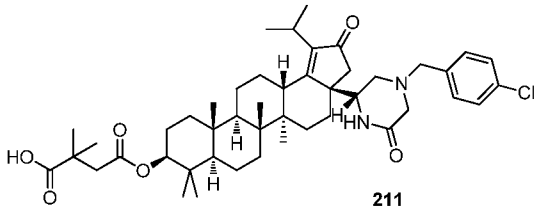


210

[00148] LC/MS: m/z calculated 823.5, found 823.5 $(M)^+$

Example 142: Compound 211

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-4-(4-chlorobenzyl)-6-oxopiperazin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

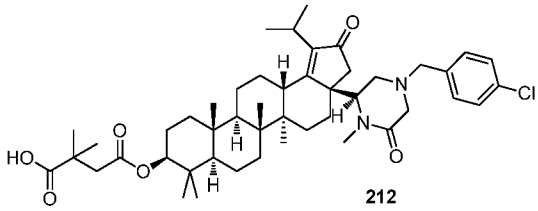


211

[00149] LC/MS: m/z calculated 776.5, found 777.4 $(M + 1)^+$

Example 143: Compound 212

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-4-(4-chlorobenzyl)-1-methyl-6-oxopiperazin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

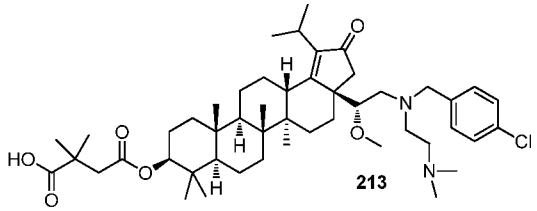


212

[00150] LC/MS: m/z calculated 790.5, found 791.4 $(M + 1)^+$

Example 144: Compound 213

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-(dimethylamino)ethyl)amino)-1-methoxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

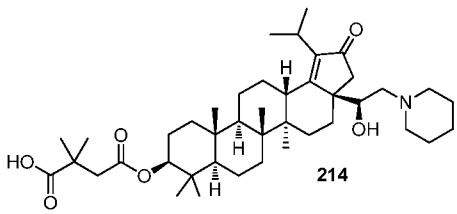


213

[00151] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 145: Compound 214

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(piperidin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

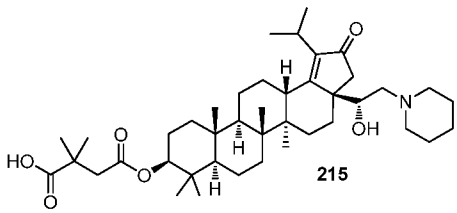


214

[00152] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 146: Compound 215

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(piperidin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

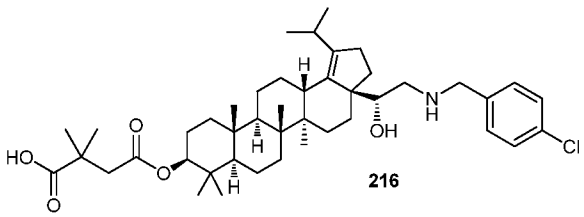


215

[00153] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 147: Compound 216

4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

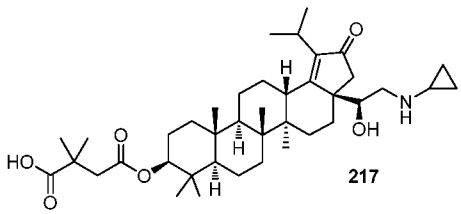


216

[00154] LC/MS: m/z calculated 723.5, found 724.4 $(M + 1)^+$

Example 148: Compound 217

4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

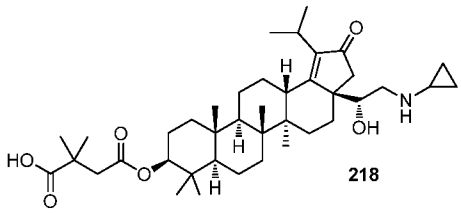


217

[00155] LC/MS: m/z calculated 653.5, found 654.4 $(M + 1)^+$

Example 149: Compound 218

4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

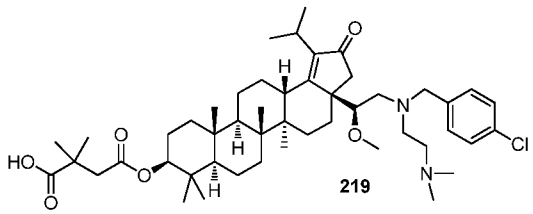


218

[00156] LC/MS: m/z calculated 653.5, found 654.4 $(M + 1)^+$

Example 150: Compound 219

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-(dimethylamino)ethyl)amino)-1-methoxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

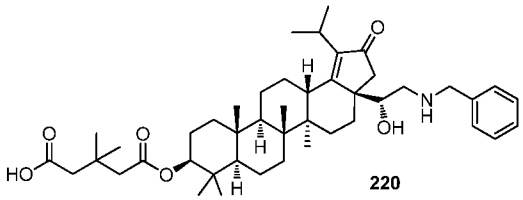


219

[00157] LC/MS: m/z calculated 822.5, found 823.5 $(M + 1)^+$

Example 151: Compound 220

5-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-3,3-dimethyl-5-oxopentanoic acid.



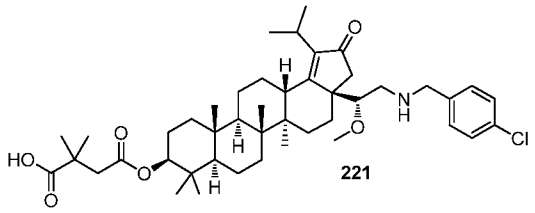
220

[00158] LC/MS: m/z calculated 717.5, found 718.5 $(M + 1)^+$

Example 152: Compound 221

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)amino)-1-methoxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

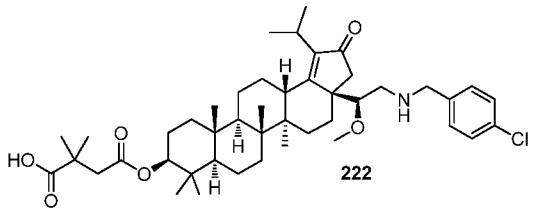
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00159] LC/MS: m/z calculated 751.5, found 752.5 $(M + 1)^+$

Example 153: Compound 222

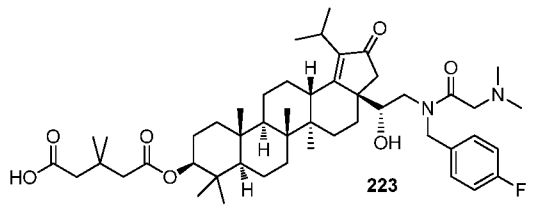
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)amino)-1-methoxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00160] LC/MS: m/z calculated 751.5, found 752.5 $(M + 1)^+$

Example 154: Compound 223

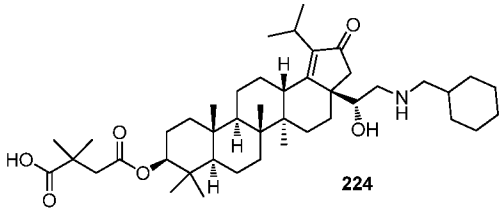
5-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-(4-fluorobenzyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-3,3-dimethyl-5-oxopentanoic acid.



[00161] LC/MS: m/z calculated 820.5, found 821.5 $(M + 1)^+$

Example 155: Compound 224

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclohexylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

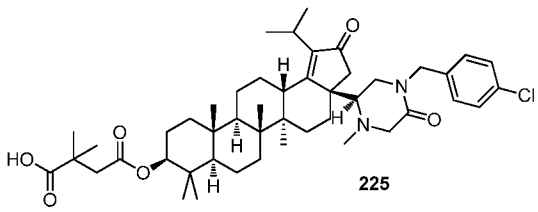


224

[00162] LC/MS: m/z calculated 709.5, found 710.6 $(M + 1)^+$

Example 156: Compound 225

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-4-(4-chlorobenzyl)-1-methyl-5-oxopiperazin-2-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

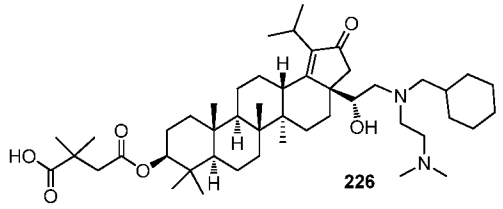


225

[00163] LC/MS: m/z calculated 790.5, found 791.5 $(M + 1)^+$

Example 157: Compound 226

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclohexylmethyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

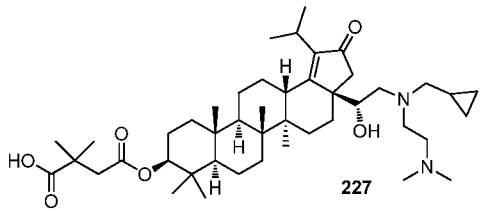


226

[00164] LC/MS: m/z calculated 780.6, found 781.5 $(M + 1)^+$

Example 158: Compound 227

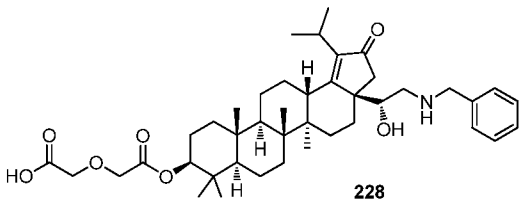
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00165] LC/MS: m/z calculated 738.6, found 739.8 $(M + 1)^+$

Example 159: Compound 228

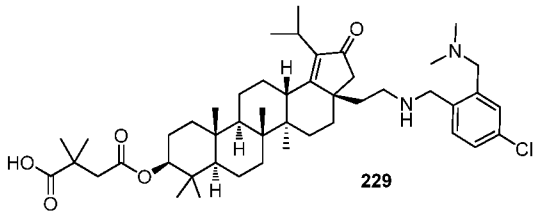
2-(2-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2-oxoethoxy)acetic acid.



[00166] LC/MS: m/z calculated 691.4, found 692.5 $(M + 1)^+$

Example 160: Compound 229

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((4-chloro-2-((dimethylamino)methyl)benzyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

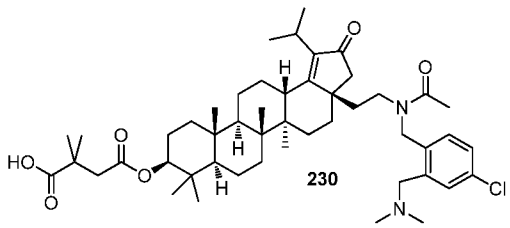


229

[00167] LC/MS: m/z calculated 778.5, found 779.5 $(M + 1)^+$

Example 161: Compound 230

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-(4-chloro-2-((dimethylamino)methyl)benzyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

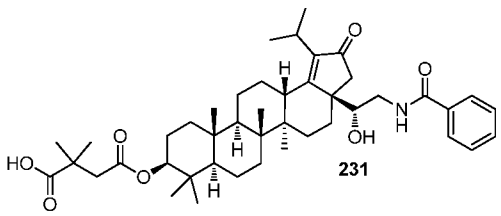


230

[00168] LC/MS: m/z calculated 820.5, found 821.7 $(M + 1)^+$

Example 162: Compound 231

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-(4-chloro-2-((dimethylamino)methyl)benzyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

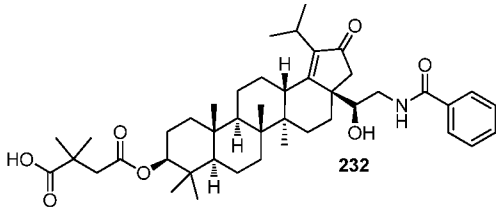


231

[00169] LC/MS: m/z calculated 717.5, found 718.5 $(M + 1)^+$

Example 163: Compound 232

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-benzamido-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

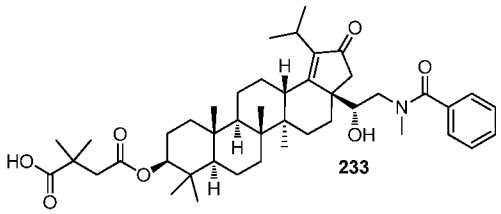


232

[00170] LC/MS: m/z calculated 717.5, found 718.5 $(M + 1)^+$

Example 164: Compound 233

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylbenzamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

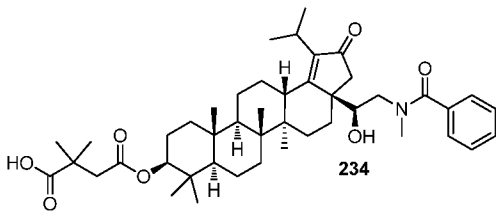


233

[00171] LC/MS: m/z calculated 731.5, found 732.3 $(M + 1)^+$

Example 165: Compound 234

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(N-methylbenzamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

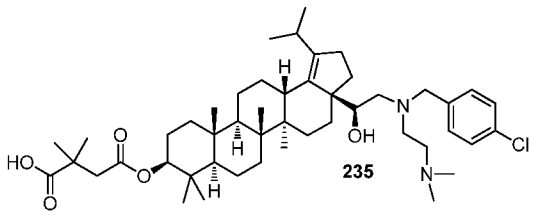


234

[00172] LC/MS: m/z calculated 731.5, found 732.5 $(M + 1)^+$

Example 166: Compound 235

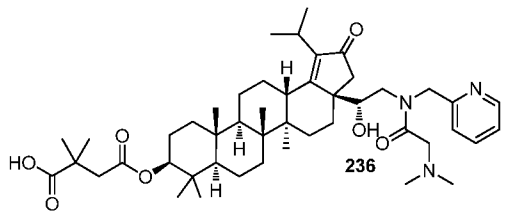
4-(((3aS,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorobenzyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00173] LC/MS: m/z calculated 794.5, found 795.7 $(M + 1)^+$

Example 167: Compound 236

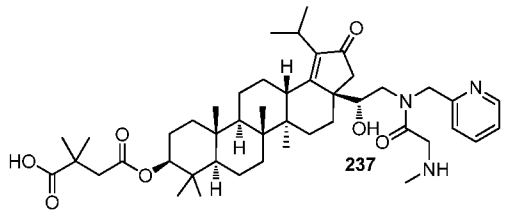
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-(pyridin-2-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00174] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 168: Compound 237

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(2-(methylamino)-N-(pyridin-2-ylmethyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

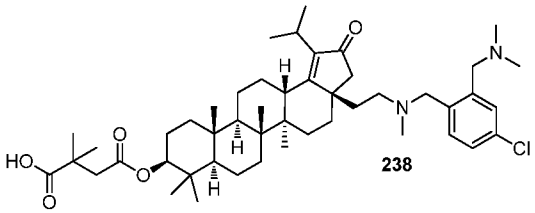


237

[00175] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 169: Compound 238

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((4-chloro-2-((dimethylamino)methyl)benzyl)(methyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

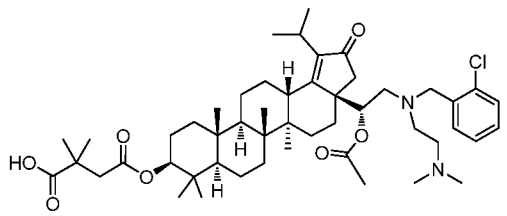


238

[00176] LC/MS: m/z calculated 792.5, found 793.5 $(M + 1)^+$

Example 170: Compound 239

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-acetoxy-2-((2-chlorobenzyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

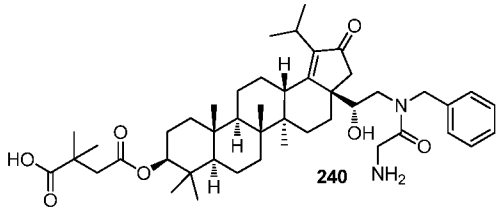


239

[00177] LC/MS: m/z calculated 850.5, found 851.5 $(M + 1)^+$

Example 171: Compound 240

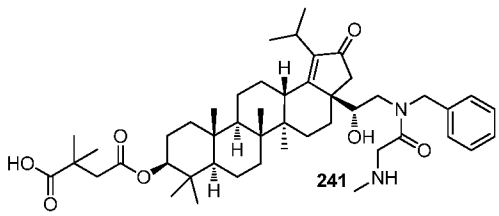
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-benzylacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00178] LC/MS: m/z calculated 760.5, found 761.5 $(M + 1)^+$

Example 172: Compound 241

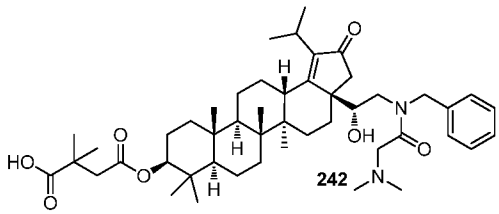
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzyl-2-(methylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00179] LC/MS: m/z calculated 774.5, found 775.5 $(M + 1)^+$

Example 173: Compound 242

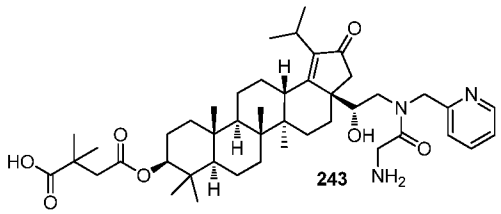
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzyl-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00180] LC/MS: m/z calculated 788.5, found 789.5 $(M + 1)^+$

Example 174: Compound 243

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-(pyridin-2-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

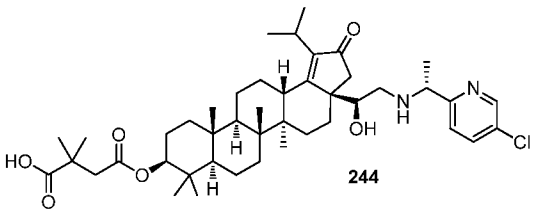


243

[00181] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 175: Compound 244

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((R)-1-(5-chloropyridin-2-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

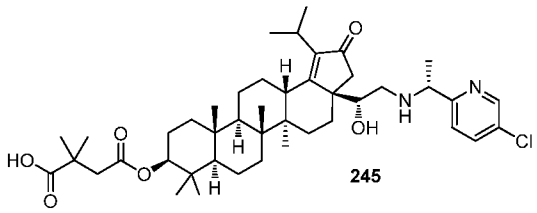


244

[00182] LC/MS: m/z calculated 752.5, found 753.5 $(M + 1)^+$

Example 176: Compound 245

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((R)-1-(5-chloropyridin-2-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

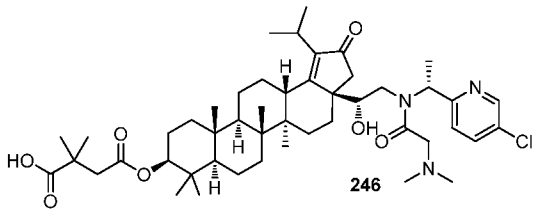


245

[00183] LC/MS: m/z calculated 752.5, found 753.4 $(M + 1)^+$

Example 177: Compound 246

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-((R)-1-(5-chloropyridin-2-yl)ethyl)-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

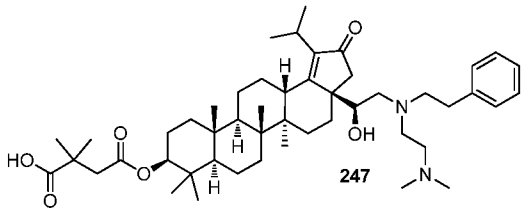


246

[00184] LC/MS: m/z calculated 837.5, found 838.5 $(M + 1)^+$

Example 178: Compound 247

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((2-(dimethylamino)ethyl)(phenethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



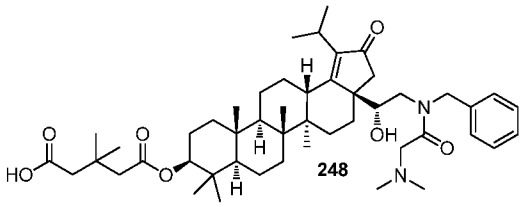
247

[00185] LC/MS: m/z calculated 788.6, found 789.5 $(M + 1)^+$

Example 179: Compound 248

5-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzyl-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-

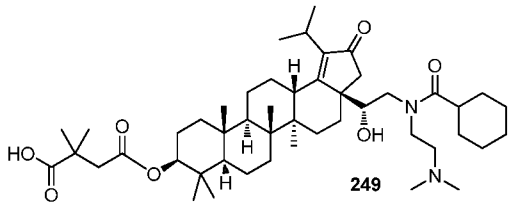
oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-3,3-dimethyl-5-oxopentanoic acid.



[00186] LC/MS: m/z calculated 802.6, found 803.5 $(M + 1)^+$

Example 180: Compound 249

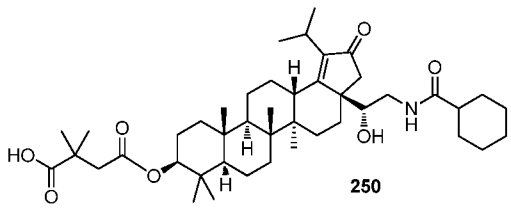
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(2-(dimethylamino)ethyl)cyclohexanecarboxamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00187] LC/MS: m/z calculated 794.6, found 795.5 $(M + 1)^+$

Example 181: Compound 250

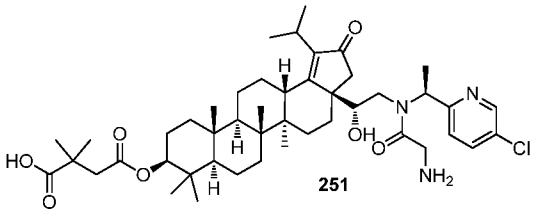
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(cyclohexanecarboxamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00188] LC/MS: m/z calculated 723.5, found 724.5 $(M + 1)^+$

Example 182: Compound 251

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-((S)-1-(5-chloropyridin-2-yl)ethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

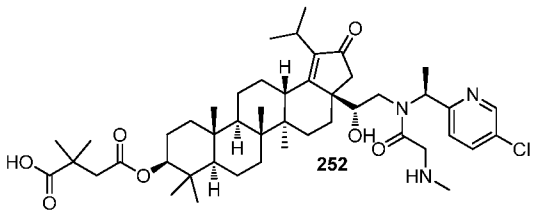


251

[00189] LC/MS: m/z calculated 809.5, found 810.4 $(M + 1)^+$

Example 183: Compound 252

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-((S)-1-(5-chloropyridin-2-yl)ethyl)-2-(methylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

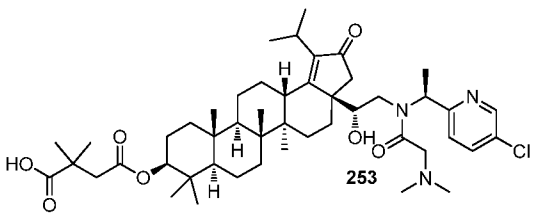


252

[00190] LC/MS: m/z calculated 823.5, found 824.5 $(M + 1)^+$

Example 184: Compound 253

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-((S)-1-(5-chloropyridin-2-yl)ethyl)-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

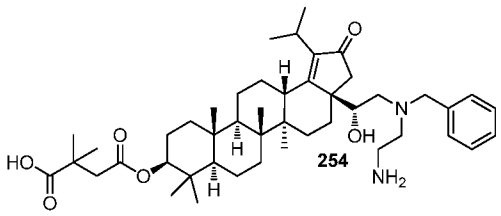


253

[00191] LC/MS: m/z calculated 837.5, found 838.5 $(M + 1)^+$

Example 185: Compound 254

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

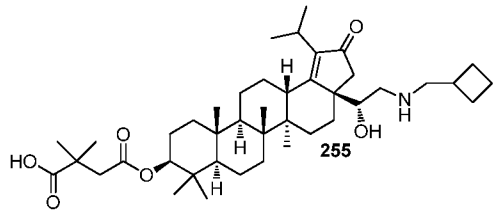


254

[00192] LC/MS: m/z calculated 746.5, found 747.5 $(M + 1)^+$

Example 186: Compound 255

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclobutylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



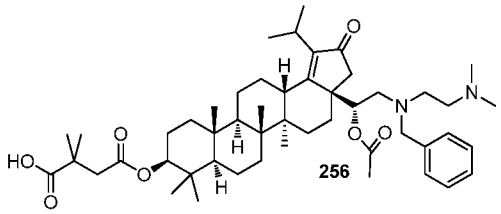
255

[00193] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 187: Compound 256

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-acetoxy-2-(benzyl(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

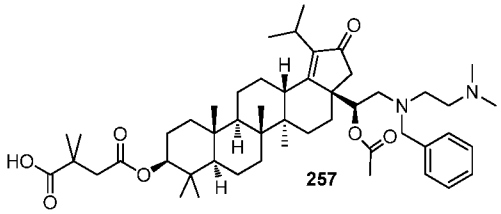
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00194] LC/MS: m/z calculated 816.6, found 817.5 $(M + 1)^+$

Example 188: Compound 257

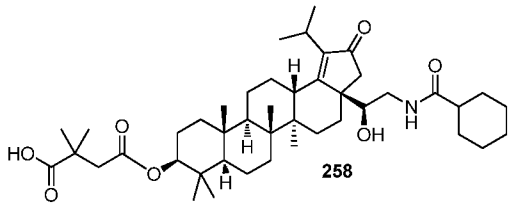
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-acetoxy-2-(benzyl(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00195] LC/MS: m/z calculated 816.6, found 817.5 $(M + 1)^+$

Example 189: Compound 258

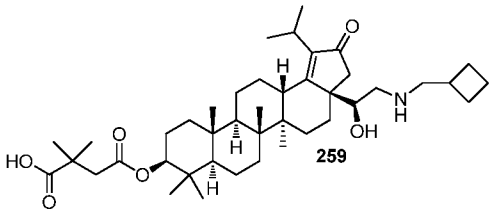
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(cyclohexanecarboxamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00196] LC/MS: m/z calculated 723.5, found 724.5 $(M + 1)^+$

Example 190: Compound 259

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((cyclobutylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

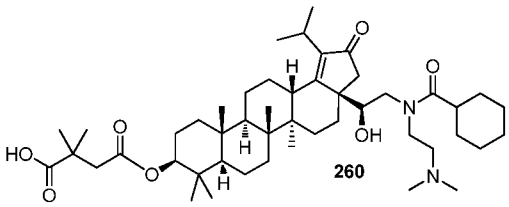


259

[00197] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 191: Compound 260

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(N-(2-(dimethylamino)ethyl)cyclohexanecarboxamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

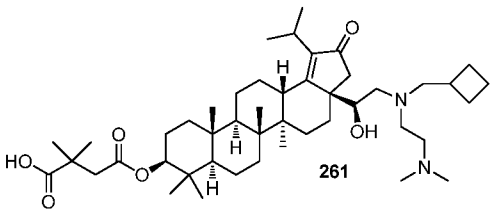


260

[00198] LC/MS: m/z calculated 794.6, found 795.6 $(M + 1)^+$

Example 192: Compound 261

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((cyclobutylmethyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

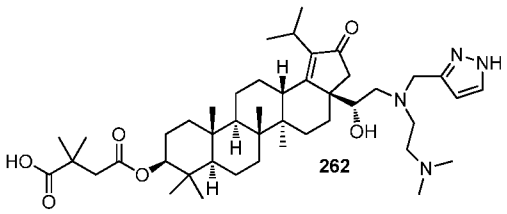


261

[00199] LC/MS: m/z calculated 752.6, found 753.6 $(M + 1)^+$

Example 193: Compound 262

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((1H-pyrazol-3-yl)methyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

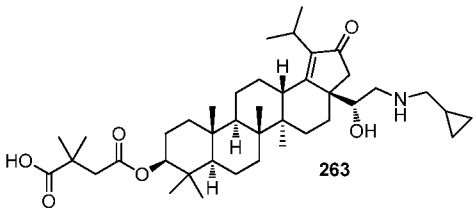


262

[00200] LC/MS: m/z calculated 764.6, found 765.5 $(M + 1)^+$

Example 194: Compound 263

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

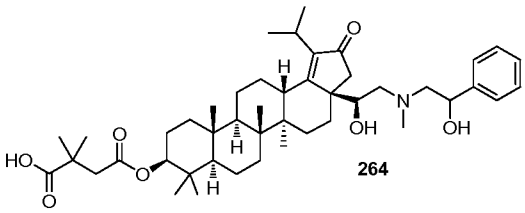


263

[00201] LC/MS: m/z calculated 667.5, found 668.5 $(M + 1)^+$

Example 195: Compound 264

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((1S)-1-hydroxy-2-((2-hydroxy-2-phenylethyl)(methyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

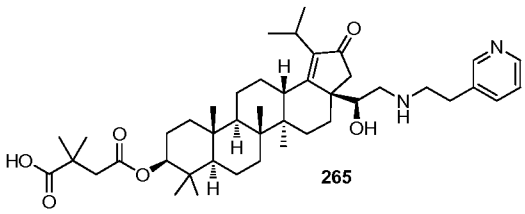


264

[00202] LC/MS: m/z calculated 747.5, found 748.5 $(M + 1)^+$

Example 196: Compound 265

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((2-(pyridin-3-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

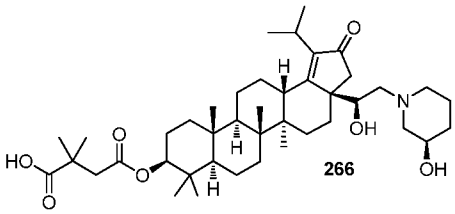


265

[00203] LC/MS: m/z calculated 718.5, found 719.5 $(M + 1)^+$

Example 197: Compound 266

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((R)-3-hydroxypiperidin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



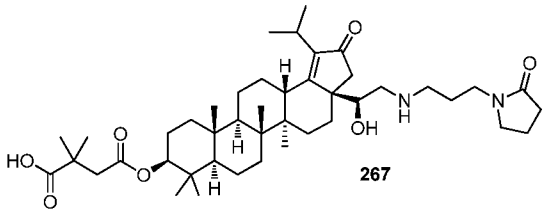
266

[00204] LC/MS: m/z calculated 697.5, found 698.5 $(M + 1)^+$

Example 198: Compound 267

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((3-(2-oxopyrrolidin-1-yl)propyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

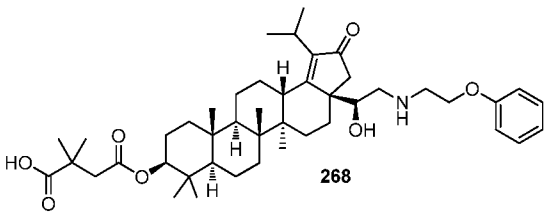


267

[00205] LC/MS: m/z calculated 738.5, found 739.5 $(M + 1)^+$

Example 199: Compound 268

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((2-phenoxyethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

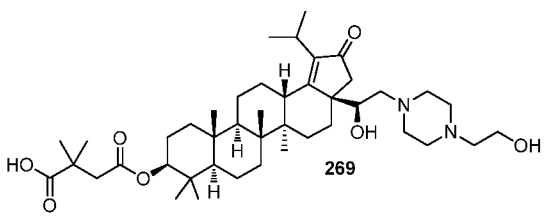


268

[00206] LC/MS: m/z calculated 733.5, found 734.5 $(M + 1)^+$

Example 200: Compound 269

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(4-(2-hydroxyethyl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

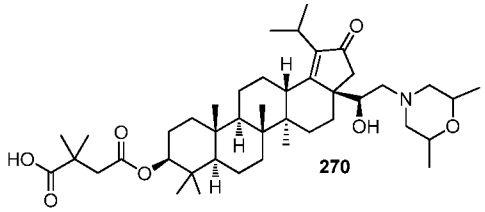


269

[00207] LC/MS: m/z calculated 726.5, found 727.5 $(M + 1)^+$

Example 201: Compound 270

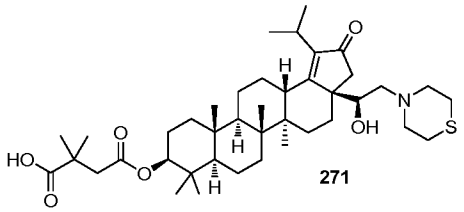
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((1S)-2-(2,6-dimethylmorpholino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00208] LC/MS: m/z calculated 711.5, found 712.5 $(M + 1)^+$

Example 202: Compound 271

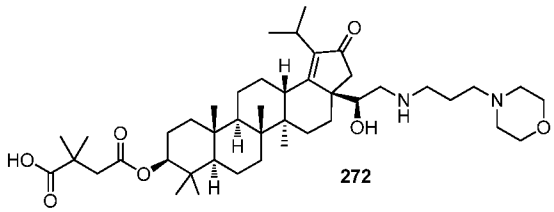
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-thiomorpholinoethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00209] LC/MS: m/z calculated 699.5, found 700.4 $(M + 1)^+$

Example 203: Compound 272

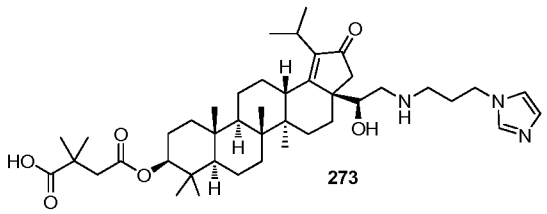
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((3-morpholinopropyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00210] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 204: Compound 273

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((3-(1H-imidazol-1-yl)propyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

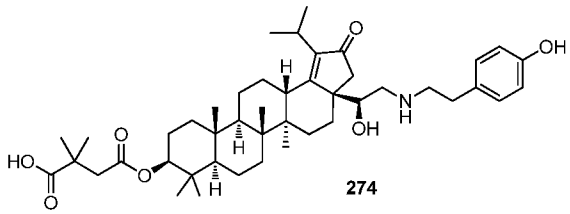


273

[00211] LC/MS: m/z calculated 721.5, found 722.5 $(M + 1)^+$

Example 205: Compound 274

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((4-hydroxyphenethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

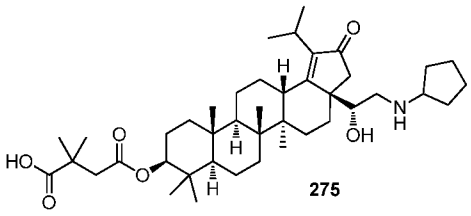


274

[00212] LC/MS: m/z calculated 733.5, found 734.5 $(M + 1)^+$

Example 206: Compound 275

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(cyclopentylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

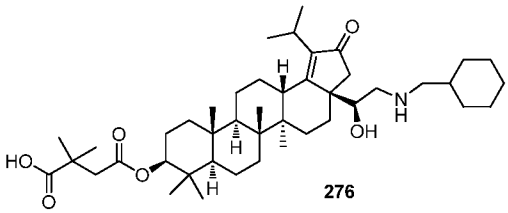


275

[00213] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 207: Compound 276

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((cyclohexylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

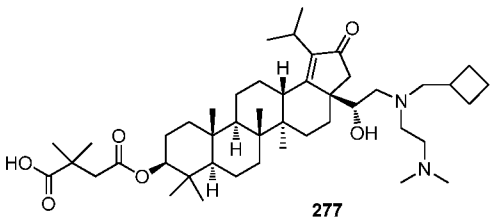


276

[00214] LC/MS: m/z calculated 709.5, found 710.5 $(M + 1)^+$

Example 208: Compound 277

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclobutylmethyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

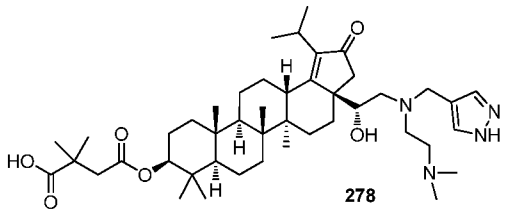


277

[00215] LC/MS: m/z calculated 752.6, found 753.6 $(M + 1)^+$

Example 209: Compound 278

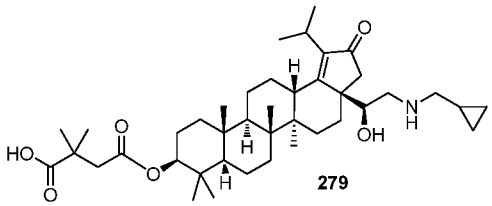
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((1H-pyrazol-4-yl)methyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00216] LC/MS: m/z calculated 764.6, found 765.5 $(M + 1)^+$

Example 210: Compound 279

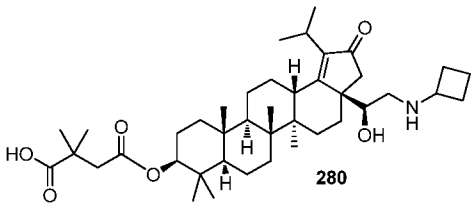
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((cyclopropylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00217] LC/MS: m/z calculated 667.5, found 668.5 $(M + 1)^+$

Example 211: Compound 280

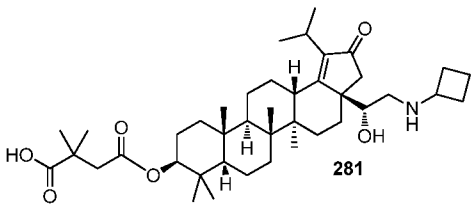
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(cyclobutylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00218] LC/MS: m/z calculated 667.5, found 668.5 $(M + 1)^+$

Example 212: Compound 281

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(cyclobutylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

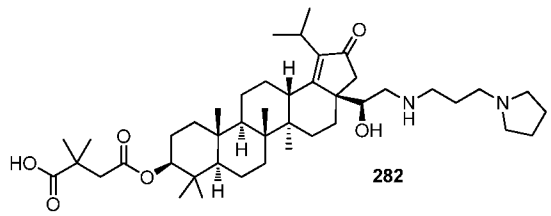


281

[00219] LC/MS: m/z calculated 667.5, found 668.5 $(M + 1)^+$

Example 213: Compound 282

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((3-(pyrrolidin-1-yl)propyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

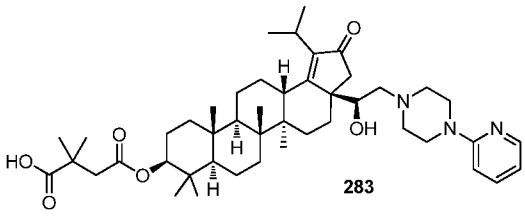


282

[00220] LC/MS: m/z calculated 724.5, found 725.5 $(M + 1)^+$

Example 214: Compound 283

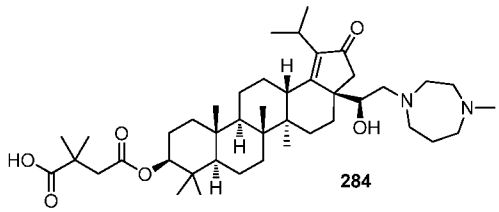
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(4-(pyridin-2-yl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00221] LC/MS: m/z calculated 759.5, found 760.5 $(M + 1)^+$

Example 215: Compound 284

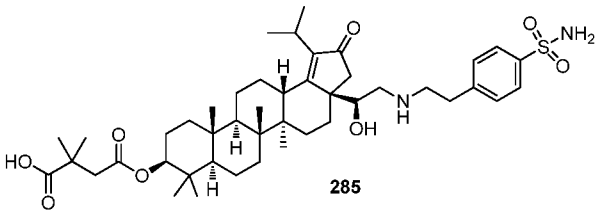
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(4-methyl-1,4-diazepan-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00222] LC/MS: m/z calculated 710.5, found 711.5 $(M + 1)^+$

Example 216: Compound 285

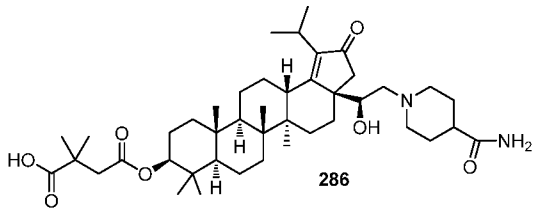
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((4-sulfamoylphenethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00223] LC/MS: m/z calculated 796.5, found 797.5 $(M + 1)^+$

Example 217: Compound 286

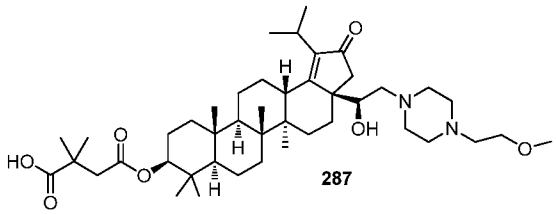
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(4-carbamoylpiperidin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00224] LC/MS: m/z calculated 724.5, found 725.5 $(M + 1)^+$

Example 218: Compound 287

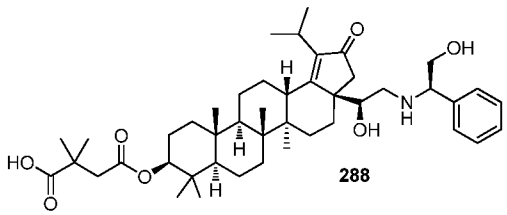
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(4-(2-methoxyethyl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00225] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 219: Compound 288

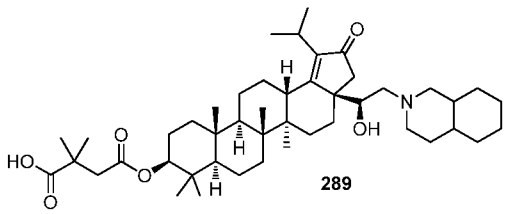
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(((R)-2-hydroxy-1-phenylethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00226] LC/MS: m/z calculated 733.5, found 734.5 $(M + 1)^+$

Example 220: Compound 289

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((1S)-1-hydroxy-2-(octahydroisoquinolin-2(1H)-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

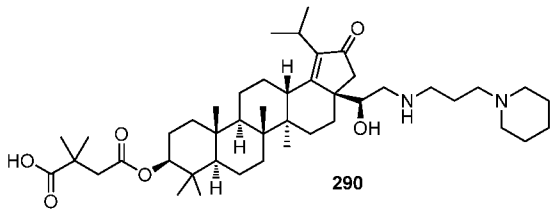


289

[00227] LC/MS: m/z calculated 735.5, found 736.5 $(M + 1)^+$

Example 221: Compound 290

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((3-(piperidin-1-yl)propyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

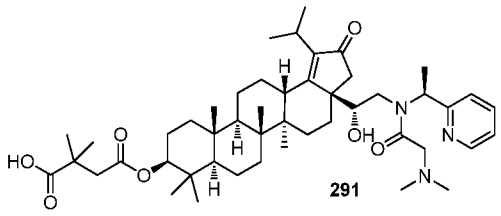


290

[00228] LC/MS: m/z calculated 738.5, found 739.5 $(M + 1)^+$

Example 222: Compound 291

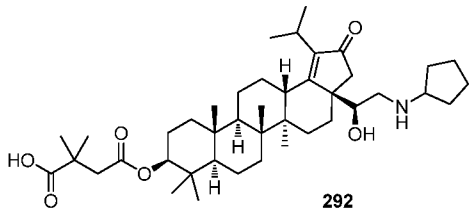
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-((S)-1-(pyridin-2-yl)ethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00229] LC/MS: m/z calculated 803.5, found 804.5 $(M + 1)^+$

Example 223: Compound 292

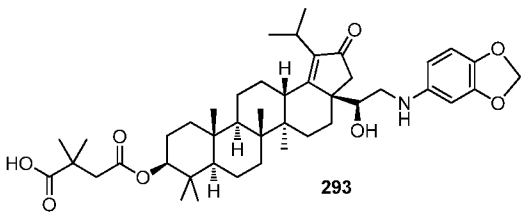
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(cyclopentylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00230] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 224: Compound 293

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(benzo[d][1,3]dioxol-5-ylamino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

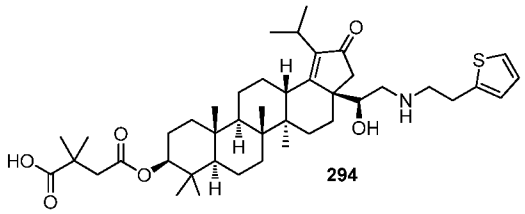


[00231] LC/MS: m/z calculated 733.5, found 734.5 $(M + 1)^+$

Example 225: Compound 294

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((2-(thiophen-2-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

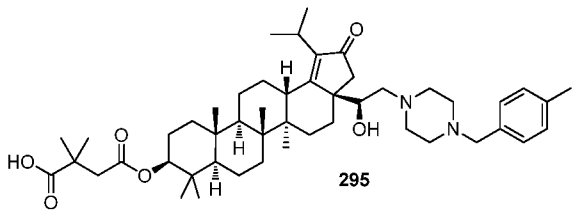


294

[00232] LC/MS: m/z calculated 723.5, found 724.4 $(M + 1)^+$

Example 226: Compound 295

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(4-(4-methylbenzyl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

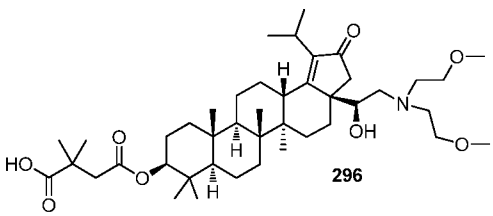


295

[00233] LC/MS: m/z calculated 786.5, found 787.5 $(M + 1)^+$

Example 227: Compound 296

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(bis(2-methoxyethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

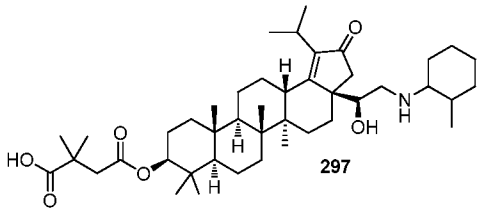


296

[00234] LC/MS: m/z calculated 729.5, found 730.5 $(M + 1)^+$

Example 228: Compound 297

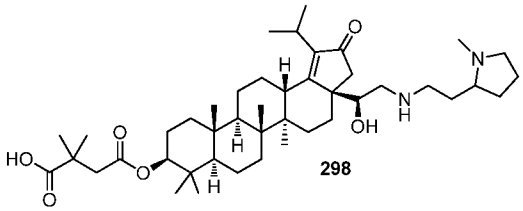
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((1S)-1-hydroxy-2-((2-methylcyclohexyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00235] LC/MS: m/z calculated 709.5, found 710.5 $(M + 1)^+$

Example 229: Compound 298

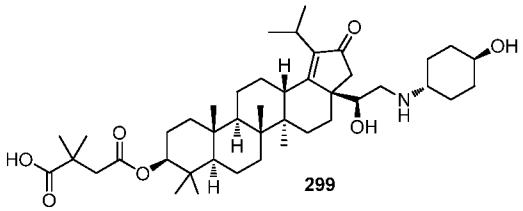
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((1S)-1-hydroxy-2-((2-(1-methylpyrrolidin-2-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00236] LC/MS: m/z calculated 724.5, found 725.5 $(M + 1)^+$

Example 230: Compound 299

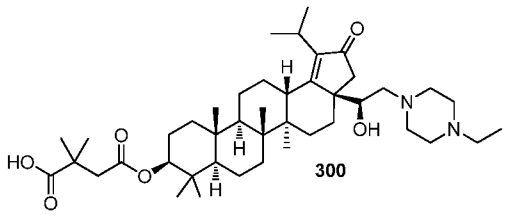
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(((1r,4S)-4-hydroxycyclohexyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00237] LC/MS: m/z calculated 711.5, found 712.4 $(M + 1)^+$

Example 231: Compound 300

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(4-ethylpiperazin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

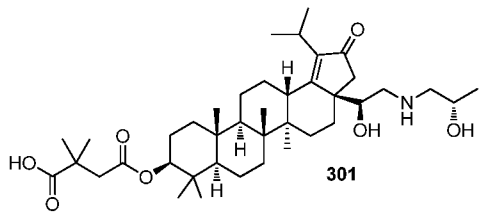


300

[00238] LC/MS: m/z calculated 710.5, found 711.5 $(M + 1)^+$

Example 232: Compound 301

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(((S)-2-hydroxypropyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

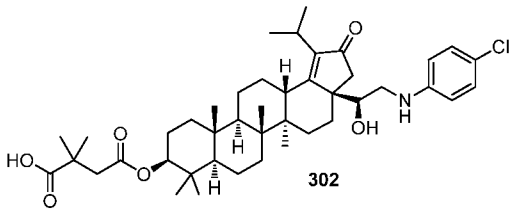


301

[00239] LC/MS: m/z calculated 671.5, found 672.4 $(M + 1)^+$

Example 233: Compound 302

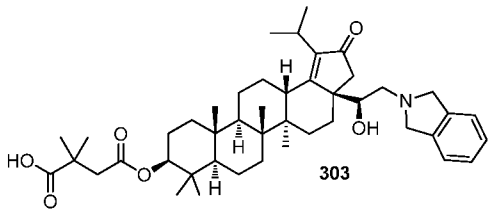
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chlorophenyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00240] LC/MS: m/z calculated 723.4, found 724.4 $(M + 1)^+$

Example 234: Compound 303

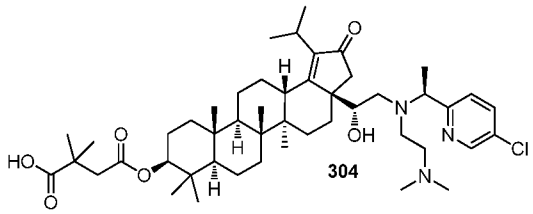
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(isoindolin-2-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00241] LC/MS: m/z calculated 715.5, found 716.5 $(M + 1)^+$

Example 235: Compound 304

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((S)-1-(5-chloropyridin-2-yl)ethyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

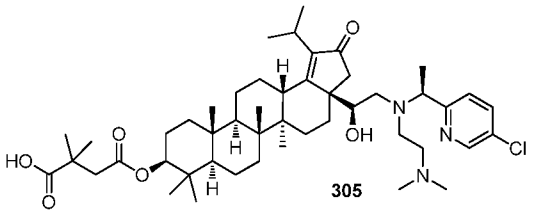


[00242] LC/MS: m/z calculated 823.5, found 824.5 $(M + 1)^+$

Example 236: Compound 305

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((S)-1-(5-chloropyridin-2-yl)ethyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-

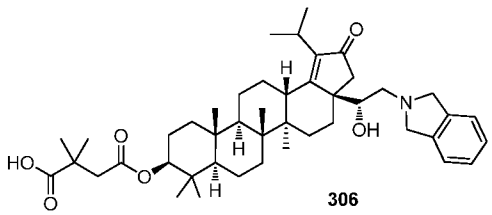
pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00243] LC/MS: m/z calculated 823.5, found 824.5 $(M + 1)^+$

Example 237: Compound 306

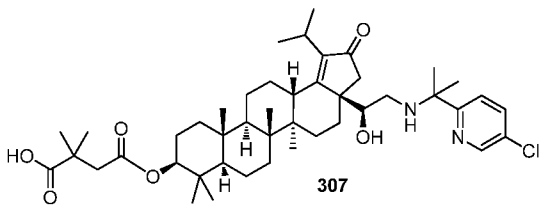
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(isoindolin-2-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00244] LC/MS: m/z calculated 715.5, found 716.5 $(M + 1)^+$

Example 238: Compound 307

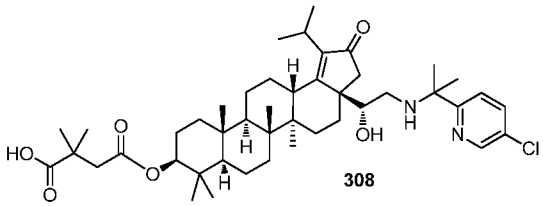
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((2-(5-chloropyridin-2-yl)propan-2-yl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00245] LC/MS: m/z calculated 766.5, found 767.5 $(M + 1)^+$

Example 239: Compound 308

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(5-chloropyridin-2-yl)propan-2-yl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

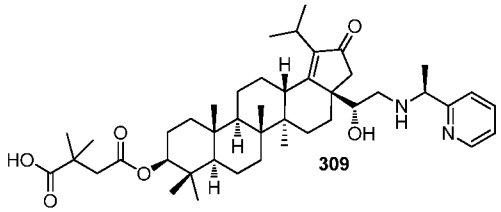


308

[00246] LC/MS: m/z calculated 766.5, found 767.6 $(M + 1)^+$

Example 240: Compound 309

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((S)-1-(pyridin-2-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

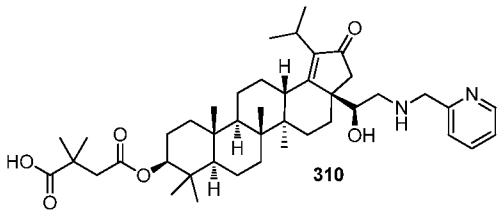


309

[00247] LC/MS: m/z calculated 718.5, found 719.5 $(M + 1)^+$

Example 241: Compound 310

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

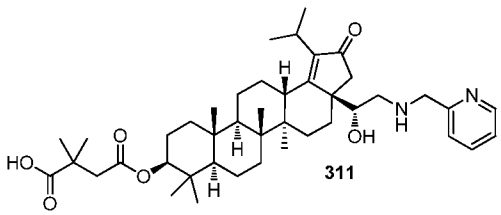


310

[00248] LC/MS: m/z calculated 704.5, found 705.5 $(M + 1)^+$

Example 242: Compound 311

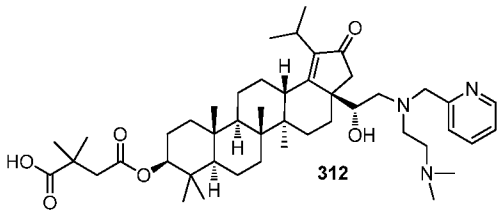
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00249] LC/MS: m/z calculated 704.5, found 705.5 $(M + 1)^+$

Example 243: Compound 312

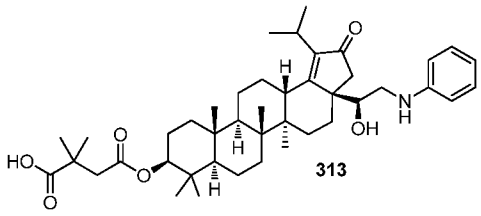
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(pyridin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00250] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 244: Compound 313

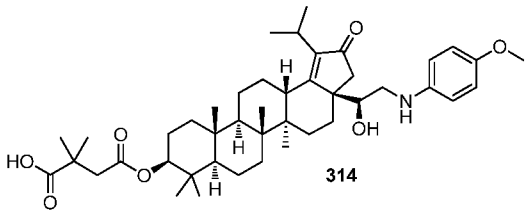
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(phenylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00251] LC/MS: m/z calculated 689.5, found 690.5 $(M + 1)^+$

Example 245: Compound 314

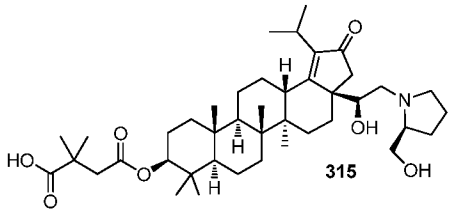
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((4-methoxyphenyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00252] LC/MS: m/z calculated 719.5, found 720.5 $(M + 1)^+$

Example 246: Compound 315

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((S)-2-(hydroxymethyl)pyrrolidin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

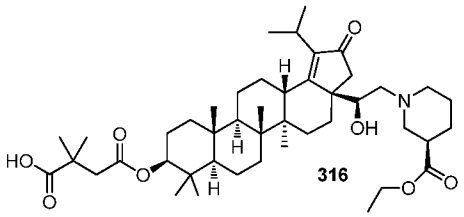


[00253] LC/MS: m/z calculated 697.5, found 698.5 $(M + 1)^+$

Example 247: Compound 316

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((R)-3-(ethoxycarbonyl)piperidin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

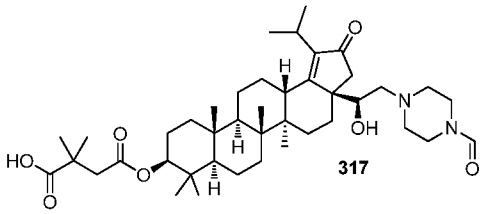
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00254] LC/MS: m/z calculated 753.5, found 754.5 $(M + 1)^+$

Example 248: Compound 317

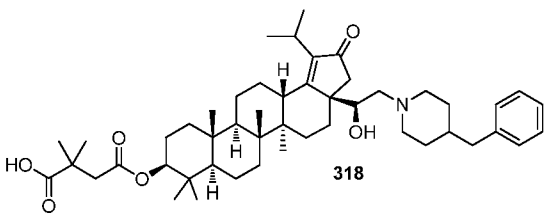
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(4-formylpiperazin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00255] LC/MS: m/z calculated 710.5, found 711.5 $(M + 1)^+$

Example 249: Compound 318

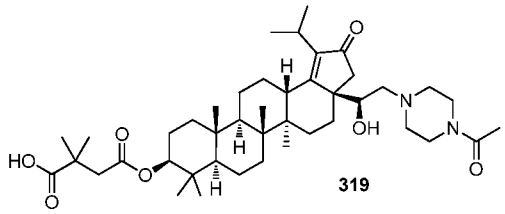
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(4-benzylpiperidin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00256] LC/MS: m/z calculated 771.5, found 772.5 $(M + 1)^+$

Example 250: Compound 319

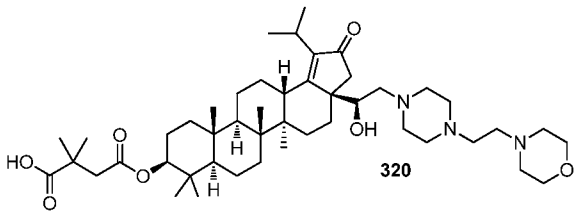
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(4-acetylpiperazin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00257] LC/MS: m/z calculated 724.5, found 725.5 $(M + 1)^+$

Example 251: Compound 320

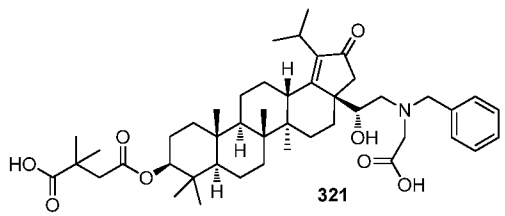
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(4-(2-morpholinoethyl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00258] LC/MS: m/z calculated 795.6, found 796.5 $(M + 1)^+$

Example 252: Compound 321

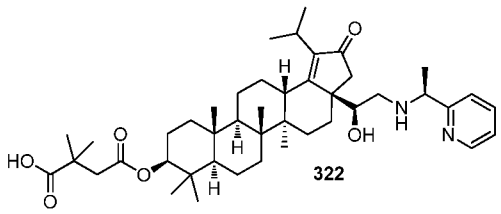
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(carboxymethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00259] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 253: Compound 322

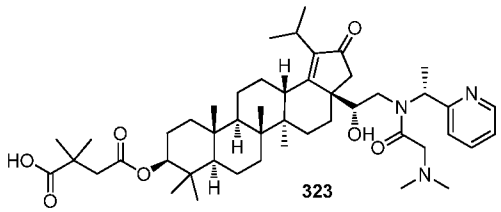
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(((S)-1-(pyridin-2-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00260] LC/MS: m/z calculated 718.5, found 719.5 $(M + 1)^+$

Example 254: Compound 323

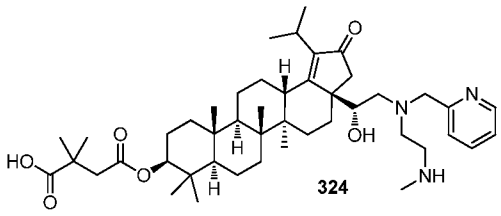
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-((R)-1-(pyridin-2-yl)ethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00261] LC/MS: m/z calculated 803.5, found 804.5 $(M + 1)^+$

Example 255: Compound 324

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(methylamino)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

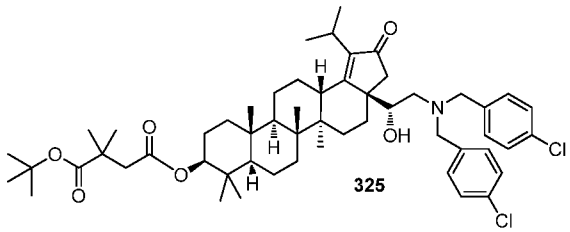


324

[00262] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 256: Compound 325

4-((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(bis(4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl) 1-tert-butyl 2,2-dimethylsuccinate.

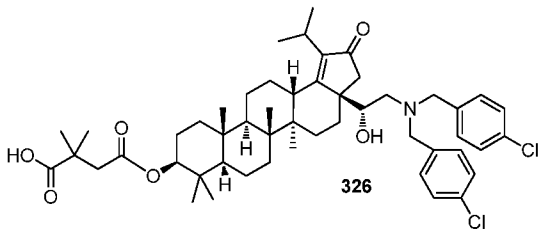


325

[00263] LC/MS: m/z calculated 917.5, found 918.5 $(M + 1)^+$

Example 257: Compound 326

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(bis(4-chlorobenzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

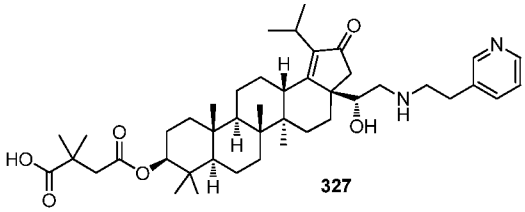


326

[00264] LC/MS: m/z calculated 861.4, found 862.4 $(M + 1)^+$

Example 258: Compound 327

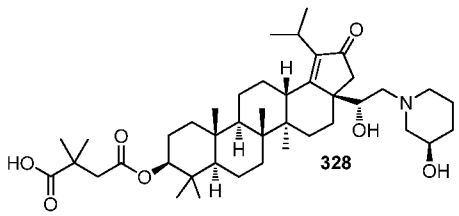
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(pyridin-3-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00265] LC/MS: m/z calculated 718.5, found 719.5 $(M + 1)^+$

Example 259: Compound 328

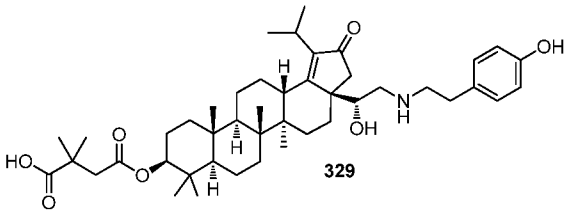
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((R)-3-hydroxypiperidin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00266] LC/MS: m/z calculated 697.5, found 698.5 $(M + 1)^+$

Example 260: Compound 329

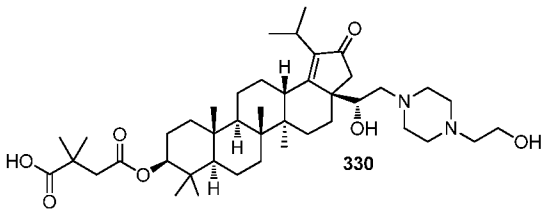
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((4-hydroxyphenethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00267] LC/MS: m/z calculated 733.5, found 734.4 $(M + 1)^+$

Example 261: Compound 330

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(4-(2-hydroxyethyl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

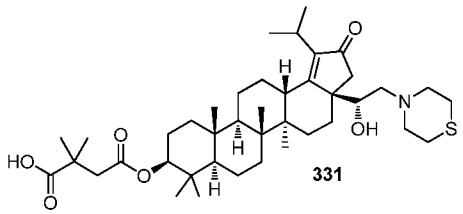


330

[00268] LC/MS: m/z calculated 726.5, found 727.5 $(M + 1)^+$

Example 262: Compound 331

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-thiomorpholinoethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

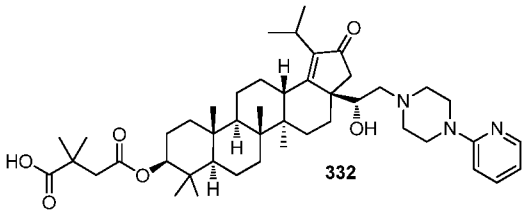


331

[00269] LC/MS: m/z calculated 699.4, found 700.4 $(M + 1)^+$

Example 263: Compound 332

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(4-(pyridin-2-yl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

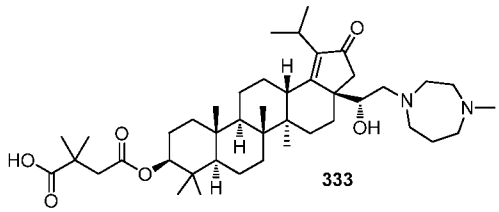


332

[00270] LC/MS: m/z calculated 759.5, found 760.5 $(M + 1)^+$

Example 264: Compound 333

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(4-methyl-1,4-diazepan-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

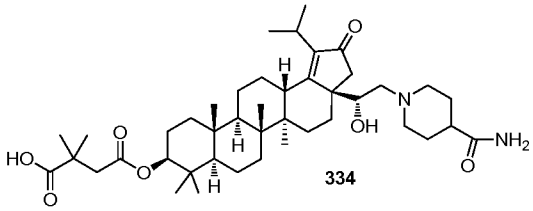


333

[00271] LC/MS: m/z calculated 710.5, found 711.5 $(M + 1)^+$

Example 265: Compound 334

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(4-carbamoylpiperidin-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



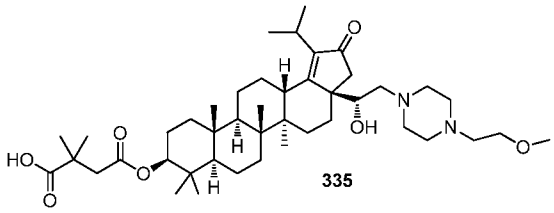
334

[00272] LC/MS: m/z calculated 724.5, found 725.5 $(M + 1)^+$

Example 266: Compound 335

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(4-(2-methoxyethyl)piperazin-1-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

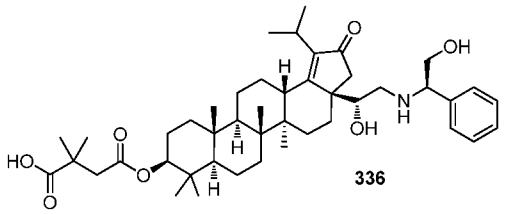
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00273] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 267: Compound 336

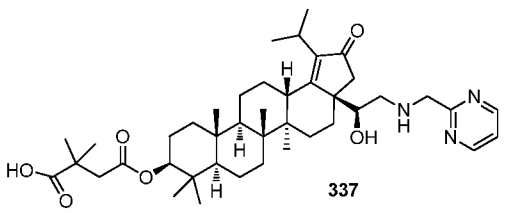
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((R)-2-hydroxy-1-phenylethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00274] LC/MS: m/z calculated 733.5, found 734.5 $(M + 1)^+$

Example 268: Compound 337

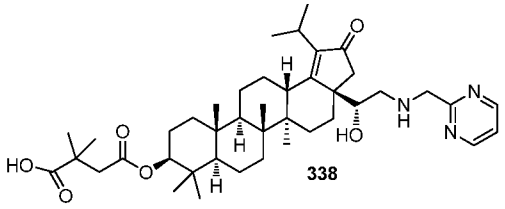
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-((pyrimidin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00275] LC/MS: m/z calculated 705.5, found 706.4 $(M + 1)^+$

Example 269: Compound 338

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((pyrimidin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

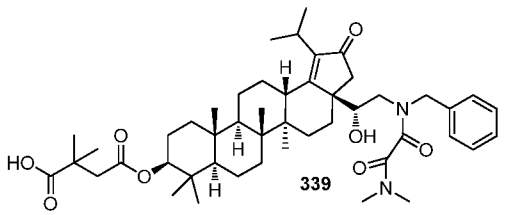


338

[00276] LC/MS: m/z calculated 705.5, found 706.4 $(M + 1)^+$

Example 270: Compound 339

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzyl-2-(dimethylamino)-2-oxoacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

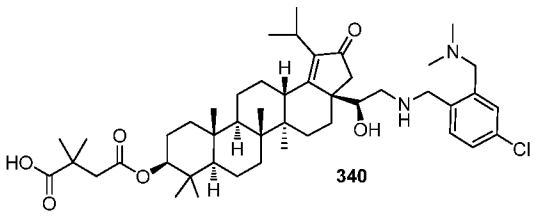


339

[00277] LC/MS: m/z calculated 802.5, found 803.5 $(M + 1)^+$

Example 271: Compound 340

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chloro-2-((dimethylamino)methyl)benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

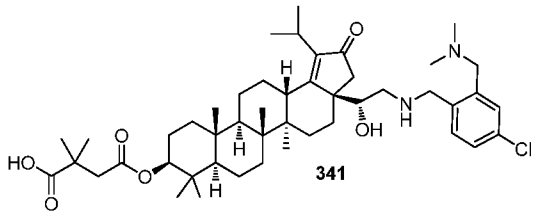


340

[00278] LC/MS: m/z calculated 794.5, found 795.5 $(M + 1)^+$

Example 272: Compound 341

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chloro-2-((dimethylamino)methyl)benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

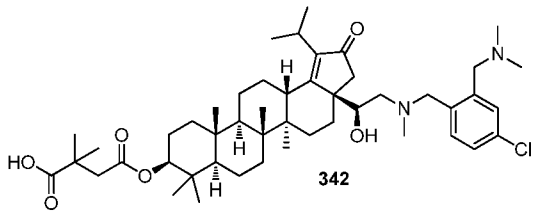


341

[00279] LC/MS: m/z calculated 794.5, found 795.5 $(M + 1)^+$

Example 273: Compound 342

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((4-chloro-2-((dimethylamino)methyl)benzyl)(methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

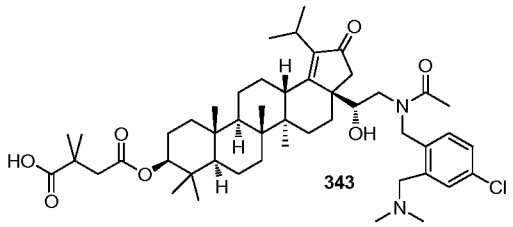


342

[00280] LC/MS: m/z calculated 808.5, found 809.5 $(M + 1)^+$

Example 274: Compound 343

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(4-chloro-2-((dimethylamino)methyl)benzyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

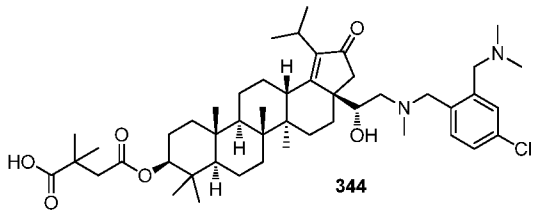


343

[00281] LC/MS: m/z calculated 836.5, found 837.5 $(M + 1)^+$

Example 275: Compound 344

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chloro-2-((dimethylamino)methyl)benzyl)(methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

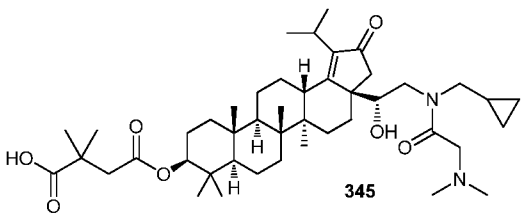


344

[00282] LC/MS: m/z calculated 808.5, found 809.5 $(M + 1)^+$

Example 276: Compound 345

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclopropylmethyl)-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

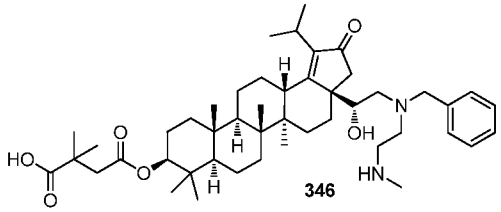


345

[00283] LC/MS: m/z calculated 752.5, found 753.5 $(M + 1)^+$

Example 277: Compound 346

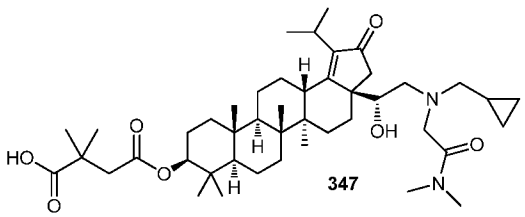
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-(methylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00284] LC/MS: m/z calculated 760.5, found 761.5 $(M + 1)^+$

Example 278: Compound 347

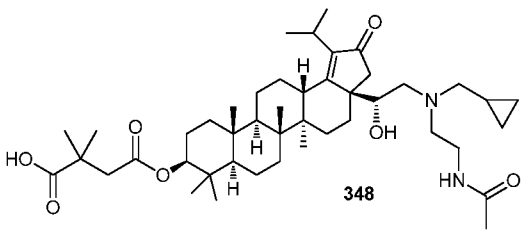
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)(2-(dimethylamino)-2-oxoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00285] LC/MS: m/z calculated 752.5, found 753.5 $(M + 1)^+$

Example 279: Compound 348

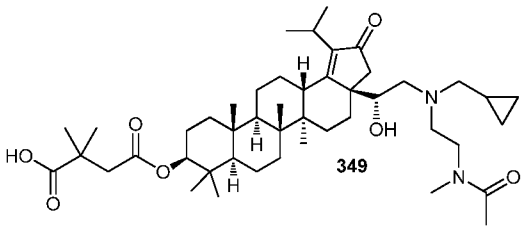
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(cyclopropylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00286] LC/MS: m/z calculated 752.5, found 753.5 $(M + 1)^+$

Example 280: Compound 349

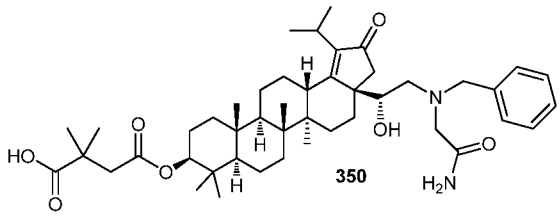
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)(2-(N-methylacetamido)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00287] LC/MS: m/z calculated 766.5, found 767.5 $(M + 1)^+$

Example 281: Compound 350

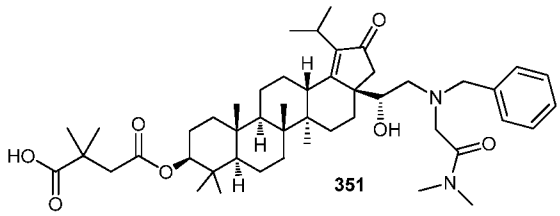
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-amino-2-oxoethyl)(benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00288] LC/MS: m/z calculated 760.5, found 761.5 $(M + 1)^+$

Example 282: Compound 351

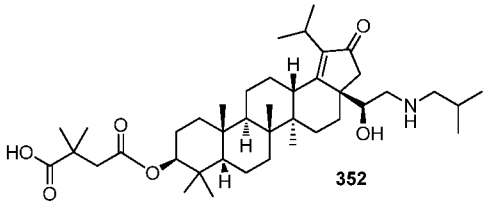
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-(dimethylamino)-2-oxoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00289] LC/MS: m/z calculated 788.5, found 789.5 $(M + 1)^+$

Example 283: Compound 352

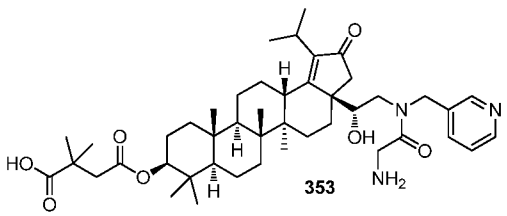
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(isobutylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00290] LC/MS: m/z calculated 669.5, found 670.5 $(M + 1)^+$

Example 284: Compound 353

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-(pyridin-3-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

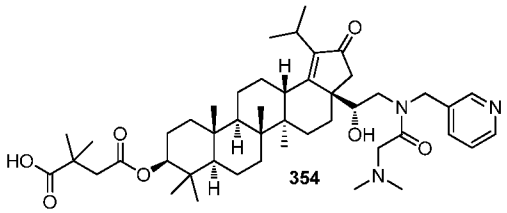


[00291] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 285: Compound 354

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-(pyridin-3-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

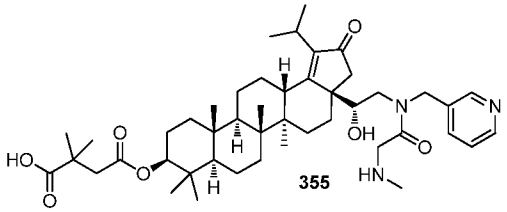
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00292] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 286: Compound 355

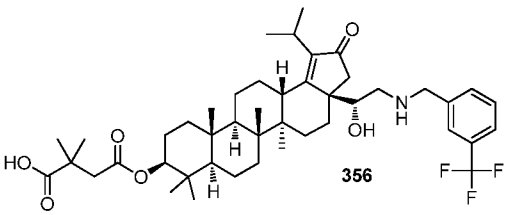
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(2-(methylamino)-N-(pyridin-3-ylmethyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00293] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 287: Compound 356

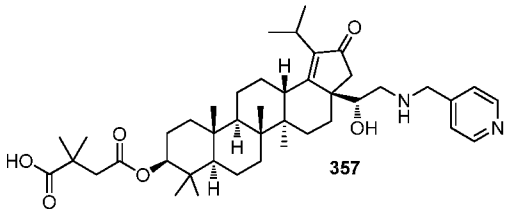
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((3-(trifluoromethyl)benzyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00294] LC/MS: m/z calculated 771.5, found 772.4 $(M + 1)^+$

Example 288: Compound 357

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((pyridin-4-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

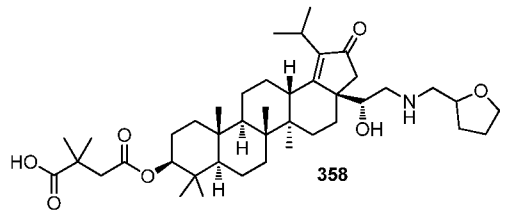


357

[00295] LC/MS: m/z calculated 704.5, found 705.5 $(M + 1)^+$

Example 289: Compound 358

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((1R)-1-hydroxy-2-(((tetrahydrofuran-2-yl)methyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

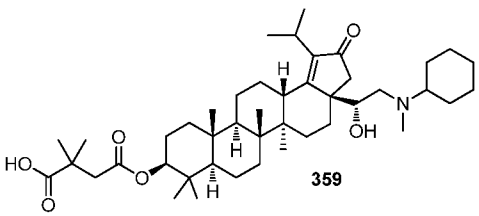


358

[00296] LC/MS: m/z calculated 697.5, found 698.5 $(M + 1)^+$

Example 290: Compound 359

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(cyclohexyl(methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

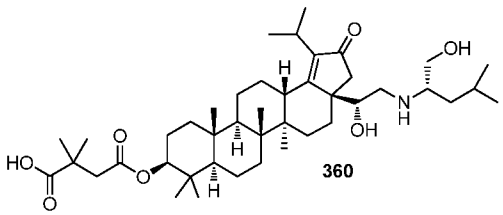


359

[00297] LC/MS: m/z calculated 709.5, found 710.5 $(M + 1)^+$

Example 291: Compound 360

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((S)-1-hydroxy-4-methylpentan-2-yl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

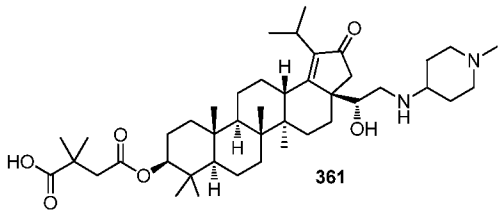


360

[00298] LC/MS: m/z calculated 713.5, found 714.5 $(M + 1)^+$

Example 292: Compound 361

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((1-methylpiperidin-4-yl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

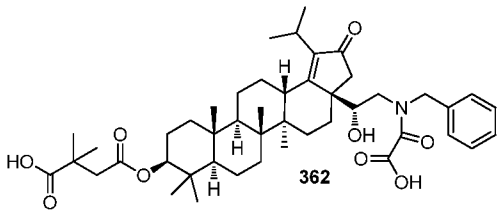


361

[00299] LC/MS: m/z calculated 710.5, found 711.5 $(M + 1)^+$

Example 293: Compound 362

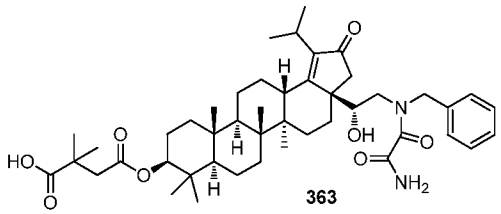
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzyl-1-carboxyformamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00300] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 294: Compound 363

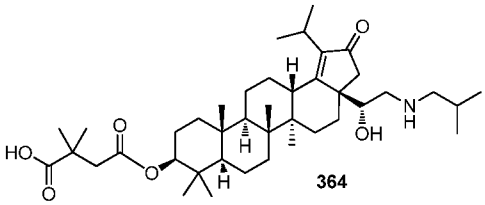
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-benzyl-2-oxoacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00301] LC/MS: m/z calculated 774.5, found 775.5 $(M + 1)^+$

Example 295: Compound 364

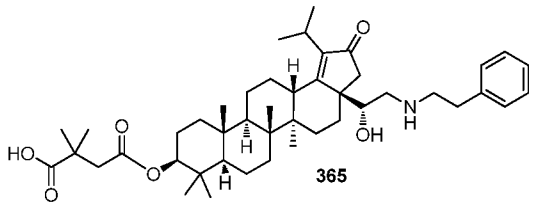
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(isobutylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00302] LC/MS: m/z calculated 669.5, found 670.5 $(M + 1)^+$

Example 296: Compound 365

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(phenethylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

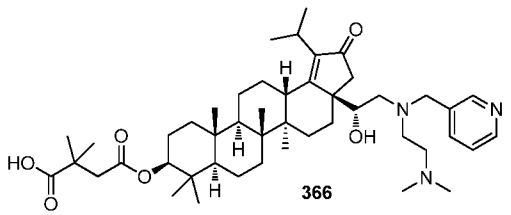


365

[00303] LC/MS: m/z calculated 717.5, found 718.5 $(M + 1)^+$

Example 297: Compound 366

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(pyridin-3-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

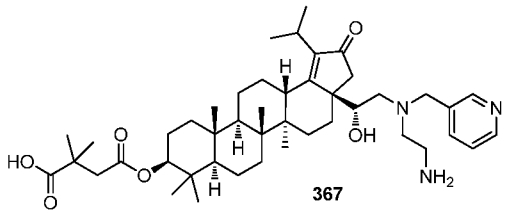


366

[00304] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 298: Compound 367

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(pyridin-3-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

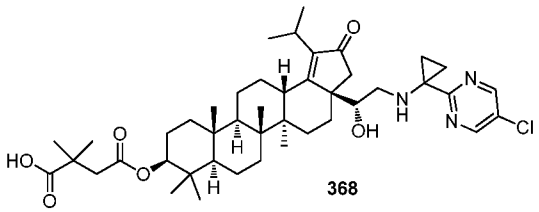


367

[00305] LC/MS: m/z calculated 747.5, found 748.5 $(M + 1)^+$

Example 299: Compound 368

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((1-(5-chloropyrimidin-2-yl)cyclopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

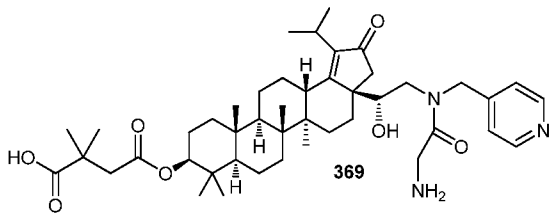


368

[00306] LC/MS: m/z calculated 765.5, found 766.5 $(M + 1)^+$

Example 300: Compound 369

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-(pyridin-4-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

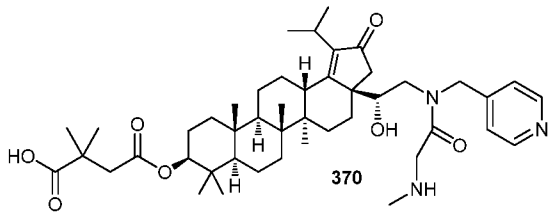


369

[00307] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 301: Compound 370

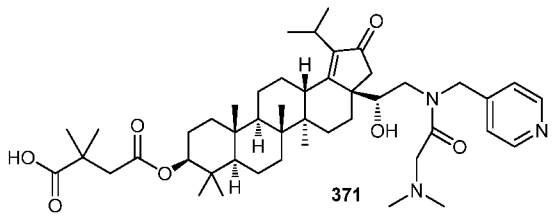
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(2-(methylamino)-N-(pyridin-4-ylmethyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00308] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 302: Compound 371

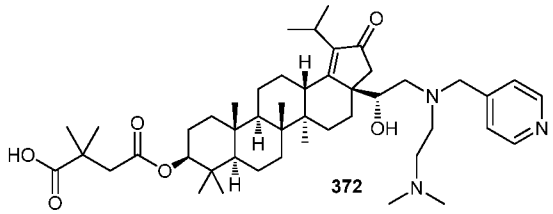
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-(pyridin-4-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00309] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 303: Compound 372

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(pyridin-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

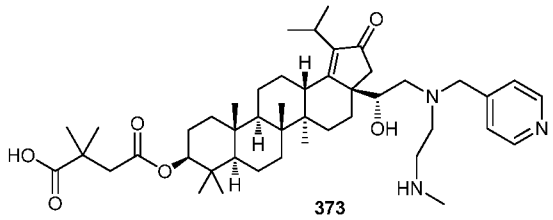


[00310] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 304: Compound 373

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(methylamino)ethyl)(pyridin-4-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-

pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

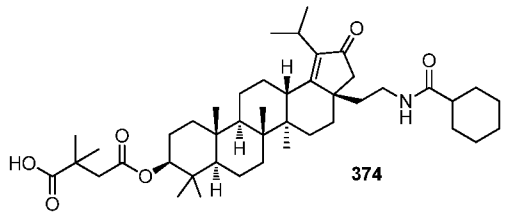


373

[00311] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 305: Compound 374

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(cyclohexanecarboxamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

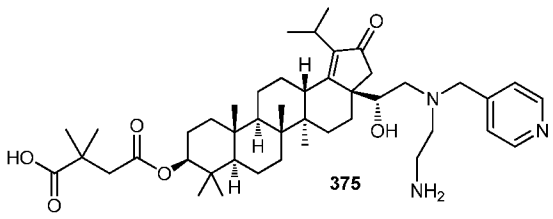


374

[00312] LC/MS: m/z calculated 707.5, found 708.5 $(M + 1)^+$

Example 306: Compound 375

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(pyridin-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

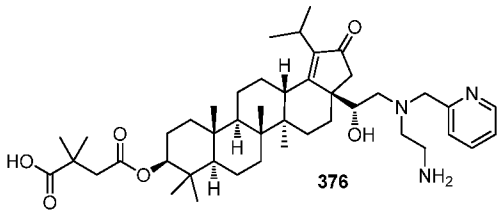


375

[00313] LC/MS: m/z calculated 747.5, found 748.5 $(M + 1)^+$

Example 307: Compound 376

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(pyridin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

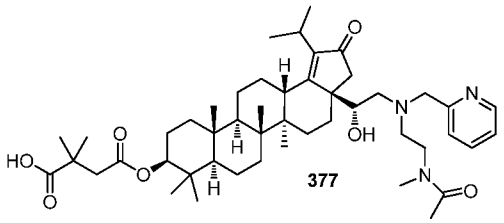


376

[00314] LC/MS: m/z calculated 747.5, found 748.5 $(M + 1)^+$

Example 308: Compound 377

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(N-methylacetamido)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

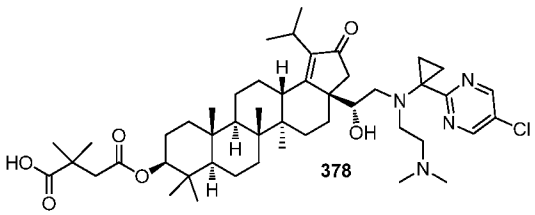


377

[00315] LC/MS: m/z calculated 803.5, found 804.5 $(M + 1)^+$

Example 309: Compound 378

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((1-(5-chloropyrimidin-2-yl)cyclopropyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

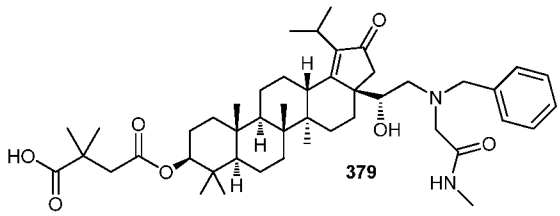


378

[00316] LC/MS: m/z calculated 836.5, found 837.5 $(M + 1)^+$

Example 310: Compound 379

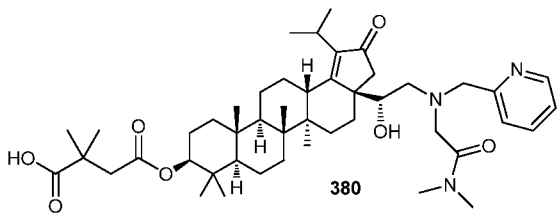
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-(methylamino)-2-oxoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00317] LC/MS: m/z calculated 774.5, found 775.5 $(M + 1)^+$

Example 311: Compound 380

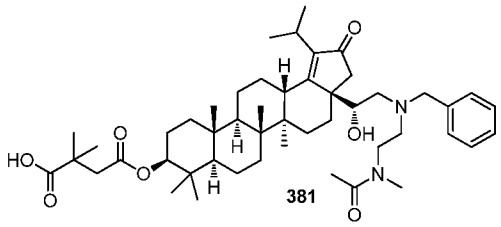
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)-2-oxoethyl)(pyridin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00318] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 312: Compound 381

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-(N-methylacetamido)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

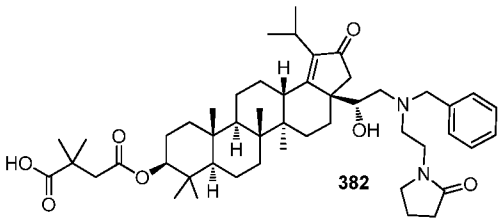


381

[00319] LC/MS: m/z calculated 802.5, found 803.5 $(M + 1)^+$

Example 313: Compound 382

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-(2-oxopyrrolidin-1-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

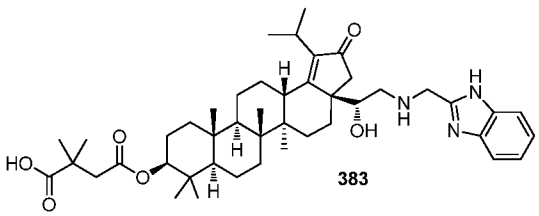


382

[00320] LC/MS: m/z calculated 814.5, found 815.5 $(M + 1)^+$

Example 314: Compound 383

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((1H-benzo[d]imidazol-2-yl)methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

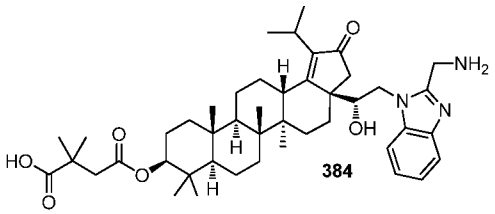


383

[00321] LC/MS: m/z calculated 743.5, found 744.5 $(M + 1)^+$

Example 315: Compound 384

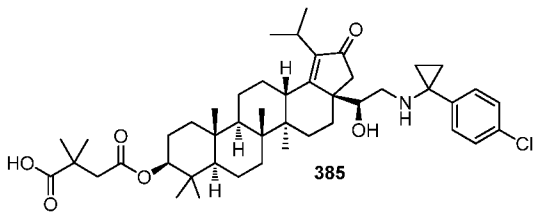
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(aminomethyl)-1H-benzo[d]imidazol-1-yl)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00322] LC/MS: m/z calculated 743.5, found 744.5 $(M + 1)^+$

Example 316: Compound 385

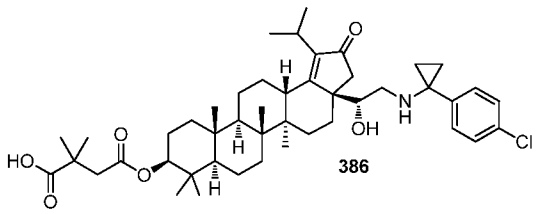
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((1-(4-chlorophenyl)cyclopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00323] LC/MS: m/z calculated 763.5, found 764.5 $(M + 1)^+$

Example 317: Compound 386

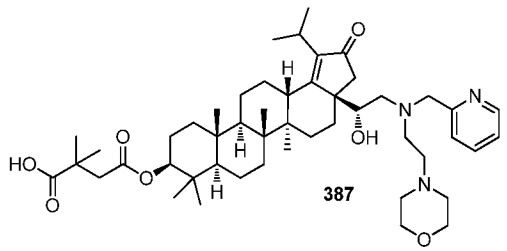
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((1-(4-chlorophenyl)cyclopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00324] LC/MS: m/z calculated 763.5, found 764.5 $(M + 1)^+$

Example 318: Compound 387

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-morpholinoethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

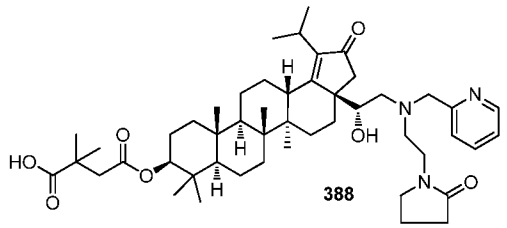


387

[00325] LC/MS: m/z calculated 817.6, found 818.5 $(M + 1)^+$

Example 319: Compound 388

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(2-oxopyrrolidin-1-yl)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

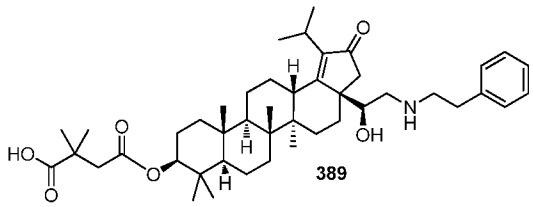


388

[00326] LC/MS: m/z calculated 815.5, found 816.5 $(M + 1)^+$

Example 320: Compound 389

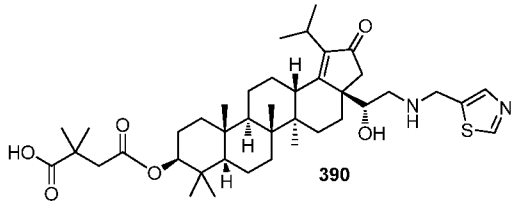
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-1-hydroxy-2-(phenethylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00327] LC/MS: m/z calculated 717.5, found 718.5 $(M + 1)^+$

Example 321: Compound 390

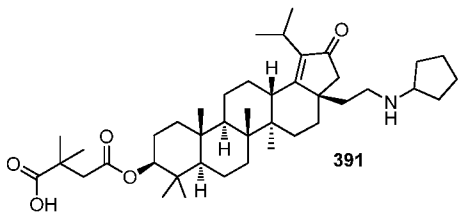
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((thiazol-5-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00328] LC/MS: m/z calculated 710.4, found 711.4 $(M + 1)^+$

Example 322: Compound 391

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(cyclopentylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

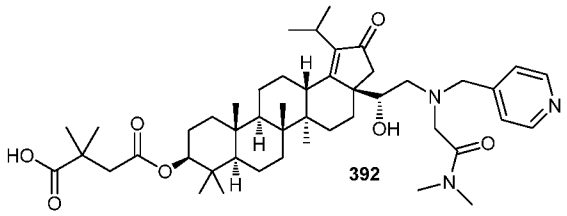


[00329] LC/MS: m/z calculated 665.5, found 666.5 $(M + 1)^+$

Example 323: Compound 392

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)-2-oxoethyl)(pyridin-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-

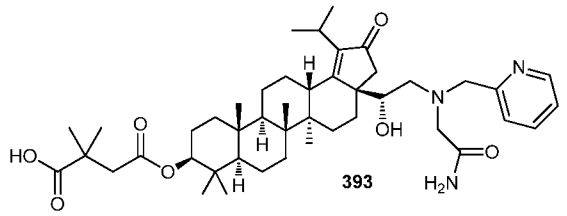
pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00330] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 324: Compound 393

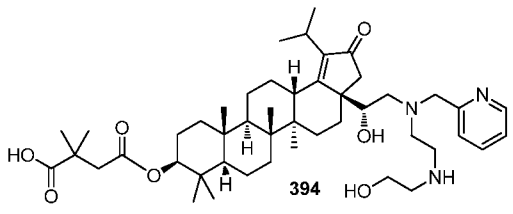
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-amino-2-oxoethyl)(pyridin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00331] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 325: Compound 394

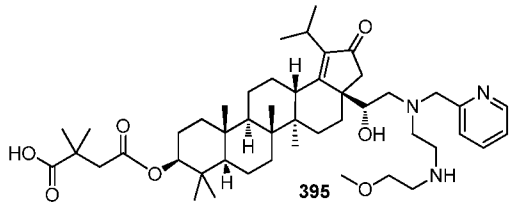
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-((2-hydroxyethyl)amino)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00332] LC/MS: m/z calculated 791.5, found 792.5 $(M + 1)^+$

Example 326: Compound 395

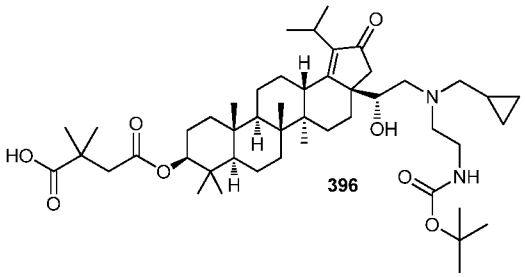
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-((2-methoxyethyl)amino)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



LC/MS: m/z calculated 805.6, found 806.5 $(M + 1)^+$

Example 327: Compound 396

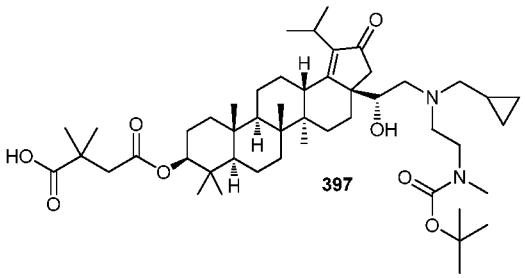
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-((tert-butoxycarbonyl)amino)ethyl)(cyclopropylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00333] LC/MS: m/z calculated 810.6, found 811.5 $(M + 1)^+$

Example 328: Compound 397

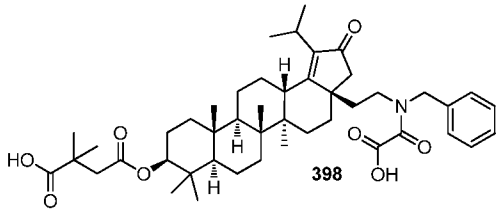
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-((tert-butoxycarbonyl)(methyl)amino)ethyl)(cyclopropylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00334] LC/MS: m/z calculated 824.6, found 825.5 $(M + 1)^+$

Example 329: Compound 398

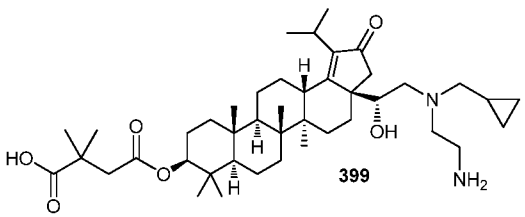
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-benzyl-1-carboxyformamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00335] LC/MS: m/z calculated 759.5, found 760.5 $(M + 1)^+$

Example 330: Compound 399

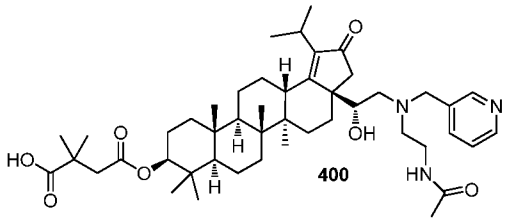
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(cyclopropylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00336] LC/MS: m/z calculated 710.5, found 711.5 $(M + 1)^+$

Example 331: Compound 400

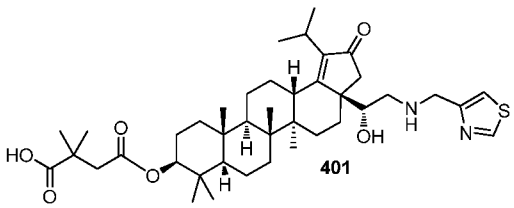
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(pyridin-3-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00337] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 332: Compound 401

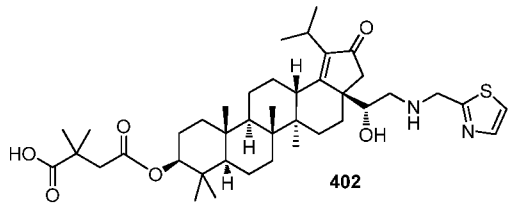
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((thiazol-4-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00338] LC/MS: m/z calculated 710.4, found 711.4 $(M + 1)^+$

Example 333: Compound 402

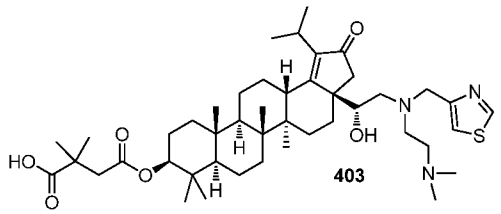
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((thiazol-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00339] LC/MS: m/z calculated 710.4, found 711.4 $(M + 1)^+$

Example 334: Compound 403

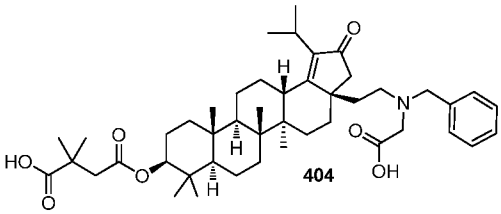
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(thiazol-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00340] LC/MS: m/z calculated 781.5, found 782.5 $(M + 1)^+$

Example 335: Compound 404

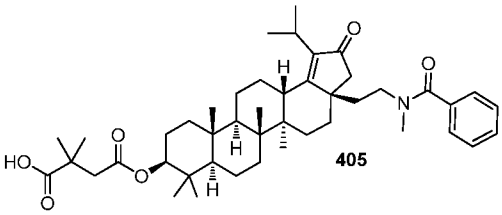
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(benzyl(carboxymethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00341] LC/MS: m/z calculated 745.5, found 746.5 $(M + 1)^+$

Example 336: Compound 405

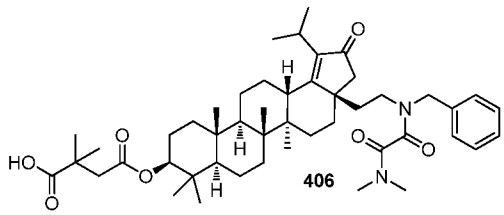
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3a-(2-(N-methylbenzamido)ethyl)-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00342] LC/MS: m/z calculated 715.5, found 716.5 $(M + 1)^+$

Example 337: Compound 406

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-benzyl-2-(dimethylamino)-2-oxoacetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

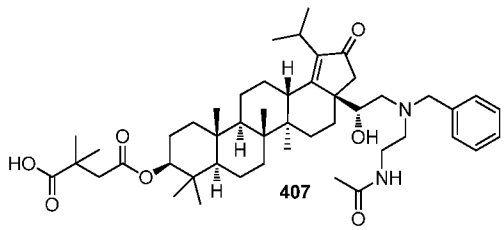


406

[00343] LC/MS: m/z calculated 786.5, found 787.5 $(M + 1)^+$

Example 338: Compound 407

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

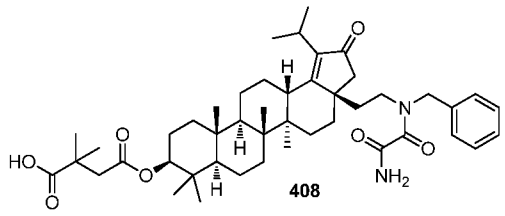


407

[00344] LC/MS: m/z calculated 788.5, found 789.5 $(M + 1)^+$

Example 339: Compound 408

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(2-amino-N-benzyl-2-oxoacetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

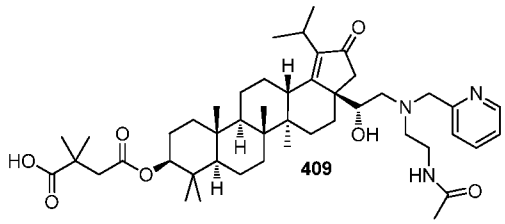


408

[00345] LC/MS: m/z calculated 758.5, found 759.5 $(M + 1)^+$

Example 340: Compound 409

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(pyridin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

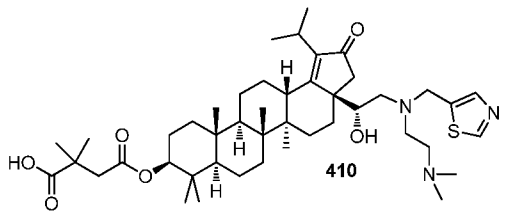


409

[00346] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 341: Compound 410

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(thiazol-5-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

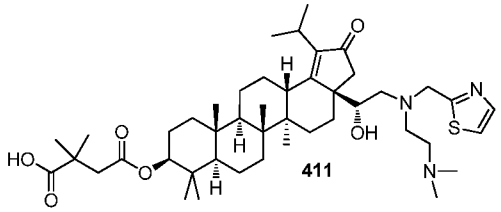


410

[00347] LC/MS: m/z calculated 781.5, found 782.5 $(M + 1)^+$

Example 342: Compound 411

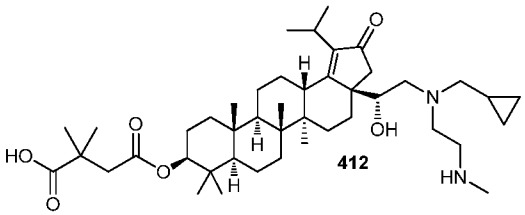
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(thiazol-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00348] LC/MS: m/z calculated 781.5, found 782.5 $(M + 1)^+$

Example 343: Compound 412

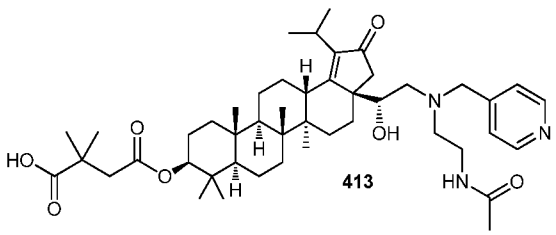
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)(2-(methylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00349] LC/MS: m/z calculated 724.5, found 725.5 $(M + 1)^+$

Example 344: Compound 413

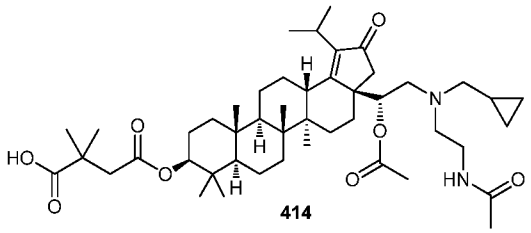
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(pyridin-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00350] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 345: Compound 414

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(cyclopropylmethyl)amino)-1-acetoxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

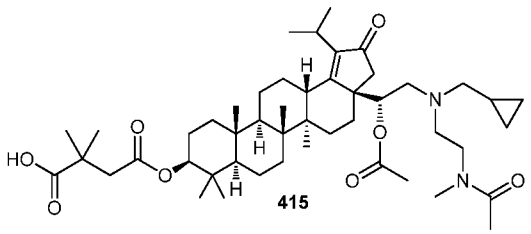


414

[00351] LC/MS: m/z calculated 794.5, found 795.5 $(M + 1)^+$

Example 346: Compound 415

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-acetoxy-2-((cyclopropylmethyl)(2-(N-methylacetamido)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

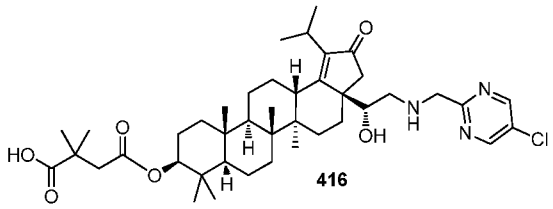


415

[00352] LC/MS: m/z calculated 808.6, found 809.5 $(M + 1)^+$

Example 347: Compound 416

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((5-chloropyrimidin-2-yl)methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

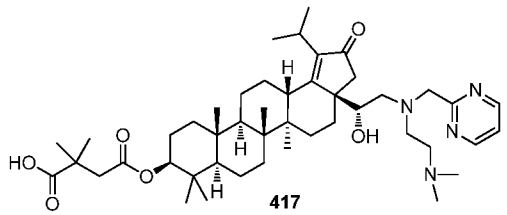


416

[00353] LC/MS: m/z calculated 739.4, found 740.4 $(M + 1)^+$

Example 348: Compound 417

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(pyrimidin-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

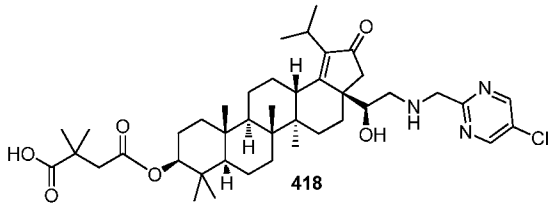


417

[00354] LC/MS: m/z calculated 776.5, found 777.5 $(M + 1)^+$

Example 349: Compound 418

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(((5-chloropyrimidin-2-yl)methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

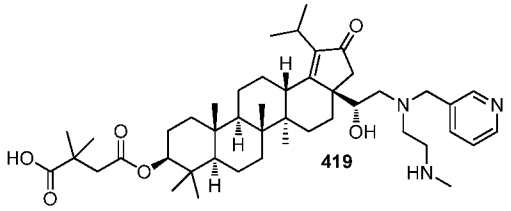


418

[00355] LC/MS: m/z calculated 739.4, found 740.4 $(M + 1)^+$

Example 350: Compound 419

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(methylamino)ethyl)(pyridin-3-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

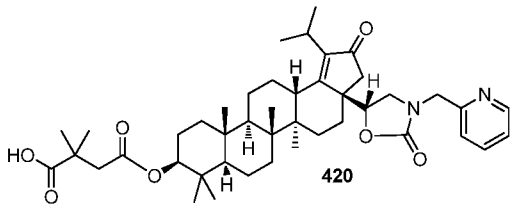


419

[00356] LC/MS: m/z calculated 761.5, found 762.5 $(M + 1)^+$

Example 351: Compound 420

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-((R)-2-oxo-3-(pyridin-2-ylmethyl)oxazolidin-5-yl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

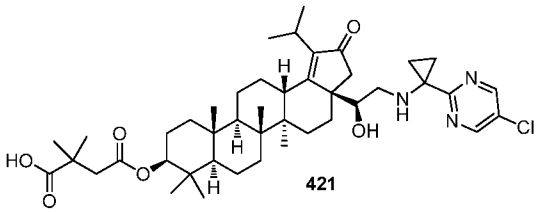


420

[00357] LC/MS: m/z calculated 730.5, found 731.4 $(M + 1)^+$

Example 352: Compound 421

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((1-(5-chloropyrimidin-2-yl)cyclopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

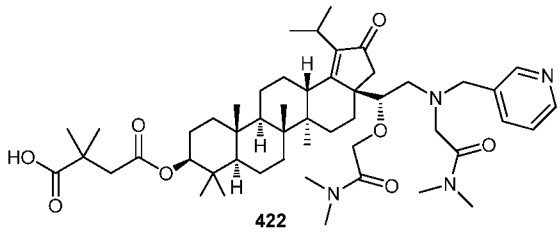


421

[00358] LC/MS: m/z calculated 765.4, found 766.4 $(M + 1)^+$

Example 353: Compound 422

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2,11-dimethyl-3,10-dioxo-5-(pyridin-3-ylmethyl)-8-oxa-2,5,11-triazadodecan-7-yl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

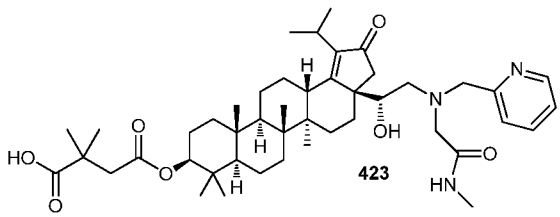


422

[00359] LC/MS: m/z calculated 874.6, found 875.6 $(M + 1)^+$

Example 354: Compound 423

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-(methylamino)-2-oxoethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

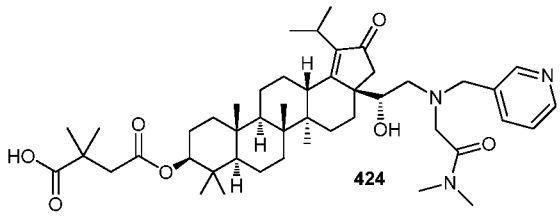


423

[00360] LC/MS: m/z calculated 775.5, found 776.5 $(M + 1)^+$

Example 355: Compound 424

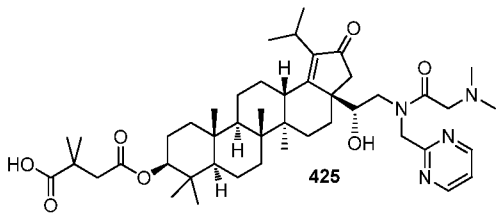
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)-2-oxoethyl)(pyridin-3-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00361] LC/MS: m/z calculated 789.5, found 790.5 $(M + 1)^+$

Example 356: Compound 425

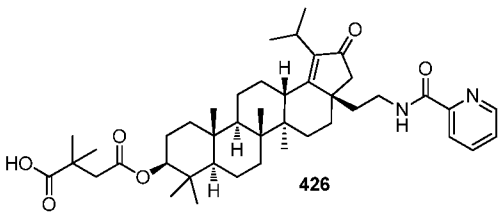
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-(pyrimidin-2-ylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00362] LC/MS: m/z calculated 790.5, found 791.5 $(M + 1)^+$

Example 357: Compound 426

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(picolinamido)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

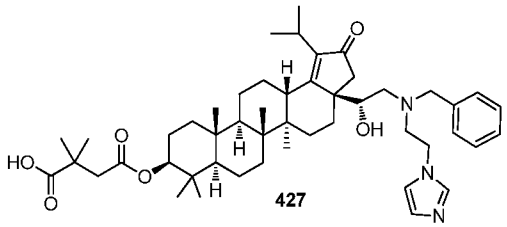


[00363] LC/MS: m/z calculated 702.5, found 703.4 $(M + 1)^+$

Example 358: Compound 427

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(1H-imidazol-1-yl)ethyl)(benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

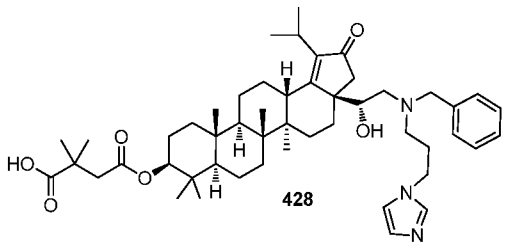


427

[00364] LC/MS: m/z calculated 797.5, found 798.5 $(M + 1)^+$

Example 359: Compound 428

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((3-(1H-imidazol-1-yl)propyl)(benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

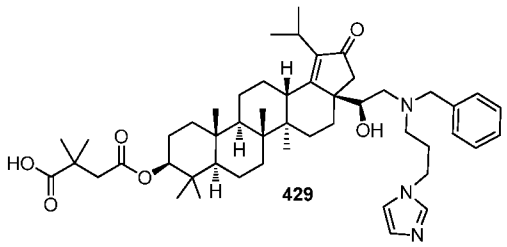


428

[00365] LC/MS: m/z calculated 811.5, found 812.5 $(M + 1)^+$

Example 360: Compound 429

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-((3-(1H-imidazol-1-yl)propyl)(benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

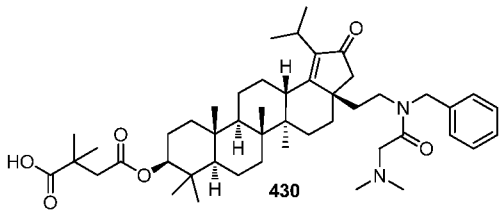


429

[00366] LC/MS: m/z calculated 811.5, found 812.5 $(M + 1)^+$

Example 361: Compound 430

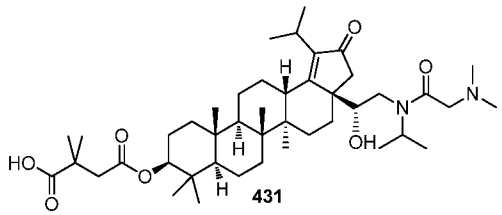
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-benzyl-2-(dimethylamino)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00367] LC/MS: m/z calculated 772.5, found 773.5 $(M + 1)^+$

Example 362: Compound 431

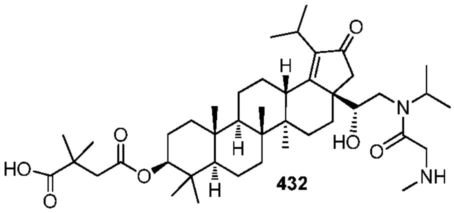
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-(dimethylamino)-N-isopropylacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00368] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 363: Compound 432

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-isopropyl-2-(methylamino)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

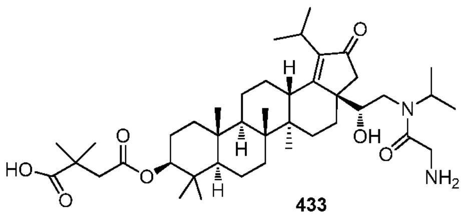


432

[00369] LC/MS: m/z calculated 726.5, found 727.5 $(M + 1)^+$

Example 364: Compound 433

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(2-amino-N-isopropylacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

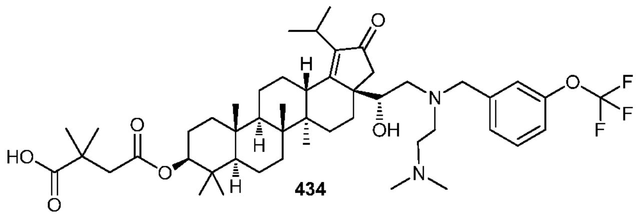


433

[00370] LC/MS: m/z calculated 712.5, found 713.5 $(M + 1)^+$

Example 365: Compound 434

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(3-(trifluoromethoxy)benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

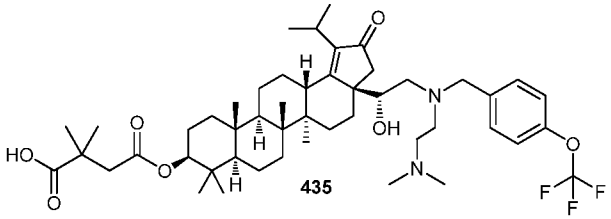


434

[00371] LC/MS: m/z calculated 858.5, found 859.5 $(M + 1)^+$

Example 366: Compound 435

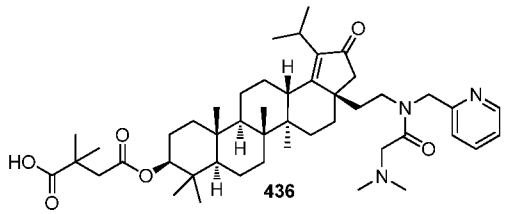
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(4-(trifluoromethoxy)benzyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00372] LC/MS: m/z calculated 858.5, found 859.5 $(M + 1)^+$

Example 367: Compound 436

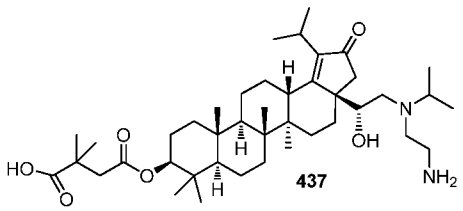
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(2-(dimethylamino)-N-(pyridin-2-ylmethyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00373] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 368: Compound 437

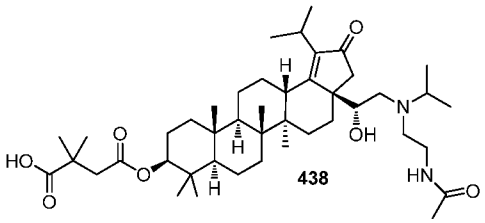
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-aminoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00374] LC/MS: m/z calculated 698.5, found 699.5 $(M + 1)^+$

Example 369: Compound 438

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

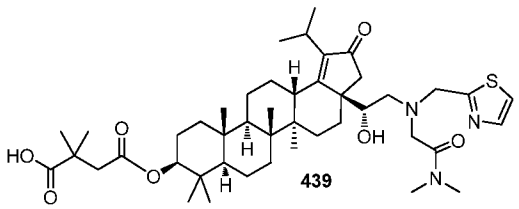


438

[00375] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 370: Compound 439

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)-2-oxoethyl)(thiazol-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

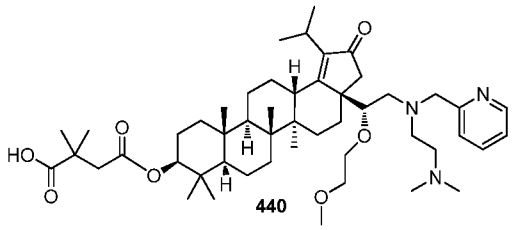


439

[00376] LC/MS: m/z calculated 795.5, found 796.4 $(M + 1)^+$

Example 371: Compound 440

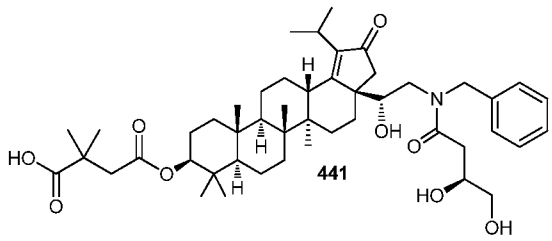
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3a-((R)-2-methyl-5-(pyridin-2-ylmethyl)-8,11-dioxa-2,5-diazadodecan-7-yl)-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00377] LC/MS: m/z calculated 833.6, found 834.5 $(M + 1)^+$

Example 372: Compound 441

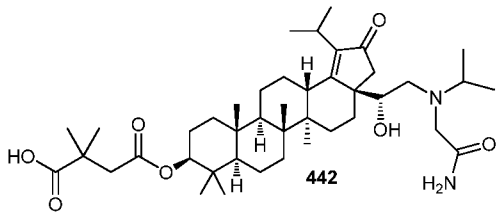
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((S)-N-benzyl-3,4-dihydroxybutanamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00378] LC/MS: m/z calculated 805.5, found 806.5 $(M + 1)^+$

Example 373: Compound 442

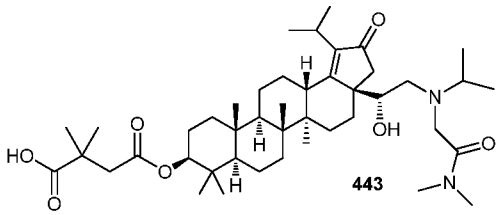
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-amino-2-oxoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00379] LC/MS: m/z calculated 712.5, found 713.5 $(M + 1)^+$

Example 374: Compound 443

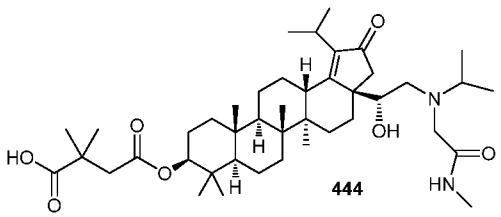
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)-2-oxoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00380] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 375: Compound 444

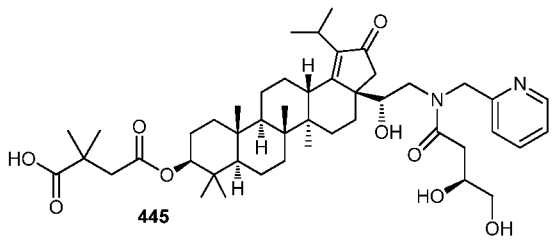
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(isopropyl(2-(methylamino)-2-oxoethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00381] LC/MS: m/z calculated 726.5, found 727.5 $(M + 1)^+$

Example 376: Compound 445

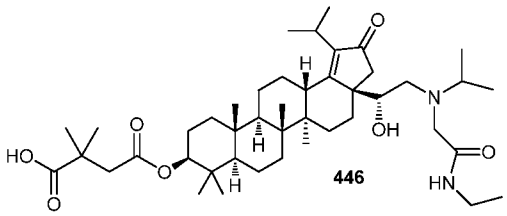
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((S)-3,4-dihydroxy-N-(pyridin-2-ylmethyl)butanamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00382] LC/MS: m/z calculated 806.5, found 807.5 $(M + 1)^+$

Example 377: Compound 446

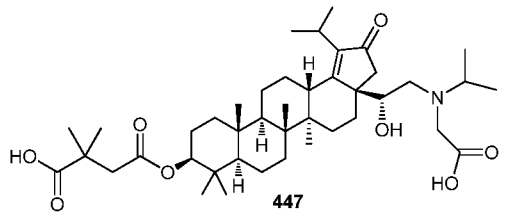
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(ethylamino)-2-oxoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00383] LC/MS: m/z calculated 740.5, found 741.5 $(M + 1)^+$

Example 378: Compound 447

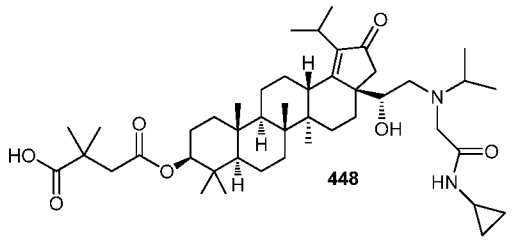
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((carboxymethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00384] LC/MS: m/z calculated 713.5, found 714.5 $(M + 1)^+$

Example 379: Compound 448

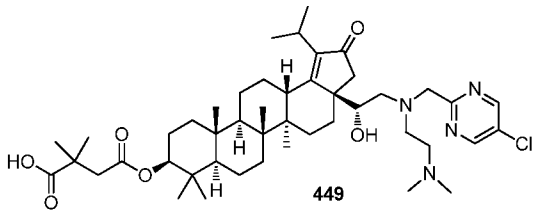
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(cyclopropylamino)-2-oxoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00385] LC/MS: m/z calculated 752.5, found 753.5 $(M + 1)^+$

Example 380: Compound 449

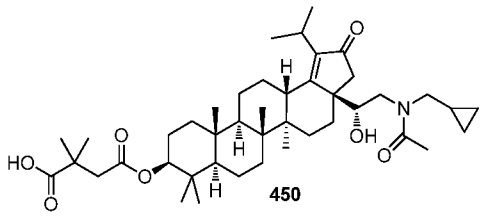
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(((5-chloropyrimidin-2-yl)methyl)(2-(dimethylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00386] LC/MS: m/z calculated 810.5, found 811.5 $(M + 1)^+$

Example 381: Compound 450

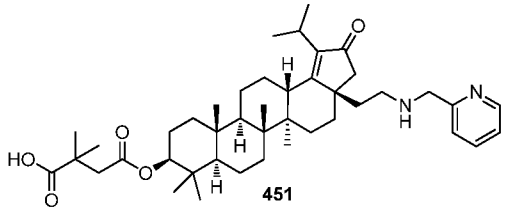
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclopropylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00387] LC/MS: m/z calculated 709.5, found 710.5 $(M + 1)^+$

Example 382: Compound 451

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((pyridin-2-ylmethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

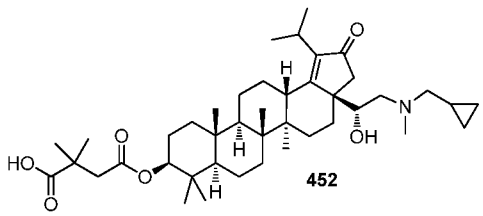


451

[00388] LC/MS: m/z calculated 688.5, found 689.5 $(M + 1)^+$

Example 383: Compound 452

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)(methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

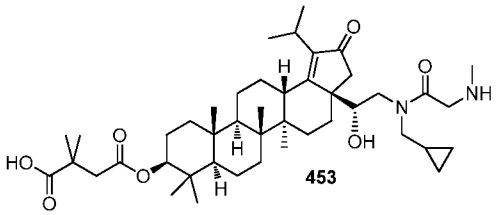


452

[00389] LC/MS: m/z calculated 681.5, found 682.5 $(M + 1)^+$

Example 384: Compound 453

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclopropylmethyl)-2-(methylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

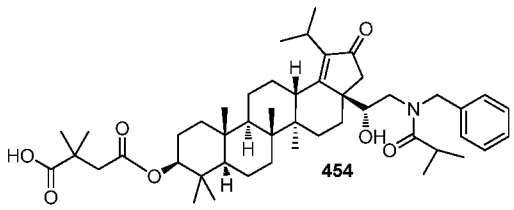


453

[00390] LC/MS: m/z calculated 738.5, found 739.5 $(M + 1)^+$

Example 385: Compound 454

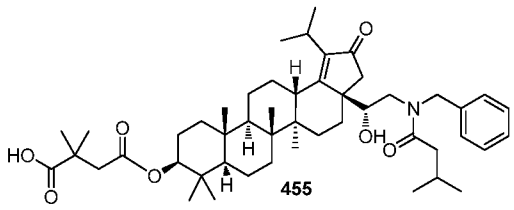
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzylisobutyramido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00391] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 386: Compound 455

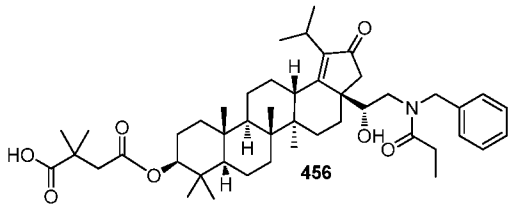
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzyl-3-methylbutanamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00392] LC/MS: m/z calculated 787.5, found 788.5 $(M + 1)^+$

Example 387: Compound 456

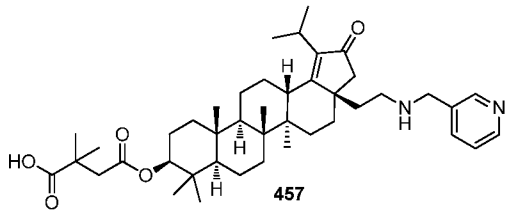
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzylpropionamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00393] LC/MS: m/z calculated 759.5, found 760.5 $(M + 1)^+$

Example 388: Compound 457

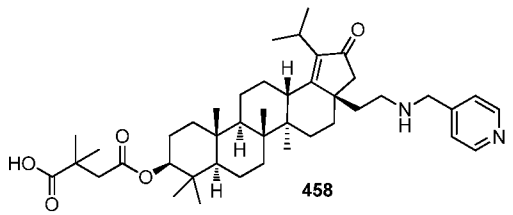
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((pyridin-3-ylmethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00394] LC/MS: m/z calculated 688.5, found 689.5 $(M + 1)^+$

Example 389: Compound 458

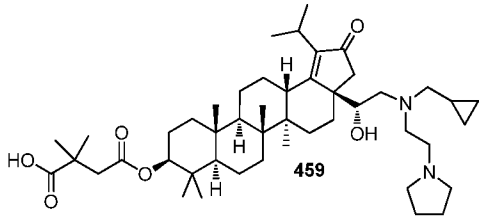
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((pyridin-4-ylmethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00395] LC/MS: m/z calculated 688.5, found 689.5 $(M + 1)^+$

Example 390: Compound 459

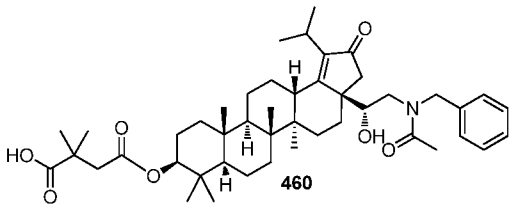
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclopropylmethyl)(2-(pyrrolidin-1-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00396] LC/MS: m/z calculated 764.6, found 765.5 $(M + 1)^+$

Example 391: Compound 460

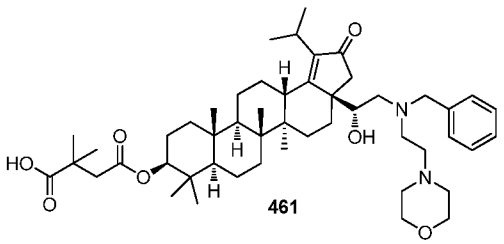
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-benzylacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00397] LC/MS: m/z calculated 745.5, found 746.5 $(M + 1)^+$

Example 392: Compound 461

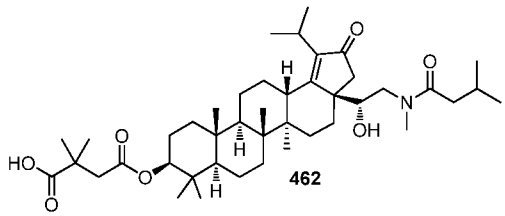
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-morpholinoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00398] LC/MS: m/z calculated 816.6, found 817.5 $(M + 1)^+$

Example 393: Compound 462

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N,3-dimethylbutanamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

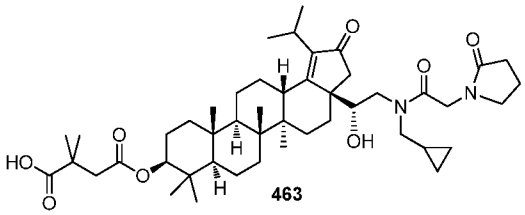


462

[00399] LC/MS: m/z calculated 711.5, found 712.5 $(M + 1)^+$

Example 394: Compound 463

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclopropylmethyl)-2-(2-oxopyrrolidin-1-yl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

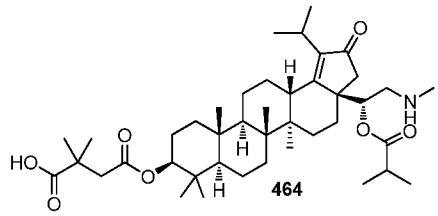


463

[00400] LC/MS: m/z calculated 792.5, found 793.5 $(M + 1)^+$

Example 395: Compound 464

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-(isobutyryloxy)-2-(methylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

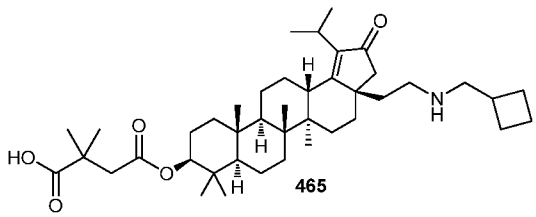


464

[00401] LC/MS: m/z calculated 697.5, found 698.5 $(M + 1)^+$

Example 396: Compound 465

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((cyclobutylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

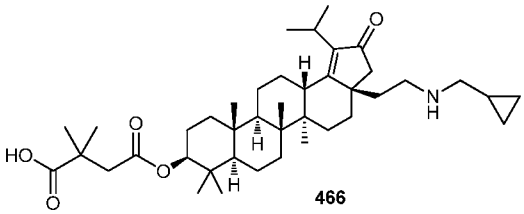


465

[00402] LC/MS: m/z calculated 665.5, found 666.5 $(M + 1)^+$

Example 397: Compound 466

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((cyclopropylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



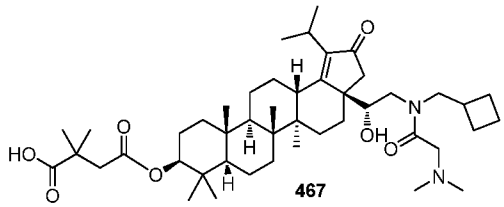
466

[00403] LC/MS: m/z calculated 651.5, found 652.5 $(M + 1)^+$

Example 398: Compound 467

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclobutylmethyl)-2-(dimethylamino)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-

oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

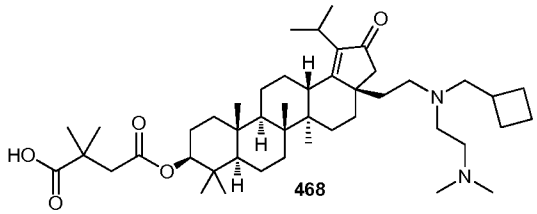


467

[00404] LC/MS: m/z calculated 766.5, found 767.5 $(M + 1)^+$

Example 399: Compound 468

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((cyclobutylmethyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

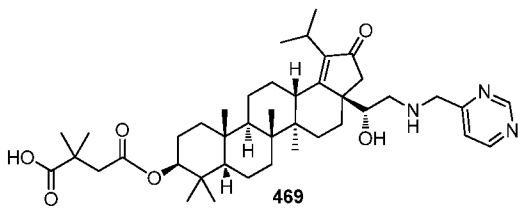


468

[00405] LC/MS: m/z calculated 736.6, found 737.5 $(M + 1)^+$

Example 400: Compound 469

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((pyrimidin-4-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

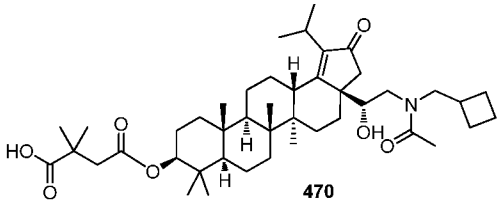


469

[00406] LC/MS: m/z calculated 705.5, found 706.5 $(M + 1)^+$

Example 401: Compound 470

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclobutylmethyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

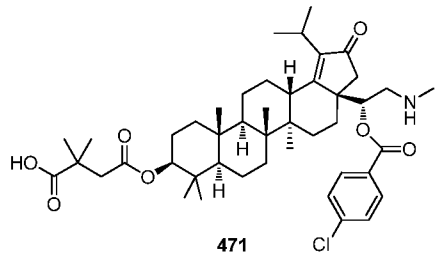


470

[00407] LC/MS: m/z calculated 723.5, found 724.5 $(M + 1)^+$

Example 402: Compound 471

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-((4-chlorobenzoyl)oxy)-2-(methylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

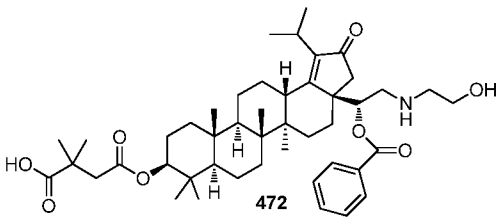


471

[00408] LC/MS: m/z calculated 765.4, found 766.4 $(M + 1)^+$

Example 403: Compound 472

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-(benzoyloxy)-2-((2-hydroxyethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

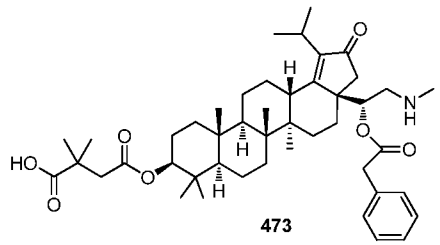


472

[00409] LC/MS: m/z calculated 761.5, found 762.4 $(M + 1)^+$

Example 404: Compound 473

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3a-((R)-2-(methylamino)-1-(2-phenylacetoxy)ethyl)-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

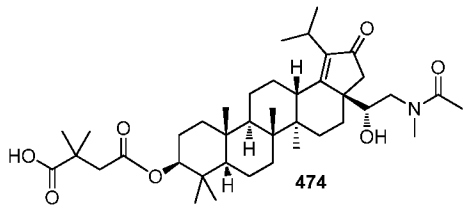


473

[00410] LC/MS: m/z calculated 745.5, found 746.5 $(M + 1)^+$

Example 405: Compound 474

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylacetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

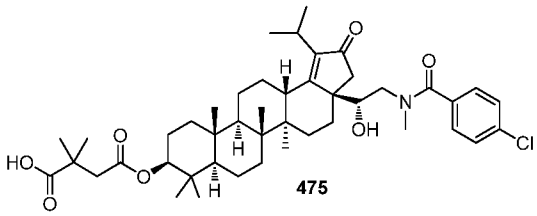


474

[00411] LC/MS: m/z calculated 669.5, found 670.4 $(M + 1)^+$

Example 406: Compound 475

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(4-chloro-N-methylbenzamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

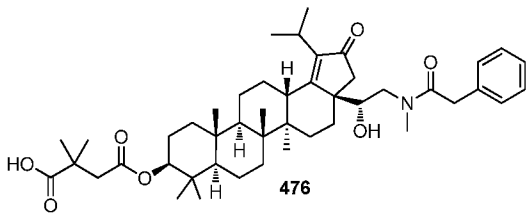


475

[00412] LC/MS: m/z calculated 765.4, found 766.4 $(M + 1)^+$

Example 407: Compound 476

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methyl-2-phenylacetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

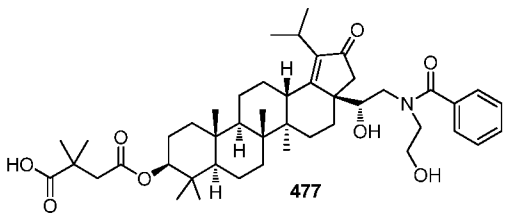


476

[00413] LC/MS: m/z calculated 745.5, found 746.5 $(M + 1)^+$

Example 408: Compound 477

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-(2-hydroxyethyl)benzamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

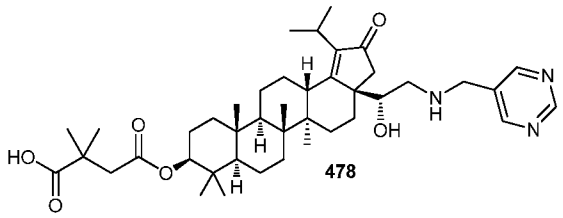


477

[00414] LC/MS: m/z calculated 761.5, found 762.4 $(M + 1)^+$

Example 409: Compound 478

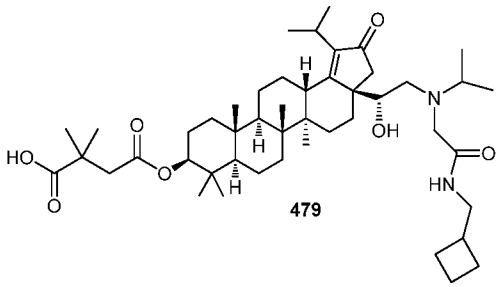
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((pyrimidin-5-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00415] LC/MS: m/z calculated 705.5, found 706.5 $(M + 1)^+$

Example 410: Compound 479

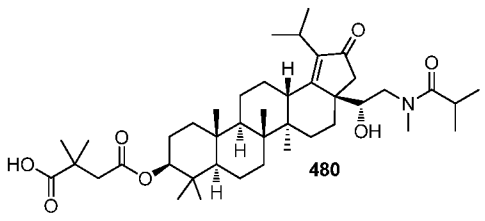
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-((cyclobutylmethyl)amino)-2-oxoethyl)(isopropyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00416] LC/MS: m/z calculated 780.6, found 781.6 $(M + 1)^+$

Example 411: Compound 480

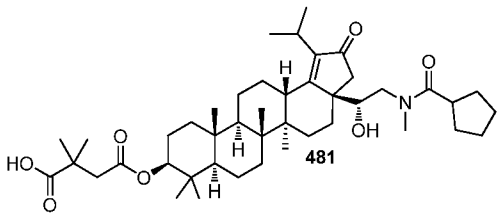
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylisobutyramido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00417] LC/MS: m/z calculated 697.5, found 698.5 $(M + 1)^+$

Example 412: Compound 481

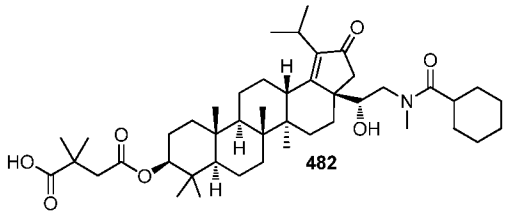
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylcyclopentanecarboxamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00418] LC/MS: m/z calculated 723.5, found 724.5 $(M + 1)^+$

Example 413: Compound 482

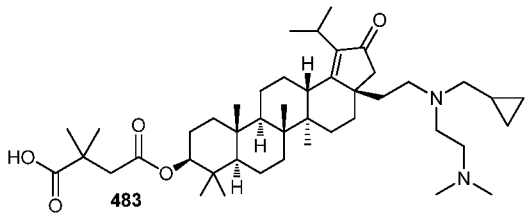
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylcyclohexanecarboxamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00419] LC/MS: m/z calculated 737.5, found 738.5 $(M + 1)^+$

Example 414: Compound 483

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((cyclopropylmethyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

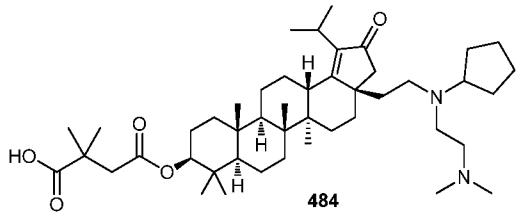


483

[00420] LC/MS: m/z calculated 722.6, found 723.6 $(M + 1)^+$

Example 415: Compound 484

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(cyclopentyl(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

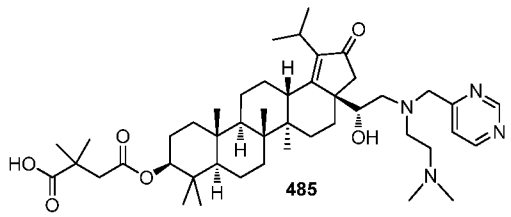


484

[00421] LC/MS: m/z calculated 736.6, found 737.5 $(M + 1)^+$

Example 416: Compound 485

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(pyrimidin-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

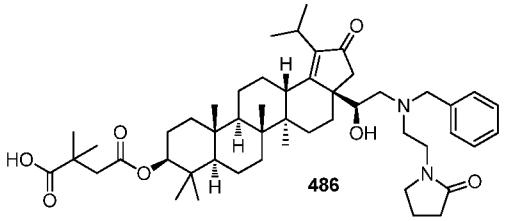


485

[00422] LC/MS: m/z calculated 776.5, found 777.5 $(M + 1)^+$

Example 417: Compound 486

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((S)-2-(benzyl(2-(2-oxopyrrolidin-1-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

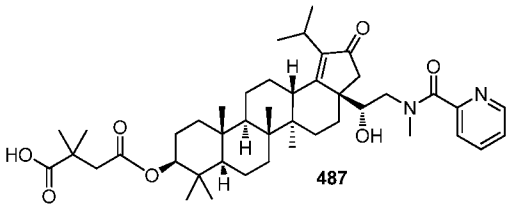


486

[00423] LC/MS: m/z calculated 814.5, found 815.5 $(M + 1)^+$

Example 418: Compound 487

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylpicolinamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

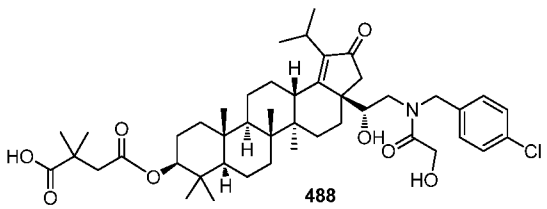


487

[00424] LC/MS: m/z calculated 732.5, found 733.5 $(M + 1)^+$

Example 419: Compound 488

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(4-chlorobenzyl)-2-hydroxyacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

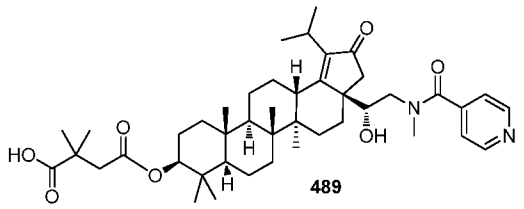


488

[00425] LC/MS: m/z calculated 795.4, found 796.3 $(M + 1)^+$

Example 420: Compound 489

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylisonicotinamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

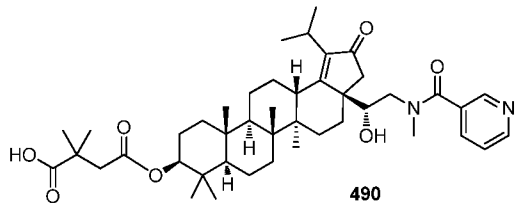


489

[00426] LC/MS: m/z calculated 732.5, found 733.5 $(M + 1)^+$

Example 421: Compound 490

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(N-methylnicotinamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

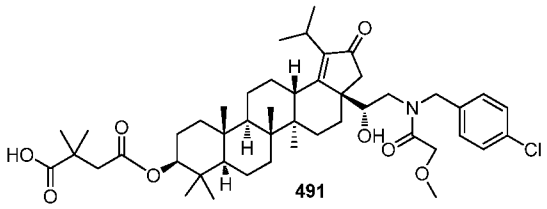


490

[00427] LC/MS: m/z calculated 732.5, found 733.4 $(M + 1)^+$

Example 422: Compound 491

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(4-chlorobenzyl)-2-methoxyacetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

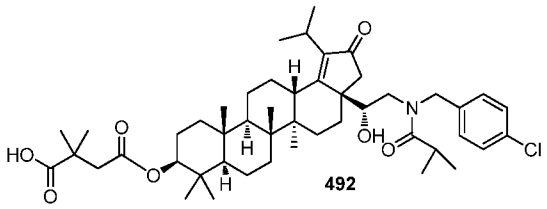


491

[00428] LC/MS: m/z calculated 809.5, found 810.3 $(M + 1)^+$

Example 423: Compound 492

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(4-chlorobenzyl)isobutyramido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

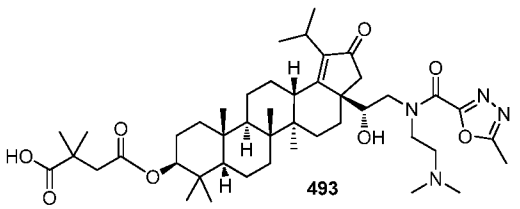


492

[00429] LC/MS: m/z calculated 807.5, found 808.5 $(M + 1)^+$

Example 424: Compound 493

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(2-(dimethylamino)ethyl)-5-methyl-1,3,4-oxadiazole-2-carboxamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



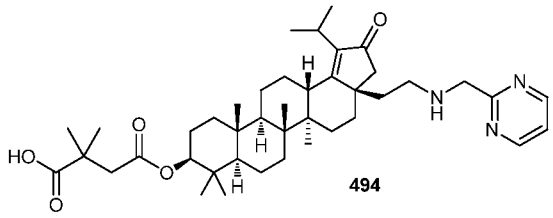
493

[00430] LC/MS: m/z calculated 794.5, found 795.5 $(M + 1)^+$

Example 425: Compound 494

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((pyrimidin-2-ylmethyl)amino)ethyl)-

3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

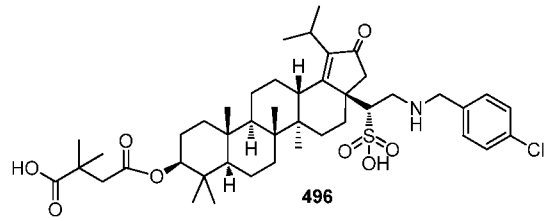


494

[00431] LC/MS: m/z calculated 689.5, found 690.4 $(M + 1)^+$

Example 427: Compound 496

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)amino)-1-sulfoethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

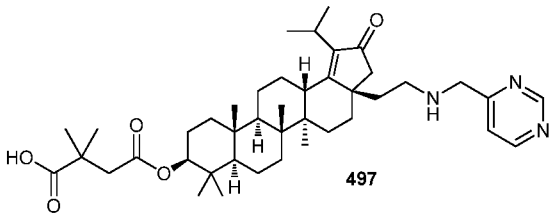


496

[00432] LC/MS: m/z calculated 801.4, found 802.2 $(M + 1)^+$

Example 428: Compound 497

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((pyrimidin-4-ylmethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

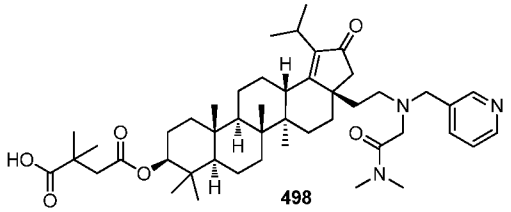


497

[00433] LC/MS: m/z calculated 689.5, found 690.4 $(M + 1)^+$

Example 429: Compound 498

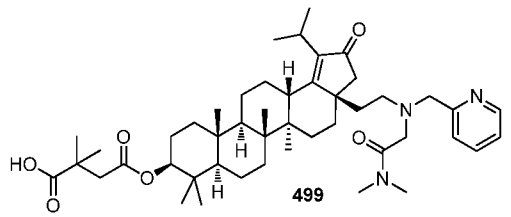
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)-2-oxoethyl)(pyridin-3-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00434] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 430: Compound 499

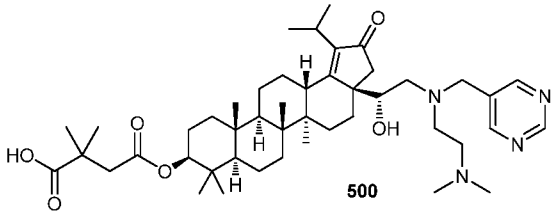
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)-2-oxoethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00435] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 431: Compound 500

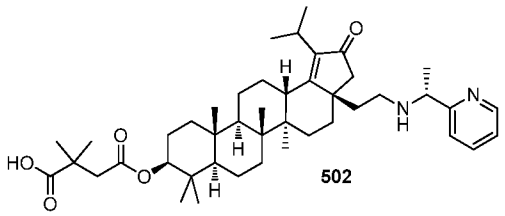
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(pyrimidin-5-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00436] LC/MS: m/z calculated 776.5, found 777.4 $(M + 1)^+$

Example 433: Compound 502

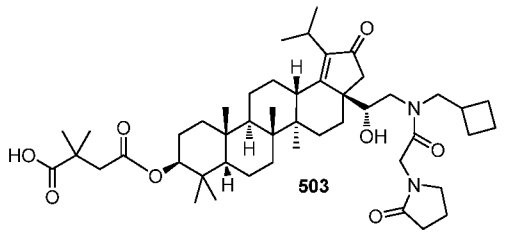
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(((R)-1-(pyridin-2-yl)ethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00437] LC/MS: m/z calculated 702.5, found 703.3 $(M + 1)^+$

Example 434: Compound 503

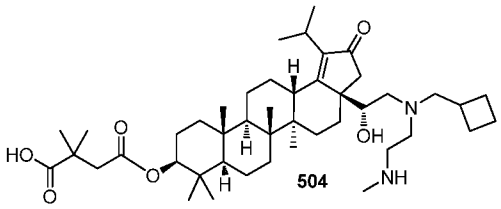
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclobutylmethyl)-2-(2-oxopyrrolidin-1-yl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00438] LC/MS: m/z calculated 806.5, found 806.9 $(M + 1)^+$

Example 435: Compound 504

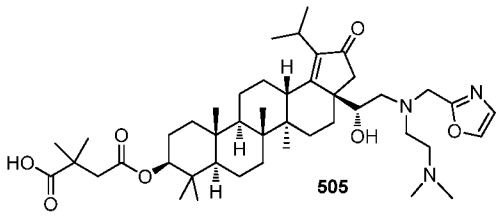
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclobutylmethyl)(2-(methylamino)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00439] LC/MS: m/z calculated 738.5, found 739.5 $(M + 1)^+$

Example 436: Compound 505

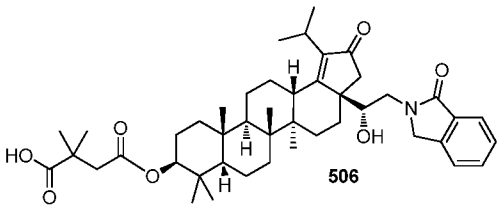
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(oxazol-2-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00440] LC/MS: m/z calculated 765.5, found 765.9 $(M + 1)^+$

Example 437: Compound 506

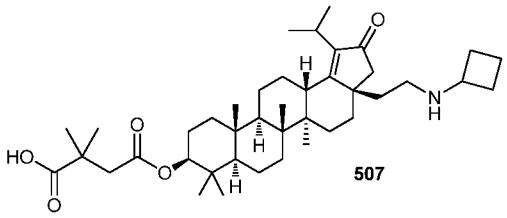
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(1-oxoisoindolin-2-yl)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00441] LC/MS: m/z calculated 729.5, found 729.9 $(M + 1)^+$

Example 438: Compound 507

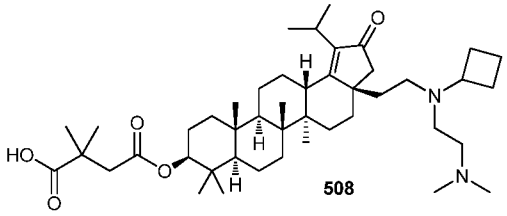
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(cyclobutylamino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00442] LC/MS: m/z calculated 651.5, found 652.0 $(M + 1)^+$

Example 439: Compound 508

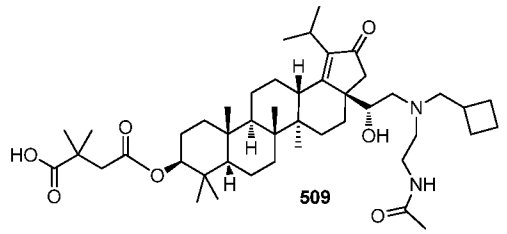
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(cyclobutyl(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00443] LC/MS: m/z calculated 722.6, found 723.0 $(M + 1)^+$

Example 440: Compound 509

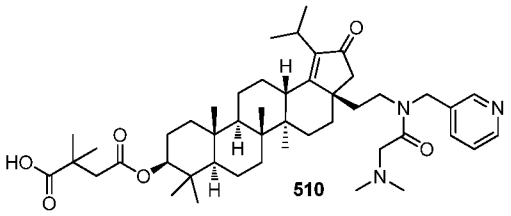
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-acetamidoethyl)(cyclobutylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00444] LC/MS: m/z calculated 766.5, found 766.9 $(M + 1)^+$

Example 441: Compound 510

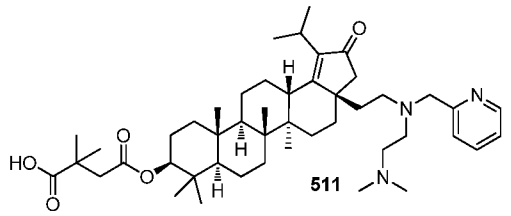
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(2-(dimethylamino)-N-(pyridin-3-ylmethyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00445] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 442: Compound 511

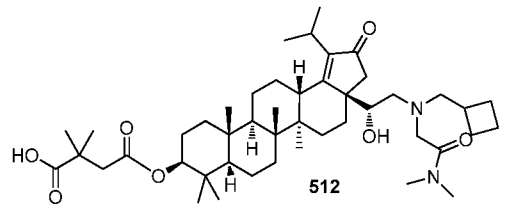
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00446] LC/MS: m/z calculated 759.6, found 760.5 $(M + 1)^+$

Example 443: Compound 512

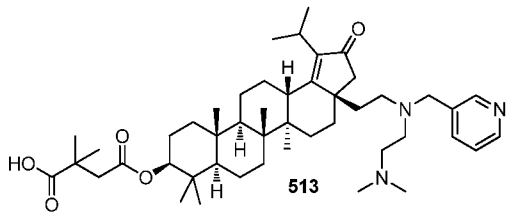
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclobutylmethyl)(2-(dimethylamino)-2-oxoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00447] LC/MS: m/z calculated 766.5, found 767.5 $(M + 1)^+$

Example 444: Compound 513

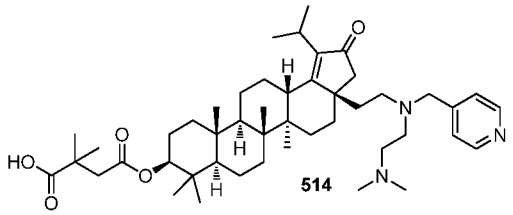
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(pyridin-3-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00448] LC/MS: m/z calculated 759.6, found 760.5 $(M + 1)^+$

Example 445: Compound 514

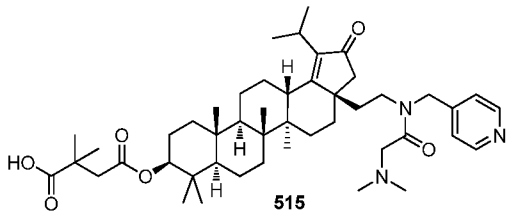
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(pyridin-4-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00449] LC/MS: m/z calculated 759.6, found 760.5 $(M + 1)^+$

Example 446: Compound 515

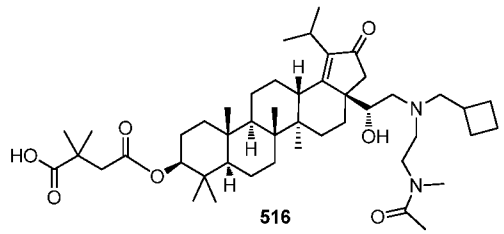
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(2-(dimethylamino)-N-(pyridin-4-ylmethyl)acetamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00450] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 447: Compound 516

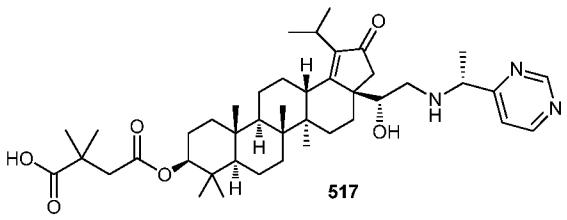
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((cyclobutylmethyl)(2-(N-methylacetamido)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00451] LC/MS: m/z calculated 780.6, found 781.4 $(M + 1)^+$

Example 448: Compound 517

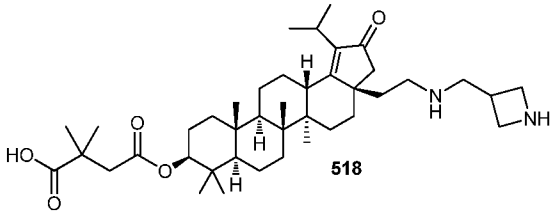
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((R)-1-(pyrimidin-4-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00452] LC/MS: m/z calculated 719.5, found 720.4 $(M + 1)^+$

Example 449: Compound 518

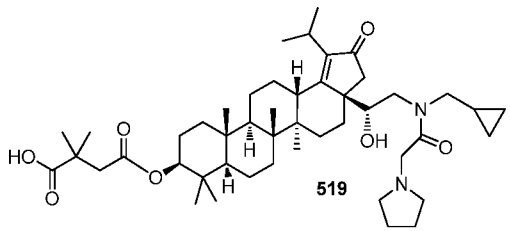
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((azetidin-3-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00453] LC/MS: m/z calculated 666.5, found 667.4 $(M + 1)^+$

Example 450: Compound 519

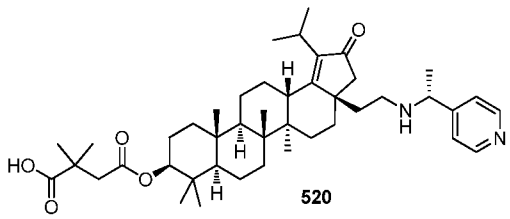
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(cyclopropylmethyl)-2-(pyrrolidin-1-yl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00454] LC/MS: m/z calculated 778.5, found 779.5 $(M + 1)^+$

Example 451: Compound 520

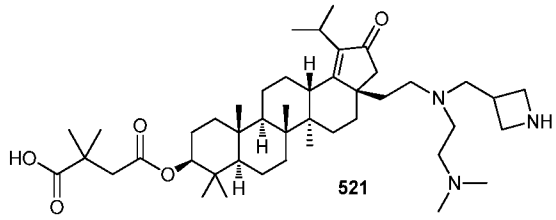
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(((R)-1-(pyridin-4-yl)ethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00455] LC/MS: m/z calculated 702.5, found 703.5 $(M + 1)^+$

Example 452: Compound 521

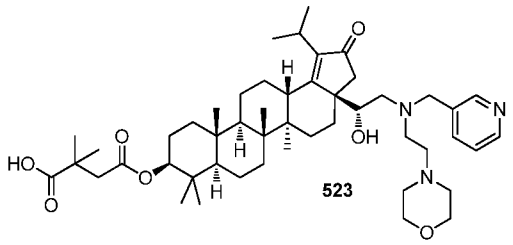
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((azetidin-3-ylmethyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00456] LC/MS: m/z calculated 737.6, found 738.7 $(M + 1)^+$

Example 454: Compound 523

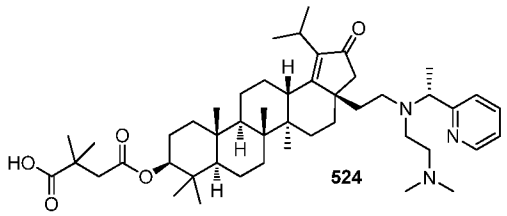
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-morpholinoethyl)(pyridin-3-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00457] LC/MS: m/z calculated 817.6, found 818.5 $(M + 1)^+$

Example 455: Compound 524

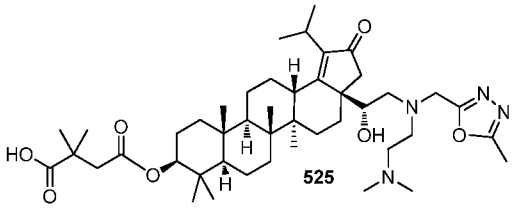
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)((R)-1-(pyridin-2-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00458] LC/MS: m/z calculated 773.6, found 774.5 $(M + 1)^+$

Example 456: Compound 525

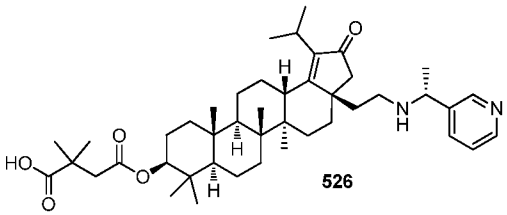
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)((5-methyl-1,3,4-oxadiazol-2-yl)methyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00459] LC/MS: m/z calculated 780.6, found 781.5 $(M + 1)^+$

Example 457: Compound 526

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(((R)-1-(pyridin-3-yl)ethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

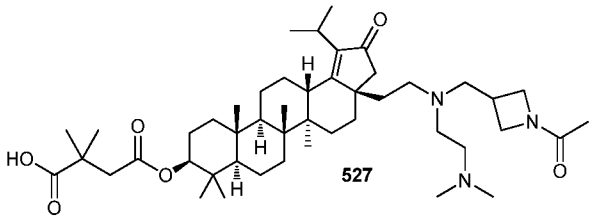


[00460] LC/MS: m/z calculated 702.5, found 703.5 $(M + 1)^+$

Example 458: Compound 527

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(((1-acetylazetidin-3-yl)methyl)(2-(dimethylamino)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-

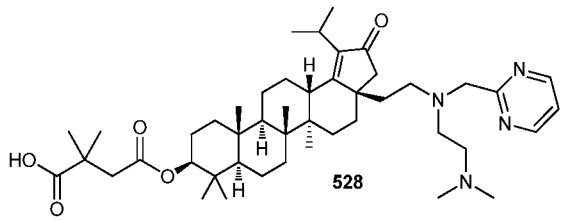
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00461] LC/MS: m/z calculated 779.6, found 780.7 $(M + 1)^+$

Example 459: Compound 528

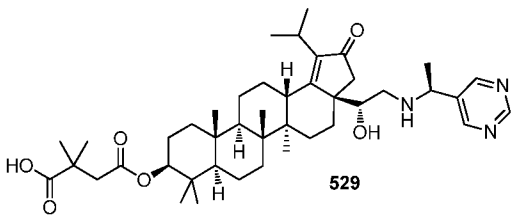
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(pyrimidin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00462] LC/MS: m/z calculated 760.5, found 761.7 $(M + 1)^+$

Example 460: Compound 529

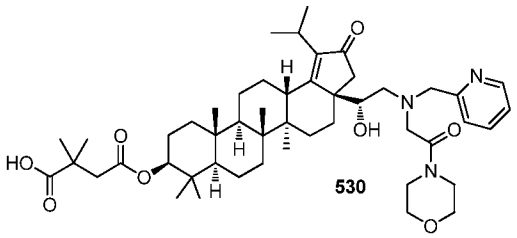
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((S)-1-(pyrimidin-5-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00463] LC/MS: m/z calculated 719.5, found 720.5 $(M + 1)^+$

Example 461: Compound 530

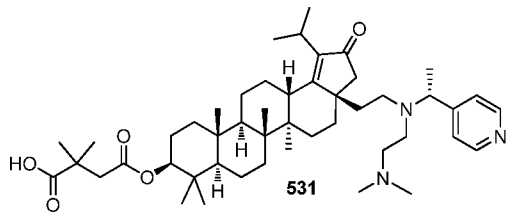
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-morpholino-2-oxoethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00464] LC/MS: m/z calculated 831.5, found 832.7 $(M + 1)^+$

Example 462: Compound 531

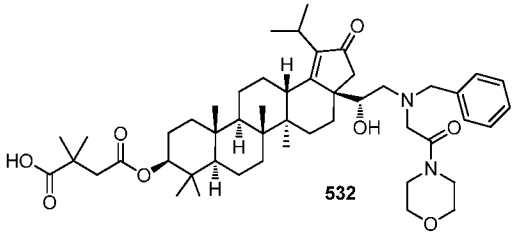
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)((R)-1-(pyridin-4-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00465] LC/MS: m/z calculated 773.6, found 774.7 $(M + 1)^+$

Example 463: Compound 532

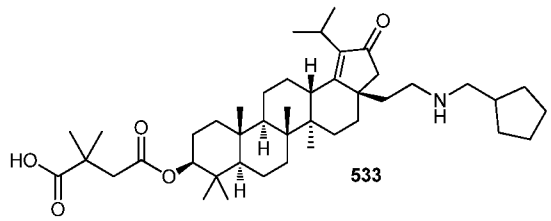
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-morpholino-2-oxoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00466] LC/MS: m/z calculated 830.5, found 831.5 $(M + 1)^+$

Example 464: Compound 533

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((cyclopentylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

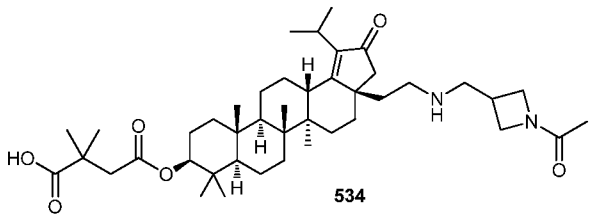


533

[00467] LC/MS: m/z calculated 679.5, found 680.7 $(M + 1)^+$

Example 465: Compound 534

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(((1-acetylazetidin-3-yl)methyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

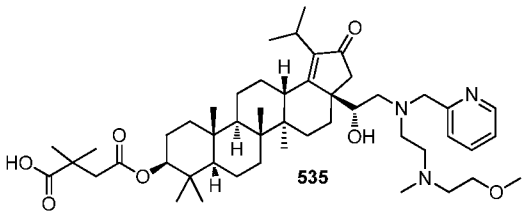


534

[00468] LC/MS: m/z calculated 708.5, found 709.7 $(M + 1)^+$

Example 466: Compound 535

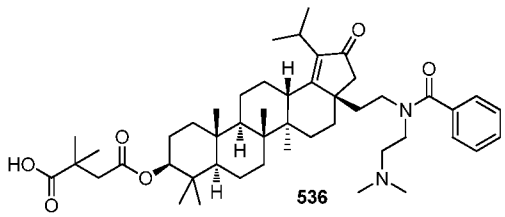
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-((2-((2-methoxyethyl)(methyl)amino)ethyl)(pyridin-2-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00469] LC/MS: m/z calculated 819.6, found 820.5 $(M + 1)^+$

Example 467: Compound 536

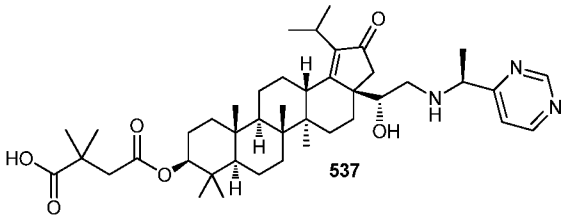
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-(2-(dimethylamino)ethyl)benzamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00470] LC/MS: m/z calculated 772.5, found 773.5 $(M + 1)^+$

Example 468: Compound 537

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((S)-1-(pyrimidin-4-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

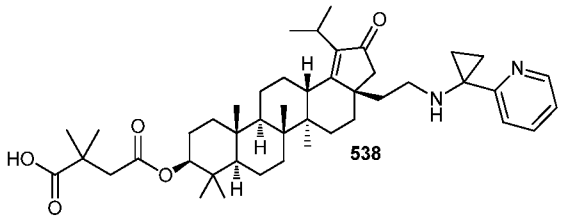


[00471] LC/MS: m/z calculated 719.5, found 720.5 $(M + 1)^+$

Example 469: Compound 538

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((1-(pyridin-2-yl)cyclopropyl)amino)ethyl)-

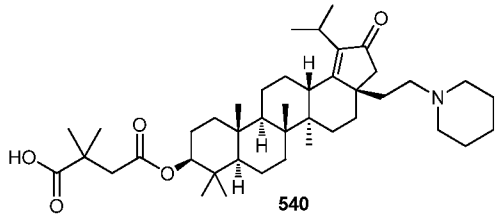
3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00472] LC/MS: m/z calculated 714.5, found 715.5 $(M + 1)^+$

Example 471: Compound 540

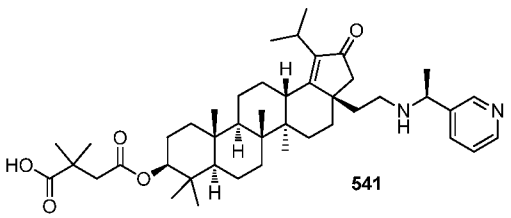
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(piperidin-1-yl)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00473] LC/MS: m/z calculated 665.5, found 666.5 $(M + 1)^+$

Example 472: Compound 541

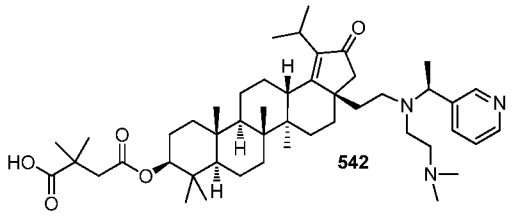
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(((S)-1-(pyridin-3-yl)ethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00474] LC/MS: m/z calculated 702.5, found 703.4 $(M + 1)^+$

Example 473: Compound 542

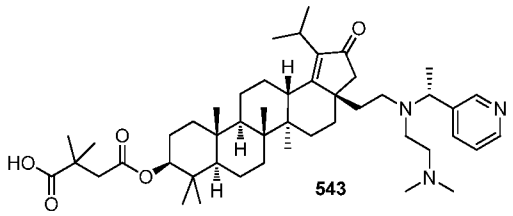
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)((S)-1-(pyridin-3-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00475] LC/MS: m/z calculated 773.6, found 774.7 $(M + 1)^+$

Example 474: Compound 543

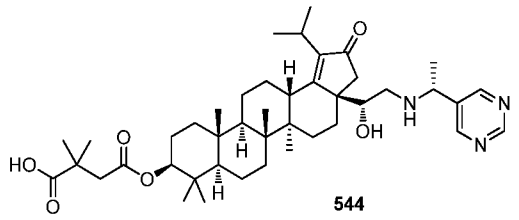
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)((R)-1-(pyridin-3-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00476] LC/MS: m/z calculated 773.6, found 774.7 $(M + 1)^+$

Example 475: Compound 544

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-1-hydroxy-2-(((R)-1-(pyrimidin-5-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

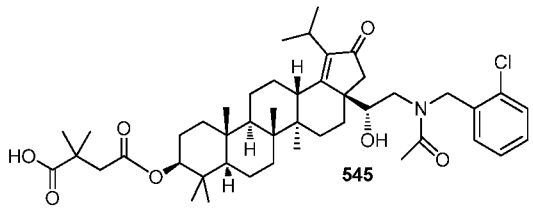


544

[00477] LC/MS: m/z calculated 719.5, found 719.8 $(M + 1)^+$

Example 476: Compound 545

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(N-(2-chlorobenzyl)acetamido)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

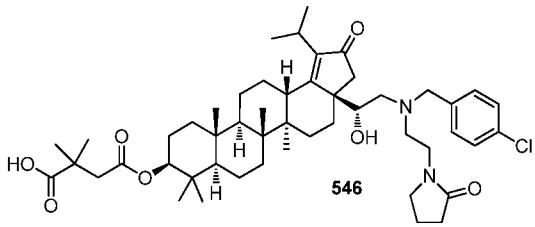


545

[00478] LC/MS: m/z calculated 779.4, found 780.3 $(M + 1)^+$

Example 477: Compound 546

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((4-chlorobenzyl)(2-(2-oxopyrrolidin-1-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

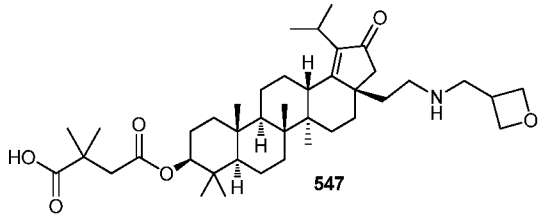


546

[00479] LC/MS: m/z calculated 848.5, found 849.5 $(M + 1)^+$

Example 478: Compound 547

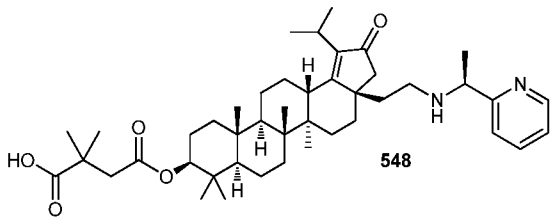
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-3a-(2-((oxetan-3-ylmethyl)amino)ethyl)-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00480] LC/MS: m/z calculated 667.5, found 668.4 $(M + 1)^+$

Example 479: Compound 548

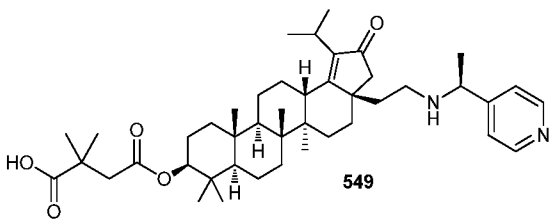
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(((S)-1-(pyridin-2-yl)ethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00481] LC/MS: m/z calculated 702.5, found 703.5 $(M + 1)^+$

Example 480: Compound 549

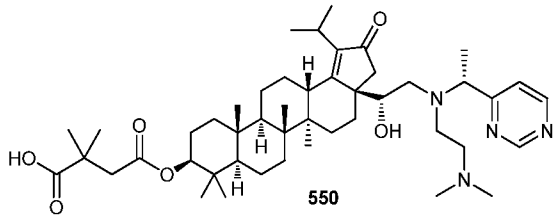
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-(((S)-1-(pyridin-4-yl)ethyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00482] LC/MS: m/z calculated 702.5, found 703.4 $(M + 1)^+$

Example 481: Compound 550

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)((R)-1-(pyrimidin-4-yl)ethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

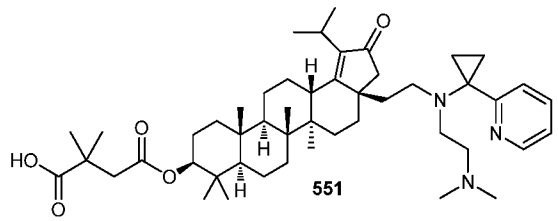


550

[00483] LC/MS: m/z calculated 790.6, found 791.5 $(M + 1)^+$

Example 482: Compound 551

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(1-(pyridin-2-yl)cyclopropyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

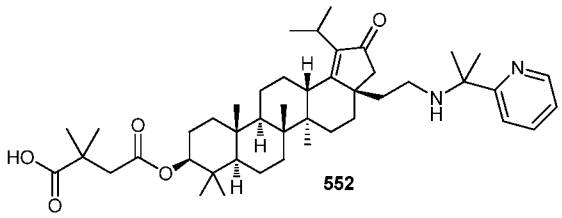


551

[00484] LC/MS: m/z calculated 785.6, found 786.5 $(M + 1)^+$

Example 483: Compound 552

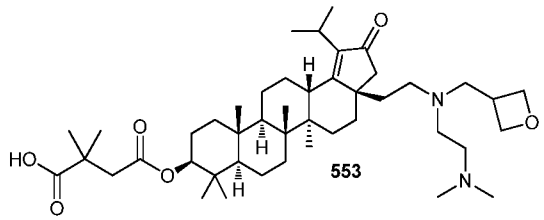
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((2-(pyridin-2-yl)propan-2-yl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00485] LC/MS: m/z calculated 716.5, found 717.5 $(M + 1)^+$

Example 484: Compound 553

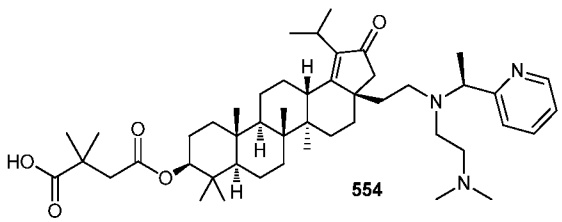
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(oxetan-3-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00486] LC/MS: m/z calculated 738.5, found 739.5 $(M + 1)^+$

Example 485: Compound 554

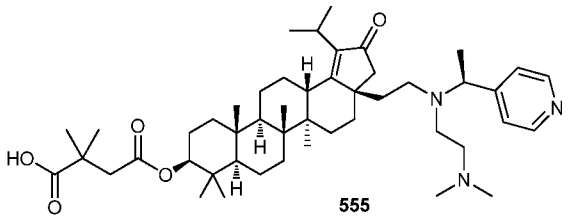
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)((S)-1-(pyridin-2-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00487] LC/MS: m/z calculated 773.6, found 774.5 $(M + 1)^+$

Example 486: Compound 555

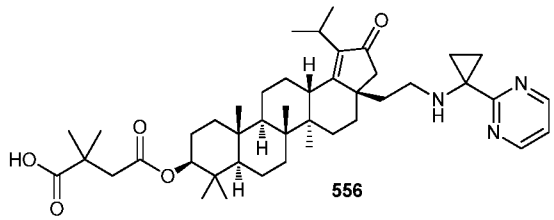
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)((S)-1-(pyridin-4-yl)ethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00488] LC/MS: m/z calculated 773.6, found 774.5 $(M + 1)^+$

Example 487: Compound 556

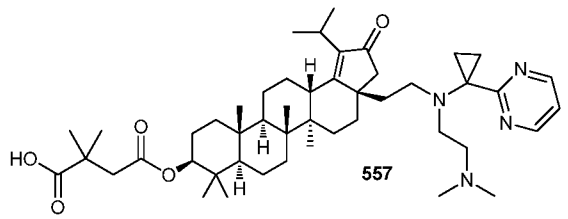
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((1-(pyrimidin-2-yl)cyclopropyl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00489] LC/MS: m/z calculated 715.5, found 716.5 $(M + 1)^+$

Example 488: Compound 557

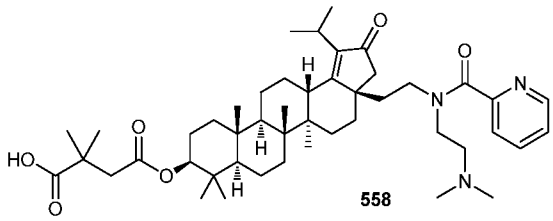
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(1-(pyrimidin-2-yl)cyclopropyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00490] LC/MS: m/z calculated 786.6, found 787.5 $(M + 1)^+$

Example 489: Compound 558

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-(N-(2-(dimethylamino)ethyl)picolinamido)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

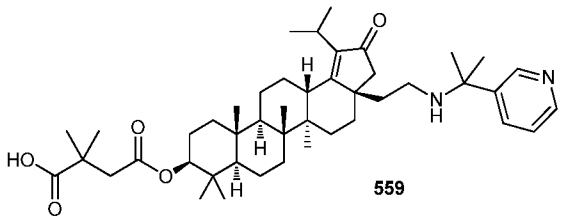


558

[00491] LC/MS: m/z calculated 773.5, found 774.5 $(M + 1)^+$

Example 490: Compound 559

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((2-(pyridin-3-yl)propan-2-yl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

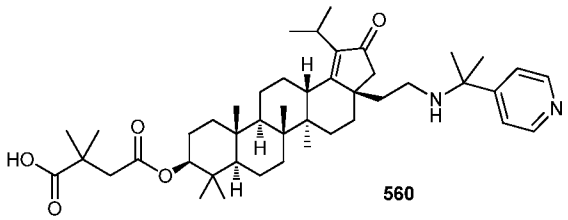


559

[00492] LC/MS: m/z calculated 716.5, found 717.5 $(M + 1)^+$

Example 491: Compound 560

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3a-(2-((2-(pyridin-4-yl)propan-2-yl)amino)ethyl)-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

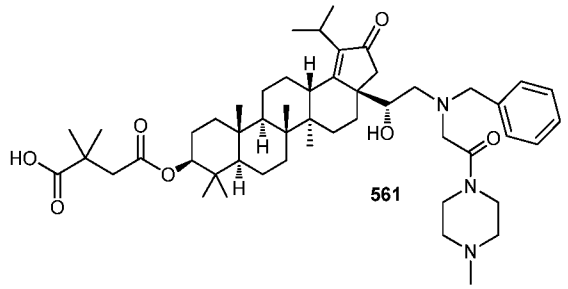


560

[00493] LC/MS: m/z calculated 716.5, found 717.5 $(M + 1)^+$

Example 492: Compound 561

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-(benzyl(2-(4-methylpiperazin-1-yl)-2-oxoethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

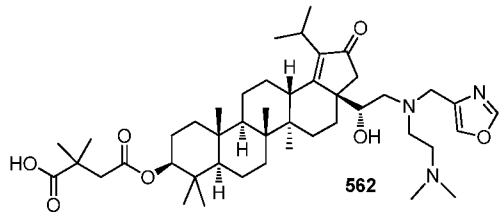


561

[00494] LC/MS: m/z calculated 843.6, found 844.5 $(M + 1)^+$

Example 493: Compound 562

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(oxazol-4-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.

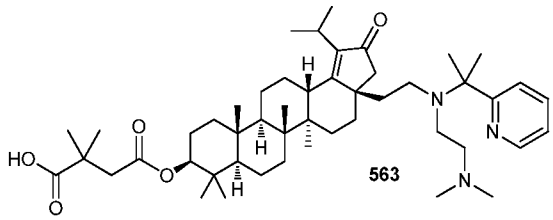


562

[00495] LC/MS: m/z calculated 765.5, found 766.5 $(M + 1)^+$

Example 494: Compound 563

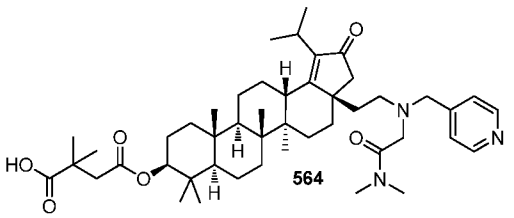
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)ethyl)(2-(pyridin-2-yl)propan-2-yl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00496] LC/MS: m/z calculated 787.6, found 788.5 $(M + 1)^+$

Example 495: Compound 564

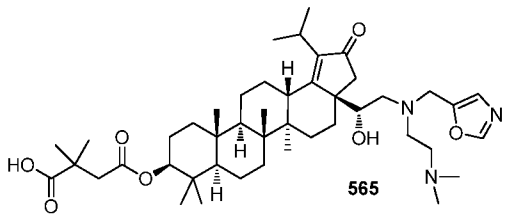
4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-(2-((2-(dimethylamino)-2-oxoethyl)(pyridin-4-ylmethyl)amino)ethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00497] LC/MS: m/z calculated 773.6, found 774.5 $(M + 1)^+$

Example 496: Compound 565

4-(((3aR,5aR,5bR,7aR,9S,11aR,11bR,13aS)-3a-((R)-2-((2-(dimethylamino)ethyl)(oxazol-5-ylmethyl)amino)-1-hydroxyethyl)-1-isopropyl-5a,5b,8,8,11a-pentamethyl-2-oxo-3,3a,4,5,5a,5b,6,7,7a,8,9,10,11,11a,11b,12,13,13a-octadecahydro-2H-cyclopenta[a]chrysen-9-yl)oxy)-2,2-dimethyl-4-oxobutanoic acid.



[00498] LC/MS: m/z calculated 765.5, found 766.5 $(M + 1)^+$

[00499] Methods for the preparation of the betulin derivatives, including the compounds of formula (I) and formula (II) are described in WO2013090664 deriving from US Provisional Application 61/576448, filed December 16, 2011, which is incorporated herein by reference in its entirety.

[00500] The pharmaceutical compositions of the invention are presented as pharmaceutical compositions suitable for parenteral administration. The compositions may also include a safe and effective amount of other active ingredients, such as antimicrobial agents, antiviral agents, or preservatives.

[00501] It will be appreciated by those skilled in the art that the amount of active ingredients required for use in treatment will vary according to a variety of factors, including the nature of the condition being treated and the age and condition of the patient, and will ultimately be at the discretion of the attending physician, veterinarian or health care practitioner.

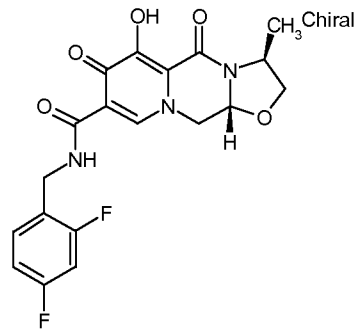
[00502] Compositions of the present invention enable patients greater freedom from multiple dosage regimens and ease the needed diligence required in remembering complex daily dosing times and schedules. The compositions of the present invention are particularly suitable for administration as a single dose monthly, bi-monthly or tri-monthly, or at any interval between 30 and 365 days, including every six or twelve months.

[00503] Advantageously, the compositions of the present invention may be administered once per month.

[00504] The compositions of the present invention may be used in combination with other pharmaceutical formulations as a component of a multiple drug treatment regimen. Such combinations could be administered to a subject in one dosage unit, such as a fixed dose combination or it could be administered in separate dosage units.

[00505] Compositions of the present invention may also be packaged as articles of manufacture comprising a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt thereof; and therapeutically effective amount of one or more of the following: nucleoside reverse transcriptase inhibitor, non-nucleoside reverse transcriptase inhibitor, protease inhibitor, and integrase inhibitor.

[00506] In one embodiment, the compositions of the present invention could be administered to a subject in combination with one or more of the following HIV treatment compounds: dolutegravir, rilpivirine, and/or a compound having the following structure:



[00507] The packaging material may also have labelling and information related to the pharmaceutical composition printed thereon. Additionally, an article of manufacture may contain a brochure, report, notice, pamphlet, or leaflet containing product information. This form of pharmaceutical information is referred to in the pharmaceutical industry as a "package insert." A package insert may be attached to or included with a pharmaceutical article of manufacture. The package insert and any article of manufacture labelling provides information relating to the pharmaceutical composition. The information and labelling provides various forms of information utilized by health-care professionals and patients, describing the composition, its dosage and various other parameters required by regulatory agencies such as the United States Food and Drug Agencies.

[00508] The present invention further provides the following embodiments:

(a) A parenteral pharmaceutical composition comprising an effective amount of compound of formula (I) or a pharmaceutically acceptable salt thereof, for the long term treatment of HIV infection, or prevention of HIV infection in an individual at risk of being infected by HIV, wherein the composition is administered intermittently at a time interval of at least one week.

(b) The composition according to (a) wherein the composition is administered once every two weeks.

(c) The composition according to (a) wherein the composition is administered once every month.

(d) The composition according to any one of (a) to (c) wherein the effective amount of compound of formula (I) or a pharmaceutically acceptable salt thereof is selected such that the blood plasma concentration of compound of formula (I) in a subject is kept during a prolonged period of time at a level between a maximum blood plasma level which is the blood plasma level that causes significant side effects and the minimum blood plasma level that is the lowest blood plasma level that causes a compound of formula (I) to provide effective treatment or prevention of HIV infection.

(e) The composition according to (d) wherein the blood plasma level of a subject is kept

at a level equal to or above about 150 ng/ml, in particular equal to or above about 600 ng/ml.

(f) The composition according to any one of (a) to (e), wherein the composition is administered subcutaneously or intramuscularly.

(g) The composition according to any one of (a) to (f), which comprises the aforementioned surfactant system comprising polysorbate and /or polyvinylpyrrolidone.

(h) A method for the treatment or prevention of an HIV infection in a human comprising a pharmaceutical composition according to any of the above (a) to (g).

[00509] The dose of a compound of formula (I) administered, which is the amount of compound (I) in the parenteral composition for use in the invention, may be selected such that the blood plasma concentration of compound (I) in a subject is kept during a prolonged period of time above a minimum blood plasma level. The term "minimum blood plasma level" (or $C_{min.}$) in this context refers to the lowest efficacious blood plasma level, that is, the blood plasma level of compound (I) that provides effective prevention or treatment HIV infection. In the case of transmission of HIV from an individual infected by HIV to an individual not infected by HIV, this is the lowest blood plasma level that is effective in inhibiting said transmission.

[00510] The blood plasma level of compound (I) in a subject may be kept at a level above a minimum blood plasma level of about 170 ng/ml, about 700 ng/ml, or about 1000 ng/ml. The blood plasma levels of compound (I) in a subject may be kept above these minimum blood plasma levels because at lower levels the drug may no longer be effective, thereby increasing the risk of transmission of HIV infection, and may be suboptimal for treatment of HIV infected subjects. Plasma levels of compound (I) may be kept at higher levels to avoid the development of HIV mutations, while maintaining a safety margin.

[00511] An advantage of the mode of administration of compound (I) is that high C_{min} levels can be achieved without a commensurate high C_{max}, which could mitigate potential side effects associated with C_{max}.

[00512] The effective amount of compound (I) to be administered may be selected such that the blood plasma concentrations in a subject are kept during a prolonged period of time at a level between a maximum plasma level (or C_{max}) and the minimum blood plasma level (or C_{min}).

[00513] In some embodiments the blood plasma level of compound (I) in a subject may be kept between the minimum blood plasma level (or C_{min} as specified above) and the lower maximum plasma level of compound (I) (or C_{max}) which is defined as the level that corresponds to the lowest blood plasma level where compound (I) acts therapeutically. The lowest level where compound (I) acts therapeutically is the lowest blood plasma level that is

effective in inhibiting replication of HIV in individuals infected by HIV so that the viral load of HIV is relatively low, for example where the viral load (represented as the number of copies of viral RNA in a specified volume of serum) is below about 200 copies/ml, in particular below about 100 copies/ml, more particularly below 50 copies/ml, specifically below the detection limit of the assay for HIV.

[00514] As mentioned above, the blood plasma levels of compound (I) depend on the amount of active ingredient in each parenteral dosage administered. However, it also depends on the frequency of the administrations (i.e. the time interval between each administration). Both parameters can be used to direct the blood plasma levels to the desired values. The dose may be higher where administrations are less frequent.

[00515] Although the plasma levels of compound (I) should remain below a maximum or above a minimum value, they may surpass the maximal value or drop below the minimal value during relatively short periods of time, which may be as short as possible. The maximum and minimum plasma levels therefore can be expressed as mean plasma levels during a certain period of time.

[00516] In some instances there may be a small initial plasma concentration peak shortly after administration, after which the plasma levels achieve a steady-state.

[00517] The compositions of the present invention conveniently allow administration of the compound of Formula I (or any compound in table 1) in unit dosage form containing, for example, from about 1 mg to about 1000 mg, from about 20 mg to about 100 mg, from about 20 mg to about 300 mg, from about 25 mg to about 800 mg, from about 25 mg to about 100 mg, from about 100 mg to about 200 mg, from about 200 mg to about 400 mg, from about 100 mg to about 800 mg, from about 100 mg to about 600 mg, from about 100 mg to about 400 mg per unit dosage form, or from about 400 mg to about 800 mg. In one embodiment, the unit dose is from about 100 mg to about 200 mg, which is administered to the subject once every month. In some embodiments, there could be an initially loading dose that is substantially higher than the later maintenance dose. Therefore, in one embodiment, the compound of Formula I is administered initially to the subject as a loading dose in amount that ranges from 400 mg to 800 mg and then is administered as a maintenance dose thereafter in an amount that ranges from about 20 mg to about 300 mg. In another embodiment, the subject could be dosed initially with 800 mg, then dosed at 100 mg thereafter.

[00518] The unit dose concentration of the compound of Formula I (or any compound in table 1) in the formulation may be selected from any of the following ranges: 0.05 - 0.5 μM, 0.5 to 1 μM, 1-5 μM, 5-25 μM, 25-50 μM, or 50-150 μM.

[00519] The dose to be administered may be calculated on a basis of about 1 mg/day to about 50 mg/day, preferably 3 mg/day to about 30 mg/day. This corresponds to a weekly

dose of about 7 mg to about 350 mg, preferably about 20 mg to about 200 mg, or to a monthly dose of about 30 mg to about 1500 mg, preferably about 90 mg to about 900 mg. Doses for other dosing regimens can readily be calculated by multiplying the daily dose with the number of days between each administration.

[00520] The dose to be administered may be calculated on a basis of about 0.001mg/kg//day to about 1 mg/kg/day, preferably 0.05mg/kg/day to about 0.5 mg/kg/day. This corresponds to a weekly dose of about 0.5 mg to about 500 mg, preferably about 20 mg to about 200 mg, or to a monthly dose of about 30 mg to about 1500 mg, preferably about 90 mg to about 900 mg. Doses for other dosing regimens can readily be calculated by multiplying the daily dose with the number of days between each administration.

[00521] Once administered, the blood plasma levels of compound (I) in a subject may be more or less stable. After initial rise of the blood plasma levels, a steady state mode may be achieved during a prolonged period of time. By "steady state" is meant the condition in which the amount of drug present in the blood plasma of a subject stays at more or less the same level over a prolonged period of time. The plasma levels of compound (I) may then gradually decrease over time, and when the minimum plasma level is reached, then the next dose of compound (I) may be administered. The term "stays at more or less the same level" does not exclude that there can be small fluctuations of the plasma concentrations within an acceptable range, for example, within about 30%, about 20%, or about 10%.

[00522] The parenteral compositions of compound (I) may be administered by intravenous injection or, preferably by subcutaneous or intramuscular administration.

[00523] The present invention is based on the use of parenteral compositions of the active ingredient compound (I) and therefore the nature of the carrier is selected for suitability for parenteral administration. The carrier in most cases will comprise sterile water, in although other ingredients, for example, to aid solubility, may be included. Injectable solutions or suspensions, for example, may be prepared in which the carrier comprises saline solution, glucose solution or a mixture of saline and glucose solution. Further, the carrier may contain the surfactant system mentioned above such as polysorbate and polyethyleneglycol.

[00524] The parenteral pharmaceutical composition comprising compound (I) of the present invention is long-acting. Accordingly, the composition is useful for the treatment or prevention of HIV infection with administration at long time intervals, compared with conventional compositions or with other compounds similar to compound (I) in chemical structure. The compositions of the present invention can be intermittently administered to a patient, e.g., once per week, once per month, once per every 2 months, or one per every 3 months. In one embodiment, the compositions of the present invention could be administered at higher dosages (e.g., 800 mg) as a “loading dose” for the first one to three months, while after the first one to months the dosage could be lowered.

[00525] Therefore, the compositions of the present invention and an administration by subcutaneous (SC) or intramuscular (IM) injection using the same can lead to a remarkable reduction in medication (pill) burden or difficulty in patient compliance. Further, such intermittent administration of a composition of the present invention can contribute to maintaining therapy at appropriate compliance which leads to prevention of emergence of drug resistant HIV and maintaining the efficacy of therapy for an extended period of time.

[00526] In embodiment, the compound of Formula I formulation is a liquid suspension form for a bolus intramuscular or subcutaneous administration at a concentration ranges from 10 mg/ml to 250 mg/ml and having an injection volume of up to 4 ml (e.g., 2 injections, each 2 ml).

EXAMPLES

[00527] The following examples further describe and exemplify particular embodiments within the scope of the present Invention. The examples are given solely for illustration and are not to be construed as limitations as many variations are possible without departing from spirit and scope of the Invention.

[00528] The compound of Formula I, may be synthesized by one of skill in the art by following the teachings of PCT Published Application No. WO WO2013090664 deriving from US Provisional Application 61/576448, filed December 16, 2011 which disclose a class of compounds useful in the treatment of HIV infection and AIDS.

[00529] A Thermo Orion 9110DJWP microelectrode and a Metrohmn 827 pH Meter were used for pH measurements. An Advanced Micro-Osmometer 3320 was used for osmolarity measurements. A Retsch PM400 planetary mill was used for wet bead milling.

Example 1: Preparation of LAP Vehicle

[00530] 1.0 g of Polysorbate 80 was added to a 0.5 L volumetric flask. About 100 mL of Water for Injection (WFI) was added to the flask to dissolve. 8.5 g of Plasdone K29/32 was added to the flask with an additional 300 mL of WFI. The contents were stirred with a stir bar to dissolve. Phosphate buffer: 0.11039 g NaH_2PO_4; 0.27598 g NaH_2PO_4:H_2O; and 0.22572 g Na_2HPO_4 along with 4.16389 g NaCl as isotonicity agent was added. The mixture was again stirred to dissolve and then was q.s. to 500 mL. The solution was filtered through a 0.22 micrometer Corning filter. The resultant LAP vehicle was 1.7% w/v Plasdone K29/32 and 0.2% w/v Polysorbate 80 in phosphate buffer: 0.004M NaH_2Po_4 and 0.006M Na_2HPO_4.

Example 2: Homogenized Suspension Compositions

[00531] ***(a) 2.5 mg/ml homogenized solution of the Compound of Formula I in LAP Vehicle for subcutaneous injection(SQ).***

[00532] 17.5 mg of the compound of Formula (I) was added to a clear 10 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 7 grams. The solution was homogenized using a handheld Polytron PT1200F homogenizer for 1-2 minutes with a speed increasing from low to near max. The solution was then stirred at ambient room temperature. The resulting title solution had an osmolarity of 299 mOsm/kg and pH of 6.92. The solution was utilized for 5 mg/kg SQ injections.

[00533] ***(b) 10.0 mg/ml homogenized solution of the Compound of Formula I in LAP Vehicle for SC and IM (intra-muscular) injection***

[00534] 80 mg of the compound of Formula (I) was added to a clear 10 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 8 grams. The solution was homogenized using a handheld Polytron PT1200F homogenizer for 1-2 minutes with a speed increasing from low to near max. The solution was then stirred at ambient room temperature. The resulting title solution had an osmolarity of 300 mOsm/kg and pH of 7.25. The solution was utilized for 5 mg/kg IM injections and 20 mg/kg SQ injections.

[00535] ***(c) 25.0* mg*/ml homogenized solution of the Compound of Formula I in LAP Vehicle for SC and IM (intra-muscular) injection***

[00536] 250 mg of the compound of Formula (I) was added to a clear 20 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 10 grams. The solution was homogenized using a handheld Polytron PT1200F homogenizer for 1-2 minutes with a speed increasing from low to near max. The solution was then stirred at ambient room temperature. The resulting title solution had an osmolarity of 323 mOsm/kg and pH of 7.68. The solution was utilized for 2.5 mg/kg IM injections and 2.5 mg/kg SQ injections.

[00537] ***(d) 40.0 mg/ml homogenized solution of the Compound of Formula I in LAP Vehicle for IM injection***

[00538] 160 mg of the compound of Formula (I) was added to a clear 5 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 4 grams. The solution was homogenized using a handheld Polytron PT1200F homogenizer for 1-2 minutes with a speed increasing from low to near max. The solution was then stirred at

ambient room temperature. The resulting title solution had an osmolarity of 329 mOsm/kg and pH of 7.87. The solution was used for 20 mg/kg IM injections.

Example 3: Wet Bead Milling Formulations

[00539] ***(a) Preparation of Wet Bead Milled Stock Suspension of the Compound of Formula I in LAP Vehicle***

[00540] 500 mg of the compound of Formula I is weighed into a 50mL milling vessel. compound of Formula I was added to a clear 10 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 10 grams thereby yielding a 100 mg/ml suspension. Beads were added at 4x suspension volume and the milling vessel was sealed with security tape. Milling was started at 250 rpm for 3 hours using a planetary mill PM400 with a 15 minute interval. After 3 hours the milling vessel was left in the planetary mill overnight at ambient room temperature. The beads were filtered using a 25 mm Easy pressure Syringe Filter Holder (screen size:149 micrometers). A milky suspension was collected and stirred with a stir bar to defoam. The resulting wet bead milled (WBM) suspension had an osmolarity of 303 mOsm/kg and pH of 7.2. The solution was utilized for preparing the WBM suspensions following.

[00541] ***(b) 10.0 mg/ml WBM suspension of the Compound of Formula I in LAP Vehicle for IM injection***

[00542] 0.426 g of WBM suspension of Example 3(a) was added to a clear 5 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 2 grams. The contents were swirled to mix. The resulting title solution had a pH of 6.87. The solution was utilized for 5 mg/kg IM injections.

[00543] ***(c) 2.5 mg/ml WBM suspension of the Compound of Formula I in LAP Vehicle for SQ injection***

[00544] 0.266 g of WBM suspension of Example 3(a) was added to a clear 10 ml sterile vial with a crimp cap. The LAP Vehicle (as prepared in Example 1) was added to a weight of 5 grams. The contents were swirled to mix. The resulting title solution had a pH of 6.78. The solution was utilized for 5 mg/kg SQ injections.

[00545] Injections were made in Sprague-Dawley rats SQ and IM at 5 and 20 mg/kg doses with $T_{1/2}$, C_{max}, T_{max}, and AUC being measured. Results are shown in Table 2 and Figure 1, In Figure 1 the human protein adjusted IC_{90} = 4.31 ng/mL; the y-axis was a LAP

concentration mean (n=3 per IM/SQ route); $T_{1/2}$ IV = 3.4 hours; and AUC_{0-24} IV = 2.96 hr*microgram/mL.

Table 2

Route of Administration	Dose	$T_{1/2}$ (days)	C_{max} (ng/ml)	T_{max} (h)	AUC_{0-t}(h*µg/ml)
SQ	5	5.0 ± 2.2	170.3 ± 9.2	6.7 ± 2.3	[a]8.0 ± 0.5
	20	19.3 ± 9.5	284.7 ± 48.4	6.7 ± 2.3	[b]23.9 ± 7.2
IM	5	6.2 ± 2.5	100.3 ± 7.8	5.3 ± 2.3	[c]7.7 ± 1.0
	20	12.4 ± 5.1	177.7 ± 56.9	8.0 ± 4.0	[d]24.9 ± 9.5

a=24 days; b=57 days; c=17 days; and d=42 days

[00546] Injections were also made in Beagle dogs SQ and IM at 5 and 20 mg/kg doses with $T_{1/2}$, C_{max}, T_{max}, and AUC measured. Results are shown in Table 3 and Figure 2. In Figure 2 the human protein adjusted IC_{90} = 4.31 ng/mL; the y-axis was a LAP concentration mean (n=3 per IM/SQ route); $T_{1/2}$ IV = 6.9 hours; and AUC_{0-24} IV = 4.15 hr*microgram/mL.

Table 3

Route of administration	Dose mg/kg	$T_{1/2}$ (days)	C_{max} (ng/ml)	T_{max} (h)	AUC_{0-t}(h*µg/ml)
SQ	2.5	4 ± 3	38.0 ± 11.0	144 ± 0	[a]7.9 ± 1.9
	5	5.3 ± 2.3	59.0 ± 14.5	208 ± 55.4	[b]17.4 ± 1.4
IM	2.5	3 ± 3	59.3 ± 23.2	80.0 ± 13.9	[c]8.6 ± 2.0

	5	4.9 ± 1.1	69.7 ± 7.2	128.0 ± 27.7	[d]16.2 ± 1.9

a=22 days; b=40 days; c=17 days; and d=36 days

Example 4: Experimental Procedure for Rat LAP study:

[00547] For one formulation, if a particle size (D_{50}) of >1 μm is desired, the drug (compound of Formula I) is either directly suspended into the aqueous buffer solution, or firstly milled by air milling into a more desirable particle size then followed by the suspension. In such cases, the suspension was prepared by weighing the drug and the buffer solution components into a suitable container followed by adding water for injection. The mixture was then vortexed until a uniform suspension was formed without visible agglomerates. Additional water for injection was then added to the target volume. Alternatively, if a particle size (D_{50}) of <1 μm is desired, the drug is firstly suspended into the buffer solution as stated above, then subjected to bead milling or microfluidization process in order to reduce the particle size to the submicron range. The prepared suspension is then subjected to a terminal sterilization by γ-irradiation at a minimum dose of 25 kGy.

[00548] For a second formulation, the drug (compound of Formula I) and selected encapsulating polymer were co-dissolved in a suitable organic solvent, wherein the organic solvent met the following criteria: a) had a good solubility for the compound of Formula I and the selected polymer, b) was not miscible with water; c) had a low boiling point, thus a good volatility. Suitable organic solvents are; for example, methylene chloride (used in this Example), chloroform, ethyl acetate, ethyl formate, etc. The solution was then mixed at a volume ratio 1:2 to 1:100 with water containing 0.1-10% (w/v) surfactant selected from polyvinyl alcohol (PVA – 1% PVA used in this Example), polyvinyl pyrrolidone (PVP), poloxamers, polysorbates, polyethoxylated castor oil, tocopheryl polyethylene glycol succinate, etc, to form a uniform emulsion. The emulsion was then subjected to vacuum evaporation to completely remove the volatile organic solvent, for example, in a rotorvap. The uniform suspension was then centrifuged and the resulting pellet was washed with water for injection 3 times to remove the surfactant. The washed pellet was then resuspended by water for injection in a suitable container followed by freeze drying into powdery microparticles encapsulating the compound of Formula I. The microparticles were then finally subjected to a terminal sterilization by γ-irradiation on dry ice at a minimum dose of 25 kGy.

Microparticle A: (Drug:Resomer 752S 1:1)
Microparticle B: (Drug:Resomer 752S 1:2)

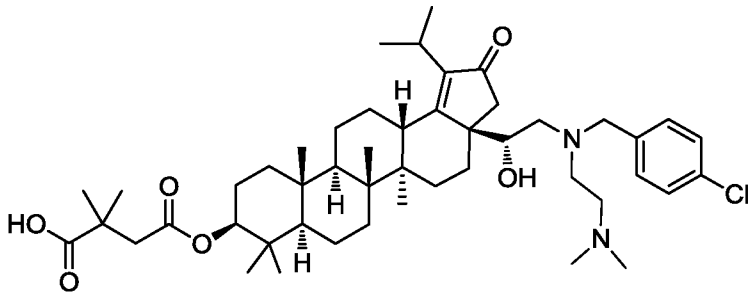
[00549] On the day of the study, the microparticle A (compound of Formula I:Resomer 752S 1:1) and B (Compound of Formula I:Resomer 752S 1:2) were mixed with 0.912 and 0.945 ml of vehicle, respectively, by vortexing until a visually uniform suspension was obtained with no large agglomerates. The drug suspension was already formulated as a uniform 1 ml suspension and was re-suspended by vortexing until a visually uniform suspension was obtained with no large agglomerates. Three male Crl:CD rats per formulation were dosed and sampled for the intramuscular route of administration of the compound of Formula I. The intramuscular dose of the compound of Formula I was administered as a single dose of 20 mg/kg and at a dose volume of 0.5 ml/kg. Blood samples were collected at 0.5, 1, 2, 4, 6, 8, 12, and 24 hours and up to 1680 hours post dose adminsitration. For each time point after dosing, approximately 0.1 ml blood samples were collected through tail-snip method, and immediately frozen and stored at -70°C until analysis. Rat blood samples were then analyzed for the concentrations of the compound of Formula I using a method based on protein precipitation followed by LC-MS/MS analysis. The results of this Example are shown in Table 4 and graphed in Figure 3.

Table 4

Route (Dose)	**Formulation**	$T_{1/2}$ (days)	C_{max} (ng/ml)	T_{max} (hr)	**AUC** 0-1680h/70days (h*mg/ml)
IM 5 mg/kg	Drug Suspension	16 ± 0.6	284.0±129.8	5.3 ± 2.3	56.5 ± 18.7
IM 5 mg/kg	Microparticle A	11 ± 5.7	612.7±143.4	8.7 ± 3.1	49.5 ± 7.8
IM 5 mg/ig	Microparticle B	10.0 ± 3.5	424.7 ± 82.4	10.0 ± 3.5	46.2 ± 5.3

The claims defining the invention are as follows:

1. A LAP pharmaceutical composition, comprising a compound of Formula I:



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or a pharmaceutically acceptable salt thereof, further comprising a surfactant system, wherein the surfactant system comprises a surfactant in an amount ranging from about 0.1% (w/v) to about 3% (w/v) surfactant.

2. The pharmaceutical composition according to claim 1, wherein the surfactant system comprises a surfactant in an amount ranging from about 0.2% (w/v) to about 0.4% (w/v) surfactant.

3. The pharmaceutical composition according to claim 1, wherein the surfactant system comprises about 0.4% (w/v) surfactant.

4. The pharmaceutical composition according to any one of claims 1 to 3, wherein the surfactant system comprises a surfactant that is polysorbate 80.

5. The pharmaceutical composition according to any one of claims 1 to 4, wherein the surfactant system comprises a stabilizer that is selected from the group consisting of polyethylene glycols, carboxymethylcellulose calcium, carboxymethylcellulose sodium, methylcellulose, hydroxyethylcellulose, hydroxypropylcellulose, hydroxymethylpropylcellulose, polysaccharides, hyaluronic acid, polyvinyl alcohol (PVA) and polyvinylpyrrolidone (PVP).

6. The pharmaceutical composition according to claim 5, wherein the surfactant system comprises a stabilizer that is polyethylene glycol.

7. The pharmaceutical composition according to claim 6, wherein the surfactant system comprises a stabilizer that is PEG-3350.

8. The pharmaceutical composition according to any one of claims 5 to 7, wherein the surfactant system comprises a stabilizer in an amount that ranges from about 1% (w/v) to about 5% (w/v) stabilizer.

9. The pharmaceutical composition according to claim 8, wherein the surfactant system comprises about 2% (w/v) stabilizer.

10. The pharmaceutical composition according to any one of claims 1 to 9, wherein the surfactant system comprises a buffer salt.

11. The pharmaceutical composition according to claim 10, wherein the surfactant system comprises a buffer salt that is phosphate buffered saline.

12. The pharmaceutical composition according to claim 10, wherein the surfactant system comprises a buffer salt at a concentration of about 10 mM.

13. The pharmaceutical composition according to any one of claims 1 to 12, wherein the compound of Formula I is in a crystalline form prior to encapsulating into a microparticle and combining with a surfactant system.

14. The pharmaceutical composition according to any one of claims 1 to 13, wherein the compound of Formula I is in an amorphous microparticle form.

15. The pharmaceutical composition according to any one of claims 1 to 14, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.05 μm to about 100 μm.

16. The pharmaceutical composition according to any one of claims 1 to 14, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.1 μm to about 5 μm.

17. The pharmaceutical composition according to any one of claims 1 to 16, wherein the compound of Formula I is encapsulated in a polymer.

18. The pharmaceutical composition according to claim 17, wherein the compound of Formula I is encapsulated in a polymer that comprises poly (lactic-*co*-glycolic) acid.

19. The pharmaceutical composition according to any one of claims 1 to 14, 17 or 18, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.05 μm to about 100 μm, wherein said microparticles comprise substantially the same size.

20. The pharmaceutical composition according to any one of claims 1 to 14, 17 or 18, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.05 μm to about 100 μm, wherein said microparticles comprise two or more substantially different particle sizes that provide for earlier and later release after administration to a subject and result in varying absorption kinetics therein.

21. The pharmaceutical composition according to any one of claims 1 to 14, 17 or 18, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.05 μm to about 0.5 μm.

22. The pharmaceutical composition according to any one of claims 1 to 14, 17 or 18, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 0.5 μm to about 5 μm.

23. The pharmaceutical composition according to any one of claims 1 to 14, 17 or 18, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 5 μm to about 25 μm.

24. The pharmaceutical composition according to any one of claims 1 to 14 and 17 to 20, wherein the compound of Formula I is in a microparticle form, wherein the microparticles of the compound of Formula I range in size from about 25 μm to about 100 μm.

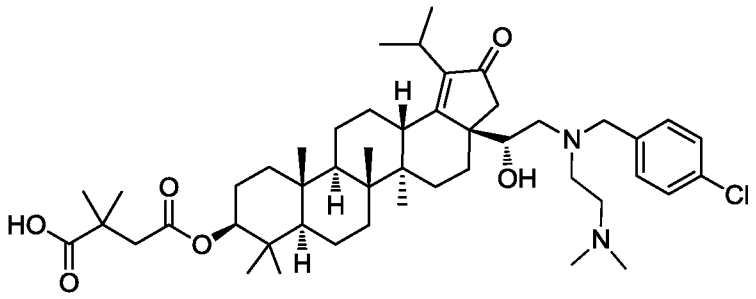
25. The pharmaceutical composition according to any one of claims 1 to 24, wherein the compound of Formula I is present in an amount ranging from about 20 mg to about 100 mg.

26. The pharmaceutical composition according to any one of claims 1 to 24, wherein the compound of Formula I is present in an amount ranging from about 100 mg to about 200 mg.

27. The pharmaceutical composition according to any one of claims 1 to 24, wherein the compound of Formula I is present in an amount ranging from about 200 mg to about 400 mg.

28. The pharmaceutical composition according to any one claims 1 to 24, wherein the compound of Formula I is present in an amount ranging from about 400 mg to about 800 mg.

29. A method for the treatment of an HIV infection in a human having an HIV infection, comprising: administering to the human a LAP pharmaceutical composition comprising a compound of Formula I:



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or a pharmaceutically acceptable salt thereof, wherein the human is administered the LAP pharmaceutical composition comprising the compound of Formula I, on a dosing regimen ranging from about every week to about every three months.

30. The method according to claim 29, wherein the human is administered the LAP pharmaceutical composition comprising the compound of Formula I, on a dosing regimen ranging from about every week to about every two months.

31. The method according to claim 29, wherein the human is administered the LAP pharmaceutical composition comprising the compound of Formula I, on a dosing regimen that is monthly.

32. The method according to any one of claims 29 to 31, wherein the compound of Formula I is administered initially to the subject as a loading dose in amount that ranges

from 400 mg to 800 mg and then is administered as a maintenance dose thereafter in an amount that ranges from about 20 mg to about 300 mg.

33. The method according to any one of claims 29 to 32, wherein the LAP composition comprising the compound of Formula I is administered to the subject only after the subject has been administered treatment comprising a generally accepted anti-retroviral regimen.

Figure 1

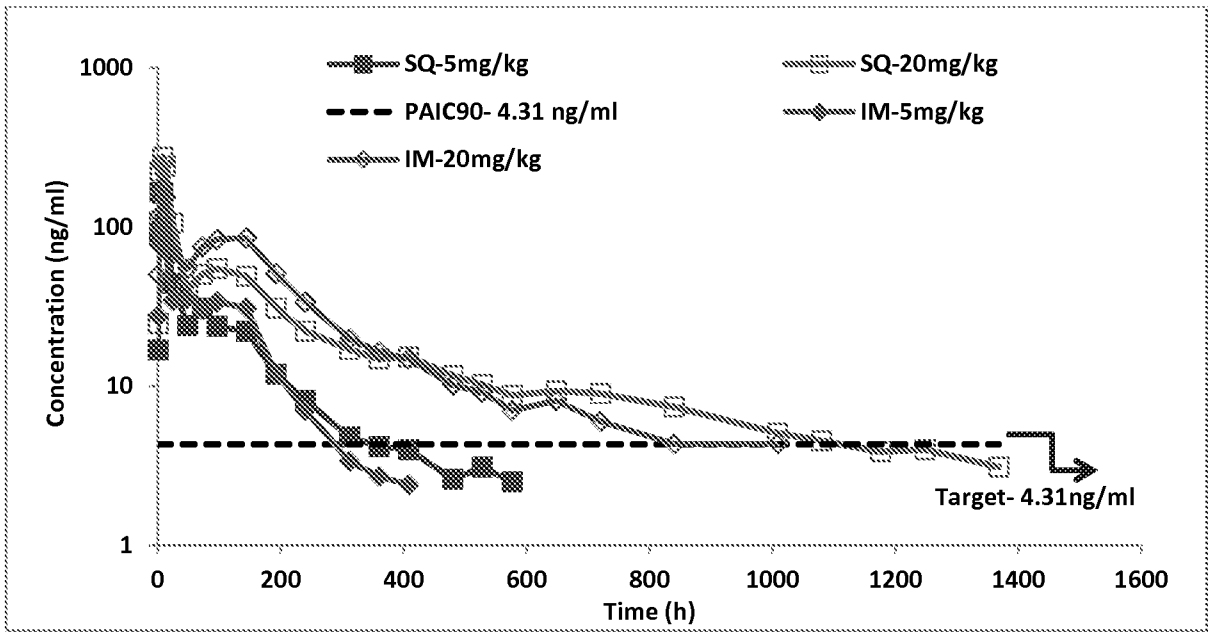

SQ-5mg/kg
SQ-20mg/kg
PAIC90- 4.31 ng/ml
IM-5mg/kg
IM-20mg/kg
Concentration (ng/ml)
1000
100
10
1
0
200
400
600
800
1000
1200
1400
1600
Time (h)
Target- 4.31ng/ml

Figure 2

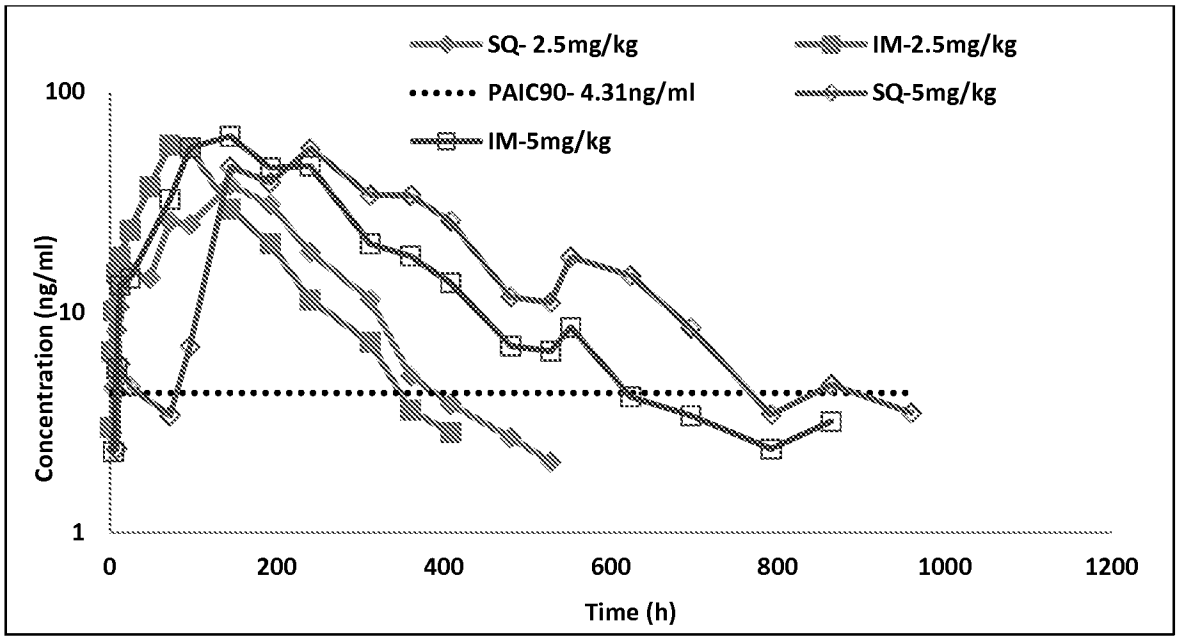
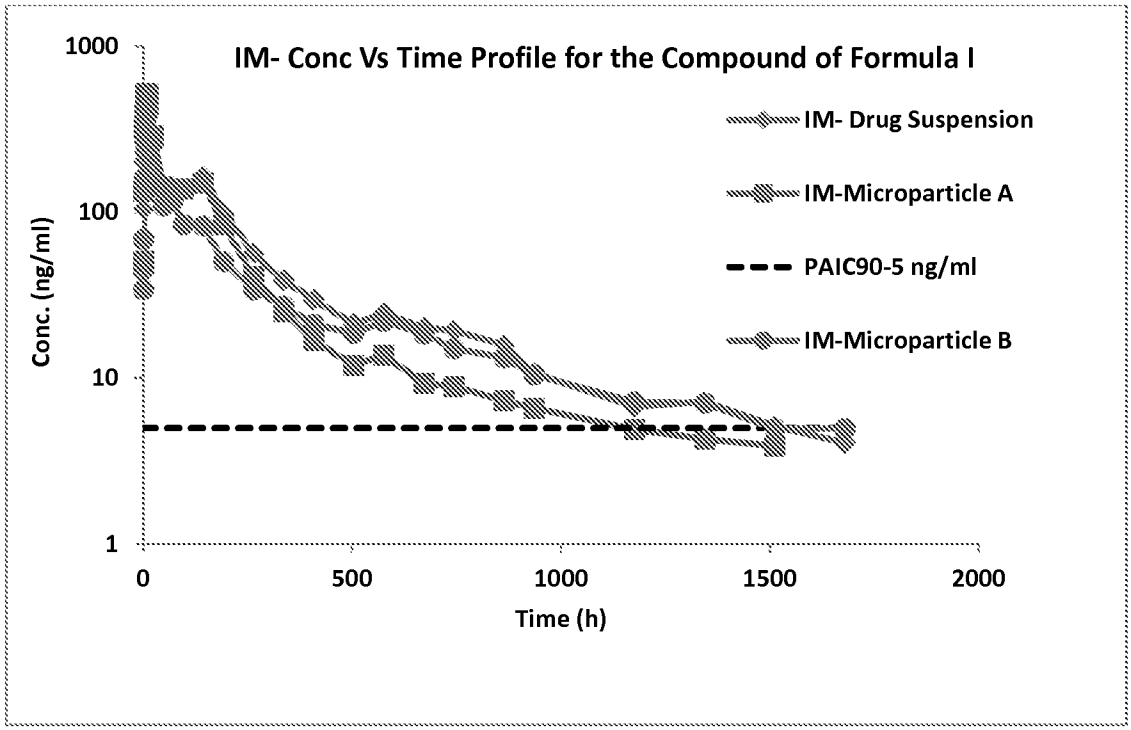

SQ- 2.5mg/kg
IM-2.5mg/kg
PAIC90- 4.31ng/ml
SQ-5mg/kg
IM-5mg/kg
100
10
1
Concentration (ng/ml)
0
200
400
600
800
1000
1200
Time (h)

Figure 3


IM- Conc Vs Time Profile for the Compound of Formula I
IM- Drug Suspension
IM-Microparticle A
PAIC90-5 ng/ml
IM-Microparticle B
Conc. (ng/ml)
1000
100
10
1
0
500
1000
1500
2000
Time (h)