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(以上各欄由本局填註) C₇C^{309/32, 233/65}.

513422

發明專利說明書

一、發明名稱	中文	雙環之環系胺基衍生物及含有該衍生物之PGD ₂ 拮抗劑組成物
	英文	Bicycloamino derivative and PGD ₂ antagonist containing the derivative
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裝訂線

(由本局填寫)

承辦人代碼：
大 類：
I P C 分類：

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本案已向：

日本國(地區) 申請專利，申請日期： 案號： ，有 無主張優先權
 1995.6.21 特願平7-154575

有關微生物已寄存於： ，寄存日期： ，寄存號碼：

(請先閱讀背面之注意事項再填寫本頁各欄)

裝

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修正
本 82 年 11 月 11 日
補充

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五、發明說明 (1)

本發明係有關一種雙環環系胺基衍生物及含有該衍生物之前列腺素 D₂ (以下稱 PGD₂) 拮抗劑。

關於本發明之雙環環系胺基衍生物之一部分者已知可用來作為凝血激素 A₂ (TXA₂) 拮抗劑 (特公平 5-79060 號說明書)。但，關於記載於特公平 5-79060 號之化合物並均不過僅揭示用來作為 TXA₂ 拮抗劑之有用性而已，對於本發明揭露之 PGD₂ 拮抗劑之用途絲毫未暗示。

亦即，TXA₂ 之作用已知有血小板凝集作用、血栓形成作用等，但，TXA₂ 拮抗劑被認為可對 TXA₂ 進行拮抗，可用來作為抗血栓劑、心肌梗塞、哮喘之治療劑。

另外，本發明之 PGD₂ 拮抗劑可用於改善起因於 PGD₂ 之生產過多之症狀，更詳而言之，可用來作為肥胖細胞功能不全相關之疾病，例如，全身性肥胖細胞症及全身性肥胖細胞活性化障礙的治療劑、進而係抗氣管收縮劑、抗哮喘劑、抗過敏性鼻炎劑、抗過敏性結膜炎劑、抗蕁麻疹劑、缺血再灌流傷害治療藥、抗炎症劑。

從上述明顯可知，TXA₂ 拮抗劑與 PGD₂ 拮抗劑之作用點、機序均不同，同時適應亦相異，係完全不同性質者，故某化合物同時具有此等作用者乃完全無法預測。

PGD₂ 係從肥胖細胞產生游離之最主要的類前列腺素，以及藉著一受免疫學或非免疫學上刺激而活性化之加環氧酸 (cyclooxygenase)，而從花生四烯酸經 PGG₂、PGH₂ 所產生者。PGD₂ 具有各種強力生理的、疾病的作用，例如，引起很強氣管收縮，進而造成支氣管哮喘的疾病，以及於全

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修正
本87年11月11日
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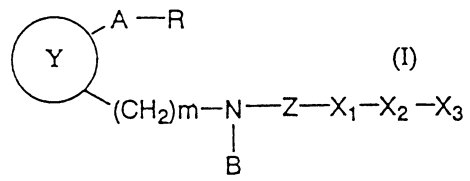
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五、發明說明(2)

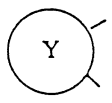
身性過敏狀態中，使末梢血管擴張，而形成過敏性衝擊之原因。特別是，以PGD₂作為過敏性鼻炎之鼻塞症狀顯現的原因物質之一的想法，乃倍受注意。因此，以減輕鼻塞症狀作為目的之藥物曾被想到PGD₂之生合成阻礙劑、或受容體拮抗劑的開發。但，PGD₂之生合成阻礙劑因有可能對在其他活體內之前列腺素類的合成造成很大的影響，故希望能開發出對於PGD₂受容體非常卓越的PGD₂受容體拮抗劑（遮蔽藥）。

本發明人等為開發對PGD₂受容體非常卓越的PGD₂受容體拮抗劑（遮蔽藥），經銳意研究之結果，發現以下述通式(I)所示之化合物或其鹽作為PGD₂受容體拮抗劑具有很強的作用，且，為化學性、生化性上安定的化合物，終完成本發明。

亦即，本發明係提供一種PGD₂拮抗劑組成物，其係含有一以式(I)



所示之雙環之環系胺基衍生物或其鹽亦或水合物作為有效成分者；（上述式中，



表示

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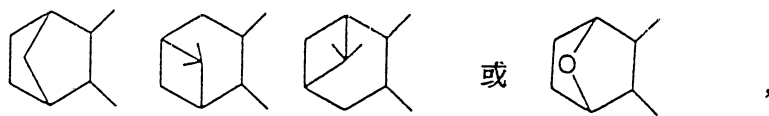
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五、發明說明(3)



A亦可介於雜原子或亞苯基，亦可具有氧基，及/或亦可具有不飽和鍵之烷撐基；

B為氫、烷基、芳烷基或鹼基；

R為COOR₁、CH₂OR₂或CON(R₂)R₄；

R₁為氫或烷基；

R₂為氫或烷基；

R₃及R₄分別為氫、烷基、羥基或烷基磺鹼基；

X₁為單鍵、亞苯基、亞萘基、噻吩二基、吡啶二基或噁啶二基；

X₂為單鍵、-N=N-、-N=CH-、-CH=N-、-CH=N-N-、
-CH=N-O-、-C=NNHCSNH、-C=NNHCONH-、-CH=CH-、-CH(OH)-
、-C(C1)=C(C1)-、-(CH₂)_n-、乙炔基、
-N(R₅)-、-N(R₅₁)CO-、-N(R₅₂)SO₂-、-N(R₅₃)CON(R₅₄)-
、-CON(R₅₅)-、-SO₂N(R₅₆)-、-O-、-S-、-SO-、-SO₂-
、-CO-、噁嗪二基、噻嗪二基或四嗪二基；

X₃為烷基、烯基、炔基、芳基、芳烷基、雜環、環烷基、環烯基、噻啶亞基甲基、噻啶烷亞基甲基、-CH=NR₆
或-N=C(R₇)R₈；

R₅、R₅₁、R₅₂、R₅₃、R₅₄、R₅₅及R₅₆為氫或烷基；

R₆為氫、烷基、羥基、烷氧基、氨基、甲氧基、硫氨基、甲硫基、脲基、硫脲基；

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五、發明說明(4)

R_7 及 R_8 分別為烷基、烷氧基或芳基；

n 為1或2；

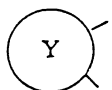
Z 為 $-SO_2-$ 或 $-CO-$ ；

m 為0或1；

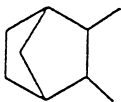
於此等定義中，取代基為環狀者亦可被選自硝基、烷氧基、氨基、取代或非取代胺基、醯基、醯氧基、羧基、鹵素、烷基、炔基、羧基、烷氧基羰基、芳烷氧基羰基、芳氧基羰基、甲磺醯氧、氟基、烯氧基、羧基、三氟甲基、烷硫基、 $-N=PPh_3$ 、氧基、硫基、羧基、烷氧基亞胺基、苯基及烷撐二氧基中之1~3個基所取代)。

用以實施發明之最佳形態

若進一步具體表示能成為上述PGD₂拮抗劑的化合物，可舉出於式(I)所示之化合物中，



乃表示



m 為0、 Z 為 SO_2 時， X_1 及 X_2 均為單鍵， X_3 為烷基、苯基、萘基、苯乙烯基、喹啉基或噻吩甲基，於此等取代基之中，環狀者亦可被選自硝基、烷氧基、取代或非取代胺基、鹵素、烷基及羧基烷基中之1~3個基所取代的化合物或其鹽亦或水和物。

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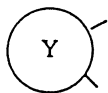
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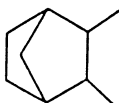
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五、發明說明 (5)

同樣地，於以式 (I) 所示的雙環之環系胺基衍生物中，舉例

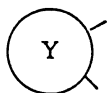


乃表示

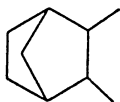


m 為 1 時， X_1 及 X_2 均為單鍵， X_3 為亦可被鹵素所取代之苯基的雙環之環系胺基衍生物或其鹽亦或水和物。

同樣地，於以式 (I) 所示的雙環之環系胺基衍生物中，舉例

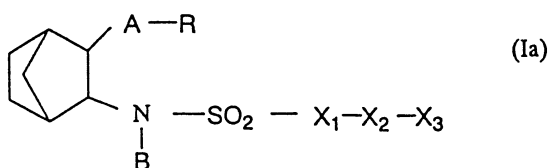


乃表示



m 為 1 時， X 為苯基， X_2 為 $-CH_2-$ 或 $-N=N-$ ， X_3 為苯基的雙環之環系胺基衍生物或其鹽或水和物。

同樣地，一種以式 (I) 所示的雙環之環系胺基衍生物或其鹽或水和物，係以式 (I a) 所示，



(式中，A、B、R、 X_1 、 X_2 及 X_3 乃與前述之定義相同)

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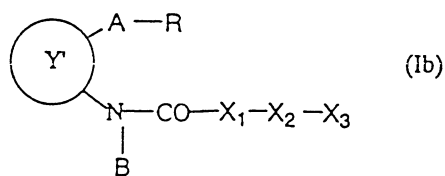
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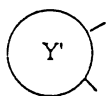
五、發明說明 (6)

；
 但，(1) X_1 及 X_2 為單鍵、 X_3 為取代或非取代苯基或禁基、及(2) A 為 5-庚亞烯基、R 為 $COOR_1$ (R_1 為氫或甲基)、 X_1 為 1,4-亞苯基、 X_2 為單鍵、 X_3 為苯基時除外)。

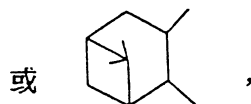
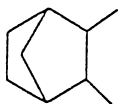
同樣地，一種以式 (I) 所示的雙環之環系胺基衍生物或其鹽或水和物，係以式 (I b)，



(式中，



係表示



A、B、R、 X_1 、 X_2 及 X_3 乃與前述之定義相同；但， X_1 及 X_2 為單鍵， X_3 為苯基時及 X_1 為單鍵、 X_2 為 -O- 時， X_3 為苯甲基時除外) 所示。

進而更具體地，於以式 (I a) 所示之化合物中，可舉例如 X_1 及 X_2 為單鍵， X_3 為異噁唑基、噁嗪基、異噁唑基、嗎啉基、吡啶基、苯并呋喃基、二苯并呋喃基、二苯并二氧基、苯并噻吩甲基、二苯并噻吩甲基、呋唑基、氧雜蒽基、吩三嗪基、二苯并噁吡基、二苯并噻吡基、二氮雜蒽基、氧蒽基、苯并咪唑基或二氫苯并噻吡基之

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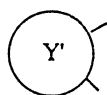
五、發明說明(7)

化合物或其鹽或水和物。

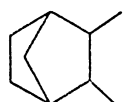
同樣地，於以式(I a)所示之化合物中，可舉例如 X_1 為單鍵、 X_2 為亞苯基、 X_3 為烷炔基、烷烯基、 $-\text{CH}=\text{NR}_6$ 或 $-\text{N}=\text{C}(\text{R}_7)\text{R}_8$ 之化合物或其鹽或水和物。

同樣地，於以式(I a)所示之化合物中，可舉例如R表示 COOR ， X_1 表示亞苯基或噻吩二基， X_2 表示單鍵、 $-\text{N}=\text{N}-$ 、 $-\text{CH}=\text{CH}-$ 、 $-\text{CONH}-$ 、 $-\text{NHCO}-$ 或亞乙烯基， X_3 表示苯基、噻唑烷基甲基、噻唑嗪烷基甲基或噻吩甲基之化合物其鹽或水和物。

更具體而言，於以式(I b)所示之化合物中，可舉例如



乃表示



之化合物或其鹽或水和物。進而更佳之態樣係於以式(I b)所示之化合物中，可舉例如R乃表 COOR_1 (R_1 之定義同於前述)之化合物或其鹽或水和物。

同樣地，於以式(I b)所示之化合物中，可舉例如 X_1 為亞苯基或噻吩二基， X_2 為單鍵、 $-\text{N}=\text{N}-$ 、 $-\text{CH}=\text{CH}-$ ，1, 2-亞乙烯基、 $-\text{O}-$ 、 $-\text{S}-$ 、 $-\text{CO}-$ 、 $-\text{CON}(\text{R}_{50})-$ (R_{50} 之定義同於前述)、 $-\text{N}(\text{R}_{51})\text{CO}-$ (R_{51} 之定義同於前述)及 X_3 為苯基之化合物或其鹽或水和物。

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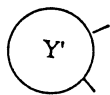
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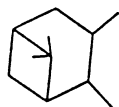
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五、發明說明(8)

更具體而言，於以式(I b)所示之化合物中，可舉例如



乃表示



之化合物或其鹽或水和物。進而較佳之態樣可舉例如B為氫， X_1 及 X_2 均為單鍵， X_3 為噻吩甲基、噻唑基、噻嗪基、異噻唑基、吡咯基、吡啶基、苯并呋喃基、苯并咪唑基、苯并噻吩甲基、二苯并呋喃基、二苯并噻吩甲基、喹啉基或吲哚基之化合物或其鹽。同樣地，可舉例如 X_1 為亞苯基、噻吩二基、吲哚二基或噁唑二基、 X_2 為單鍵、 $-N=N-$ 、 $-CH=CH-$ 、1,2-亞乙烯基、 $-S-$ 、或 $-O-$ 、及 X_3 為芳基或雜環之化合物或其鹽或水和物。

又，上述通式(I a)及(I b)所示之化合物係本發明人等所合成出的新穎化合物。

本說明書中所使用之各種語句的定義如以下般。「烷撐基」乃指 $C_1 \sim C_9$ 之直鍵或分枝狀的烷撐基，例如亞甲基、甲基亞甲基、二甲基亞甲基、甲乙基亞甲基、乙烯、三亞甲烯、四亞甲基、五亞甲基、六亞甲基、七亞甲基、八亞甲基或九亞甲基等。上述烷撐基亦可介在雜原子(氧原子、硫原子或氮原子等)或亞苯基(例如1,4-亞苯基、1,3-亞苯基、1,2-亞苯基等)，亦可具有氧代基、及/或

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五、發明說明(9)

於鍵上任意位置亦可含有雙鍵或三鍵 1 個或 1 個以上。例如： $-(CH_2)_2-O-CH_2-$ 、 $-(CH_2)_2-O-(CH_2)_2-$ 、 $-(CH_2)_2-O-(CH_2)_3-$ 、 $-(CH_2)_2-O-(CH_2)_4-$ 、 $-(CH_2)_2-O-(CH_2)_5-$ 、 $-(CH_2)_2-O-(CH_2)_6-$ 、 $-(CH_2)_2-S-(CH_2)_2-$ 、 $-(CH_2)_3-S-(CH_2)_2-$ 、 $-CH_2-S-CH_2-$ 、 $-CH_2-S-(CH_2)_4-$ 、 $-CH_2-N(CH_3)-CH_2-$ 、 $-CH_2-NH-(CH_2)_2-$ 、 $-(CH_2)_2-N(CH_2CH_3)-(CH_2)_3-$ 、 $-(CH_2)_2-1,4$ -亞苯基- CH_2- 、 $-(CH_2)_2-O-1,3$ -亞苯基- CH_2- 、 $-(CH_2)_2-O-1,2$ -亞苯基- CH_2- 、 $-(CH_2)_2-O-1,4$ -亞苯基- CH_2- 、 $-CH=CH-S-CH_2-1,4$ -亞苯基- CH_2- 、 $-CH=CH-S-1,3$ -亞苯基- $(CH_2)_2-$ 、2-氧代丙烯基、3-氧代戊烯基、5-氧代己烯基、亞乙烯基 1-亞丙烯基、2-亞丙烯基、1-亞丁烯基、2-亞丁烯基、3-亞丁烯基、1,2-亞丁二烯基、1,3-亞丁二烯基、1-亞戊烯基 2-亞戊烯基、3-亞戊烯基、4-亞戊烯基、1,2-亞戊二烯基、1,3-亞戊二烯基、1,4-亞戊二烯基、2,3-亞戊二烯基、2,4-亞戊二烯基、1-亞己烯基、2-亞己烯基、3-亞己烯基、4-亞己烯基、5-亞己烯基、1,2-亞己二烯基、1,3-亞己二烯基、1,4-亞己二烯基、1,5-亞己二烯基、2,3-亞己二烯基、2,4-亞己二烯基、2,5-亞己二烯基、3,4-亞己二烯基、3,5-亞己二烯基、4,5-亞己二烯基、1,1-二甲基-4-亞己烯基、1-亞庚烯基、2-亞庚烯基、3-亞庚烯基、4-亞庚烯基、5-亞庚烯基、2,2-二甲基-5-亞庚烯基、6-亞庚烯基、1,2-亞庚二烯基、1,3-亞庚二烯基、1,4-亞庚二烯基、1,5-亞庚二烯基、1,6-亞庚二烯基、2,3-亞庚二烯基、2,4-亞庚二烯基、2,5-亞庚二烯基

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五、發明說明(10)

2,6-亞庚二烯基、3,4-亞庚二烯基、3,5-亞庚二烯基、3,6-亞庚二烯基、4,5-亞庚二烯基、4,6-亞庚二烯基、或5,6-亞庚二烯基、1-亞丙炔基、3-亞丁炔基、2-亞戊炔基、5-亞己炔基、6-亞庚炔基、 $-(CH_2)-CH=CH-O-(CH_2)_2-$ 、 $-CH_2-S-(CH_2)_3-$ 、 $-CH_2-$ 順位 $-CH=CH-1,2-$ 亞苯基 $-CH_2-$ 、 $-CH=CH-1,4-$ 亞苯基 $-(CH_2)_2-$ 、 $-4-$ 氧代 $-4,5-$ 亞己烯基等。

「烷基」乃意指 $C_1 \sim C_{20}$ 之直鏈狀或分枝狀的烷基，例如甲基、乙基、正丙基、異丙基、正丁基、異丁基、第二丁基、第三丁基、正戊基、異戊基、新戊基、第三戊基、己基、庚基、辛基、壬基、癸基、十一烷基、十二烷基、十三烷基、十四烷基、十五烷基、十六烷基、十七烷基、十八烷基、十九烷基、二十烷基等。

「芳基」乃意指 $C_6 \sim C_{14}$ 之單環或縮合環，例如苯基、萘基（例如1-萘基、2-萘基）、蒽基（例如1-蒽基、2-蒽基、9-蒽基）、菲基（例如2-菲基、3-菲基、9-菲基）、芴基（例如2-芴基）等。尤其以苯基為佳。

「芳烷基」乃指前述芳基取代於前述烷基者，此等係能於可置換之全部位置進行結合。例如，苯甲基、苯乙基、苯丙基（例如3-苯丙基）、萘甲基（例如 α -萘甲基）、蒽甲基（例如9-蒽甲基）、菲甲基（例如3-菲甲基）等。

「醯基」乃意指源自脂肪族羧酸之 $C_1 \sim C_9$ 的醯基，例如甲醯、乙醯、丙醯、丁醯、戊醯等。

「烷基磺醯基」乃指上述烷基於磺醯基上進行取代者

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五、發明說明(11)

，例如甲磺醯基、乙磺醯基、丙磺醯基等。

「烷烯基」乃指於上述烷基上具有1個或1個以上之雙鍵的直鏈或分枝狀的 $C_2 \sim C_{20}$ 烷烯；例如乙烯基、1-丙烯基、2-丙烯基、1-丁烯基、2-丁烯基、3-丁烯基、1,2-丁二烯基、1-戊烯基、1,2-戊二烯基、2-己烯基、1,2-己二烯基、3-庚烯基、1,5-庚二烯基等。

「烷炔基」乃指於上述烷基上具有1個或1個以上之三鍵的直鏈或分枝狀的 $C_2 \sim C_{20}$ 烷炔基，例如，乙炔基、1-丙炔基、2-丙炔基、1-丁炔基、2-丁炔基、3-丁炔基等。

「雜環」乃指於環內含有1個以上任意選自氧原子、硫原子及/或氮原子，且，亦可與碳環或其他雜環進行縮合之5~7員環，此等能夠於可置換的位意位置進行結合。例如，吡咯基（例如1-吡咯基、3-吡咯基）、吡啶基（例如2-吡啶基、3-吡啶基、6-吡啶基）、咪唑基（例如2-咪唑基、3-咪唑基）、咪唑基（例如1-咪唑基、4-咪唑基）、吡嗪基（例如1-吡嗪基、3-吡嗪基）、苯并咪唑基（例如2-苯并咪唑基、5-苯并咪唑基）、吡啶基（例如3-吡啶基）、吡啶烷基（例如6-吡啶烷基）、吡啶基（例如2-吡啶基、3-吡啶基、4-吡啶基）、喹啉基（例如8-喹啉基）、異喹啉基（例如3-異喹啉基）、吡啶基（例如1-吡啶基）、菲啶基（例如2-菲啶基、3-菲啶基）、吡嗪基（例如3-吡嗪基）、吡咯烷基（例如4-吡咯烷基）、吡嗪基（例如2-吡嗪基）、二氮雜萘基（例如3-二氮雜萘基）、酞

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五、發明說明 (12)

噻基 (例如 5-呋噻基)、噻啞啞基 (例如 2-噻啞啞基)、
 異噁啞基 (例如 3-異噁啞基、4-異噁啞基)、苯并異噁啞
 基 (例如 1,2-苯并異噁啞-4-基)、2,1-苯并異噁啞-3-基
)、噁啞基 (例如 2-噁啞基、4-噁啞基、5-噁啞基)、苯
 并噁啞基 (例如 2-苯并噁啞基)、苯并噁噻啞基 (例如 4-
 苯并噁噻啞基)、異噻啞基 (例如 3-異噻啞基、4-異噻啞
 基)、苯并異噻啞基 (例如 1,2-苯并異噻啞-3-基、2,1-
 苯并異噻啞-5-基)、噻啞基 (例如 2-噻啞基)、苯并噻啞基
 (例如 2-苯并噻啞基)、噻噻啞基 (例如 1,2,3-噻噻啞-4
 -基)、噁噻啞基 (例如, 1,3,4-噁噻啞-2-基)、二氫噁
 噻啞基 (例如 4,5-二氫-1,2,4-噁噻啞-3-基)、呋喃基 (例
 如 2-呋喃基、3-呋喃基)、苯并呋喃基 (例如 3-苯并呋
 喃基)、異苯并呋喃基 (例如 1-異苯并呋喃基)、噻吩甲
 基 (例如 2-噻吩甲基、3-噻吩甲基)、苯并噻吩甲基 (例
 如 1-苯并噻吩-2-基、2-噻吩-1-基)、四啞基 (例如 5-四
 啞基)、苯并二噁啞基 (例如 1,3-苯并二噁啞-5-基)、
 二苯并呋喃基 (例如 2-二苯并呋喃基、3-二苯并呋喃基)
 、二苯并噁吡 (例如二苯并 [b, f] 噁吡基-2-基)、二氫二
 苯并噁吡基 (例如二氫二苯并 [b, f] 噁吡-2-基)、氧禁基
 (例如 2H-氧禁-3-基、4H-氧禁-2-基)、二苯并噻吡基 (例
 如二苯并 [b, f] 噻吡-3-基、二氫二苯并 [b, f] 噻吡-3-基
)、嗎啞基 (例如 1,4-嗎啞-4-基)、吩噻噻基 (例如 2-
 吩噻噻基)、環五噻吩甲基 (例如環五 [b] 噻吩-3-基)、
 環六噻吩甲基 (例如環六 [b] 噻吩-3-基) 等。

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五、發明說明 (13)

〔環烷基〕乃意指 $C_3 \sim C_6$ 之環狀烷基，例如環丙基、環丁基、環戊基、環己基等。

「環烷烯基」乃意指 $C_3 \sim C_6$ 之環狀烷烯基，例如環丙烯基（例如 1-環丙烯基）、環丁烯基（例如，2-環丁烯-1-基）、環戊烯基（1-環戊烯-1-基）、環己烯基（例如 1-環己烯-1-基）等。

「烷氧基」乃指 $C_1 \sim C_6$ 的烷氧基，例如甲氧基、乙氧基、正丙氧基、異丙氧基、正丁氧基等。

「取代或非取代」中之取代胺基乃例如甲胺基、乙胺基、二甲胺基、環己胺基、苯胺基、二苯胺基等之單或二取代胺基、吡啶基、吡嗪基、嗎啉基等之環狀胺基。

「醯氧基」乃意指從前述之「醯基」所衍生之醯氧基，例如乙醯氧基、丙醯氧基、丁醯氧基、戊醯氧基等。

「鹵素」乃意指氟、氯、溴、碘。

「烷氧羰基」乃意指由前述之「烷氧基」所衍生之烷氧羰基，例如甲氧羰基、乙氧羰基、苯氧羰基等。

「芳烷基氧羰基」乃意指由前述之「芳烷基」所衍生之芳烷基氧羰基，例如甲基氧羰基、苯乙基氧羰基等。

「芳氧羰基」乃意指由前述之「芳基」所衍生之芳氧羰基，例如苯氧羰基、萘氧羰基等。

「烷烯氧基」乃意指由前述之「烷烯基」所衍生的烷烯氧基，例如乙烯氧基、1-丙烯氧基、2-丁烯氧基等。

「羧烷基」乃意指由前述之「烷基」所衍生的羧烷基，例如羧甲基、羧乙基、羧丙基等。

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五、發明說明 (14)

「烷基硫」乃意指由前述之「烷基」所衍生的烷基硫，例如甲基硫、乙基硫、丙基硫等。

「烷撐基二氧」乃指由 $C_1 \sim C_3$ 所衍生的「烷撐基二氧」，例如甲撐二氧、乙撐二氧、丙撐二氧等。

於「亞苯基」、「亞萘基」、「噻吩二基」、「吡啶二基」、「噁唑二基」、「噁嗪二基」、「四唑二基」中係可在能取代的任意 2 處與相鄰的基結合。

又，在前述定義中，取代基為環狀者亦可被選自硝基、烷氧基、氮磺醯基、取代或非取代胺基、醯基、醯氧基、羥基、鹵素、烷基、烷炔基、烷氧羰基、芳烷氧羰基、芳烷氧羰基、甲磺醯氧基、氟基、烷烯氧基、羥烷基、三氟甲基、烷硫基、 $-N=PPh_3$ 、氧代、硫代、羥亞胺基、烷氧亞胺基、苯基及烷撐二氧中 1 ~ 3 個的基所取代，但於環上中之此等的取代基亦可於能置換的任意進行取代。

通式 (I) 之化合物的鹽可舉例如鹼金屬鹽 (例如鋰鹽、鈉鹽或鉀鹽等)、鹼土族金屬鹽、(例如鈣鹽等)、與有機鹼 (例如三甲醇胺基甲烷、三甲胺、三乙胺、2-胺基個烷、第三丁基胺、二異丙基乙胺、正丁基甲基胺環己胺、二環己胺、N-異丙基環己胺、糠基胺、苯甲基胺、甲基苯甲基胺、二苯甲基胺、N,N-二甲基苯甲基胺、2-氨基苯甲基胺、4-甲氧基苯甲基胺、1-亞萘基甲胺、二苯基苯甲基胺、三苯基胺、1-萘基胺、1-胺基萘、2-胺基萘、脫氫松脂醯胺、N-甲基嗎啉或吡啶) 之鹽、或胺基酸鹽 (例如賴胺酸鹽、精胺酸鹽等)。

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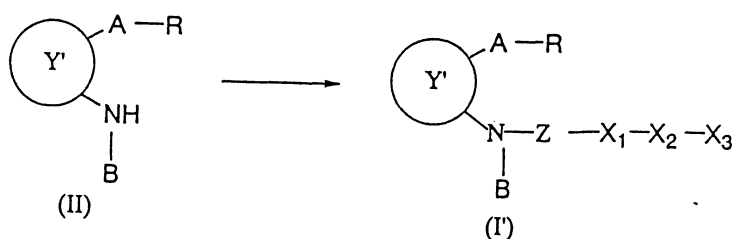
五、發明說明 (15)

水和物乃意指以式 (I) 所示之化合物或其鹽的水和物，例如 1 水和物、2 水和物。

本發明化合物係以通式 (I) 所示，且包含其全部的立體異性體 (非鏡像立體異構物、差向立體異構物、鏡像物等或外消旋體) 。

以通式 (I) 所示之化合物中， $n=1$ 之化合物，尤其，後述之表 3b 及 3c 所示的化合物係特開平 2-180862 說明書中所記載的公知化合物。

以通式 (I) 所示的化合物中， $n=0$ 之化合物 (以通式 (I') 所示的化合物) 係如下述反應式所示，可藉由使通式 (II) 所示的胺基化合物與對應於部分構造式 $Z-X_1-X_2-X_3$ 的磺酸或羧酸的反應性衍生物進行反應來製造。



(式中，A、B、R、 X_1 、 X_2 、 X_3 、Y 及 Z 之定義同於前述) 。

對應於部分構造式 $Z-X_1-X_2-X_3$ 之磺酸為以通式 $X_3-X_2-X_1-SO_2OH$ 所示的化合物。此等磺酸或羧酸之反應性衍生物乃意指對應的酸鹵化物 (例如氯化物、溴化物、碘化物)、酸無水物 (例如與蟻酸或醋酸之混合酸無水物)、活性酯 (例如，琥珀醯亞胺) 等，包含使用於一般胺基之醯化的醯化劑。又，羧酸 $X_3-X_2-X_1-COOH$ 係不限於反應性衍

五、發明說明 (16)

生物，亦可於使用在胺與羧酸之縮合反應的縮合劑（例如二環己基羰二亞醯胺(DCC)、1-乙基-3-(3-二甲基胺基丙基)羰二亞醯胺、N,N'-羰基二咪唑）的存在下進行反應。

反應只要依照一般胺基的醯化反應之條件下進行即可，例如，以酸鹵化物進行縮合反應時，溶劑可使用醚系溶劑（例如二乙醚、四氫呋喃、1,4-環氧己烷）、苯系溶劑（例如苯、甲苯、二甲苯）、鹵化碳氫系溶劑（例如二氯甲烷、二氯乙烷、氯仿）、其他、醋酸乙酯、二甲基甲醯胺、二甲基亞砷、乙腈等，必要的話，在鹼基（例如三乙胺、吡啶、N,N-二甲胺基吡啶、N-甲基嗎啉等之有機鹼、或氫氧化鈉、氫氧化鉀、碳酸鉀等之無機鹼）的存在下，冷卻下乃至室溫或加熱下，較佳係-20℃乃至冰冷下或室溫乃至反應系的加熱回流溫度，數分鐘乃至數十小時，較佳係0.5小時乃至24小時，更佳係1小時乃至12小時實施即可。

即使於其他之反應性衍生物或游離的酸與胺(II)之反應中，依照各反應性衍生物或游離酸的性質，按公知的方法，只要決定反應條件即可。

反應生成物係依一般的精製法，例如溶劑萃取、色層分析、再結晶法等精製之。

若表示本反應法中之原料化合物(II)的具體例，3-胺基[2,2,1]雙環系化合物之具體例可例示7-(3-胺基雙環[2,2,1]庚-2-基)-5-庚烯酸、7-(3-胺基雙環[2,2,1]庚-2-基)-2,2-二甲基-5-庚烯酸、7-(N-甲基-3-胺基雙環[2,2

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五、發明說明 (17)

,1]庚-2-基)-5-庚烯酸、6-(3-胺基雙環[2,2,1]庚-2-基)-5-己烯酸等。又，2-胺基-6,6-二甲基[3,1,1]雙環系化合物之具體例可例示7-(2-胺基-6,6-二甲基雙環[3,1,1]庚-3-基)-5-庚烯酸等。又，此等原料化合物之庚烯酸鏈可形成飽和亦可形成庚酸，亦可鏈的中間介入-O-、-S-、-NH-等之雜原子或雜基或亞苯基，亦可以氧代基進行取代，可例示如7-(3-胺基雙環[2,2,1]庚-2-基)庚酸、4-[2-(2-胺基雙環[3,1,1]庚-3-基)乙氧基苯基醋酸，7-(3-胺基雙環[2,2,1]庚-2-基)-6-氧代庚酸等。此等之原料化合物係已記載於特公平5-79060號說明書、特公平6-23170號說明書中，或可依此等說明書之方法而製造。

對應於部分構造式 $Z-X_1-X_2-X_3$ 之磺酸 $X_3-X_2-X_1-SO_2OH$ 及羧酸 $X_3-X_2-X_1-COOH$ 係具有對應於前述 X 之取代基的磺酸或羧酸，亦即，烷磺酸或羧酸、烷烯磺酸或羧酸、烷炔磺酸或羧酸、環烷磺酸或羧酸、環炔磺酸或羧酸、芳基磺酸或羧酸、芳烷基氧磺酸或羧酸、雜環取代磺酸或羧酸、雜芳基烷基磺酸或羧酸、及取代胺基磺酸或羧酸。此等之磺酸及羧酸可分別具有前述定義之取代基。又，此等磺酸及羧酸可為自市售品所得到者，或，從已知的化合物依習知的方法而很容易合成者。反應之際，此等磺酸及羧酸可依需要而作為對應之上述反應性衍生物。例如形成酸鹵化物時，只要依習知的方法（例如新實際化學講座14卷1787頁(1978)；Synthesis 852-854(1986)；新實驗化學講座22卷115頁(1992)）而與鹵化亞硫酰基（例如亞硫酰氣）、

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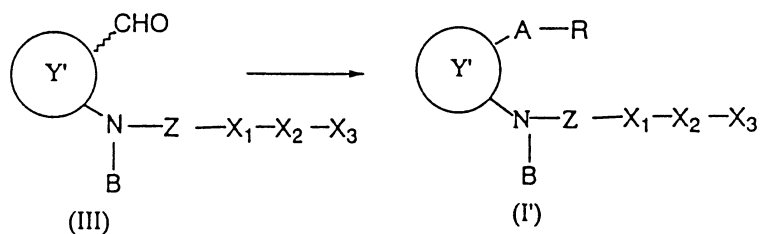
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五、發明說明 (18)

鹵化磷（例如三氯化磷、五氯化磷）、鹵化草醯基（例如乙二醯氯）等反應即可。有關其他之反應性衍生物同樣地亦可以公知的方法調製。

本發明目的化合物(I)之中，側鏈A乃具有不飽和鍵，尤其雙鍵之化合物係可使對應於側鏈A-R部分之殘餘部分的環烯化合物依Wittig反應的條件與以下述通式(III)所示之醛衍生物反應而製造之。



(式中，A、B、R、X₁、X₂、X₃、Y及Z之定義同於前述)

原料化合物(III)可依例如記載於特開平2-256650號說明書之方法製造。又，對應於側鏈AR部分之殘餘部分的環烯化合物係可在鹼的存在下依公知的方法使對應的鹵化烷酸或其酯衍生物、醚衍生物、醯胺衍生物等與三苯基磷進行反應而合成之。

於本發明目的化合物(I)中，R為COOH之化合物亦可依所希望的形成對應之酯衍生物、醇衍生物、醚衍生物、醯胺衍生物。例如酯衍生物係可依公知的方法藉由酯化以製造羧酸。又，若還原酯衍生物，可形成醇衍生物，若進行醯胺化亦可形成醯胺衍生物。醚衍生物亦可藉由O-烷基化而製造醇衍生物。

五、發明說明 (19)

本發明之化合物 (I) 係表示藉由以活體外與 PGD₂ 受容體結合之 PGD₂ 拮抗作用，可用來作為起因於 PGD₂ 之生產過多的肥胖機能不全相關的疾病治療劑。例如可用來作為全身性肥胖細胞症或全身性肥胖細胞活性化障礙的治療劑、抗氣管收縮劑、抗喘息劑、抗過敏性鼻炎劑、抗過敏性結膜炎劑、抗蕁麻疹劑、缺血再灌流傷害治療劑、抗炎症劑。尤其，本發明化合物 (I) 係以活體內顯示鼻塞抑制作用，故可作為鼻塞症之治療劑。

將本發明之化合物 (I) 用於治療時，可調製成為一般經口或非經口投與用的製劑。含有本發明之化合物 (I) 之醫藥組成物可採用用以經口及非經口投與之劑形。亦即，亦可形成錠劑、膠囊劑、顆粒劑、散劑、糖漿劑等之經口投與製劑、或、靜脈注射、肌肉注射、皮下注射等之注射用溶液或懸濁液、吸入藥、點眼藥、點鼻藥、煙劑、或、軟膏劑等之經皮投與用製劑等的非經口製劑。

此等製劑可使用從事此業者已知之適當擔體、賦形劑、溶劑、基劑等來製造。例如，錠劑時，可使活性成分與補助成分一起壓縮或成型。補助成分係可使用製劑上所容許之賦形劑、例如結合劑（例如玉蜀黍澱粉）、充填劑（例乳糖、微結晶性纖維素）、崩壞劑（例如澱粉葡萄糖酸鈉）或滑澤劑（例硬脂酸鎂）等。錠劑亦可適當被覆。糖漿劑、液劑、懸濁劑等之液體製劑時，例如使用懸濁化劑（例甲基纖維素）、乳化劑（例外源凝集素）、保存劑等。注射用製劑時，可為溶液、懸濁液或油性或水性乳濁液

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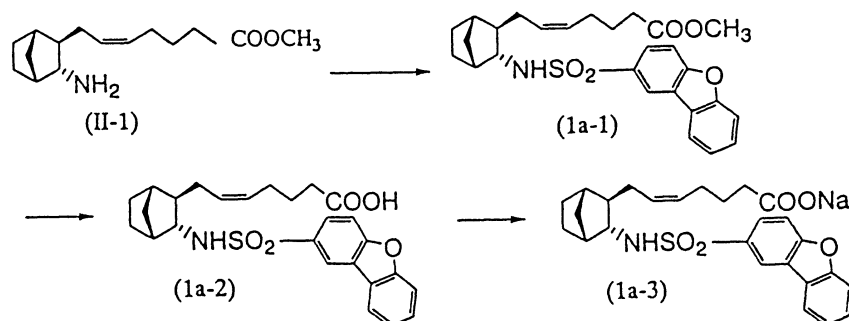
五、發明說明 (20)

之形態，此等亦可含有懸濁安定劑或分散劑等。使用來作為吸入劑時，可用來作為能適應於吸入器之液劑，使用來作為點眼劑時亦可用來作為液劑或懸濁劑。尤其使用來作為一用以治療鼻塞症之點鼻藥時，依一般製劑化的方法，可使用來作為液劑、懸濁化劑，或，粉末化劑（例如羥丙基纖維素、卡巴波爾）等，加入鼻孔作為粉末劑。或，充填低沸點之溶劑及特殊的容器中，可使用來作為噴射劑。

化合物(I)之投與量依據投與形態、患者年齡、體重、性別、或所併用的藥物（若有的話）等而異，最終仍委由醫師的判斷，但，經口投與時，體重每1kg為1日0.01~100mg，宜為0.01~10mg，更宜為0.1~10mg，非經口投與時，體重每1kg為1日0.001~100mg，宜為0.001~1mg，更宜為0.01~1mg。只要將此分開成1~4次投藥即可。

以下舉實施例詳細說明本發明，此等僅為例示，本發明並非限制於此等。

實施例 1



氮氣流下，使(Z)-7-[(1S,2R,3R,4R)-3-胺基雙環[2,2,1]庚-2-基]-5-庚烯酸甲酯(II-1)(251mg, 1.00mmol)溶

五、發明說明 (21)

解於二氯甲烷 (8ml)，加入三乙胺 (0.238ml、2.00mmol) 後，冰冷下，加入 2-氯磺醯基二苯并呋喃 (350mg, 1.31mmol)，攪拌 30 分鐘，昇溫至室溫。反應液以矽凝膠管柱色層分析 (正己烷、醋酸乙酯 1:4) 精製，從正己烷 (10ml) 結晶化，得到 (Z)-7-[(1S, 2R, 3R, 4R)-3-(2-二苯并呋喃基)磺醯基胺基雙環 [2, 2, 1]庚-2-基]-5-庚烯酸甲酯 (1a-1) (342mg, 0.710mmol)。收率 71%。

融點：115-116°C

元素分析 (C₂₇H₃₁N₁O₅S)

計算值 (%) : C, 67.34; H, 6.49; N, 2.91; S, 6.66

實測值 (%) : C, 67.16; H, 6.47; N, 2.99; S, 6.66

IR (CHCl₃) : 3382, 3024, 2952, 2874, 1726, 1583, 1465, 1442, 1319, 1245, 1154, 1121, 1104, 1071, 1019, 890, 840, 817 /cm.

¹H NMR (CDCl₃) δ : 0.94-1.92(14H, m), 2.15-2.24(3H, m), 2.99-3.07(1H, m), 3.66(3H, s), 4.98(1H, d, J=6.6Hz), 5.10-5.22(2H, m), 7.39-7.46(1H, m), 7.51-7.70(3H, m), 7.87-8.13(2H, m), 8.53(1H, d, J=2.1Hz).

[α]_D = -0.6° (CHCl₃, c=1.01%, 23°C).

([α]₃₆₅ = +37.0° (CHCl₃, c=1.01%, 23°C).

使 (Z)-7-[(1S, 2R, 3R, 4R)-3-(2-二苯并呋喃基)磺醯基胺基雙環 [2, 2, 1]庚-2-基]-5-庚烯酸甲酯 (1a-1) (234mg, 0.50mmol) 溶解於甲醇 (6ml)-四氫呋喃 (4ml)，冰冷下，加入 1N 氫氧化鉀 (1.50ml, 1.50mmol) 後，昇溫至室溫，反應 16 小時。餾去溶劑後，於殘渣中加入醋酸乙酯 (50ml) 與水 (10ml)，進而加入 1N 鹽酸 (2.00ml, 2.00mol)，分取有機層後，以飽和食鹽水洗淨有機層後，以無水硫酸鈉乾燥。餾去溶劑後，殘渣以矽凝膠管柱色層分析 (正己烷、醋

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五、發明說明 (22)

酸乙酯 = 1 : 1, 0.2% 醋酸) 精製, 得到 (Z)-7-[(1S, 2R, 3R, 4R)-3-(2-二苯并呋喃基)磺醯基胺基雙環[2, 2, 1]庚-2-基]-5-庚烯酸 (1a-2) (203mg, 0.434mmol)。收率 87%。

油

IR (CHCl₃): 3266, 3026, 2952, 2874, 1708, 1465, 1443, 1423, 1319, 1267, 1245, 1153, 1121, 1104, 1072, 906 /cm。

¹H NMR(CDCl₃) δ: 0.93-1.94(14H, m), 2.12-2.19(1H, m), 2.26(2H, t, J=7.2Hz), 3.00-3.08(1H, m), 5.12-5.25(2H, m), 5.26(1H, d, J=6.6Hz), 7.38-7.45(1H, m), 7.51-7.70(3H, m), 7.87-8.13(2H, m), 8.54(1H, d, J=2.1Hz)。

[α]_D = +6.8° (CHCl₃, c=1.08%, 23°C)。

將 (Z)-7-[(1S, 2R, 3R, 4R)-3-(2-二苯并呋喃基)磺醯基胺基雙環[2, 2, 1]庚-2-基]-5-庚烯酸 (1a-2) (453mg, 0.97mmol) 溶解於甲醇 (5ml) 中, 冰冷下加入 1N 甲氧基鈉 / 甲醇溶液 (1.034 當量, 0.937ml, 0.97mmol) 後, 昇溫至室溫, 反應 1 小時。餾去溶劑, 而得到鈉鹽 (1a-3) (457mg, 0.933mmol)。收率 96%。

無晶狀粉末

元素分析 (C₂₆H₂₈NO₅SN a · 0.6H₂O)

計算值 (%) : C, 62.41; H, 5.88; N, 2.80; S, 6.41; N a, 4.59

實測值 (%) : C, 62.45; H, 5.92; N, 2.99; S, 6.49; N a, 4.46

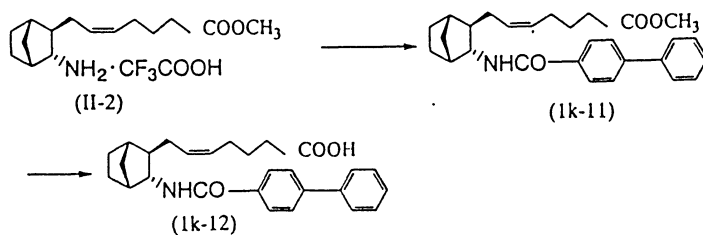
IR (KBr): 3434, 3280, 3074, 3007, 2952, 2873, 1566, 1467, 1444, 1417, 1344, 1315, 1270, 1248, 1200, 1189, 1154, 1124, 1107, 1075, 1058, 895, 842, 818 /cm。

¹H NMR(CD₃OD) δ: 1.02-2.05(16H, m), 2.16-2.23(1H, m), 2.94-3.00(1H, m), 4.98-5.05(2H, m), 7.41-7.48(1H, m), 7.53-7.62(1H, m), 7.66(1H, d, J=8.4Hz), 7.77(1H, d, J=8.4Hz), 8.57(1H, d, J=2.1Hz)。

[α]_D = -15.2° (CH₃OH, c=1.07%, 22°C)。

實施例 2

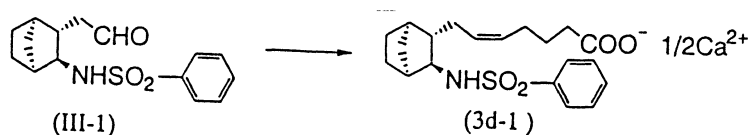
五、發明說明 (23)



將 (Z)-7-[(1S, 2R, 3R, 4R)-3-胺基雙環 [2, 2, 1]庚-2-基]-5-庚烯酸甲酯 三氟醋酸鹽 (II-2) (依據特公平 5-79060 號、參考例而製得) 232mg (0.636mmol) 溶解於二氯甲烷 (5ml) 中，冰冷下加入三乙胺 0.279ml (2.0mmol) 與 4-雙苯基羰基氯，在同室溫下攪拌 7 小時。以矽凝膠管柱色層分析 (醋酸乙酯：己烷 = 1：4) 精製反應液，得到 (Z)-7-[(1S, 2R, 3R, 4R)-3-(4-雙苯基)羰基胺基雙環 [2, 2, 1]庚-2-基]-5-庚烯酸甲酯 (1K-11) 221mg (0.512mmol)。將此化合物 (1K-11) (190mg, 0.440mmol) 溶解於甲醇 (6ml) 中，冰冷下加入 1N KOH (1.10ml, 1.10mmol)，在室溫下攪拌 15 小時。減壓下濃縮反應液後，於殘渣中加入水 (20ml) 與 1N HCl (2ml)，以醋酸乙酯萃取。有機層以飽和食鹽水洗淨後，以硫酸鈉乾燥後，濃縮。殘渣以矽凝膠管柱色層分析 (醋酸乙酯：己烷 = 1：1) (0.3% 醋酸) 精製而得到 (Z)-7-[(1S, 2R, 3R, 4R)-3-(4-雙苯基)羰基胺基雙環 [2, 2, 1]庚-2-基]-5-庚烯酸 (1K-12) 172mg (0.412mmol)。收率 94%。

下述所示之化合物亦可以如下的方法來製造。

實施例 3



五、發明說明 (24)

氮氣氛下，於一由 4-羧基丁基三苯基磷溴 (14.8g, 33.3mmol) 收回氫吡喃 (80ml) 所構成之懸濁液中以室溫加入第三丁基鉀 (7.55g, 67.3mmol)。直接以室溫攪拌 1 小時後，冷卻至 -20℃ 而徐緩加入 N-[(1S, 2S, 3S, 4R)-3-甲醯基甲基雙環 [2, 2, 1] 庚 -2-基] 苯磺醯胺 (II-1) (特開平 2-256650 號、參考例 2) (3.25g, 11.1mmol) 之四氫吡喃溶液 (20ml)。在 -20℃ 下繼續攪拌 1 小時半後，除去冰浴，進而再攪拌 1 小時。於反應液中加入 2N 鹽酸，以醋酸乙酯萃取，以水及食鹽水洗淨濃縮。於所得到之粗生成物中加入甲苯與 1N 氫氧化鈉溶液而分取水層。有機層再一次水洗淨，合併先前之水層後，加入 2N 鹽酸。以醋酸乙酯萃取後，以水及食鹽水洗淨，以硫酸鈉乾燥後濃縮。殘渣以矽凝膠管柱色層分析精製，得到 (Z)-7-[(1R, 2S, 3S, 4S)-3-苯基磺醯基胺基雙環 [2, 2, 1] 庚 -2-基]-5-庚烯酸鈣 (3d-1') (3.29g, 收率 79%)。

融點: 62℃

元素分析 (C₂₀H₂₇NO₄S)

計算值 (%): C, 63.63; H, 7.21; N, 3.71; S, 8.49

實測值 (%): C, 63.56; H, 7.21; N, 3.83; S, 8.43

$[\alpha]_D^{25} = +5.3 \pm 0.5^\circ$ (CHCl₃, C = 1.003%, 22℃)

$[\alpha]_D^{25} = +27.1 \pm 0.7^\circ$ (MeOH, C = 1.015%, 24℃)

IR (Nujol) 3282, 3260, 3300, 2400, 1708, 1268, 1248, 1202, 1162, 1153, 1095, 1076/cm

¹H NMR δ 0.88-2.10(m, 14H), 2.14(br s, 1H), 2.34(t, J=7.2Hz, 2H), 2.95-3.07(m, 1H), 5.13-5.35(m, 3H), 7.45-7.64(m, 3H), 7.85-7.94(m, 2H), 9.52(br s, 1H)

與上述實施例同樣地做法而製得之化合物表示於下。

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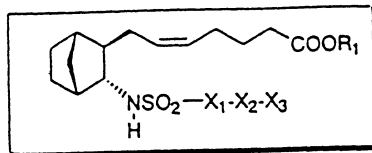
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五、發明說明 (25)

表 1 a



No.	R ₁	X ₁ -X ₂ -X ₃
1a-1	CH ₃	
1a-2	H	
1a-3	Na	
1a-4	CH ₃	
1a-5	H	
1a-6	CH ₃	
1a-7	H	
1a-8	CH ₃	
1a-9	H	
1a-10	CH ₃	
1a-11	H	
1a-12	CH ₃	
1a-13	H	
1a-14	CH ₃	
1a-15	H	
1a-16	CH ₃	
1a-17	H	
1a-18	CH ₃	
1a-19	H	
1a-20	CH ₃	
1a-21	H	
1a-22	H	
1a-23	H	

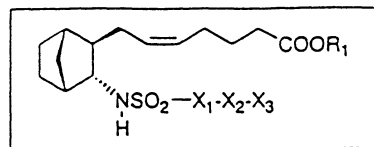
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五、發明說明 (26)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-24	CH ₃	
1a-25	H	
1a-26	Na	
1a-27	CH ₃	
1a-28	H	
1a-29	Na	
1a-30	CH ₃	
1a-31	H	
1a-32	CH ₃	
1a-33	H	
1a-34	CH ₃	
1a-35	CH ₃	
1a-36	H	
1a-37	CH ₃	
1a-38	H	
1a-39	CH ₃	
1a-40	H	
1a-41	H	
1a-42	CH ₃	
1a-43	H	
1a-44	CH ₃	
1a-45	H	

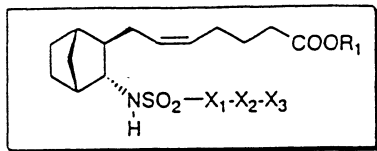
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五、發明說明 (27)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-46	CH ₃	
1a-47	H	
1a-48	Na	
1a-49	CH ₃	
1a-50	H	
1a-51	CH ₃	
1a-52	H	
1a-53	CH ₃	
1a-54	H	
1a-55	CH ₃	
1a-56	H	
1a-57	CH ₃	
1a-58	H	
1a-59	CH ₃	
1a-60	H	
1a-61	CH ₃	
1a-62	H	
1a-63	CH ₃	
1a-64	H	
1a-65	CH ₃	
1a-66	H	
1a-67	CH ₃	
1a-68	H	

(請先閱讀背面之注意事項再填寫本頁)

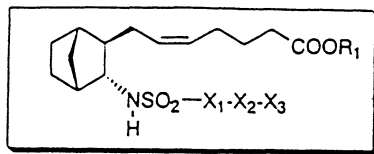
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經濟部中央標準局員工消費合作社印製

五、發明說明 (28)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-69	CH ₃	
1a-70	H	
1a-71	CH ₃	
1a-72	H	
1a-73	CH ₃	
1a-74	H	
1a-75	CH ₃	
1a-76	H	
1a-77	CH ₃	
1a-78	H	
1a-79	H	
1a-80	CH ₃	
1a-81	H	
1a-82	CH ₃	
1a-83	H	
1a-84	H	
1a-85	H	
1a-86	H	
1a-87	H	

(請先閱讀背面之注意事項再寫本頁)

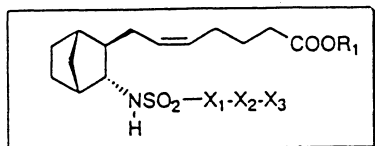
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五、發明說明 (29)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-88	CH ₃	
1a-89	H	
1a-90	CH ₃	
1a-91	H	
1a-92	CH ₃	
1a-93	H	
1a-94	H	
1a-95	H	
1a-96	H	
1a-97	H	
1a-98	H	
1a-99	Na	

(請先閱讀背面之注意事項再填寫本頁)

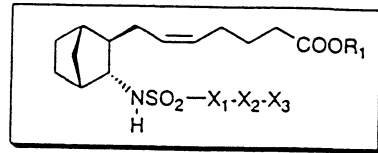
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五、發明說明 (30)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-100	CH ₃	
1a-101	H	
1a-102	CH ₃	
1a-103	CH ₃	
1a-104	H	
1a-105	CH ₃	
1a-106	H	
1a-107	CH ₃	
1a-108	H	
1a-109	CH ₃	
1a-110	H	
1a-111	CH ₃	
1a-112	H	
1a-113	CH ₃	
1a-114	H	

(請先閱讀背面之注意事項再填寫本頁)

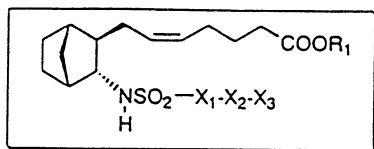
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五、發明說明 (31)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-115	CH ₃	
1a-116	H	
1a-117	Na	
1a-118	<i>i</i> -Pr	
1a-119	CH ₃	
1a-120	Na	
1a-121	H	
1a-122	CH ₃	
1a-123	H	
1a-124	CH ₃	
1a-125	CH ₃	
1a-126	H	
1a-127	CH ₃	
1a-128	H	
1a-129	CH ₃	
1a-130	CH ₃	
1a-131	H	
1a-132	CH ₃	
1a-133	H	
1a-134	H	
1a-135	CH ₃	
1a-136	H	
1a-137	CH ₃	
1a-138	H	
1a-139	CH ₃	
1a-140	H	

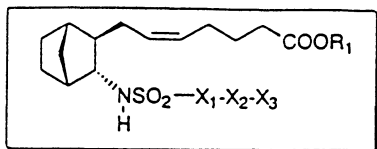
(請先閱讀背面之注意事項再為本頁)

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五、發明說明 (32)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-141	CH ₃	
1a-142	H	
1a-143	H	
1a-144	H	
1a-145	H	
1a-146	H	
1a-147	H	
1a-148	H	
1a-149	H	
1a-150	H	
1a-151	H	

(請先閱讀背面之注意事項再填寫本頁)

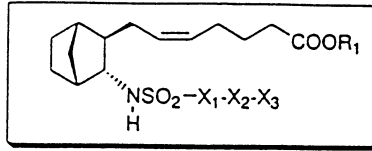
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五、發明說明 (33)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-152	H	
1a-153	H	
1a-154	H	
1a-155	H	
1a-156	H	
1a-157	H	
1a-158	H	
1a-159	H	
1a-160	H	

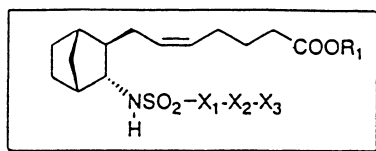
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (34)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-161	H	
1a-162	H	
1a-163	H	
1a-164	H	
1a-165	H	
1a-166	H	
1a-167	H	
1a-168	H	
1a-169	H	
1a-170	H	
1a-171	CH ₃	
1a-172	H	

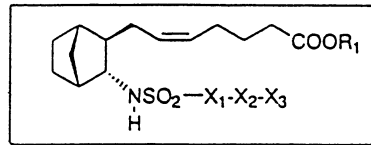
(請先閱讀背面之注意事項再為本頁)

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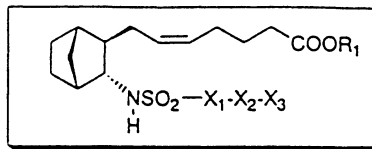
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五、發明說明 (35)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-173	H	
1a-174	H	
1a-175	CH ₃	
1a-176	H	
1a-177	CH ₃	
1a-178	H	
1a-179	CH ₃	
1a-180	H	
1a-181	H	
1a-182	CH ₃	
1a-183	H	

五、發明說明 (36)



No.	R ₁	X ₁ -X ₂ -X ₃
1a-184	H	
1a-185	H	
1a-186	CH ₃	
1a-187	H	
1a-188	CH ₃	
1a-189	H	
1a-190	CH ₃	
1a-191	H	
1a-192	CH ₃	
1a-193	H	

(請先閱讀背面之注意事項再填寫本頁)

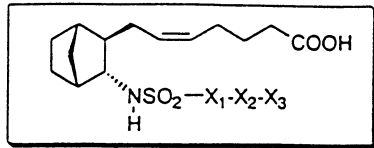
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五、發明說明 (37)



No.	X ₁ -X ₂ -X ₃
1a-194	
1a-195	
1a-196	
1a-197	
1a-198	
1a-199	
1a-200	
1a-0201	
1a-202	
1a-203	

(請先閱讀背面之注意事項再填寫本頁)

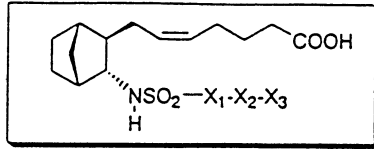
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五、發明說明 (38)



No.	X ₁ -X ₂ -X ₃
1a-204	
1a-205	
1a-206	
1a-207	
1a-208	
1a-209	
1a-210	
1a-211	
1a-212	
1a-213	

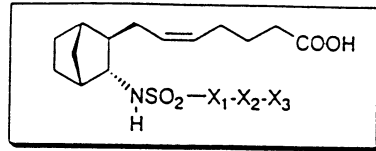
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (39)



No.	X ₁ -X ₂ -X ₃
1a-214	
1a-215	
1a-216	
1a-217	
1a-218	
1a-219	
1a-220	
1a-221	
1a-222	
1a-223	

(請先閱讀背面之注意事項再為本頁)

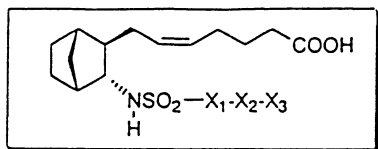
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五、發明說明 (40)



No.

X₁-X₂-X₃

1a-224	
1a-225	
1a-226	
1a-227	
1a-228	
1a-229	
1a-230	
1a-231	
1a-232	
1a-233	
1a-234	
1a-235	

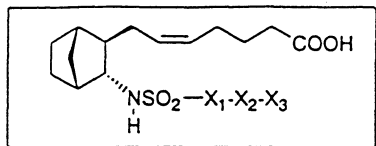
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (41)



No.	X ₁ -X ₂ -X ₃
1a-236	
1a-237	
1a-238	
1a-239	
1a-240	
1a-241	
1a-242	
1a-243	
1a-244	
1a-245	
1a-246	

(請先閱讀背面之注意事項再填寫本頁)

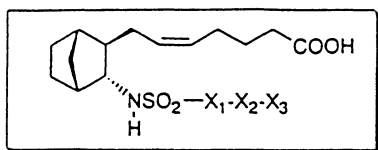
裝

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五、發明說明 (42)



No.	X ₁ -X ₂ -X ₃
1a-247	
1a-248	
1a-249	
1a-250	
1a-251	
1a-252	
1a-253	
1a-254	
1a-255	
1a-256	
1a-257	

(請先閱讀背面之注意事項再填寫本頁)

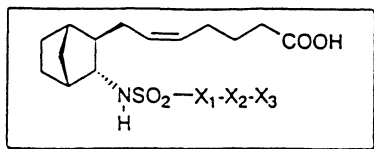
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五、發明說明 (43)



No.	X ₁ -X ₂ -X ₃
1a-258	
1a-259	
1a-260	
1a-261	
1a-262	
1a-263	
1a-264	
1a-265	
1a-266	
1a-267	
1a-268	
1a-269	
1a-270	
1a-271	

(請先閱讀背面之注意事項再填寫本頁)

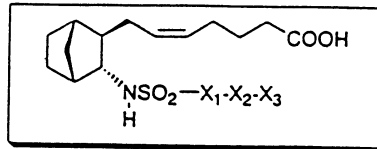
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經濟部中央標準局員工消費合作社印製

五、發明說明 (44)



No.	X ₁ -X ₂ -X ₃
1a-272	
1a-273	
1a-274	
1a-275	
1a-276	
1a-277	
1a-278	
1a-279	
1a-280	
1a-281	
1a-282	
1a-283	

(請先閱讀背面之注意事項再為本頁)

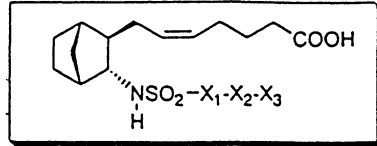
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五、發明說明 (45)



No.	X ₁ -X ₂ -X ₃
1a-284	
1a-285	
1a-286	
1a-287	
1a-288	
1a-289	
1a-290	
1a-291	
1a-292	
1a-293	
1a-294	

(請先閱讀背面之注意事項再填寫本頁)

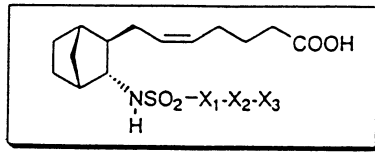
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五、發明說明 (46)



No.	$\text{X}_1\text{-X}_2\text{-X}_3$
1a-295	
1a-296	
1a-297	
1a-298	
1a-299	
1a-300	
1a-301	
1a-302	
1a-303	
1a-304	
1a-305	

(請先閱讀背面之注意事項再填寫本頁)

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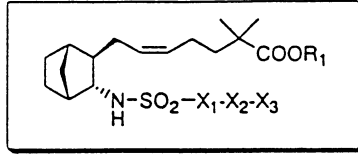
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五、發明說明 (47)

表 1 b



No.	R ₁	X ₁ -X ₂ -X ₃
1b-1	CH ₃	
1b-2	CH ₃	
1b-3	H	
1b-4	H	
1b-5	H	
1b-6	H	
1b-7	H	
1b-8	H	
1b-9	H	
1b-10	H	

(請先閱讀背面之注意事項再填寫本頁)

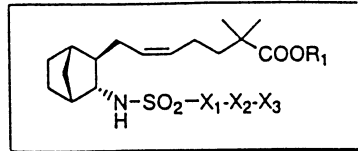
裝

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五、發明說明 (48)



No.	R ₁	X ₁ -X ₂ -X ₃
1b-11	H	
1b-12	H	
1b-13	H	
1b-14	H	
1b-15	H	

(請先閱讀背面之注意事項再填寫本頁)

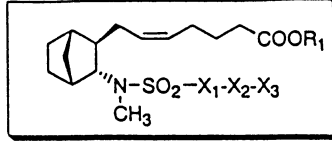
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五、發明說明 (49)

表 1c



No.	R ₁	X ₁ -X ₂ -X ₃
1c-1	CH ₃	
1c-2	CH ₃	
1c-3	K	
1c-4	H	
1c-5	H	
1c-6	H	
1c-7	H	
1c-8	H	
1c-9	H	
1c-10	H	
1c-11	H	
1c-12	H	

(請先閱讀背面之注意事項再填寫本頁)

裝

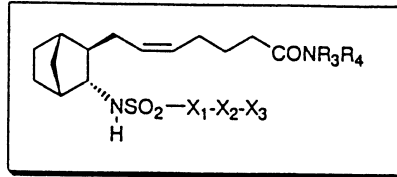
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五、發明說明 (50)

表 1 d



No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
1d-1	H	SO ₂ CH ₃	
1d-2	H	H	
1d-3	H	OH	
1d-4	H	SO ₂ CH ₃	
1d-5	H	SO ₂ CH ₃	
1d-6	H	SO ₂ CH ₃	
1d-7	H	SO ₂ CH ₃	
1d-8	H	SO ₂ CH ₃	
1d-9	H	SO ₂ CH ₃	
1d-10	H	SO ₂ CH ₃	

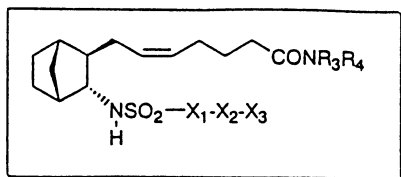
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (51)



No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
1d-11	H	SO ₂ CH ₃	
1d-12	H	SO ₂ CH ₃	
1d-13	H	SO ₂ CH ₃	
1d-14	H	SO ₂ CH ₃	
1d-15	H	SO ₂ CH ₃	

(請先閱讀背面之注意事項再為本頁)

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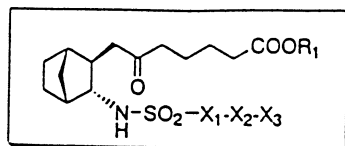
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五、發明說明 (52)

表 1 e



No.	R ₁	X ₁ -X ₂ -X ₃
1e-1	H	
1e-2	H	
1e-3	H	
1e-4	H	
1e-5	H	
1e-6	H	
1e-7	H	
1e-8	H	
1e-9	H	
1e-10	H	

(請先閱讀背面之注意事項再寫本頁)

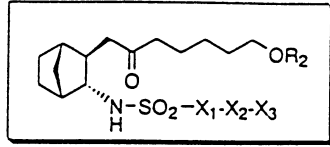
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五、發明說明 (53)

表 1 f



No.	R ₂	X ₁ -X ₂ -X ₃
1f-1	H	
1f-2	H	
1f-3	H	
1f-4	H	
1f-5	H	
1f-6	H	
1f-7	H	
1f-8	H	
1f-9	H	
1f-10	H	

(請先閱讀背面之注意事項再填寫本頁)

裝

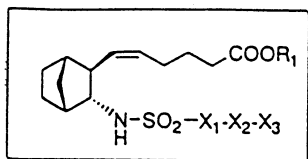
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五、發明說明 (54)

表 1g



No.	R ₁	X ₁ -X ₂ -X ₃
1g-1	H	
1g-2	H	
1g-3	H	
1g-4	H	
1g-5	H	
1g-6	H	
1g-7	H	
1g-8	H	
1g-9	H	
1g-10	H	
1g-11	H	

(請先閱讀背面之注意事項再填寫本頁)

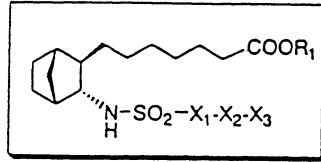
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五、發明說明 (55)

表 I h



No.	R ₁	X ₁ -X ₂ -X ₃
1h-1	H	
1h-2	H	
1h-3	H	
1h-4	H	
1h-5	H	
1h-6	H	
1h-7	H	
1h-8	H	
1h-9	H	
1h-10	H	

(請先閱讀背面之注意事項再填寫本頁)

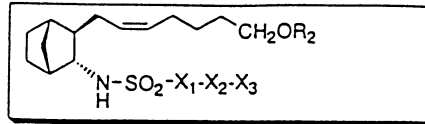
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五、發明說明 (56)

表 1 i



No.	R ₂	X ₁ -X ₂ -X ₃
1i-1	H	
1i-2	H	
1i-3	H	
1i-4	H	
1i-5	H	
1i-6	H	
1i-7	H	
1i-8	H	
1i-9	H	
1i-10	H	
1i-11	H	
1i-12	H	

(請先閱讀背面之注意事項再填寫本頁)

裝

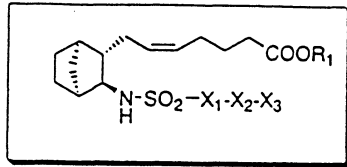
訂

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五、發明說明 (57)

表 1j



No.	R ₁	X ₁ -X ₂ -X ₃
1j-1	CH ₃	
1j-2	H	
1j-3	Na	
1j-4	H	
1j-5	CH ₃	
1j-6	CH ₃	
1j-7	H	
1j-8	CH ₃	
1j-9	CH ₃	
1j-10	H	
1j-11	CH ₃	
1j-12	H	
1j-13	CH ₃	
1j-14	H	
1j-15	CH ₃	
1j-16	H	

(請先閱讀背面之注意事項再填寫本頁)

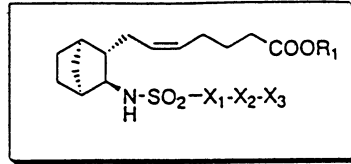
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五、發明說明 (58)



No.	R ₁	X ₁ -X ₂ -X ₃
1j-17	H	
1j-18	CH ₃	
1j-19	H	
1j-20	CH ₃	
1j-21	H	
1j-22	H	
1j-23	CH ₃	
1j-24	H	
1j-25	CH ₃	
1j-26	H	
1j-27	H	
1j-28	CH ₃	
1j-29	H	

(請先閱讀背面之注意事項再填寫本頁)

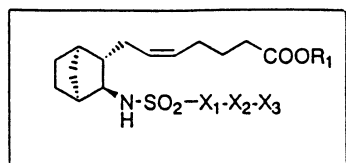
裝

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五、發明說明 (59)



No.	R ₁	X ₁ -X ₂ -X ₃
1j-30	H	
1j-31	H	
1j-32	H	
1j-33	H	
1j-34	H	
1j-35	H	
1j-36	H	
1j-37	H	
1j-38	H	

(請先閱讀背面之注意事項再填寫本頁)

裝

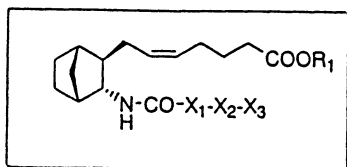
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五、發明說明 (60)

表 1k



No.	R ₁	X ₁ -X ₂ -X ₃
1k-1	H	
1k-2	CH ₃	
1k-3	H	
1k-4	H	
1k-5	H	
1k-6	H	
1k-7	H	
1k-8	H	
1k-9	H	
1k-10	H	
1k-11	CH ₃	
1k-12	H	

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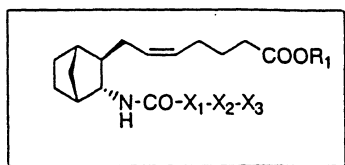
(請先閱讀背面之注意事項再填寫本頁)

裝

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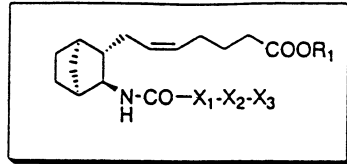
五、發明說明 (61)



No.	R_1	$X_1\text{-X}_2\text{-X}_3$
1k-13	H	
1k-14	H	
1k-15	H	
1k-16	H	
1k-17	H	
1k-18	H	
1k-19	H	
1k-20	H	

五、發明說明 (62)

表 1 m



No.	R ₁	X ₁ -X ₂ -X ₃
1m-1	CH ₃	
1m-2	H	
1m-3	CH ₃	
1m-4	H	
1m-5	CH ₃	
1m-6	H	
1m-7	CH ₃	
1m-8	H	
1m-9	CH ₃	
1m-10	H	
1m-11	CH ₃	
1m-12	H	
1m-13	CH ₃	
1m-14	H	
1m-15	CH ₃	
1m-16	H	
1m-17	CH ₃	
1m-18	H	

(請先閱讀背面之注意事項再填寫本頁)

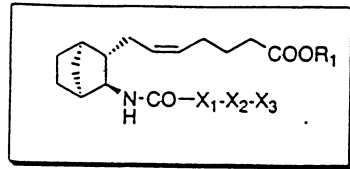
裝

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五、發明說明 (63)



No.	R ₁	X ₁ -X ₂ -X ₃
1m-19	CH ₃	
1m-20	H	
1m-21	H	
1m-22	H	
1m-23	CH ₃	
1m-24	H	
1m-25	CH ₃	
1m-26	H	
1m-27	CH ₃	
1m-28	H	
1m-29	CH ₃	
1m-30	H	
1m-31	H	
1m-32	H	
1m-33	H	

(請先閱讀背面之注意事項再填寫本頁)

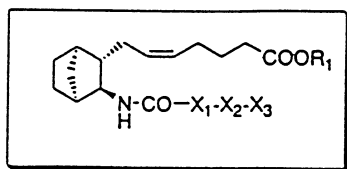
裝

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五、發明說明 (64)



No.	R ₁	X ₁ -X ₂ -X ₃
1m-34	H	
1m-35	H	
1m-36	H	
1m-37	H	
1m-38	H	
1m-39	H	
1m-40	H	

(請先閱讀背面之注意事項再填寫本頁)

裝

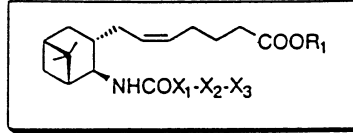
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五、發明說明 (65)

表 2 a



No.	R ₁	X ₁ -X ₂ -X ₃
2a-1	CH ₃	
2a-2	H	
2a-3	CH ₃	
2a-4	H	
2a-5	Na	
2a-6	CH ₃	
2a-7	H	
2a-8	CH ₃	
2a-9	H	
2a-10	CH ₃	
2a-11	H	
2a-12	CH ₃	
2a-13	H	
2a-14	CH ₃	
2a-15	H	
2a-16	CH ₃	
2a-17	H	
2a-18	CH ₃	
2a-19	H	
2a-20	CH ₃	
2a-21	H	
2a-22	Na	
2a-23	CH ₃	
2a-24	H	

(請先閱讀背面之注意事項再填寫本頁)

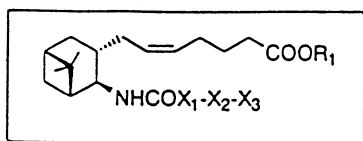
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五、發明說明 (66)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-25	CH ₃	
2a-26	H	
2a-27	CH ₃	
2a-28	H	
2a-29	CH ₃	
2a-30	H	
2a-31	CH ₃	
2a-32	CH ₃	
2a-33	H	
2a-34	CH ₃	
2a-35	H	
2a-36	CH ₃	
2a-37	H	
2a-38	CH ₃	
2a-39	H	
2a-40	CH ₃	
2a-41	H	
2a-42	CH ₃	
2a-43	H	
2a-44	CH ₃	
2a-45	H	
2a-46	CH ₃	
2a-47	H	

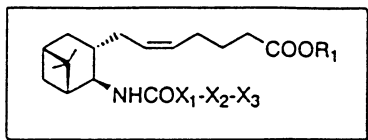
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (67)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-48	CH ₃	
2a-49	H	
2a-50	CH ₃	
2a-51	H	
2a-52	CH ₃	
2a-53	H	
2a-54	CH ₃	
2a-55	H	
2a-56	CH ₃	
2a-57	H	
2a-58	CH ₃	
2a-59	H	
2a-60	CH ₃	
2a-61	H	
2a-62	CH ₃	
2a-63	H	
2a-64	CH ₃	
2a-65	H	
2a-66	CH ₃	
2a-67	H	

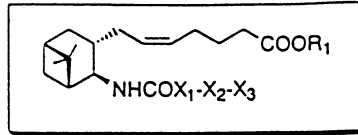
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (68)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-68	CH ₃	
2a-69	H	
2a-70	CH ₃	
2a-71	H	
2a-72	CH ₃	
2a-73	H	
2a-74	CH ₃	
2a-75	H	
2a-76	CH ₃	
2a-77	H	
2a-78	CH ₃	
2a-79	H	
2a-80	CH ₃	
2a-81	H	
2a-82	CH ₃	
2a-83	H	
2a-84	CH ₃	
2a-85	H	
2a-86	CH ₃	
2a-87	H	

(請先閱讀背面之注意事項再填寫本頁)

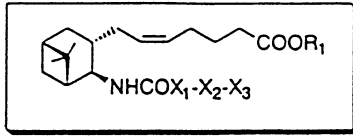
裝

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五、發明說明 (69)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-88	CH ₃	
2a-89	H	
2a-90	CH ₃	
2a-91	H	
2a-92	CH ₃	
2a-93	H	
2a-94	CH ₃	
2a-95	H	
2a-96	Na	
2a-97	Ca ^{1/2}	
2a-98	CH ₃	
2a-99	H	
2a-100	CH ₃	
2a-101	H	
2a-102	CH ₃	
2a-103	H	
2a-104	CH ₃	
2a-105	H	
2a-106	CH ₃	
2a-107	H	
2a-108	CH ₃	
2a-109	H	
2a-110	Na	
2a-111	CH ₃	
2a-112	H	

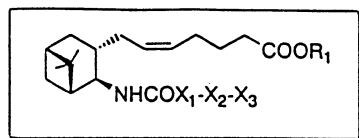
(請先閱讀背面之注意事項再填寫本頁)

裝

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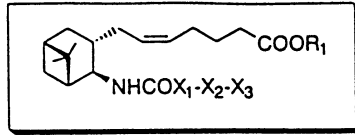
線

五、發明說明 (70)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-113	CH ₃	
2a-114	H	
2a-115	CH ₃	
2a-116	H	
2a-117	CH ₃	
2a-118	H	
2a-119	H	
2a-120	H	
2a-121	H	
2a-122	H	
2a-123	H	
2a-124	H	
2a-125	H	

五、發明說明 (71)



No.	R_1	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-126	H	
2a-127	H	
2a-128	H	
2a-129	H	
2a-130	H	
2a-131	H	
2a-132	H	
2a-133	H	
2a-134	H	
2a-135	H	
2a-136	H	

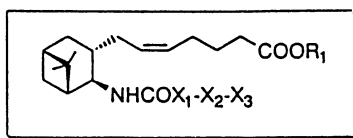
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (72)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-137	H	
2a-138	H	
2a-139	H	
2a-140	H	
2a-141	H	
2a-142	H	
2a-143	H	
2a-144	H	
2a-145	H	
2a-146	H	
2a-147	H	

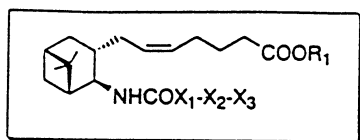
(請先閱讀背面之注意事項再填寫本頁)

裝

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五、發明說明 (73)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-148	H	
2a-149	H	
2a-150	H	
2a-151	H	
2a-152	H	
2a-153	H	
2a-154	H	
2a-155	H	
2a-156	H	
2a-157	H	
2a-158	H	
2a-159	H	

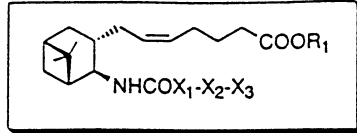
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (74)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-160	H	
2a-161	H	
2a-162	H	
2a-163	H	
2a-164	H	
2a-165	H	
2a-166	H	
2a-167	H	
2a-168	H	
2a-169	H	
2a-170	H	

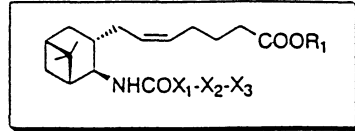
(請先閱讀背面之注意事項再填寫本頁)

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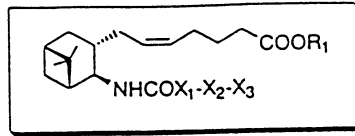
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五、發明說明 (75)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-171	H	
2a-172	H	
2a-173	H	
2a-174	H	
2a-175	H	
2a-176	H	
2a-177	H	
2a-178	H	
2a-179	H	
2a-180	H	
2a-181	H	
2a-182	H	

五、發明說明 (76)



No.	R ₁	X ₁ -X ₂ -X ₃
2a-183	H	
2a-184	H	
2a-185	H	
2a-186	H	
2a-187	H	
2a-188	H	
2a-189	H	
2a-190	H	
2a-191	H	
2a-192	H	
2a-193	H	

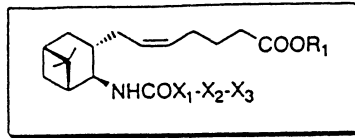
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (77)



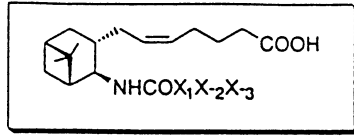
No.	R_1	$X_1\text{-X}_2\text{-X}_3$
2a-194	H	
2a-195	H	
2a-196	H	
2a-197	H	
2a-198	H	
2a-199	H	
2a-200	H	
2a-201	H	
2a-202	H	
2a-203	H	

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (78)



No.	$X_1-X_2-X_3$
2a-204	
2a-205	
2a-206	
2a-207	
2a-208	
2a-209	
2a-210	
2a-211	
2a-212	
2a-213	

(請先閱讀背面之注意事項再填寫本頁)

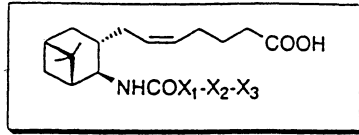
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五、發明說明 (79)



No.	X ₁ -X ₂ -X ₃
2a-214	
2a-215	
2a-216	
2a-217	
2a-218	
2a-219	
2a-220	
2a-221	
2a-222	
2a-223	

(請先閱讀背面之注意事項再填寫本頁)

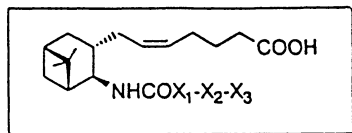
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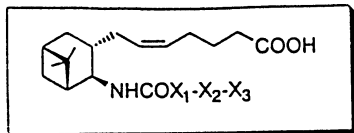
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五、發明說明 (80)



No.	X ₁ -X ₂ -X ₃
2a-224	
2a-225	
2a-226	
2a-227	
2a-228	
2a-229	
2a-230	
2a-231	
2a-232	
2a-233	

五、發明說明 (81)



No.	X ₁ -X ₂ -X ₃
2a-234	
2a-235	
2a-236	
2a-237	
2a-238	
2a-239	
2a-240	
2a-241	
2a-242	
2a-243	

(請先閱讀背面之注意事項再填寫本頁)

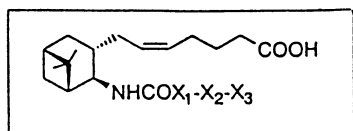
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五、發明說明 (82)



No.	X ₁ -X ₂ -X ₃
2a-244	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a methoxy group (-OCH₃) at the 3-position. The right phenyl ring has a methyl group (-CH₃) at the 3-position.</p>
2a-245	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a methoxy group (-OCH₃) at the 3-position. The right phenyl ring has a methyl group (-CH₃) at the 4-position.</p>
2a-246	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a methoxy group (-OCH₃) at the 3-position. The right phenyl ring has a methyl group (-CH₃) at the 4-position.</p>
2a-247	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a methoxy group (-OCH₃) at the 3-position. The right phenyl ring has a methoxy group (-OCH₃) at the 3-position.</p>
2a-248	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a methoxy group (-OCH₃) at the 3-position. The right phenyl ring has a methoxy group (-OCH₃) at the 4-position.</p>
2a-249	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a methoxy group (-OCH₃) at the 3-position. The right phenyl ring has a methoxy group (-OCH₃) at the 4-position.</p>
2a-250	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a hydroxymethyl group (-HOH₂C) at the 3-position. The right phenyl ring has a hydroxymethyl group (-HOH₂C) at the 3-position.</p>
2a-251	<p>Chemical structure of a diphenyl sulfide derivative. The left phenyl ring has a hydroxymethyl group (-H₃COH₂C) at the 3-position. The right phenyl ring has a hydroxymethyl group (-H₃COH₂C) at the 3-position.</p>

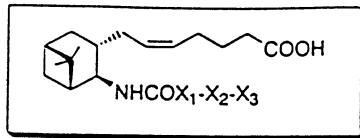
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (83)



No.	X ₁ -X ₂ -X ₃
2a-252	
2a-253	
2a-254	
2a-255	
2a-256	
2a-257	

(請先閱讀背面之注意事項再為本頁)

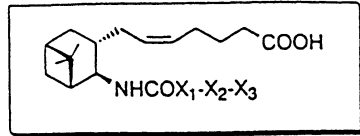
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五、發明說明 (84)



No.	X ₁ -X ₂ -X ₃
2a-258	
2a-259	
2a-260	
2a-261	
2a-262	
2a-263	
2a-264	
2a-265	
2a-266	
2a-267	

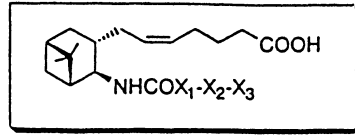
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (85)



No.	X ₁ -X ₂ -X ₃
2a-268	
2a-269	
2a-270	
2a-271	
2a-272	
2a-273	
2a-274	
2a-275	
2a-276	
2a-277	

(請先閱讀背面之注意事項再填寫本頁)

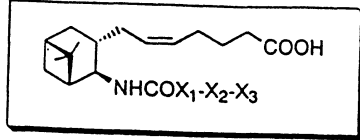
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五、發明說明 (86)



No.	X ₁ -X ₂ -X ₃
2a-278	
2a-279	
2a-280	
2a-281	
2a-282	
2a-283	
2a-284	
2a-285	
2a-286	
2a-287	

(請先閱讀背面之注意事項再填寫本頁)

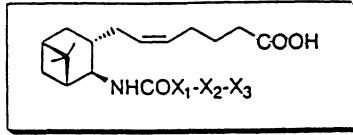
裝

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五、發明說明 (87)



No.	X ₁ -X ₂ -X ₃
2a-288	
2a-289	
2a-290	
2a-291	
2a-292	
2a-293	
2a-294	
2a-295	
2a-296	

(請先閱讀背面之注意事項再填寫本頁)

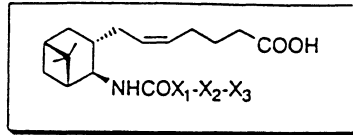
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五、發明說明 (88)



No.	X ₁ -X ₂ -X ₃
2a-297	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a hydroxyl group (-OH) at the para position.</p>
2a-298	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a methoxy group (-OCH₃) at the para position.</p>
2a-299	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with three methoxy groups (-OCH₃) at the 2, 3, and 4 positions.</p>
2a-300	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a dimethylamino group (-N(CH₃)₂) at the para position.</p>
2a-301	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a methyl group (-CH₃) at the meta position.</p>
2a-302	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a phenyl group (-C₆H₅) at the para position.</p>
2a-303	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a methyl group (-CH₃) and a phenyl group (-C₆H₅) at the para position.</p>
2a-304	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with three methoxy groups (-OCH₃) at the 2, 3, and 4 positions.</p>
2a-305	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a hydroxyl group (-OH) at the para position.</p>
2a-306	<p>Chemical structure: A benzamide derivative where the amide nitrogen is attached to a benzene ring with a methoxy group (-OCH₃) at the para position.</p>

(請先閱讀背面之注意事項再填寫本頁)

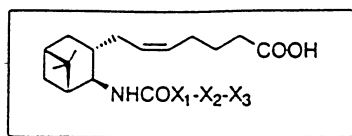
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五、發明說明 (89)



No.	X ₁ -X ₂ -X ₃
2a-307	
2a-308	
2a-309	
2a-310	
2a-311	
2a-312	
2a-313	
2a-314	
2a-315	

(請先閱讀背面之注意事項再填寫本頁)

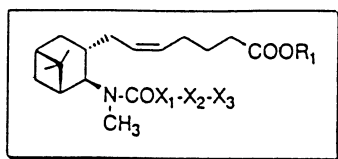
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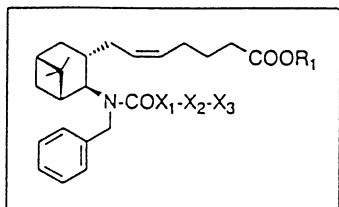
五、發明說明 (90)

表 2 b



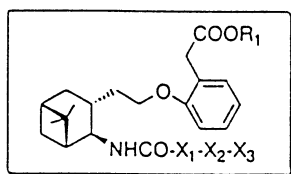
No.	R ₁	X ₁ -X ₂ -X ₃
2b-1	H	
2b-2	H	

表 2 c



No.	R ₁	X ₁ -X ₂ -X ₃
2c-1	H	
2c-2	H	
2c-3	H	

表 2 d



No.	R ₁	X ₁ -X ₂ -X ₃
2d-1	H	
2d-2	H	
2d-3	H	

(請先閱讀背面之注意事項再填寫本頁)

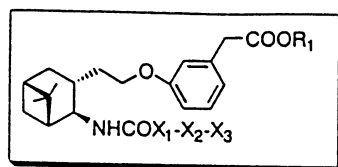
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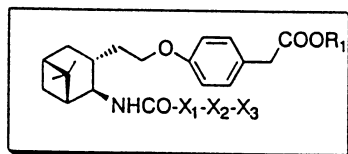
五、發明說明 (91)

表 2 e



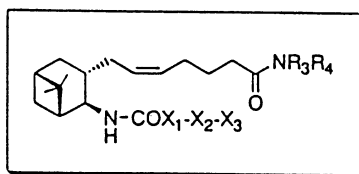
No.	R ₁	X ₁ -X ₂ -X ₃
2e-1	H	
2e-2	H	
2e-3	H	

表 2 f



No.	R ₁	X ₁ -X ₂ -X ₃
2f-1	H	
2f-2	H	
2f-3	H	

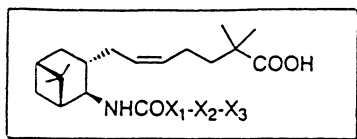
表 2 g



No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
2g-1	H	SO ₂ CH ₃	

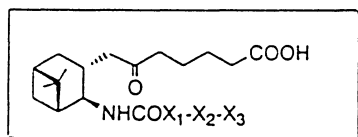
五、發明說明 (92)

表 2 h



No.	X ₁ -X ₂ -X ₃
2h-1	
2h-2	
2h-3	
2h-4	
2h-5	
2h-6	

表 2 i



No.	X ₁ -X ₂ -X ₃
2i-1	
2i-2	
2i-3	
2i-4	
2i-5	
2i-6	

(請先閱讀背面之注意事項再
● 本頁)

裝

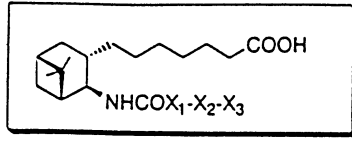
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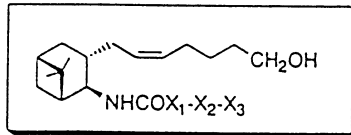
五、發明說明 (93)

表 2 j



No.	X ₁ -X ₂ -X ₃
2j-1	
2j-2	
2j-3	
2j-4	
2j-5	
2j-6	

表 2 k



No.	X ₁ -X ₂ -X ₃
2k-1	
2k-2	
2k-3	
2k-4	
2k-5	
2k-6	

(請先閱讀背面之注意事項再填寫本頁)

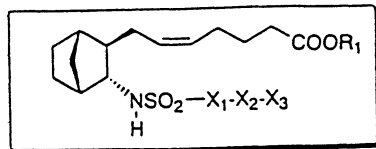
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五、發明說明 (94)

表 3 a



No.	R ₁	X ₁ -X ₂ -X ₃
3a-1	CH ₃	
3a-2	H	
3a-3	CH ₃	
3a-4	H	
3a-5	H ₃ N ⁺ C(CH ₂ OH) ₃	
3a-6	Na	
3a-7	1/2 Ca	
3a-8	H	
3a-9	H	
3a-10	CH ₃	
3a-11	H	
3a-12	CH ₃	
3a-13	H	
3a-14	CH ₃	
3a-15	CH ₃	
3a-16	H	
3a-17	CH ₃	
3a-18	H	

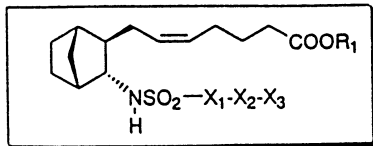
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五、發明說明 (95)



No.	R ₁	X ₁ -X ₂ -X ₃
3a-19	CH ₃	
3a-20	H	
3a-21	CH ₃	
3a-22	H	
3a-23	CH ₃	
3a-24	H	
3a-25	H	-(CH ₂) ₃ CH ₃
3a-26	CH ₃	-(CH ₂) ₇ CH ₃
3a-27	H	-(CH ₂) ₇ CH ₃
3a-28	CH ₃	
3a-29	H	
3a-30	CH ₃	
3a-31	CH ₃	
3a-32	H	
3a-33	Na	
3a-34	H	
3a-35	Na	

(請先閱讀背面之注意事項再
● 本頁)

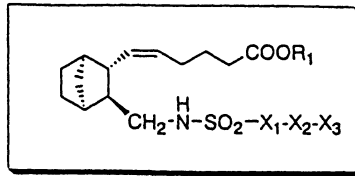
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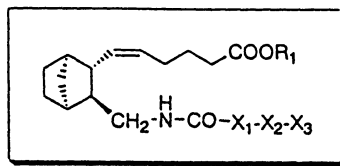
五、發明說明 (96)

表 3 b



No.	R ₁	X ₁ -X ₂ -X ₃
3b-1	CH ₃	
3b-2	H	
3b-3	H	
3b-4	H	

表 3 c



No.	R ₁	X ₁ -X ₂ -X ₃
3c-1	H	

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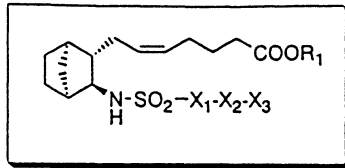
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五、發明說明 (97)

表 3 d



No.	R ₁	X ₁ -X ₂ -X ₃
3d-1	1/2 Ca	
3d-2	Na	
3d-3	Na	
3d-4	Na	
3d-5	CH ₃	
3d-6	H	
3d-7	CH ₃	
3d-8	H	
3d-9	Na	
3d-10	CH ₃	
3d-11	H	
3d-12	Na	
3d-13	1/2 Ca	
3d-14	H	
3d-15	Na	

(請先閱讀背面之注意事項再填寫本頁)

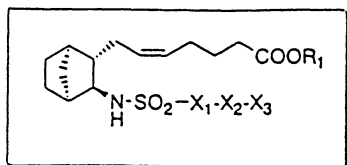
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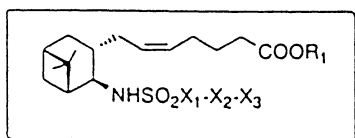
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五、發明說明 (98)



No.	R ₁	X ₁ -X ₂ -X ₃	
3d-16	H		
3d-17	H		
3d-18	H	$-(CH_2)_3CH_3$	
3d-19	CH ₃		
3d-20	H	$-NHCH_3$	
3d-21	CH ₃		
3d-22	H		
3d-23	H		
3d-24	H		
3d-25	H		外消旋體
3d-26	Na		
3d-27	H		外消旋體
3d-28	Na		
3d-29	H		外消旋體
3d-30	Na		

表 3 e



No.	R ₁	X ₁ -X ₂ -X ₃
3e-1	1/2Ca	

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五、發明說明 (99)

上述化合物之物性值如下所示，另，上述化合物 No. 係指相對應於表中化合物 No. 者

No.1 a - 4

$[\alpha]_D = -11.5^\circ$ (CHCl₃, c=1.01, 23.5°C).

No.1 a - 5

$[\alpha]_D = -10.0^\circ$ (CHCl₃, c=1.01, 25.0°C).

No.1 a - 6

CDC13 300MHz

0.93-1.96(14H,m), 2.20-2.26(3H,m), 3.03(1H,m), 3.67(3H,s), 4.99(1H,d, J=6.6Hz), 5.10-5.24(2H,m), 7.37-7.51(3H,m), 7.54-7.64(3H,m), 7.76-7.88(2H,m), 8.11(1H,m).

IR(CHCl₃): 3384, 3278, 3026, 2952, 2874, 1727, 1436, 1411, 1324, 1155, 1097 /cm.

$[\alpha]_D = -9.0^\circ$ (CHCl₃, c=1.04, 22.0°C).

No.1 a - 7

CDC13 300MHz

0.93-2.00(14H,m), 2.18(1H,m), 2.28(2H,t, J=7.2Hz), 3.04(1H,m), 5.15-5.25(2H,m), 5.28(1H,d, J=6.9Hz), 7.36-7.50(3H,m), 7.54-7.63(3H,m), 7.76-7.89(2H,m), 8.12(1H,m).

IR(CHCl₃): 3268, 3028, 2952, 2872, 1708, 1452, 1410, 1324, 1155, 1097 /cm.

$[\alpha]_D = -9.1^\circ$ (CHCl₃, c=1.01, 24.0°C).

No.1 a - 8

CDC13 300MHz

0.94-1.99(14H,m), 2.21-2.29(3H,m), 3.05(1H,m), 3.67(3H,s), 4.92(1H,d, J=6.3Hz), 5.14-5.30(2H,m), 7.70-7.78(6H,m), 7.96-8.01(2H,m).

(請先閱讀背面之注意事項再
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五、發明說明 (100)

IR(CHCl₃):3376,3272,3018,2946,2868,1727,1616,1435,1388,1324,1162,1130,1069 /cm.

$[\alpha]_D = +1.6^\circ$ (CHCl₃, c=1.01, 24.0°C). mp. 117-119°C.

No.1 a - 9

CDCl₃ 300MHz

0.95-2.08(14H,m), 2.19(1H,m), 2.32(2H,t, J=7.2Hz), 3.06(1H,m), 5.20-5.30(2H,m), 5.34(1H,d, J=6.6Hz), 7.69-7.78(6H,m), 7.96-8.03(2H,m).

IR(CHCl₃):3260,3020,2950,2868,1708,1389,1324,1162,1130,1069 /cm.

$[\alpha]_D = +13.3^\circ$ (CHCl₃, c=1.05, 24.0°C).

mp. 118-120°C

No.1 a - 10

CDCl₃ 300MHz

0.96-1.98(14H,m), 2.15-2.32(3H,m), 3.04(1H,m), 3.66(3H,s), 5.12-5.26(5H,m), 7.67-7.78(4H,m), 7.93-8.07(4H,m).

IR(CHCl₃):3276,3018,2946,2868,1726,1595,1435,1341,1162,1095 /cm.

$[\alpha]_D = -1.5^\circ$ (CHCl₃, c=1.01, 25.0°C).

mp. 133-139°C.

No.1 a - 11

CD₃OD 300MHz

1.05-1.98(14H,m), 2.13-2.22(3H,m), 2.97(1H,m), 5.09-5.22(2H,m), 7.85-7.92(4H,m), 7.95-8.05(4H,m).

IR(KBr):3385,3261,3069,3003,2954,2872,1708,1596,1428,1413,1378,1343,1326,1236,1186,1160,1096 /cm.

mp. 144-146°C.

No.1 a - 12

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五、發明說明 (101)

CDC13 300MHz

0.96-1.96(14H,m),2.22-2.27(3H,m),3.03(1H,m),3.66(3H,s),3.87(3H,s),4.86(1H,d,J=6.9Hz),5.18-5.24(2H,m),6.99-7.02(2H,m),7.55-7.66(2H,m),7.66-7.69(2H,m),7.89-7.92(2H,m).

IR(CHCl₃):3374,3270,3016,2948,2870,1726,1608,1518,1487,1458,1437,1248,1157,1037.

$[\alpha]_D^{25} = +4.2^\circ$ (CHCl₃,c=1.01,24°C).

mp.85-87°C.

No.1 a - 1 3

CDC13 300MHz

0.97-1.99(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.04(1H,m),3.86(3H,s),5.18(1H,d,J=5.7Hz),5.23-5.26(2H,m),6.99-7.02(2H,m),7.55-7.58(2H,m),7.66-7.68(2H,m),7.89-7.92(2H,m).

IR(CHCl₃):3380,3260,3020,2948,2868,1708,1608,1519,1487,1458,1306,1293,1248,1156 /cm.

$[\alpha]_D^{25} = +18.3^\circ$ (CHCl₃,c=1.00,25.5°C).

No.1 a - 1 4

CDC13 300MHz

0.98-2.00(14H,m),2.20(1H,m),2.25(2H,t,J=7.2Hz),3.02(1H,m),3.67(3H,s),4.85(1H,d,J=6.3Hz),5.19-5.25(2H,m),7.13(1H,dd,J=4.8,3.6Hz),7.39(1H,d,J=4.8Hz),7.40(1H,d,J=3.6Hz),7.71-7.74(2H,m),7.86-7.89(2H,m).

IR(CHCl₃):3374,3270,3018,2946,2868,1727,1593,1434,1322/cm.

$[\alpha]_D^{25} = +5.6^\circ$ (CHCl₃,c=1.01,24°C).

mp.69-71°C.

No.1 a - 1 5

CDC13 300MHz

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(102)

0.95-2.00(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.03(1H,m),5.20(1H,d,J=6.9 Hz),5.24-5.28(2H,m),7.13(1H,dd,J=4.8,3.3Hz),7.38(1H,d,J=4.8Hz),7.43(1H,d,J=3.3Hz),7.73(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).

IR(CHCl₃):3260,3022,2948,2868,1709,1593,1404,1321,1154/cm.

$[\alpha]_D = +20.8^\circ$ (CHCl₃,c=1.07,23°C).

mp.71-73°C.

No.1 a - 1 6

CDCl₃ 300MHz

0.98-2.00(14H,m),2.27(2H,t,J=7.5Hz),2.28(1H,m),3.13(1H,m),3.66(3H,s),4.90(1H,d,J=6.9Hz),5.25-5.29(2H,m),7.40-7.65(6H,m),7.76(1H,d,J=8.4Hz),7.90-8.02(4H,m).

IR(CHCl₃):3376,3276,3018,2946,2868,1726,1593,1435,1394,1322,1159/cm.

$[\alpha]_D = +7.0^\circ$ (CHCl₃,c=1.07,24°C).

No.1 a - 1 7

CDCl₃ 300MHz

1.02-2.07(14H,m),2.25(1H,m),2.34(2H,t,J=6.6Hz),3.14(1H,m),5.28-5.33(3H,m),7.39-7.57(4H,m),7.62-7.65(2H,m),7.76(1H,d,J=8.1Hz),7.89-8.02(4H,m).

IR(CHCl₃):3260,2948,2868,1709,1593,1394,1324,1157/cm.

$[\alpha]_D = +20.2^\circ$ (CHCl₃,c=1.02,24°C).

No.1 a - 1 8

CDCl₃ 300MHz

1.05-1.97(14H,m),2.25(2H,t,J=7.2Hz),2.33(1H,m),3.12(1H,m),3.67(3H,s),4.91(1H,d,J=6.6Hz),5.24-5.29(2H,m),7.24(1H,d,J=3.9Hz),7.39-7.45(3H,m),7.56(1H,d,J=3.9Hz),7.59-7.62(2H,m).

IR(CHCl₃):3372,3272,3018,2946,2868,1727,1433,1331,1152/cm.

$[\alpha]_D = -5.7^\circ$ (CHCl₃,c=1.01,23°C).

五、發明說明 (103)

No.1 a - 1 9

CDC13 300MHz

1.05-2.05(14H,m),2.28-2.33(3H,m),3.13(1H,m),5.18(1H,d,J=6.3Hz),5.27-5.31(2H,m),7.24(1H,d,J=4.2Hz),7.39-7.42(3H,m),7.56(1H,d,J=4.2Hz),7.58-7.62(2H,m).

IR(CHCl₃):3372,3254,3018,2948,2868,1707,1431,1328,1151/cm.[α]D= +4.5° (CHCl₃,c=1.01,21.5°C).

No.1 a - 2 0

CDC13 300MHz

1.05-2.00(14H,m),2.26(2H,t,J=7.5Hz),2.33(1H,m),3.11(1H,m),3.68(3H,s),4.92(1H,d,J=6.0Hz),5.27(2H,m),7.05(1H,m),7.10(1H,d,J=3.6Hz),7.25(1H,m),7.32(1H,m),7.49(1H,d,J=3.6Hz).

IR(CHCl₃):3372,3272,3018,2946,2686,1727,1438,1417,1333,1151/cm.[α]D=-9.2° (CHCl₃,c=1.01,25°C).

No.1 a - 2 1

CDC13 300MHz

1.02-2.01(14H,m),2.28-2.34(3H,m),3.13(1H,m),5.12(1H,d,J=6.9Hz),5.28-5.32(2H,m),7.06(1H,m),7.10(1H,d,J=3.9Hz),7.25(1H,m),7.32(1H,m),7.50(1H,d,J=3.9Hz).

IR(CHCl₃):3350,3250,2948,1709,1440,1420,1330,1151.[α]D=+2.5° (CHCl₃,c=1.00,25°C).

No.1 a - 2 2

CDC13 300MHz

0.96-2.05(14H,m),2.25(1H,m),2.35(2H,t,J=7.0Hz),3.11(1H,m),5.20-5.34(2H,m),5.41(1H,d,J=6.6Hz),7.31-7.49(5H,m),7.62(1H,d,J=7.8Hz),8.11(1H,d,d,J=1.8an

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五、發明說明 (104)

d7.8Hz), 8.35(1H, d, J=1.8Hz).

IR(CHCl₃): 3384, 3271, 3025, 2958, 1708, 1608, 1559, 1537, 1357, 1168/cm.

[α]D=+18.3(CHCl₃, C=0.31, 22°C).

No.1 a - 2 3

CDCl₃ 300MHz

0.97-2.07(14H, m), 2.24(1H, m), 2.35(2H, t, J=6.9Hz), 3.09(1H, m), 3.86(3H, s), 5.24-5.35(2H, m), 5.44(1H, d, J=6.3Hz), 6.97-7.00(2H, m), 7.26-7.28(2H, m), 7.59(1H, d, J=8.1Hz), 8.06(1H, d, d, J=2.1 and 8.1Hz), 8.29(1H, d, J=2.1Hz).

IR(CHCl₃): 3384, 3270, 2959, 1709, 1609, 1535, 1519, 1357, 1302, 1255, 1226, 1169/cm.

[α]D=+17.0° (CHCl₃, C=1.00, 21°C).

No.1No.1 a - 2 4

CDCl₃ 300MHz

0.95-2.00(14H, m), 2.20-2.25(1H, m), 2.26(2H, t, J=7.2Hz), 3.02-3.10(1H, m), 3.66(3H, s), 4.92(1H, d, J=6.6Hz), 5.16-5.31(2H, m), 7.52-7.60(3H, m), 7.94-8.06(6H, m).

IR(CHCl₃): 3376, 3020, 2946, 2868, 1726, 1436, 1366, 1298, 1164, 1090, 890/cm.

[α]D=+11.2±0.5° (CHCl₃, c=1.04, 23.5°C)

mp. 101-103°C

No.1 a - 2 5

CDCl₃ 300MHz

0.95-2.08(14H, m), 2.15-2.22(1H, m), 2.33(2H, t, J=6.9Hz), 3.02-3.10(1H, m), 5.21-5.31(2H, m), 5.34(1H, d, J=6.3Hz), 7.51-7.59(3H, m), 7.92-8.07(6H, m).

IR(CHCl₃): 3258, 3022, 2948, 2868, 1707, 1399, 1328, 1298, 1163, 1089, 1051, 892/cm.

[α]D=+29.8±0.7° (CHCl₃, c=1.05, 25°C)

五、發明說明 (105)

mp.158-160°C

No.1 a - 2 6

Anal. Calcd for $C_{26}H_{30}N_3O_4SNa \cdot 0.8H_2O$:C,60.29;H,6.15;N,8.11;S,6.19;Na,4.44.

Found:C,60.15;H,6.19;N,8.15;S,6.03;Na,4.98.

$[\alpha]_D = -16.6^\circ$ ($CHCl_3, c=1.04, 25.0^\circ C$).

No.1 a - 2 7

$CDCl_3$ 300MHz

0.92-1.98(14H,m),2.20(1H,m),2.26(2H,t,J=7.5Hz),3.03(1H,m),3.12(6H,s),3.66(3H,s),4.87(1H,d,J=6.6Hz),5.16-5.32(2H,m),6.73-6.80(2H,m),7.88-8.00(6H,m).

IR($CHCl_3$):3376,3020,2946,1726,1601,1518,1442,1419,1362,1312,1163,1133,1088 /cm.

$[\alpha]_D = +55.3^\circ$ ($CHCl_3, c=0.53, 24.0^\circ C$).

mp.158-168°C

No.1 a - 2 8

$CDCl_3 + CD_3OD$ 300MHz

0.99-2.14(14H,m),2.21(1H,m),2.31(2H,t,J=7.2Hz),2.94(1H,m),3.12(6H,s),5.22-5.38(2H,m),6.73-6.81(2H,m),7.87-8.00(6H,m).

IR(KBr):3434,3309,2946,1708,1604,1520,1442,1416,1366,1312,1252,1164,1155,1134,1091 /cm.

$[\alpha]_D =$ 無法測定 (著色, 能量不足)

mp.193-196°C

No.1 a - 2 9

CD_3OD 300MHz

1.02-1.96(14H,m),2.10(2H,t,J=7.8Hz),2.16(1H,m),2.98(1H,m),3.11(6H,s),5.07-

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五、發明說明 (106)

5.27(2H,m),6.80-6.87(2H,m),7.84-8.00(6H,m).

IR(KBr):3433,3087,3004,2949,2871,1604,1565,1520,1444,1420,1364,1312,1253,11638,1136,1090 /cm.

$[\alpha]_D$ = 無法測定

No.1 a - 3 0

CDC13 300MHz

0.95-1.99(14H,m),2.22(1H,m),2.26(2H,t,J=7.2Hz),2.35(3H,s),3.06(1H,m),3.66(3H,s),4.95(1H,d,J=6.9Hz),5.15-5.30(2H,m),7.26-7.32(2H,m),7.97-8.06(6H,m).

IR(CHCl₃):3374,2996,2946,2868,1763,1728,1591,1495,1435,1368,1299,1228,1192,1163,1139 /cm.

$[\alpha]_D = +12.9^\circ$ (CHCl₃, c=1.04, 26.0°C).

No.1 a - 3 1

CDC13 300MHz

0.93-2.01(14H,m),2.19(1H,m),2.31(2H,t,J=7.2Hz),2.35(3H,s),3.06(1H,m),5.17-5.32(2H,m),7.25-7.32(2H,m),7.96-8.07(6H,m).

IR(CHCl₃):3267,3028,2952,2874,1759,1708,1592,1495,1368,1328,1299,1163,1138,1088,1050,1008/cm.

$[\alpha]_D = +21.7^\circ$ (CHCl₃, C=0.51, 22°C).

No.1 a - 3 2

CDC13 300MHz

0.93-1.99(14H,m),2.21(1H,m),2.27(2H,t,J=7.2Hz),3.05(1H,m),3.67(3H,s),4.92(1H,d,J=6.6Hz),5.15-5.30(2H,m),6.72(1H,s),6.96-7.00(2H,m),7.86-8.04(6H,m).

IR(CHCl₃):3374,3276,3018,2946,2686,1725,1605,1589,1502,1433,1396,1330,1271,1164,1135,1089 /cm. $[\alpha]_D = +18.6^\circ$ (CHCl₃, c=1.00, 26.0°C).

No.1 a - 3 3

(請先閱讀背面之注意事項再
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五、發明說明(107)

CDC13+CD3OD 300MHz

0.98-2.08(14H,m),2.20(1H,m),2.28(2H,t,J=7.2Hz),2.98(1H,m),5.18-5.32(2H,m),
6.92-6.99(2H,m),7.85-8.02(6H,m).

IR(KBr):3385,3248,2948,2876,1717,1601,1505,1430,1399,1296,1280,1219,116
5,1136,1092 /cm.

$[\alpha]_D = -16.0^\circ$ (CH₃OH,c=1.08,26.0°C).

mp.208-210°C

No.1 a - 3 4

mp.82-83°C $[\alpha]_D = +10.6^\circ$ (CHCl₃,c=1.01,23.5°C).

No.1 a - 3 5

mp.80-82°C $[\alpha]_D = -1.8^\circ$ (CHCl₃,c=1.07,22.0°C).

No.1 a - 3 6

TLC R_f=0.25 (醋酸乙酯-n-己烷=1:1(0.3%醋酸))

No.1 a - 3 7

CDC13 300MHz

0.92-1.96(14H,m),2.21(1H,m),2.27(2H,t,J=7.4Hz),3.01(1H,m),3.66(3H,s),4.71(
1H,d,J=6.6Hz),5.14-5.29(2H,m),7.12(1H,d,J=16.2Hz),7.24(1H,d,J=16.2Hz),7.2
8-7.42(3H,m),7.52-7.56(2H,m),7.62(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz).

IR(CHCl₃):3384,3283,3023,2954,2876,1730,1595,1494,1317,1163,1147 /cm.

$[\alpha]_D = +10.5^\circ$ (CHCl₃,c=1.01,24°C).

mp 116-117 °C.

No.1 a - 3 8

CDC13 300MHz

0.92-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.02(1H,m),5.23-5.29(3H,m),

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五、發明說明 (108)

7.11(1H,d,J=16.2Hz),7.23(1H,d,J=16.2Hz),7.28-7.41(3H,m),7.52-7.55(2H,m),7.61(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz).

IR(CHCl₃):3515,3384,3270,3022,3015,2957,2876,2669,1708,1595,1496,1320,1157 /cm.

[α]D= +27.1° (CHCl₃,c=1.02,24°C).

No.1 a - 3 9

CDC13 300MHz

0.92-1.99(14H,m),2.15(1H,m),2.28(2H,t,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.96(1H,d,J=6.6Hz),5.16-5.32(2H,m),6.60(1H,d,J=12.0Hz),6.74(1H,d,J=12.0Hz),7.16-7.23(5H,m),7.35(2H,d,J=8.4Hz),7.72(2H,d,J=8.4Hz).

IR(CHCl₃):3384,3283,3023,3015,2954,2876,1730,1595,1493,1324,1163,1147 /cm.

[α]D= +13.7° (CHCl₃,c=1.00,24°C).

No.1 a - 4 0

CDC13 300MHz

0.90-2.16(14H,m),2.12(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.16(1H,d,J=6.9 Hz),5.23-5.34(2H,m),6.60(1H,d,J=12.3Hz),6.74(1H,d,J=12.3Hz),7.14-7.24(5H,m),7.35(2H,d,J=8.1Hz),7.72(2H,d,J=8.1Hz).

IR(CHCl₃):3515,3384,3269,3025,3021,3014,2957,2876,2668,1709,1595,1322,1162,1147 /cm.

[α]D= +26.4° (CHCl₃,c=1.00,24°C).

No.1 a - 4 1

CDC13 300MHz

0.98-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.00(1H,m),3.84(3H,s),5.20-5.26(3H,m),6.90-6.95(2H,m),6.98(1H,d,J=16.2Hz),7.17(1H,d,J=16.2Hz),7.46-7.49(2H,m),7.58(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz).

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五、發明說明 (109)

IR(CHCl₃):3258,3018,3002,2950,1709,1590,1509,1457,1404,1302,1250,1153
/cm.

[α]D= +30.2° (CHCl₃,c=1.00,23°C).

mp.99-100 °C

No.1 a - 4 2

CDC13 300MHz

1.01-1.99(14H,m),2.28(2H,t,J=7.2Hz),2.30(1H,m),3.10(1H,m),3.66(3H,s),5.07(
1H,br),5.25-5.30(2H,m),6.98-7.04(2H,m),7.16(1H,d,J=16.2Hz),7.28-7.37(3H,m),
7.47-7.50(3H,m).

IR(CHCl₃):3372,3276,3020,2946,2870,1727,1491,1433,1331,1152 /cm.

[α]D= -11.5° (CHCl₃,c=1.07,21.5°C).

No.1 a - 4 3

CDC13 300MHz

0.98-2.00(14H,m),2.11-2.36(3H,m),3.12(1H,m),5.10(1H,d,J=6.6Hz),5.29-
5.32(2H,m),6.99-7.04(2H,m),7.23(1H,d,J=21.6Hz),7.32-7.49(6H,m).

IR(CHCl₃):3380,3248,3020,2948,2868,1709,1491,1430,1329,1151/cm.

[α]D= +3.4° (CHCl₃,c=1.03,25°C).

No.1 a - 4 4

CDC13 300MHz

1.00-2.00(14H,m),2.13(1H,m),2.29(2H,t,J=7.4Hz),2.90-3.13(5H,m),3.68(3H,s),
4.74(1H,d,J=6.6Hz),5.15-5.30(2H,m),7.18-7.29(7H,m),7.76(2H,d,J=8.1Hz).

IR(CHCl₃):3384,3282,3063,3028,3023,3016,2953,2876,1730,1599,1496,1319,1
157 /cm.

[α]D=+2.3° (CHCl₃,c=1.00,25°C).

mp.85.0-86.0°C

五、發明說明 (110)

No.1 a - 4 5

CDC13 300MHz

0.90-2.05(14H,m),2.09(1H,m),2.35(2H,t,J=6.9Hz),2.90-3.13(5H,m),5.18(1H,d,J=6.6Hz),5.24-5.34(2H,m),7.10-7.27(7H,m),7.76(2H,d,J=8.4Hz).

IR(CHCl₃):3510,3384,3270,3087,3063,3026,3018,3014,2955,2876,2670,1708,1599,1496,1318,1157/cm.

[α]D=+8.5° (CHCl₃,c=1.01,25°C).

No.1 a - 4 6

[α]D=+6.8° (CHCl₃,c=1.05,25°C). mp.99-100°C.

No.1 a - 4 7

CDC13 300MHz

0.97-2.01(14H,m),2.14(1H,m),2.36(2H,t,J=7.2Hz),3.02(1H,m),5.23(1H,d,J=5.4 Hz),5.26-5.30(2H,m),7.37-7.39(3H,m),7.54-7.58(2H,m),7.63-7.66(2H,m),7.85-7.88(2H,m).

IR(CHCl₃):3375,3260,3022,2948,2212,1707,1596,1497,1396,1322,1160/cm.

[α]D=+25.0° (CHCl₃,c=1.02,24°C). mp.117-118°C.

No.1 a - 4 8

CD3OD 300MHz

1.05-1.93(14H,m),2.10-2.15(3H,m),2.96(1H,m),5.08-5.28(2H,m),7.38-7.40(3H,m),7.554-7.56(2H,m),7.69(1H,d,J=8.4Hz),7.87(1H,d,J=8.4Hz).

No.1 a - 4 9

CDC13 300MHz

0.96-1.97(14H,m),2.24(1H,m),2.31(2H,t,J=6.9Hz),3.05(1H,m),3.69(3H,s),5.15(1H,d,J=6.6Hz),5.25-5.27(2H,m),7.40-7.43(3H,m),7.61-7.64(2H,m),7.85(1H,d,J=8.1Hz),8.07(1H,dd,J=8.1,1.8Hz),8.58(1H,d,J=1.8Hz).

五、發明說明(111)

IR(CHCl₃):3374,3020,2948,2870,2212,1726,1606,1530,1493,1437,1345,1167/cm.

$[\alpha]_D^{+2.4}$ (CHCl₃,c=1.03,25°C). mp.77-79°C.

No.1 a - 5 0

CDCl₃ 300MHz

1.00-2.02(14H,m),2.20(1H,m),2.34(2H,t,J=6.6Hz),3.08(1H,m),5.26-5.29(2H,m),
5.41(1H,d,J=6.9Hz),7.40-7.43(3H,m),7.61-7.64(2H,m),7.84(1H,d,J=8.1Hz),8.07
(1H,dd,J=8.4,1.8Hz),8.57(1H,dd,J=1.8Hz).

IR(CHCl₃):3380,3254,2952,2880,2212,1707,1606,1531,1493,1409,1344,1166.

$[\alpha]_D^{+23.4}$ (CHCl₃,c=1.00,25°C).

No.1 a - 5 1

CDCl₃ 300MHz

0.95-1.98(14H,m),2.23(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.66(3H,s),4.56(
2H,br),4.70(1H,d,J=6.9Hz),5.20-5.29(2H,m),7.15(1H,dd,J=7.8,1.8Hz),7.23(1H,
d,J=1.8Hz),7.36-7.39(3H,m),7.46(1H,d,J=7.8Hz),7.53-7.56(2H,m).

IR(CHCl₃):3494,3386,3028,2952,2874,1725,1611,1559,1497,1422,1317,1162/cm.

m.

No.1 a - 5 2

CDCl₃ 300MHz

0.96-2.04(16H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),2.99(1H,m),5.17(1H,d,J=6.3
Hz),5.28-5.31(2H,m),7.18(1H,dd,J=9.6,1.8Hz),7.25(1H,m),7.36-7.39(3H,m),7.4
6(1H,d,J=7.8Hz),7.52-7.56(2H,m).

IR(CHCl₃):3482,3378,3260,3022,2948,2868,1708,161

2,1495,1422,1317/cm.

$[\alpha]_D^{+15.0}$ (CHCl₃,c=1.00,24°C).

五、發明說明 (112)

No.1 a - 5 3

CDC13 300MHz

1.01-2.05(15H,m),2.31(2H,t,J=7.2Hz),3.10(1H,m),3.67(3H,s),5.02(1H,br),5.26-5.33(2H,m),7.18(1H,d,J=4.2Hz),7.36-7.39(3H,m),7.48(1H,d,J=4.2Hz),7.51-7.55(2H,m).

IR(CHCl₃):3372,3270,3018,3004,2946,2868,2202,1726,1486,1433,1336,1154/cm.

$[\alpha]_D^{25} = +0.6^\circ$ (CHCl₃,c=1.11,25°C), $[\alpha]_{436} = +17.8^\circ$ (CHCl₃,c=1.11,25°C).

No.1 a - 5 4

CDC13 300MHz

0.99-2.11(14H,m),2.27(1H,m),2.37(2H,t,J=7.5Hz),3.13(1H,m),5.16(1H,d,J=6.6Hz),5.31-5.35(2H,m),7.18(1H,d,J=3.6Hz),7.37-7.39(3H,m),7.50(1H,d,J=3.6Hz),7.52-7.55(2H,m).

IR(CHCl₃):3484,3370,3246,2948,2868,2202,1708,1486,1429,1335,1153/cm.

$[\alpha]_D^{24} = +17.8^\circ$ (CHCl₃,c=1.00,24°C). mp.95-96°C

No.1 a - 5 5

CDC13 300MHz

0.95-1.92(14H,m),2.15(1H,m),2.24(2H,t,J=7.5Hz),3.00(1H,m),3.66(3H,s),5.10-5.30(3H,m),7.40-7.60(7H,m),7.70(1H,d,J=7.8Hz),8.08(1H,d,J=8.1Hz). IR(CHCl₃):3356,3020,2948,2868,2210,1727,1490,1458,1437,1341,1165/cm.

$[\alpha]_D^{26} = -58.4^\circ$ (CHCl₃,c=1.00,26°C). mp.84-85°C.

No.1 a - 5 6

CDC13 300MHz

0.95-1.95(14H,m),2.10(1H,m),2.27(2H,t,J=6.9Hz),3.00(1H,m),5.17-5.21(2H,m),5.38(1H,d,J=6.9Hz),7.39-7.60(7H,m),7.70(1H,dd,J=7.8,1.5Hz),8.07(1H,J=6.6,1.5Hz).

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五、發明說明 (113)

IR(CHCl₃):3364,3026,2952,2874,2212,1707,1597,1491,1458,1411,1341,1164/cm.

$[\alpha]_D = -43.1^\circ$ (CHCl₃, c=1.00, 25°C).

No.1 a - 5 7

CDC13 300MHz

0.99-1.97(14H,m),2.23-2.30(3H,m),3.01(1H,m),3.67(3H,s),5.17-5.26(3H,m),7.36-7.38(3H,m),7.50-7.56(3H,m),7.60(1H,m),7.83(1H,m),8.05(1H,m).

IR(CHCl₃):3376,3020,2946,2870,1727,1598,1491,1437,1412,1330,1245,1163/cm.

$[\alpha]_D = -12.7^\circ$ (CHCl₃, c=1.00, 24°C).

No.1 a - 5 8

CDC13 300MHz

0.97-1.98(14H,m),2.20(1H,m),2.33(2H,t,J=6.9Hz),3.02(1H,m),5.19-5.28(3H,m),7.36-7.38(3H,m),7.47-7.55(3H,m),7.69(1H,m),7.83(1H,m),8.04(1H,m).

IR(CHCl₃):3376,3260,3022,3002,2948,2868,2220,1708,1598,1490,1455,1412,1327,1162/cm.

$[\alpha]_D = -8.6^\circ$ (CHCl₃, c=1.01, 24°C).

No.1 a - 5 9

CDC13 300MHz

0.95-1.99(24H,m),2.20(1H,m),2.28(2H,t,J=7.8Hz),2.53(1H,s),2.96(1H,m),3.69(3H,s),4.99(1H,d,J=6.6Hz),5.18-5.20(2H,m),7.53(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz).

IR(CHCl₃):3583,3376,3002,2936,2852,1725,1591,1490,1437,1393,1325,1160/cm.

$[\alpha]_D = -8.8^\circ$ (CHCl₃, c=1.00, 24°C).

五、發明說明(114)

No.1 a - 6 0

CDC13 300MHz

0.96-2.05(24H,m),2.22(1H,m),2.33(2H,m),2.88(1H,m),5.22-5.26(2H,m),5.30(1H,
d,J=5.7Hz),7.50(2H,d,J=8.7Hz),7.80(2H,d,J=8.7Hz).

IR(CHCl₃):3376,3260,3022,2936,2852,1710,1592,1491,1452,1395,1325,1159/c
m.

$[\alpha]_D = -8.9^\circ$ (CHCl₃,c=1.06,24°C),

mp.88-91°C

No.1 a - 6 1

CDC13 300MHz

0.95-2.24(23H,m),2.29(2H,m),2.99(1H,m),3.69(3H,s),4.76(1H,d,J=6.3Hz),5.21-
5.24(2H,m),6.28(1H,m),7.50-7.53(2H,m),7.77-7.80(2H,m).

IR(CHCl₃):3374,3270,3018,2942,2868,2196,1726,1589,1490,1435,1324,1158/c
m.

$[\alpha]_D = +7.7^\circ$ (CHCl₃,c=1.02,24°C), mp.93-95°C

No.1 a - 6 2

CDC13 300MHz

0.96-2.45(23H,m),2.36(2H,d,J=6.9Hz),2.99(1H,m),5.24(1H,d,J=6.3Hz),5.24-5.3
2(2H,m),6.28(1H,m),7.50-7.53(2H,m),7.78-7.81(2H,m). IR(CHCl₃):3468,3374,
3260,3020,2942,2868,2196,1598,1490,1455,1398,1322,1157/cm.

$[\alpha]_D = +19.4^\circ$ (CHCl₃,c=1.03,24°C).

No.1 a - 6 3

CDC13 300MHz

0.93-1.95(25H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),2.43(2H,t,J=6.9Hz),2.94(1H,
m),3.69(3H,s),4.95(1H,d,J=6.9Hz),5.21-5.24(2H,m),7.49(2H,d,J=8.7Hz),7.79(2
H,J=8.7Hz).

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五、發明說明 (115)

IR(CHCl₃):3376,3018,2946,2866,2222,1727,1592,1456,1435,1325,1158/cm.

$[\alpha]_D^{25} = +3.7^\circ$ (CHCl₃, c=1.00, 25°C).

No.1 a - 6 4

CDCl₃ 300MHz

0.93-1.97(26H,m), 2.35(2H,t,J=7.2Hz), 2.43(2H,t,J=7.2Hz), 3.00(1H,m), 5.08(1H,d,J=6.6Hz), 5.26-5.27(2H,m), 7.49(2H,d,J=8.7Hz), 7.78(2H,d,J=8.7Hz).

IR(CHCl₃):3260,3020,2948,2864,2222,1708,1592,1489,1456,1397,1324,1156/cm.

$[\alpha]_D^{25} = +14.4^\circ$ (CHCl₃, c=1.00, 25°C) mp.70-71°C.

No.1 a - 6 5

CDCl₃ 300MHz

0.95-1.98(14H,m), 2.18(1H,m), 2.30(2H,t,J=7.2Hz), 3.00(1H,m), 3.67(3H,s), 4.83(1H,d,J=6.9Hz), 5.22-5.25(2H,m), 5.54(1H,br), 6.82-6.85(2H,m), 7.42-7.45(2H,m), 7.59-7.62(2H,m), 7.82-7.85(2H,m).

IR(CHCl₃):3576,3374,3018,2946,2868,2208,1725,1607,1587,1514,1435,1325,1270,1162,1133/cm.

$[\alpha]_D^{25} = +9.1^\circ$ (CHCl₃, c=1.03, 24°C), mp.111-112°C

No.1 a - 6 6

CDCl₃ 300MHz

0.97-2.03(14H,m), 2.15(1H,m), 2.35(2H,t,J=7.5Hz), 3.00(1H,m), 5.17(1H,d,J=6.6Hz), 5.26-5.30(2H,m), 6.82-6.85(2H,m), 7.42-7.45(2H,m), 7.59-7.62(2H,m), 7.82-7.85(2H,m).

IR(CHCl₃):3260,2948,2870,2208,1709,1607,1587,1514,1396,1325,1270,1162,1133/cm.

$[\alpha]_D^{25} = -21.0^\circ$ (CHCl₃, c=1.00, 23°C), mp.161-162°C

五、發明說明(116)

No.1 a - 6 7

CDC13 300MHz

0.95-1.98(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.01(1H,m),3.67(3H,s),4.82(1H,d,J=6.6Hz),5.19-5.27(2H,m),7.05-7.10(2H,m),7.51-7.56(2H,m),7.61-7.64(2H,m),7.84-7.87(2H,m).

IR(CHCl₃):3374,3280,3020,2946,2868,2214,1727,1589,1509,1435,1327,1233,1161,1134/cm.

$[\alpha]_D^{25} = +6.7^\circ$ (CHCl₃, c=1.01, 24°C), mp.84-85°C

No.1 a - 6 8

CDC13 300MHz

0.96-2.01(14H,m),2.15(1H,m),2.34(2H,t,J=6.9Hz),3.02(1H,m),5.23-5.27(3H,m),7.04-7.10(2H,m),7.51-7.56(2H,m),7.61-7.64(2H,m),7.85-7.88(2H,m).

IR(CHCl₃):3374,3258,3020,2948,2868,2214,1708,1589,1509,1455,1398,1322,1156/cm.

$[\alpha]_D^{25} = +22.6^\circ$ (CHCl₃, c=1.02, 24°C), mp.135-136°C

No.1 a - 6 9

CDC13 300MHz

0.95-1.98(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),2.39(3H,s),3.01(1H,m),3.69(3H,s),4.80(1H,d,J=6.6Hz),5.20-5.29(2H,m),7.18(2H,d,J=8.1Hz),7.44(2H,d,J=8.1Hz),7.62(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz).

IR(CHCl₃):3374,3022,2946,2868,2210,1727,1589,1511,1436,1323,1161,1133/cm.

$[\alpha]_D^{25} = +9.2^\circ$ (CHCl₃, c=1.02, 24°C).

mp.116-118°C

No.1 a - 7 0

CDC13 300MHz

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五、發明說明 (117)

1.15-2.00(14H,m),2.13(1H,m),2.33-2.38(5H,m),3.04(1H,m),5.14(1H,d,J=6.6Hz),
5.25-5.30(2H,m),7.17(2H,d,J=7.8Hz),7.44(2H,d,J=7.8Hz),7.62(2H,d,J=8.4Hz),
7.85(2H,d,J=8.4Hz).

IR(CHCl₃):3380,3260,3020,2948,2868,2210,1708,1590,1511,1396,1324,1160,1
133/cm. $[\alpha]_D^{25} = +24.6^\circ$ (CHCl₃,c=1.00,24°C).

No.1 a - 7 1

CDCl₃ 300MHz

0.95-1.96(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),3.00(1H,m),3.20(1H,s),3.65(
3H,s),4.81(1H,d,J=6.6Hz),5.20-5.27(2H,m),7.46-7.54(4H,m),7.62-7.65(2H,m),7.
85-7.88(2H,m).

IR(CHCl₃):3374,3290,3018,3002,2946,2868,2212,2110,1726,1591,1507,1435,
1401,1324,1161/cm.

$[\alpha]_D^{25} = +9.6^\circ$ (CHCl₃,c=1.01,24°C), mp.136-138°C.

No.1 a - 7 2

CDCl₃ 300MHz

0.96-2.01(14H,m),2.14(1H,m),2.35(2H,t,J=7.2Hz),3.05(1H,m),3.20(1H,s),5.16(
1H,d,J=7.2Hz),5.26-5.29(2H,m),7.45-7.53(4H,m),7.63(2H,d,J=8.4Hz),7.87(2H,
d,J=8.4Hz).

IR(CHCl₃):3462,3374,3290,3024,2948,2868,2212,2110,1708,1591,1508,1455,1
401,1321,1274,1160,1132/cm.

$[\alpha]_D^{25} = +24.3^\circ$ (CHCl₃,c=1.03,24°C), mp.96-99°C

No.1 a - 7 3

CDCl₃ 300MHz

0.95-1.98(14H,m),2.19(1H,m),2.27-2.32(5H,m),3.01(1H,m),3.67(3H,s),4.80(1H,
d,J=6.6Hz),5.20-5.27(2H,m),7.12(2H,m),7.56(2H,m),7.63(2H,m),7.84(2H,m).

IR(CHCl₃):3374,3276,3018,2946,2868,2214,1762,1730,1589,1506,1435,1368,1

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五、發明說明 (118)

161/cm.

$[\alpha]_D = +7.8^\circ$ (CHCl₃, c=1.02, 24°C), mp. 102-104°C

No. 1 a - 7 4

CDCl₃ 300MHz

0.95-2.05(14H, m), 2.15(1H, m), 2.32-2.37(5H, m), 3.02(1H, m), 5.14(1H, d, J=6.6Hz),
5.26-5.30(2H, m), 7.10-7.13(2H, m), 7.54-7.57(2H, m), 7.62-7.64(2H, m), 7.84-7.87(
2H, m).

IR(CHCl₃): 3482, 3250, 3022, 2946, 2868, 2214, 1716, 1709, 1589, 1507, 1454, 1396, 1
368, 1322, 1195, 1161/cm.

$[\alpha]_D = +15.0^\circ$ (CHCl₃, c=1.00, 24°C), mp. 129-131°C

No. 1 a - 7 5

CDCl₃ 300MHz

0.95-1.99(14H, m), 2.20(1H, m), 2.30(2H, t, J=7.2Hz), 3.02(1H, m), 3.67(3H, s), 3.94(
3H, s), 4.79(1H, d, J=6.6Hz), 5.19-5.29(2H, m), 7.60-7.63(2H, m), 7.65-7.67(2H, m), 7.
86-7.89(2H, m), 8.04-8.06(2H, m).

IR(CHCl₃): 3378, 3018, 2946, 2880, 1720, 1604, 1435, 1307, 1276, 1161, 1106 /cm.

$[\alpha]_D = +7.3^\circ$ (CHCl₃, c=1.01, 25°C), mp. 132-133°C

No. 1 a - 7 6

CDCl₃+CD₃OD 300MHz

1.04-2.05(14H, m), 2.19(1H, m), 2.32(2H, t, J=6.9Hz), 2.93(1H, m), 5.27-5.31(2H, m),
7.60-7.63(2H, m), 7.65-7.68(2H, m), 7.86-7.89(2H, m), 8.05-8.07(2H, m).

IR(CHCl₃): 3402, 3299, 2955, 2876, 2665, 2549, 1455, 1422, 1313, 1281, 1164 /cm.

$[\alpha]_D = -21.1^\circ$ (CH₃OH, c=1.03, 23°C), mp. 227-229(dec.)

No. 1 a - 7 7

CDCl₃ 300MHz

五、發明說明 (119)

0.96-1.99(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),3.02(1H,m),3.68(3H,s),4.88(1H,d,J=6.3Hz),5.19-5.29(2H,m),7.67-7.72(4H,m),7.89-7.91(2H,m),8.24-8.27(2H,m).

IR(CHCl₃):3376,3276,3020,2946,2870,2214,1726,1594,1519,1455,1435,1389,1344,1161/cm.

[α]_D=+7.7° (CHCl₃,c=1.02), mp.87-89°C

No.1 a - 7 8

CDCl₃ 300MHz

0.98-2.00(14H,m),2.18(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.24-5.28(2H,m),5.32(1H,d,J=5.7Hz),7.67-7.72(4H,m),7.89-7.92(2H,m),8.23-8.26(2H,m).

IR(CHCl₃):3374,3260,2948,2214,1708,1595,1344,1160/cm.

[α]_D=+23.3° (CHCl₃,c=1.00),

mp.102-103°C

No.1 a - 7 9

CDCl₃ 300MHz

0.93-2.02(14H,m),2.13(1H,m),2.36(2H,t,J=7.1Hz),3.05(1H,m),3.84(3H,s),5.18(1H,br),5.27-5.31(2H,m),6.88-6.91(2H,m),7.48-7.50(2H,m),7.60-7.63(2H,m),7.83-7.85(2H,m).

IR(CHCl₃):3380,3252,3020,2950,2868,2208,1708,1589,1511,1457,1396,1321,1286,1160/cm.

[α]_D=+26.7° (CHCl₃,C=1.00). mp.75-77°C

No.1 a - 8 0

CDCl₃ 300MHz

0.96-1.99(14H,m),2.21(1H,m),2.30(2H,t,J=7.8Hz),3.02(1H,m),3.68(3H,s),4.80(1H,d,J=6.6Hz),5.19-5.28(2H,m),7.51-7.77(5H,m),7.87-7.90(2H,m),8.13(1H,m).

IR(CHCl₃):3374,3270,3018,2946,2868,2216,1726,1607,1567,1527,1495,1456,1

五、發明說明(120)

436,1344,1296,1161/cm.

$[\alpha]_D^{+7.4}$ (CHCl₃,c=1.00,22°C), mp.68-70°C

No.1 a - 8 1

CDCl₃ 300MHz

0.97-2.01(14H,m),2.16(1H,m),2.34(2H,t,J=7.2Hz),3.01(1H,m),5.22-5.28(3H,m),
7.51(1H,m),7.65(1H,m)7.70-7.76(3H,m),7.88-7.91(2H,m),8.12(1H,dd,J=6.9Hz,
1.5Hz).

IR(CHCl₃):3480,3382,3262,3026,2952,2872,2218,1708,1607,1567,1526,1396,1
343,1225,1160/cm.

$[\alpha]_D^{+22.0}$ (CHCl₃,c=1.00), mp.92-94°C

No.1 a - 8 2

CDCl₃ 300MHz

0.95-1.98(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.01(1H,m),3.67(3H,s),4.30(
2H,br),4.79(1H,d,J=6.9Hz),5.20-5.29(2H,m),6.71-6.76(2H,m),7.18(1H,m),7.37(
1H,dd,J=7.8,1.2Hz),7.61-7.65(2H,m),7.83-7.87(2H,m).

IR(CHCl₃):3376,3020,2946,2868,2202,1725,1613,1589,1484,1454,1315,1253,1
161/cm.

$[\alpha]_D^{+8.9}$ (CHCl₃,c=1.00,22°C). mp.68-70°C

No.1 a - 8 3

CDCl₃ 300MHz

0.97-1.99(14H,m),2.17(1H,m),2.33(2H,t,J=6.9Hz),2.99(1H,m),5.20-5.28(2H,m),
5.37(1H,d,J=6.9Hz),6.45(2H,br),6.71-6.76(2H,m),7.19(1H,dd,J=7.8,6.6Hz),7.3
7(1H,m),7.62(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).

IR(CHCl₃):3478,3378,3260,3022,2950,2868,2204,1708,1613,1589,1484,1454,1
396,1316,1160/cm.

$[\alpha]_D^{+17.1}$ (CHCl₃,c=1.01).

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五、發明說明 (121)

No.1 a - 8 4

CDCl₃ 300MHz

1.00-2.08(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.06(1H,m),3.86(3H,s),5.29-5.33(2H,m),5.45(1H,d,J=6.6Hz),6.91-6.94(2H,m),7.56-7.59(2H,m),7.81(1H,d,t,J=8.1Hz),8.04(1H,d,d,J=8.1&1.8Hz),8.57(1H,d,J=2.1Hz).

IR(CHCl₃):3492,3254,3028,2954,2202,1708,1597,1512,1344,1291,1250/cm.[α]_D=+27.4° (CHCl₃,C=0.53,23°C).

No.1 a - 8 5

CDCl₃ 300MHz

0.96-2.05(14H,m),2.20(1H,m),2.35(2H,t,J=6.9Hz),2.99(1H,m),3.84(3H,s),5.22-5.31(3H,m),6.89(2H,d,J=8.7Hz),7.19(1H,brs),7.29(1H,brs),7.45-7.50(3H,m).

IR(CHCl₃):3478,3378,3020,2950,2868,2202,1708,1606,1511,1421,1311,1287,1248,1155/cm.[α]_D=+17.1° (CHCl₃,C=1.00,23°C).

No.1 a - 8 6

CDCl₃ 300MHz

1.03-2.05(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.04(1H,m),5.29-5.33(2H,m),5.57(1H,d,J=6.3Hz),6.84-6.87(2H,m),7.50-7.53(2H,m),7.79(1H,d,J=8.1Hz),8.03(1H,d,d,J=1.5and8.1Hz),8.57(1H,d,J=1.5Hz).

IR(CHCl₃):3250,3024,2950,2868,2200,1707,1515,1344,1271,1166,1143/cm.[α]_D=+21.2° (CHCl₃,C=0.26,22°C).

No.1 a - 8 7

CD₃OD 300MHz

1.04-2.00(14H,m),2.18(1H,m),2.26(2H,t,J=5.4Hz),2.93(1H,m),5.19-5.24(2H,m),6.77-6.80(2H,m),7.05(1H,d,d,J=2.1and8.1Hz),7.22(1H,d,J=2.1Hz),7.38-7.42(3

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五、發明說明 (122)

H,m).

IR(CHCl₃):3377,2952,2873,2204,1705,1607,1515,1425,1312,1267,1222,1153/
cm.

[α]D=-15.6° (CH₃OH,C=1.02,22°C).

No.1 a - 8 8

CDCl₃ 300MHz

0.90-1.96(14H,m),2.22-2.31(3H,m),2.95(1H,m),3.65(3H,s),4.87(1H,d,J=6.6Hz),
5.13-5.28(2H,m),7.46-7.62(3H,m),7.82-7.89(4H,m),7.90-7.96(2H,m),8.42(1H,br
s).

IR(CHCl₃):3376,3016,2946,2868,1720,1677,1592,1514,1498,1429,1376,1314,1
241,1156,1094 /cm.

[α]D= -10.7° (CHCl₃,c=1.04,22.0°C) mp.134-136°C

No.1 a - 8 9

CDCl₃+CD₃OD 300MHz

0.96-2.08(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.89(1H,m),5.20-5.32(2H,m),
7.46-7.62(3H,m),7.82-7.97(6H,m).

IR(KBr):3272,3007,2952,2874,1708,1660,1592,1527,1498,1433,1400,1317,126
0,1152,1094 /cm.

[α]D= -24.4° (CH₃OH,c=1.02,25.0°C).

No.1 a - 9 0

CDCl₃ 300MHz

0.89-1.96(14H,m),2.23-2.33(3H,m),2.92(1H,m),3.67(3H,s),4.85(1H,d,J=6.3Hz),
5.10-5.25(2H,m),7.81-7.90(4H,m),8.10-8.18(2H,m),8.31-8.40(2H,m),8.77(1H,s).

IR(CHCl₃):3372,3018,2946,2868,1718,1685,1592,1527,1436,1397,1346,1318,1
256,1154,1099 /cm.

[α]D= -16.1° (CHCl₃,c=1.00,23.0°C).

五、發明說明 (123)

No.1 a - 9 1

CDCl₃+CD₃OD 300MHz

0.94-2.02(14H,m),2.18-2.36(3H,m),2.87(1H,m),5.15-5.30(2H,m),7.82-7.92(4H,m),8.09-8.16(2H,m),8.30-8.37(2H,m).

IR(KBr):3284,3112,3006,2952,2874,1707,1593,1528,1498,1399,1348,1320,1259,1153,1093 /cm.

[α]_D= -26.3° (CH₃OH,c=1.01,22°C).

No.1 a - 9 2

CDCl₃ 300MHz

0.93-1.95(14H,m),2.22-2.31(3H,m),2.98(1H,m),3.68(3H,s),5.07(1H,d,J=6.9Hz),5.10-5.24(2H,m),7.18(1H,m),7.35-7.43(2H,m),7.70(2H,d,J=7.8Hz),7.88-8.05(4H,m),8.50(1H,brs).

IR(CHCl₃):3382,3008,2952,1720,1675,1599,1525,1499,1438,1321,1253,1161,1087 /cm.[α]_D= -16.6° (CHCl₃,c=1.03,24.0°C) mp.100-101°C

No.1 a - 9 3

CDCl₃+CD₃OD 300MHz

0.96-2.00(14H,m),2.18-2.35(3H,m),2.90(1H,m),5.15-5.30(2H,m),7.18(1H,m),7.33-7.42(2H,m),7.65-7.74(2H,m),7.90-8.08(4H,m).

IR(KBr):3347,3194,3011,2955,2875,1706,1650,1602,1544,1499,1443,1325,1265,1165,1091 /cm.

[α]_D= -19.4° (CH₃OH,c=1.00,24.0°C) mp.158-159°C

No.1 a - 9 4

CD₃OD 300MHz

1.05-2.00(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.98(1H,m),3.80(3H,s),5.13-

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五、發明說明 (124)

5.27(2H,m),6.88-6.98(2H,m),7.54-7.64(2H,m),7.94-8.12(4H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1302,1248,1162,1107,1090,1032/cm.

$[\alpha]_D = -19.1^\circ$ (CH₃OH, c=1.01, 24°C).

No.1 a - 9 5

CD3OD 300MHz

1.04-2.02(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.93-3.02(7H,m),5.13-5.27(2H,m),6.82-6.92(2H,m),7.51-7.59(2H,m),7.95-8.02(2H,m),8.04-8.11(2H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1302,1248,1162,1107,1090,1032/cm.

$[\alpha]_D = -17.6^\circ$ (CH₃OH, c=1.01, 24°C).

No.1 a - 9 6

CD3OD 300MHz

1.05-2.02(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.98(1H,m),5.13-5.27(2H,m),6.75-6.84(2H,m),7.43-7.52(2H,m),7.94-8.12(4H,m).

IR(KBr):3339,3197,2953,2875,1707,1644,1606,1541,1514,1446,1325,1293,1259,1240,1225,1161,1091/cm.

$[\alpha]_D = -18.7^\circ$ (CH₃OH, c=1.00, 24°C). mp. 193-196°C

No.1 a - 9 7

d6-DMSO 300MHz

1.05-2.08(15H,m),2.15(2H,t,J=7.5Hz),2.89(1H,m),5.18-5.28(2H,m),6.78-7.12(3H,m),7.73(1H,d,d,J=1.4and7.8Hz),7.91-7.95(3H,m),8.14(2H,d,J=8.4Hz),9.71(1H,s).

IR(KBr):3407,3191,2953,1711,1646,1614,1603,1537,1457,1326,1162,1151/cm

$[\alpha]_D = -20.7^\circ$ (CH₃OH, C=1.01, 21°C).

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五、發明說明 (125)

No.1 a - 9 8

CDC13 300MHz

0.93-2.00(14H,m),2.21(1H,m),2.31(2H,t,J=7.2Hz),2.93(1H,m),3.84(3H,s),3.85(6H,s),5.15-5.30(2H,m),5.45(1H,d,J=6.3Hz),7.04(2H,s),7.78-7.86(2H,m),7.90-7.98(2H,m),8.58(1H,s).

IR(CHCl₃):3264,3008,2954,2874,1707,1670,1607,1537,1506,1451,1421,1308,1158,1129,1088/cm.

$[\alpha]_D = -7.2^\circ$ (CHCl₃, C=1.01, 23.5°C). mp.147-149°C

No.1 a - 9 9

CD3OD 300MHz

1.04-1.98(14H,m),2.21(1H,m),2.10(2H,t,J=7.2Hz),2.95(1H,m),3.76(3H,s),3.86(6H,s),5.07-5.24(2H,m),7.19(2H,s),7.99(2H,d,J=8.7Hz),8.13(1H,d,J=8.7Hz).

IR(KBr):3354,3002,2950,2874,1656,1607,1570,1508,1452,1413,1314,1233,1185,1157,1127,1092/cm.

$[\alpha]_D = -20.3^\circ$ (CH₃OH, C=1.00, 23.5°C).

No.1 a - 1 0 0

CDC13 300MHz

1.14-1.97(14H,m),2.19(1H,m),2.28(2H,t,J=7.4Hz),3.04(1H,m),3.69(3H,s),5.03(1H,d,J=6.9Hz),5.15-5.29(2H,m),7.65(2H,d,J=8.4Hz),7.87(1H,s),7.98(2H,d,J=8.4Hz).

IR(CHCl₃):3386,3271,3025,3015,2955,2877,1755,1712,1608,1331,1162/cm.

$[\alpha]_D = -29.4^\circ$ (CH₃OH, c=1.01, 25°C).

No.1 a - 1 0 1

d6-DMSO

1.00-2.20(17H,m),2.84(1H,m),5.00-5.20(2H,m),7.78(2H,d,J=8.2Hz),7.84(1H,s),

五、發明說明(126)

7.89-7.95(3H,m).

IR(KBr):3269,3065,3008,2952,2874,2763,1746,1707,1607,1322,1157 /cm.

$[\alpha]_D = -26.2^\circ$ (CH₃OH,c=1.01,25°C).

No.1 a - 1 0 2

CD3OD

1.00-2.25(17H,m),2.92(1H,s),3.64(3H,s),5.07-5.21(2H,m),7.53(1H,s),7.77(2H,d,
J=8.6Hz),7.90(2H,d,J=8.6).

IR(KBr):3430,3277,3006,2952,2873,1720,1687,1620,1571,1438,1312,1156 /cm.

$[\alpha]_D = -27.3^\circ$ (CH₃OH,c=0.51,26°C). mp 230-232°C

No.1 a - 1 0 3

CDC13 300MHz

0.94-1.96(14H,m),2.19(1H,m),2.28(2H,t,J=7.2Hz),3.04(1H,m),3.69(3H,s),5.11(
1H,d,J=6.6Hz),5.15-5.28(2H,m),7.60(2H,d,J=8.4Hz),7.67(1H,s),7.98(2H,d,J=8.
4Hz).

IR(CHCl₃):3381,3021,2955,2876,1735,1605,1437,1411,1325,1231,1177 /cm.

$[\alpha]_D = +8.6^\circ$ (CHCl₃,c=1.00,23°C).

No.1 a - 1 0 4

CDC13 300MHz

0.94-1.96(14H,m),2.21(1H,m),2.31(2H,t,J=6.8Hz),2.99(1H,m),5.18-5.28(2H,m),
5.45(1H,d,J=6.6Hz),7.61(2H,d,J=8.7Hz),7.67(1H,s),7.99(2H,d,J=8.7Hz).

IR(CHCl₃):3382,3222,3028,3019,2957,2876,1736,1709,1604,1412,1322,1301,1
286,1179,1162 /cm.

$[\alpha]_D = +10.4^\circ$ (CHCl₃,c=1.00,23°C).

No.1 a - 1 0 5

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五、發明說明 (127)

CDC13 300MHz

0.92-1.98(14H,m),2.17(1H,m),2.26(2H,d,J=7.5Hz),3.01(1H,m),3.69(3H,s),4.01(3H,s),4.84(1H,d,J=6.3Hz),5.14-5.30(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz),8.09(1H,s).

IR(CHCl₃):3385,3284,3025,3015,2954,2877,2821,1730,1598,1459,1438,1403,1341,1160,1052 /cm.

[α]D= +3.6° (CHCl₃,c=1.00,26°C).

No.1 a - 1 0 6

CDC13 300MHz

0.92-2.08(14H,m),2.14(1H,m),2.34(2H,d,J=7.2Hz),3.02(1H,m),4.01(3H,s),5.19(1H,d,J=6.9Hz),5.23-5.32(2H,m),7.71(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),8.09(1H,s).

IR(CHCl₃):3510,3384,3268,3028,3021,3014,2957,2877,2821,2667,2821,2666,1707,1598,1459,1404,1341,1324,1160,1052 /cm.

[α]D= +11.8° (CHCl₃,c=1.01,25°C). mp 95-96°C

No.1 a - 1 0 7

CDC13 300MHz

0.92-1.97(14H,m),1.34(3H,t,J=7.2Hz),2.18(1H,m),2.28(2H,d,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.26(2H,q,J=7.2Hz),4.86(1H,d,J=6.6Hz),5.15-5.29(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz),8.09(1H,s).

IR(CHCl₃):3385,3282,3025,3026,3015,2954,2877,1729,1599,1480,1458,1438,1403,1338,1161 /cm.

[α]D=+4.4° (CHCl₃,c=1.00,25°C).

No.1 a - 1 0 8

CDC13 300MHz

0.90-2.04(14H,m),1.34(3H,t,J=7.2Hz),2.14(1H,m),2.34(2H,d,J=7.1Hz),3.01(1H,

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五、發明說明 (128)

m), 4.27(2H, q, J=7.2Hz), 5.20(1H, d, J=6.6Hz), 5.21-5.35(2H, m), 7.71(2H, d, J=8.4Hz), 7.88(2H, d, J=8.4Hz), 8.10(1H, s).

IR(CHCl₃): 3514, 3384, 3270, 3025, 3015, 3015, 2957, 2877, 1708, 1599, 1458, 1403, 1324, 1324, 1160, 1050 /cm.

[α]_D = +12.7° (CHCl₃, c=1.00, 25°C).

No.1 a - 1 0 9

[α]_D = +8.5° (CHCl₃, c=1.00, 25°C). mp 109.0-111.0°C

No.1 a - 1 1 0

CDCl₃:CD₃OD(95:5)

0.92-2.06(14H, m), 2.20(1H, m), 2.30(2H, d, J=7.2Hz), 2.99(1H, m), 5.22-5.33(2H, m), 7.54-7.66(3H, m), 8.07(2H, d, J=9.0Hz), 8.12-8.20(2H, m), 8.29(2H, d, J=9.0Hz).

IR(Nujol): 3270, 2956, 2924, 2854, 1716, 1548, 1485, 1319, 1167/cm.

[α]_D = +17.0° (CHCl₃, c=1.00, 25°C). mp. 166.5-168°C

No.1 a - 1 1 1

[α]_D = +2.6° (CHCl₃, c=1.00, 24°C). mp 120.0-121.0°C

No.1 a - 1 1 2

CDCl₃ 300MHz

0.96-2.04(14H, m), 2.19(1H, m), 2.33(2H, d, J=7.1Hz), 3.07(1H, m), 5.28-5.31(2H, m), 5.33(1H, d, J=6.6Hz), 7.54-7.63(3H, m), 8.05(2H, d, J=8.4Hz), 8.18-8.23(2H, m), 8.41(2H, d, J=8.4Hz).

IR(CHCl₃): 3384, 3269, 3025, 3015, 2957, 2877, 1708, 1598, 1496, 1457, 1417, 1326, 1164 /cm.

[α]_D = +12.2° (CHCl₃, c=1.00, 24°C). mp. 163-164°C

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五、發明說明 (129)

No.1 a - 1 1 3

[α]D= +22.1° (CHCl₃,c=1.05,25°C). mp.90-92°C

No.1 a - 1 1 4

[α]D= +2.2° (CHCl₃,c=1.02,25°C).

No.1 a - 1 1 5

CDCl₃ 300MHz

0.90-1.98(14H,m),2.15-2.22(1H,m),2.27(2H,t,J=7.2Hz),2.95-3.04(1H,m),

3.68(3H,s),4.04(2H,s),4.85(1H,d,J=6.6Hz),5.10-5.27(2H,m),7.12-

7.34(7H,m),7.76-7.82(2H,m).

IR(CHCl₃):3384,3026,2952,1727,1595,1493,1436,1318,1155,1091,890/cm.[α]D==0°[α]436=+4.9±0.4° (CHCl₃,c=1.05,23°C)

No.1 a - 1 1 6

CDCl₃ 300MHz

0.90-2.10(14H,m),2.10-2.18(1H,m),2.32(2H,t,J=7.2Hz),2.96-3.04(1H,m),

4.04(2H,s),5.14(1H,d,J=6.6Hz),5.16-5.28(2H,m),7.12-7.34(7H,m),7.76-

7.82(2H,m).

IR(CHCl₃):3260,3020,2950,1709,1407,1318,1154,1091,892/cm.[α]D==+9.1±0.5° (CHCl₃,c=1.04,23°C)

No.1 a - 1 1 7

CD₃OD 300MHz

0.96-2.18(17H,m),2.89-2.92(1H,m),4.05(2H,s),4.95-5.22(2H,m),7.15-

7.42(7H,m),7.75-7.81(2H,m).

IR(KBr):3429,3279,2951,2872,1563,1494,1453,1408,1313,1155,1093,1057/cm.

[α]D== -16.3±0.5° (CH₃OH,c=1.06,25°C)

五、發明說明 (130)

No.1 a - 1 1 8

CDCl₃ 300MHz

0.98-1.70(15H,m),1.80-2.00(5H,m),2.20-2.40(3H,m),2.98(1H,m),4.06(2H,s),4.72(1H,d,J=6.3Hz),5.00-5.23(3H,m),7.16(2H,d,J=8.4Hz),7.26-7.33(5H,m),7.79(2H,d,J=8.1Hz).

IR(CHCl₃):3376,3020,2948,2868,1716,1596,1492,1453,1407,1318,1155,1105/cm.

[α]D=+2.4° (CHCl₃,c=1.08,24°C).

No.1 a - 1 1 9

CDCl₃ 300MHz

0.90-2.02(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.00(1H,m),3.68(3H,s),4.86(1H,d,J=6.9Hz),5.13-5.34(2H,m),7.00-7.09(4H,m),7.22(1H,m),7.37-7.45(2H,m),7.79-7.86(2H,m).

IR(CHCl₃):3376,3018,2946,2868,1727,1582,1486,1321,1243,1151,1093 /cm.

[α]D= +4.5° (CHCl₃,c=1.05,23.5°C).

No.1 a - 1 2 0

CD₃OD 300MHz

1.00-2.00(14H,m),2.13(2H,t,J=7.5Hz),2.16(1H,m),2.91(1H,m),5.05-5.33(2H,m),7.04-7.11(4H,m),7.18-7.25(1H,m),7.38-7.48(2H,m),7.80-7.87(2H,m).

IR(KBr):3430,3278,3006,2952,2873,1583,1487,1410,1322,1298,1245,1152,1095 /cm.

[α]D= -8.8° (CH₃OH,c=1.05,25.0°C).

No.1 a - 1 2 1

CDCl₃ 300MHz

0.90-2.10(14H,m),2.15(1H,m),2.35(2H,t,J=7.2Hz),3.01(1H,m),5.20(1H,d,J=6.9

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Hz), 5.22-5.35(2H, m), 7.00-7.09(4H, m), 7.18-7.25(1H, m), 7.37-7.45(2H, m), 7.79-7.86(2H, m).

IR(CHCl₃): 3260, 3020, 2948, 2868, 1708, 1582, 1486, 1409, 1321, 1296, 1243, 1151, 1093 /cm.

[α]D= +13.1° (CHCl₃, c=1.04, 24.0°C).

No.1 a - 1 2 2

CDCl₃ 300MHz

0.90-2.00(14H, m), 2.23(1H, m), 2.28(2H, t, J=7.5Hz), 2.96(1H, m), 3.67(3H, s), 4.69(1H, d, J=6.6Hz), 5.15-5.32(2H, m), 6.22(1H, s), 6.98-7.40(5H, m), 7.30-7.38(2H, m), 7.68-7.74(2H, m).

IR(CHCl₃): 3416, 3370, 3018, 2946, 2868, 1725, 1587, 1508, 1437, 1400, 1320, 1149, 1094 /cm.

[α]D= +6.2° (CHCl₃, c=1.04, 25.0°C).

No.1 a - 1 2 3

CDCl₃ 300MHz

0.90-2.04(14H, m), 2.18(1H, m), 2.33(2H, t, J=7.2Hz), 2.96(1H, m), 5.04-5.35(3H, m), 6.98-7.12(3H, m), 7.12-7.20(2H, m), 7.28-7.38(2H, m), 7.66-7.74(2H, m).

IR(CHCl₃): 3424, 3270, 3028, 2952, 2872, 1708, 1587, 1508, 1445, 1399, 1320, 1148, 1092 /cm.

[α]D= +20.9° (CHCl₃, c=1.06, 23.0°C).

No.1 a - 1 2 4

CDCl₃ 300MHz

0.90-2.00(14H, m), 2.18(1H, m), 2.28(2H, t, J=7.2Hz), 3.00(1H, m), 3.14(3H, s), 3.68(3H, s), 4.56(2H, s), 4.84(1H, d, J=6.3Hz), 5.10-5.29(2H, m), 7.16-7.26(4H, m), 7.26-7.34(2H, m), 7.78-7.84(2H, m).

IR(CHCl₃): 3384, 3028, 2952, 2874, 1727, 1598, 1501, 1435, 1410, 1370, 1329, 1172, 1092 /cm.

五、發明說明 (132)

148,1091 /cm.

$[\alpha]_D = +2.7^\circ$ (CHCl₃, c=1.09, 23.0°C).

No.1 a - 1 2 5

CDC13 300MHz

0.90-2.00(14H,m), 2.18(1H,m), 2.28(2H,t, J=7.2Hz), 2.29(3H,s), 3.00(1H,m), 3.68(3H,s), 4.04(2H,s), 4.80(1H,d, J=6.6Hz), 5.11-5.29(2H,m), 6.99-7.06(2H,m), 7.12-7.19(2H,m), 7.31(2H,d, J=8.1Hz), 7.79(2H,d, J=8.1Hz).

IR(CHCl₃): 3382, 3280, 3024, 2950, 2874, 1730, 1596, 1504, 1435, 1407, 1367, 1318, 1196, 1155, 1091 /cm.

$[\alpha]_D = +2.9^\circ$ (CHCl₃, c=1.06, 23.0°C).

No.1 a - 1 2 6

CDC13 300MHz

0.90-2.02(14H,m), 2.14(1H,m), 2.29(3H,s), 2.32(2H,t, J=7.2Hz), 3.01(1H,m), 4.03(2H,s), 5.10(1H,d, J=6.6Hz), 5.15-5.30(2H,m), 6.98-7.06(2H,m), 7.11-7.18(2H,m), 7.30(2H,d, J=8.1Hz), 7.79(2H,d, J=8.1Hz).

IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1749, 1708, 1596, 1504, 1407, 1369, 1317, 1195, 1155, 1091 /cm.

$[\alpha]_D = +10.0^\circ$ (CHCl₃, c=1.09, 23.0°C).

No.1 a - 1 2 7

CDC13 300MHz

0.87-1.95(14H,m), 2.18-2.32(3H,m), 2.95(1H,m), 3.69(3H,s), 3.96(2H,s), 4.79(1H,d, J=6.6Hz), 4.97-5.17(2H,m), 5.54(1H,s), 6.75-6.82(2H,m), 6.97-7.05(2H,m), 7.25-7.33(2H,m), 7.75-7.81(2H,m).

IR(CHCl₃): 3382, 3026, 2950, 2874, 1722, 1595, 1511, 1436, 1407, 1317, 1257, 1154, 1090 /cm.

$[\alpha]_D = -2.1^\circ$ (CHCl₃, c=1.00, 21.5°C).

五、發明說明 (133)

No.1 a - 1 2 8

CDCl₃ 300MHz

0.85-2.02(14H,m),2.18(1H,m),2.31(2H,t,J=7.2Hz),2.96(1H,m),3.95(2H,s),5.05-5.27(3H,m),6.73-6.82(2H,m),6.96-7.04(2H,m),7.25-7.32(2H,m),7.74-7.81(2H,m).

IR(CHCl₃):3262,3020,2948,2868,1708,1596,1511,1407,1315,1242,1154,1091 / cm.

$[\alpha]_D^{25} = +4.8^\circ$ (CHCl₃, c=1.04, 22°C).

No.1 a - 1 2 9

CDCl₃ 300MHz

0.89-1.98(14H,m),2.18(1H,m),2.27(2H,t,J=7.2Hz),2.99(1H,m),3.68(3H,s),3.79(3H,s),3.98(2H,s),4.81(1H,d,J=6.6Hz),5.10-5.27(2H,m),6.81-6.87(2H,m),7.03-7.10(2H,m),7.25-7.32(2H,m),7.75-7.82(2H,m).

IR(CHCl₃):3382,3276,3006,2950,2874,1726,1609,1509,1457,1436,1407,1315,1244,1154,1091,1033/cm.

$[\alpha]_D^{25} = +19.3^\circ$ (CHCl₃, C=1.05, 23°C).

No.1 a - 1 3 0

CDCl₃ 300MHz

0.90-2.00(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),2.98(1H,m),3.69(3H,s),4.81(1H,d,J=6.6Hz),5.12-5.32(2H,m),5.46(1H,brs),6.84-7.01(6H,m),7.76-7.83(2H,m)

IR(CHCl₃):3380,3284,3024,2952,2874,1724,1588,1504,1488,1436,1321,1296,1149,1091/cm.

$[\alpha]_D^{25} = +28.9^\circ$ (CHCl₃, C=1.01, 23°C).

No.1 a - 1 3 1

CDCl₃ 300MHz

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (134)

0.92-2.10(14H,m),2.18(1H,m),2.34(2H,t,J=6.9Hz),2.96(1H,m),5.18-5.35(3H,m),
6.84-7.01(6H,m),7.75-7.83(2H,m).

IR(CHCl₃):3270,3028,2952,2874,1708,1589,1505,1489,1456,1322,1297,1238,1
148,1091/cm.

$[\alpha]_D^{25} = +7.7^\circ$ (CHCl₃, C=1.09, 24°C).

No.1 a - 1 3 2

CDCl₃ 300MHz

0.91-2.02(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),2.99(1H,m),3.68(3H,s),3.83(
3H,s),4.82(1H,d,J=6.6Hz),5.14-5.33(2H,m),6.90-7.04(6H,m),7.76-7.83(2H,m).

IR(CHCl₃):3384,3006,2952,2874,1727,1589,1502,1488,1459,1438,1321,1295,1
231,1150,1092,1033/cm.

$[\alpha]_D^{25} = +3.1^\circ$ (CHCl₃, C=1.01, 23°C).

No.1 a - 1 3 3

TLC Rf=0.21 (醋酸乙酯 : n-己烷 = 1 : 1 (0.3% 醋酸)):

No.1 a - 1 3 4

CDCl₃ 300MHz

0.97-2.10(14H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),3.04(1H,m),5.22-5.33(2H,m),
5.41(1H,d,J=6.6Hz),7.02(1H,d,J=9.0Hz),7.09-7.13(2H,m),7.26-7.32(1H,m),7.43
-7.49(2H,m),7.93(1H,d,d,J=2.4and9.0Hz),8.46(1H,d,J=2.4Hz).

IR(CHCl₃):3384,3270,3020,2958,1709,1610,1587,1537,1479,1352,1271,1252,1
167/cm.

$[\alpha]_D^{25} = +20.9^\circ$ (CHCl₃, C=0.51, 22°C).

No.1 a - 1 3 5

CDCl₃ 300MHz

0.96-2.02(14H,m),2.21(1H,m),2.29(2H,t,J=7.2Hz),3.07(1H,m),3.68(3H,s),5.04(
3H,s),7.02(1H,d,J=9.0Hz),7.09-7.13(2H,m),7.26-7.32(1H,m),7.43
-7.49(2H,m),7.93(1H,d,d,J=2.4and9.0Hz),8.46(1H,d,J=2.4Hz).

五、發明說明(135)

1H,d,J=6.9Hz),5.16-5.33(2H,m),7.48-7.55(2H,m),7.64(1H,m),7.76-7.82(2H,m),
7.88-7.94(2H,m),7.98-8.04(2H,m).

IR(CHCl₃):3384,3282,3026,2952,2874,1727,1663,1596,1446,1396,1316,1274,1
163,1090 /cm.

$[\alpha]_D = +3.1^\circ$ (CHCl₃,c=1.03,22.0°C).

No.1 a - 1 3 6

CDCl₃ 300MHz

0.95-2.05(14H,m),2.19(1H,m),2.34(2H,t,J=7.2Hz),3.08(1H,m),5.10-5.40(2H,m),
5.35(1H,d,J=6.8Hz),7.45-7.58(2H,m),7.64(1H,m),7.74-7.84(2H,m),7.84-7.95(2
H,m),7.95-8.06(2H,m).

IR(CHCl₃):3260,3018,2950,2870,1708,1662,1595,1446,1395,1316,1274,1162,1
090 /cm.

$[\alpha]_D = +12.9^\circ$ (CHCl₃,c=1.05,21.5°C).

No.1 a - 1 3 7

CDCl₃ 300MHz

0.97-2.04(14H,m),2.27(1H,m),2.31(2H,t,J=7.2Hz),3.07(1H,m),3.70(3H,s),5.15-
5.30(3H,m),7.48-7.68(5H,m),7.96-8.02(2H,m).

IR(CHCl₃):3382,3030,2952,2878,1725,1446,1329,1154,1098 /cm.

$[\alpha]_D = -12.1^\circ$ (CHCl₃,c=1.03,22.0°C).

No.1 a - 1 3 8

CDCl₃ 300MHz

0.95-2.04(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.08(1H,m),5.15-5.34(2H,m),
5.41(1H,d,J=6.6Hz),7.48-7.68(5H,m),7.98-8.03(2H,m).

IR(CHCl₃):3370,3242,3022,2950,2870,1707,1445,1408,1329,1154,1099 /cm.

$[\alpha]_D = -0.6^\circ$ (CHCl₃,c=1.06,21.5°C) $[\alpha]_{365} = +30.7^\circ$ (CHCl₃,c=1.06,21.5°C).

五、發明說明 (136)

No.1 a - 1 3 9

CDCl₃ 300MHz0.92-2.19(14H,m),2.27-2.34(3H,m),3.26(1H,m),3.65(3H,s),4.28(2H,s),4.37(1H,
d,J=7.4Hz),5.34-5.50(2H,m),7.37-7.62(9H,m).IR(CHCl₃):3389,3294,3028,3015,2954,2877,1730,1600,1488,1325,1151,1129 /
cm.[α]_D = -24.8° (CHCl₃,c=1.01,24°C).

No.1 a - 1 4 0

CDCl₃ 300MHz0.92-2.22(15H,m),2.34(2H,t,J=7.1Hz),3.24(1H,m),4.29(2H,s),4.81(1H,d,J=7.4H
z),5.32-5.52(2H,m),7.36-7.62(9H,m).IR(CHCl₃):3510,3388,3251,3031,3015,2956,2877,2668,1708,1601,1488,1318,1
151,1129 /cm.[α]_D = -24.6° (CHCl₃,c=1.02,25°C).

No.1 a - 1 4 1

CDCl₃ 300MHz0.92-2.19(15H,m),2.32(2H,t,J=7.2Hz),3.26(1H,m),3.65(3H,s),4.31(2H,s),4.48(1
H,d,J=7.4Hz),5.33-5.49(2H,m),7.42-7.80(8H,m).IR(CHCl₃):3388,3285,3018,2955,2877,2225,1730,1597,1479,1320,1152,1129 /
cm.[α]_D = -20.1° (CHCl₃,c=0.96,25°C).

No.1 a - 1 4 2

CDCl₃ 300MHz0.92-2.22(15H,m),2.35(2H,t,J=6.8Hz),3.25(1H,m),4.32(2H,s),4.86(1H,d,J=7.4H
z),5.33-5.53(2H,m),7.43-7.80(8H,m).IR(CHCl₃):3512,3388,3258,3031,3023,3014,2956 2877,2225,1708,1597,1479,

五、發明說明 (137)

1319,1151,1128 /cm.

$[\alpha]_D = -19.3^\circ$ (CHCl₃, c=1.09, 23°C).

No.1 a - 1 4 3

CDC13 300MHz

1.00-1.93(14H,m), 2.17(1H,m), 2.27(2H,t, J=7.2Hz), 3.07(1H,m), 5.17-5.22(2H,m),
5.36(1H,d, J=6.9Hz), 7.77(1H,d, J=9.0Hz), 8.11-8.17(2H,m), 8.36(1H,d,d, J=2.1 and
9.0Hz), 8.51(1H,d, J=1.8Hz), 8.65(1H,d, J=2.1Hz).

IR(CHCl₃): 3382, 3266, 3026, 2954, 2874, 1708, 1632, 1585, 1528, 1458, 1419, 1345, 1
153/cm.

$[\alpha]_D = +7.6^\circ$ (CHCl₃, C=1.04, 22°C).

No.1 a - 1 4 4

CDC13 300MHz

0.95-1.90(14H,m), 2.17(1H,m), 2.25(2H,t, J=7.5Hz), 3.02(1H,m), 5.09(1H,d, J=6.6
Hz), 5.15-5.21(2H,m), 6.72(1H,d, J=8.4Hz), 6.85(1H,s), 7.54(1H,d, J=8.4Hz), 7.72(
1H,d, J=9.0Hz), 7.83(1H,d,d, J=1.8 and 9.0Hz), 8.32(1H,d, J=1.8Hz).

IR(CHCl₃): 3380, 3260, 3022, 2948, 2868, 2352, 1709, 1636, 1460, 1425, 1313, 1291, 1
265, 1148, 1130/cm.

$[\alpha]_D = +12.9^\circ$ (CHCl₃, C=1.02, 22.5°C).

No.1 a - 1 4 5

CDC13 300MHz

0.97-1.90(14H,m), 2.15(1H,m), 2.27(2H,t, J=6.9Hz), 3.02(1H,m), 3.08(6H,s), 5.12(
1H,d, J=6.3Hz), 5.19-5.25(2H,m), 6.78-6.84(2H,m), 7.53(1H,d, J=8.7Hz), 7.76-7.83
(2H,m), 8.30(1H,d, J=1.8Hz).

IR(CHCl₃): 3272, 3030, 2950, 2874, 1708, 1635, 1601, 1511, 1457, 1425, 1357, 1328, 1
151, 1124/cm.

$[\alpha]_D = +6.3^\circ$ (CHCl₃, C=1.04, 23°C).

五、發明說明 (138)

No.1 a - 1 4 6

CDCl₃ 300MHz

0.95-2.00(14H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.13-5.28(2H,m),5.38(1H,d,J=6.9Hz),7.67-7.74(2H,m),8.08(1H,d,d,J=1.8and9.0Hz),8.11(1H,s),8.61(1H,d,J=1.8Hz).

IR(CHCl₃):3260,3020,2948,2868,1708,1639,1606,1528,1470,1455,1424,1349,1311,1238,1174,1149,1120,1079,1060,1022/cm.

$[\alpha]_D^{23} = +7.8^\circ$ (CHCl₃, C=1.00, 23°C).

No.1 a - 1 4 7

CDCl₃ 300MHz

0.92-1.92(14H,m),2.17(1H,m),2.25(2H,t,J=7.2Hz),3.01(1H,m),3.97(3H,s),5.10-5.27(5H,m),6.92(1H,s),7.29(1H,s),7.52(1H,d,J=8.7Hz),7.82(1H,d,d,J=2.1and8.7Hz),8.33(1H,d,J=2.1Hz).

IR(CHCl₃):3380,3264,3002,2950,2868,1708,1634,1476,1452,1426,1317,1264,1218,1169,1147,1115,1068,1031/cm.

$[\alpha]_D^{23} = +5.6^\circ$ (CHCl₃, C=1.02, 23°C).

No.1 a - 1 4 8

CDCl₃ 300MHz

0.90-1.98(14H,m),2.15(1H,m),2.28(2H,t,J=6.9Hz),2.91(6Hs),3.03(1H,m),4.01(3H,s),5.15-5.26(3H,m),7.18(1H,s),7.38(1H,s),7.59(1H,d,J=8.7Hz),7.87(1H,d,d,J=2.1and8.7Hz),8.40(1H,d,J=2.1Hz).

IR(CHCl₃):3384,3266,2956,1709,1632,1602,1495,1473,1458,1430,1317,1231,1148,1121/cm.

$[\alpha]_D^{23} = +11.2^\circ$ (CHCl₃, C=1.01, 23°C).

No.1 a - 1 4 9

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五、發明說明 (139)

CDC13 300MHz

0.99-1.90(14H,m),2.17(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),5.13-5.19(2H,m),
5.43(1H,d,J=6.0Hz),7.02(1H,d,d,J=2.4and9.0Hz),7.38-7.41(2H,m),7.58(1H,d,J
=8.7Hz),7.96(1H,d,d,J=1.8and8.7Hz),8.45(1H,d,J=1.8Hz).

IR(CHCl₃):3270,3020,2948,2868,1709,1601,1478,1448,1419,1315,1147,1120/c
m.

$[\alpha]_D = -11.4^\circ$ (CHCl₃, C=1.01, 23°C).

No.1 a - 1 5 0

CDC13 300MHz

0.97-1.88(14H,m),2.12-2.31(3H,m),2.38(3H,s),3.01(1H,m),5.14-5.19(2H,m),5.3
6(1H,d,J=6.6Hz),7.24(1H,d,d,J=2.4and9.0Hz),7.59(1H,d,J=6.3Hz),7.66(1H,d,J
=8.7Hz),7.72(1H,d,J=2.4Hz),8.01(1H,d,d,J=1.8and8.7Hz),8.49(1H,d,J=1.8Hz).

IR(CHCl₃):3470,3374,3260,3018,2950,2868,1709,1474,1444,1412,1370,1319,1
266,1162,1145,1118/cm.

$[\alpha]_D = +4.9^\circ$ (CHCl₃, C=1.00, 24°C).

No.1 a - 1 5 1

CDC13 300MHz

0.97-1.89(14H,m),2.17(1H,m),2.25(2H,t,J=7.2Hz),3.03(1H,m),3.92(3H,s),5.15-
5.20(2H,m),5.32(1H,d,J=6.6Hz),7.11(1H,d,d,J=2.4and9.3Hz),7.45(1H,d,J=2.4
Hz),7.50(1H,d,J=9.3Hz),7.62(1H,d,J=8.7H),7.97(1H,d,d,J=2.1and8.7Hz),8.50(
1H,d,J=2.1Hz).

IR(CHCl₃):3260,3018,2948,1708,1483,1454,1432,1314,1287,1268,1188,1169,1
147/cm.

$[\alpha]_D = +4.9^\circ$ (CHCl₃, C=1.01, 23.5°C).

No.1 a - 1 5 2

CDC13 300MHz

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五、發明說明(140)

0.98-2.04(14H,m),2.15(1H,m),2.30(2H,t,J=6.6Hz),3.04(1H,m),5.17-5.29(3H,m),
7.41(1H,d,d,J=1.5and8.1Hz),7.64-7.68(2H,m),7.92(1H,d,J=8.4Hz),8.00(1H,d,d,
J=1.8and8.4Hz),8.49(1H,d,J=1.8Hz).

IR(CHCl₃):3266,3028,2952,2872,1707,1629,1591,1456,1416,1318,1275,1150/cm.

$[\alpha]_D^{23} = +3.2^\circ$ (CHCl₃, C=1.04, 23°C).

No.1 a - 1 5 3

CDCl₃ 300MHz

0.97-1.88(14H,m),2.16(1H,m),2.26(2H,t,J=7.2Hz),3.03(1H,m),4.64-4.65(2H,m),
5.16-5.50(5H,m),6.13(1H,m),7.14(1H,d,d,J=2.7and9.0Hz),7.46-7.52(2H,m),7.6
3(1H,d,J=8.7Hz),7.97(1H,d,d,J=1.8and8.7Hz),8.49(1H,d,J=1.8Hz).

IR(CHCl₃):3374,3260,3020,2948,2868,1708,1599,1478,1446,1414,1314,1284,1
268,1184,1148,1120/cm.

$[\alpha]_D^{23} = +5.3^\circ$ (CHCl₃, C=1.00, 23°C).

No.1 a - 1 5 4

CDCl₃ 300MHz

0.99-2.00(15H,m),2.26(2H,t,J=7.2Hz),3.03(1H,m),4.07(3H,s),5.23-5.27(2H,m),
5.36(1H,d,J=7.2Hz),7.20(1H,s),7.36-7.48(2H,m),7.55-7.58(1H,m),7.91-7.93(1H,
m),8.52(1H,s).

IR(CHCl₃):3362,3257,3020,2948,2868,1708,1637,1602,1579,1488,1457,1437,1
413,1345,1318,1301,1276,1182,1104/cm.

$[\alpha]_D^{25} = +19.4^\circ$ (CHCl₃, C=1.01, 25°C).

mp.88-90°C

No.1 a - 1 5 5

CDCl₃ 300MHz

0.92-2.02(14H,m),2.15(1H,m),2.31(2H,t,J=7.2Hz),3.01(1H,m),4.10(2H,s),5.10(

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五、發明說明 (141)

1H,d,J=6.6Hz),5.18-5.35(2H,m),7.04-7.26(5H,m),7.67-7.76(2H,m).

IR(CHCl₃):3266,3028,2952,2952,2872,1708,1599,1574,1478,1457,1418,1301,1258,1147,1124,1101,1080/cm.

$[\alpha]_{365} +33.4^{\circ}$ (CHCl₃,C=1.00,23°C).

No.1 a - 1 5 6

CDC13 300MHz

0.91-2.21(15H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),5.11(1H,d,J=6.6Hz),5.27-5.35(2H,m),6.85-6.96(5H,m),7.35(1H,d,J=2.1Hz),7.42(1H,d,d,J=2.1and8.7Hz).

IR(CHCl₃):3384,3263,2957,1708,1587,1489,1462,1416,1290,1222,1151,1123/cm.

$[\alpha]_{D} +6.4^{\circ}$ (CHCl₃,C=1.00,23°C).

No.1 a - 1 5 7

CDC13 300MHz

0.97-1.91(14H,m),2.18(1H,m),2.26(2H,t,J=6.9Hz),3.04(1H,m),5.18-5.26(3H,m),7.52-7.56(2H,m),7.88-8.00(3H,m),8.25(1H,m),8.69(1H,m).

IR(CHCl₃):3382,3268,2952,2874,1707,1457,1425,1409,1318,1152/cm.

$[\alpha]_{D} +4.4^{\circ}$ (CHCl₃,C=1.02,22°C).

No.1 a - 1 5 8

CDC13 300MHz

1.02-1.97(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.06(1H,m),5.19-5.24(2H,m),5.58(1H,d,J=6.6Hz),7.62(1H,m),7.72(1H,m),7.86-7.91(2H,m),7.96(1H,d,J=7.8Hz),8.04(1H,d,d,J=1.5and8.1Hz),8.34(1H,d,J=1.2Hz).

IR(CHCl₃):3490,3260,3020,2950,2870,1707,1456,1399,1312,1165/cm.

$[\alpha]_{D} -8.3^{\circ}$ (CHCl₃,C=1.00,23°C).

No.1 a - 1 5 9

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五、發明說明(142)

CDC13 300MHz

0.92-1.88(14H,m),2.13(1H,m),2.24(2H,m),3.02(1H,m),3.90(3H,s),5.12-5.26(3H,m),7.29-7.58(4H,m),7.97(1H,d,d,J=1.8and7.5Hz),8.13(1H,d,J=7.5Hz),8.64(1H,d,J=1.8Hz).

IR(CHCl₃):3382,3266,3018,2956,1708,1629,1594,1476,1467,1325,1245,1227,1158,1146/cm.

$[\alpha]_D^{25} = +14.6^\circ$ (CHCl₃, C=1.00, 22°C).

No.1 a - 1 6 0

CDC13 300MHz

0.93-1.88(14H,m),2.18-2.24(3H,m),3.00(1H,m),5.08-5.21(3H,m),7.28-7.33(1H,m),7.47-7.51(3H,m),7.90(1H,d,d,J=1.5and7.8Hz),8.10(1H,d,J=7.8Hz),8.63-8.64(2H,m).

IR(CHCl₃):3465,3380,3275,3020,2957,2876,1708,1627,1604,1495,1473,1457,1328,1240,1222,1156,1149/cm.

$[\alpha]_D^{25} = +8.2^\circ$ (CHCl₃, C=1.01, 22°C).

No.1 a - 1 6 1

CDC13 300MHz

0.98-1.88(14H,m),2.17(1H,m),2.24(2H,t,J=7.2Hz),3.05(1H,m),5.16-5.20(2H,m),5.35(1H,d,J=6.6Hz),7.40(1H,m),7.55(1H,m),7.63(1H,d,J=8.1Hz),7.89(1H,d,d,J=1.5and8.1Hz),8.01(1H,m),8.06(1H,d,J=8.1Hz),8.12(1H,d,J=1.5Hz).

IR(CHCl₃):3478,3266,3028,2952,2874,1708,1454,1417,1323,1196,1148/cm.

$[\alpha]_D^{25} = +21.9^\circ$ (CHCl₃, C=1.01, 23°C).

No.1 a - 1 6 2

CDC13 300MHz

0.96-1.98(14H,m),2.02(1H,m),2.25(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.14-5.25(2H,m),5.41(1H,d,J=7.2Hz),7.35-7.42(1H,m),7.51-7.64(3H,m),7.94-8.00(1

五、發明說明(143)

H,m),8.16(1H,s).

IR(CHCl₃):3368,3274,3028,2952,2874,1708,1633,1583,1465,1452,1438,1413,1315,1151,1103,1053,1024/cm.

[α]_D= +15.1° (CHCl₃,C=1.01,23°C). mp.108-110°C

No.1 a - 1 6 3

d₆-DMSO 300MHz

0.97-1.84(14H,m),1.92(1H,m),2.04(2H,t,J=7.5Hz),2.90(1H,m),5.08-5.23(2H,m),7.32(1H,s),7.38-7.61(2H,m),7.62(1H,s)7.68-7.71(1H,m),7.92(1H,s),8.14-8.17(1H,m),10.7(1H,s),11.9(1H,s).

IR(KBr):3350,3295,2952,2874,1707,1636,1601,1466,1431,1389,1315,1251,1174,1146,1106/cm.

[α]_D= -25.3° (CH₃OH,C=1.01,25°C). mp.159-162°C

No.1 a - 1 6 4

CDCl₃ 300MHz

0.98-1.96(17H,m),2.05(1H,m),2.25(2H,t,J=7.2Hz),3.07(1H,m),4.32(2H,q,J=7.2Hz),5.19-5.23(2H,m),5.31(1H,d,J=7.8Hz),7.38(1H,m),7.41-7.62(3H,m),7.95(1H,m),8.15(1H,s).

IR(CHCl₃):3360,3018,2946,2870,1709,1633,1457,1445,1425,1394,1314,1176,1152,1105/cm.

[α]_D= +12.7° (CHCl₃,C=1.02,25°C). mp.108-109°C

No.1 a - 1 6 5

CDCl₃ 300MHz

0.95-1.98(15H,m),2.26(2H,t,J=7.5Hz),3.04(1H,m),4.15(3H,s),5.20-5.26(2H,m),5.34(1H,d,J=6.9Hz),7.41-7.47(1H,m),7.65-7.68(2H,m),7.89-7.92(1H,m),8.32(1H,s).

IR(CHCl₃):3366,3087,3022,2957,1708,1632,1538,1463,1408,1364,1346,1308,1

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五、發明說明(144)

227,1212,1205,1167/cm.

$[\alpha]_D = +19.6^\circ$ (CHCl₃, C=1.01, 25°C).

No.1 a - 1 6 6

CDC13 300MHz

0.97-2.02(15H,m), 2.27(2H,t,J=6.9Hz), 3.07(1H,m), 4.14(3H,s), 5.21-5.27(2H,m),
5.47(1H,d,J=6.9Hz), 7.64(1H,s), 7.72(1H,d,d,J=0.6and9.0Hz), 8.25(1H,s), 8.47(1
H,d,d,J=2.4and9.0Hz), 8.94(1H,d,d,J=0.6and2.4Hz).

IR(CHCl₃):3373,2957,1708,1639,1587,1528,1467,1428,1415,1345,1221,1184,1
155/cm.

$[\alpha]_D = +14.4^\circ$ (CHCl₃, C=0.50, 25°C)

No.1 a - 1 6 7

CDC13 300MHz

0.92-2.00(14H,m), 2.15(1H,m), 2.27(2H,t,J=7.2Hz), 3.04(1H,m), 3.97(2H,s), 5.15-
5.30(3H,m), 7.35-7.47(2H,m), 7.55-7.63(1H,m), 7.80-7.96(3H,m), 8.05(1H,d,J=0.3
Hz).

IR(CHCl₃):3260,3020,2948,2868,1707,1451,1413,1319,1172,1144,1101,1071/c
m.

$[\alpha]_D = +18.2^\circ$ (CHCl₃, C=1.04, 22°C).

No.1 a - 1 6 8

CDC13 300MHz

0.90-1.88(14H,m), 2.16(1H,m), 2.25(2H,t,J=6.9Hz), 3.00(1H,m), 5.00-5.19(2H,m),
5.35(1H,d,J=6.6Hz), 7.25-7.30(1H,m), 7.48-7.50(2H,m), 7.73(1H,d,d,J=1.5and8.
1Hz), 8.08-8.14(3H,m), 8.93(1H,s).

IR(CHCl₃):3466,3380,3276,3016,2957,1708,1630,1495,1458,1324,1241,1150/c
m.

$[\alpha]_D = +18.0^\circ$ (CHCl₃, C=1.00, 22°C).

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五、發明說明(145)

No.1 a - 1 6 9

CDCl₃ 300MHz

0.87-1.86(14H,m),2.15(1H,m),2.25(2H,t,J=6.9Hz),2.98(1H,m),3.89(3H,s),5.00-5.22(2H,m),5.27(1H,d,J=6.9Hz),6.88(1H,d,d,J=2.1and8.4Hz),6.94(1H,d,J=2.1Hz),7.69(1H,d,d,J=1.5and7.8Hz),7.92-8.01(3H,m),8.83(1H,s).

IR(CHCl₃):3465,3378,3276,3022,2957,1708,1630,1609,1569,1459,1433,1314,1281,1229,1151/cm.

[α]D=+19.3° (CHCl₃,C=1.01,21°C).

No.1 a - 1 7 0

CDCl₃ 300MHz

0.88-2.25(17H,m),3.04(1H,m),3.84(3H,s),3.95(3H,s),5.06-5.26(3H,m),6.87-6.93(2H,m),7.69(1H,d,d,J=1.6and8.2Hz),7.93-9.05(3H,m).

IR(CHCl₃):3026,2957,1708,1630,1601,1460,1331,1243,1224,1152/cm.

[α]D=+17.2° (CHCl₃,C=1.00,22°C).

No.1 a - 1 7 1

CDCl₃ 300MHz

0.95-2.00(14H,m),2.16-2.32(3H,m),2.66(3H,s),3.14(1H,m),3.68(3H,s),5.09(1H,d,J=6.8Hz),5.10-5.28(2H,m),7.45(1H,d,d.,J=1.8&8.6Hz),7.75-7.84(2H,m).

IR(CHCl₃):3374,3018,2946,2868,1725,1585,1513,1436,1340,1278,1153,1112 / cm.

[α]D= -14.7° (CHCl₃,c=1.07,25.0°C).

No.1 a - 1 7 2

CDCl₃ 300MHz

0.97-2.02(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.66(3H,s),3.14(1H,m),5.12-5.22(2H,m),5.41(1H,d,J=7.2Hz),7.45(1H,d,d.,J=2.1&8.7Hz),7.76(1H,d,J=8.7Hz)

五、發明說明 (146)

), 7.78(1H, d, J=2.1Hz).

IR(CHCl₃): 3372, 3250, 3022, 2950, 2868, 1707, 1514, 1419, 1336, 1279, 1154, 1112 /
cm.

$[\alpha]_D = -4.1^\circ$ (CHCl₃, c=1.08, 26.0°C) m.p. 141-143°C

No.1 a - 173

CDCl₃ 300MHz

1.15-2.42(17H, m), 2.91(1H, m), 5.15(1H, d, J=4.2Hz), 5.25-5.40(2H, m), 7.85(1H, t, J=7.2Hz), 8.00(1H, t, J=8.1Hz), 8.15-8.20(2H, m), 8.67(1H, d, J=8.1Hz), 8.73(1H, d, J=8.1Hz), 8.83(1H, s), 9.43(1H, s).

IR(KBr): 3422, 3269, 3046, 2952, 2871, 1711, 1617, 1447, 1333, 1243, 1161, 1146/cm.

$[\alpha]_D = -41.0^\circ$ (CH₃OH, C=1.01, 23°C).

No.1 a - 174

CDCl₃+d₆-DMSO 300MHz

1.00-1.92(14H, m), 2.20(2H, t, J=6.6Hz), 2.35(1H, m), 2.92(1H, m), 5.05-5.22(2H, m), 6.63(1H, d, J=5.4Hz), 7.77-7.92(3H, m), 8.31(1H, d, d, J=1.8 and 8.7Hz), 8.59(1H, d, J=8.7Hz), 8.73(1H, d, J=8.7Hz), 9.01(1H, s), 9.55(1H, d, J=1.8Hz).

IR(KBr): 3433, 3252, 2952, 2871, 1696, 1578; 1423, 1335, 1308, 1219, 1185, 1160, 1106/cm.

$[\alpha]_D = -19.3^\circ$ (DMSO, C=0.50, 23°C).

No.1 a - 175

CDCl₃ 300MHz

0.96-1.87(14H, m), 2.20-2.25(3H, m), 2.95(1H, m), 3.66(3H, s), 4.74(1H, d, J=6.6Hz), 5.10-5.12(2H, m), 6.88(1H, d, J=1.2Hz), 7.37-7.50(3H, m), 7.56(1H, dd, J=8.7, 1.5Hz), 7.68-7.77(3H, m), 8.06(1H, s), 9.44(1H, dd, J=1.2Hz).

IR(CHCl₃): 3462, 3374, 3026, 3006, 2952, 2872, 1724, 1610, 1580, 1484, 1452, 1358.1

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五、發明說明(147)

309,1147.

[α]D=+16.4° (CHCl₃,c=1.05,26°C). mp.130-132°C.

No.1 a - 1 7 6

CDCl₃+CD₃OD 300MHz

1.00-2.02(14H,m),2.22(1H,m),2.29(2H,t,J=6.9Hz),2.88(1H,m),5.16-5.26(2H,m),6.87(1H,s),7.28-7.57(4H,m),7.69(1H,d,J=8.4Hz),7.75-7.78(2H,m),7.99(1H,s).

IR(KBr):3254,2944,1704,1484,1453,1358,1305,1147.

[α]D=+13.0° (CH₃OH,c=1.02,24°C), mp.160-161°C

No.1 a - 1 7 7

CDCl₃ 300MHz

0.96-1.88(14H,m),1.88-2.26(3H,m),2.94(1H,m),3.67(3H,s),3.87(3H,s),4.67(1H,brs),5.08-5.14(2H,m),6.77(1H,d,J=1.5Hz),6.99-7.02(2H,m),7.53-7.57(1H,m),7.65-7.70(3H,m),8.00(1H,s),9.27(1H,brs).

IR(CHCl₃):3426,3376,3006,2952,1724,1610,1495,1438,1357,1308,1282,1249,1177,1147/cm.[α]D=+18.1° (CHCl₃,C=1.02,22°C).

No.1 a - 1 7 8

CDCl₃+CD₃OD 300MHz

0.96-1.91(14H,m),2.19(1H,m),2.27(2H,t,J=6.0Hz),2.85(1H,m),3.87(3H,s),5.16-5.23(2H,m),6.99-7.02(2H,m),7.41(1H,m),7.64-7.73(3H,m),7.92(1H,m).

IR(CHCl₃):3366,3261,3004,2954,2873,1705,1611,1496,1458,1438,1304,1286,1253,1180,1149,1128/cm.[α]D=+14.6° (CHCl₃,C=1.02,22°C).

No.1 a - 1 7 9

CDCl₃+CD₃OD 300MHz

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五、發明說明 (148)

0.96-1.87(14H,m),2.15-2.23(3H,m),2.93(1H,m),3.85(3H,s),5.10-5.16(2H,m),6.9
0-6.93(2H,m),7.50(1H,m),7.60-7.65(3H,m),7.91(1H,d,J=0.9Hz).

IR(CHCl₃):3369,3270,2950,2873,1719,1612,1498,1456,1440,1359,1306,1269,1
219,1146,1127/cm.

$[\alpha]_D^{+18.1}$ (CH₃OH,C=1.00,22°C).

No.1 a - 1 8 0

CDCl₃+CD₃OD 300MHz

1.03-1.86(14H,m),2.08-2.17(3H,m),2.91(1H,m),5.06-5.10(2H,m),6.76(1H,m),6.8
6-6.90(2H,m),7.48(1H,m),7.61-7.69(3H,m),7.89(1H,m).

IR(CHCl₃):3360,3259,2954,2873,1706,1612,1497,1457,1360,1306,1272,1230,1
176,1148,1126/cm.

$[\alpha]_D^{+20.3}$ (CH₃OH,C=1.00,22°C).

No.1 a - 1 8 1

CDCl₃ 300MHz

0.97-1.96(14H,m),2.15(1H,m),2.29(2H,t,J=6.9Hz),3.05(1H,m),3.81(3H,s),5.08(
1H,d,J=6.9Hz),5.23-5.25(2H,m),6.62(1H,s),7.47-7.54(5H,m),7.59(1H,m),7.70(1
H,m),7.97(1H,m).

IR(CHCl₃):3380,3260,3020,2946,2868,1708,1466,1388,1328,1149/cm.

$[\alpha]_D^{+32.9}$ (CHCl₃,c=1.07,22°C).

No.1 a - 1 8 2

CDCl₃ 300MHz

0.94-1.90(14H,m),2.25(2H,t,J=7.5Hz),2.30(1H,m),2.98(1H,m),3.70(3H,s),4.83(
1H,d,J=6.6Hz),5.13-5.16(2H,m),6.95(1H,d,J=1.5Hz),7.11-7.23(2H,m),7.43(1H,
d,J=8.1Hz),7.65(1H,d,J=8.1Hz),7.79-7.93(4H,m),9.08(1H,br).

IR(CHCl₃):3458,3372,3020,3002,2946,2868,1719,1598,1452,1422,1321,1300,1
157/cm.

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五、發明說明 (149)

$[\alpha]_D = -6.6^\circ$ (CHCl₃, c=1.00), mp 150-151°C

No.1 a - 1 8 3

CDCl₃ 300MHz

0.95-1.94(14H,m), 2.26(1H,m), 2.28(2H,t, J=7.5Hz), 3.00(1H,m), 5.16-5.19(2H,m),
5.32(1H,d, J=7.2Hz), 6.93(1H,d, J=1.2Hz), 7.13(1H,m), 7.22(1H,dd, J=7.8, 6.6Hz),
7.42(1H,d, J=7.8Hz), 7.63(1H,d, J=7.8Hz), 7.76(2H,d, J=8.4Hz), 7.90(2H,d, J=8.4H
z), 8.95(1H,br).

IR(CHCl₃): 3458, 3374, 3260, 3020, 3002, 2948, 2868, 1708, 1598, 1452, 1422, 130
1, 1156/cm.

$[\alpha]_D = +17.9^\circ$ (CHCl₃, c=1.01, 22°C).

No.1 a - 1 8 4

CDCl₃ 200MHz

0.92-2.00(14H,m), 2.20(1H,m), 2.34(2H,t, J=6.8Hz), 3.05(1H,m), 5.20-5.36(3H,m),
7.39-7.44(2H,m), 7.61-7.66(1H,m), 7.80-7.84(1H,m), 8.05(2H,d, J=8.6Hz), 8.40(2
H,d, J=8.6Hz).

IR(CHCl₃): 3384, 3271, 3019, 2958, 1709, 1615, 1599, 1551, 1453, 1405, 1344, 1326, 1
243, 1163/cm.

$[\alpha]_D = +18.5^\circ$ (CHCl₃, C=1.00, 21°C).

No.1 a - 1 8 5

CDCl₃ 300MHz

0.89-2.20(15H,m), 2.26(2H,d,t, J=2.1 and 7.2Hz), 2.99(1H,m), 5.08(1H,d, J=6.3Hz),
5.09-5.24(2H,m), 6.90(1H,d, J=1.2Hz), 7.32-7.48(4H,m), 7.64-7.72(3H,m), 8.20(1
H,d, J=1.2Hz), 9.00(1H,s).

IR(CHCl₃): 3464, 3375, 3275, 3022, 2956, 1707, 1605, 1490, 1449, 1356, 1322, 1219, 1
147, 1131/cm.

$[\alpha]_D = +21.6^\circ$ (CHCl₃, C=1.01, 23°C).

五、發明說明 (150)

No.1 a - 1 8 6

CDC13:300MHz

1.36-2.24(14H,m),2.31(2H,t,J=7.4Hz),2.49(1H,bs),3.37(1H,m),3.67(3H,s),5.38-5.50(2H,m),7.40-7.68(9H,m).

IR(CHCl₃):3375,1727,1602,1435,1362,1221,1207,1168,1045/cm.

No.1 a - 1 8 7

CDC13:300MHz

1.10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),3.37(1H,m),5.35-5.54(2H,m),5.62(1H,d,J=7.2Hz),7.39-7.70(9H,m).

IR(CHCl₃):3674,3496,3376,3234,3012,2952,2880,2650,1725(sh),1709,1602,1485,1420,1360,1167/cm.

$[\alpha]_D = +32^\circ$ (CHCl₃, c=1.69).

No.1 a - 1 8 8

CDC13 200MHz

0.86-1.92(14H,m),2.22(3H,m),2.36(3H,s),2.95(1H,m),3.67(3H,s),3.93(3H,s),4.81(1H,d,J=6.2Hz),5.04-5.20(2H,m),7.02-7.05(2H,m),7.31(1H,d,J=8.6Hz),7.39(1H,d,J=7.8Hz),7.79-7.89(3H,m).

IR(CHCl₃):3385,3286,3029,3019,3015,2954,2877,1718,1617,1598,1567,1507,1311,1269,1153 /cm.

$[\alpha]_D = -29.4^\circ$ (CHCl₃, c=1.01, 25°C).

No.1 a - 1 8 9

$[\alpha]_D = -7.7^\circ$ (CHCl₃, c=1.00, 24°C).

No.1 a - 1 9 0

$[\alpha]_D = -17.3^\circ$ (CHCl₃, c=1.00, 24°C).

五、發明說明 (151)

No.1 a - 1 9 1

CDCl₃ 300MHz

0.95-2.20(14H,m),2.30(1H,m),2.36(2H,d,J=6.9Hz),3.21(1H,m),4.25(2H,s),5.07(1H,d,J=7.8Hz),5.35-5.48(2H,m),7.25(1H,dd,J=1.8 and 8.1Hz),7.32-7.35(2H,m),7.59(1H,d,J=8.1Hz),7.94(1H,s),8.14(1H,d,J=2.7Hz),8.23(1H,d,d,J=2.7and8.7 Hz).

IR(CHCl₃):3386,3026,3015,2957,2877,2633,1702,1617,1573,1530,1348,1123 / cm.

$[\alpha]_D = -6.1^\circ$ (CHCl₃,c=1.01,25°C).

No.1 a - 1 9 2

CDCl₃ 300MHz

0.92-2.20(14H,m),2.13(3H,m),3.23(1H,m),3.64(3H,s),3.94(3H,s),4.22(2H,s),4.36(1H,d,J=7.8Hz),5.37-5.42(2H,m),7.16-7.42(6H,m),7.53(1H,d,J=8.4Hz),7.94(1H,s).

IR(CHCl₃):3389,3022,3013,2953,2877,1716,1616,1560,1485,1340,1326,1124 / cm.

$[\alpha]_D = -15.2^\circ$ (CHCl₃,c=1.01,25°C).

No.1 a - 1 9 3

CDCl₃ 300MHz

0.92-2.20(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.17(1H,m),4.22(2H,s),4.91(1H,d,J=7.5Hz),5.37-5.42(2H,m),7.13-7.43(6H,m),7.60(1H,d,J=8.1Hz),8.05(1H,s).

IR(CHCl₃):3511,3387,3029,3020,3011,2957,2877,2651,1698,1614,1560,1505,1320,1280,1252,1126 / cm.

$[\alpha]_D = -0.9^\circ$ (CHCl₃,c=1.00,25°C).

五、發明說明 (152)

No.1b-1

CDCl₃ 300MHz

0.98-1.56(15H,m),1.85-1.90(5H,m),2.23(1H,m),3.05(1H,m),3.66(3H,s),4.77(1H,
d,J=6.0Hz),5.08-5.28(2H,m),7.46(3H,m),7.38-7.54(2H,d,J=7.5Hz),7.72(2H,d,J=
8.4Hz),7.93(2H,d,J=8.4Hz).

IR(CHCl₃):3384,3028,2952,2876,1719,1595,1391,1322,1155/cm.

$[\alpha]_{436} +4.0\sim+6.0$ (CHCl₃,c=1.00,23°C).

mp.96-98°C

No.1b-2

CDCl₃ 300MHz

0.98-1.52(15H,m),1.85-1.90(5H,m),2.17(1H,m),3.00(1H,m),3.67(3H,s),4.05(2H,
s),4.83(1H,d,J=6.0Hz),5.05-5.23(2H,m),7.14(2H,d,J=7.2Hz),7.17-7.32(5H,m),7.
78(2H,d,J=8.4Hz).

IR(CHCl₃):3384,3026,2952,2874,1719,1595,1453,1407,1320,1180/cm.

$[\alpha]_D = +2.5^\circ$ (CHCl₃,c=1.02,24°C).

No.1b-3

CDCl₃ 300MHz

0.96-2.05(20H,m),2.07(1H,m),3.07(1H,m),4.04(2H,s),5.21-5.35(2H,m),5.55(1H,
d,J=6.9Hz),7.14(2H,d,J=6.6Hz),7.20-7.32(5H,m),7.78(2H,d,J=8.1H).

IR(CHCl₃):3250,3022,2950,1699,1596,1495,1453,1405,1318,1153/cm.

$[\alpha]_D = +17.1^\circ$ (CHCl₃,c=1.01,25°C).

mp.129-131°C.

No.1b-4

CDCl₃ 200MHz

0.90-2.10(15H,m),1.19(3H,s),1.20(3H,s),3.11(1H,m),5.24-5.32(2H,m),5.70(1H,
d,J=6.6Hz),7.38-7.68(4H,m),7.96-8.04(2H,m),8.53(1H,d,J=1.4Hz).

五、發明說明 (153)

IR(CHCl₃):3384,3246,2958,1701,1632,1595,1468,1445,1322,1216,1202,1190,1155,1122/cm.

$[\alpha]_D^{23} = +10.8^\circ$ (CHCl₃, C=0.51, 23°C).

No.1b-5

1.02-2.10(15H,m),1.16(6H,s),3.02(1H,m),4.09(3H,s),5.23-5.28(2H,m),5.76(1H,d,J=7.2Hz),7.36-7.63(4H,m),7.97(1H,d,J=7.8Hz),8.16(1H,s).

IR(CHCl₃):3369,2959,1702,1635,1585,1468,1454,1441,1415,1318,1222,1189,1170,1154/cm.

$[\alpha]_D^{23} = +9.9^\circ$ (CHCl₃, C=1.00, 23°C).

No.1c-1

CDCl₃ 300MHz

1.10-2.02(14H,m),2.27(2H,t,J=7.5Hz),2.50(1H,m),2.89(3H,s),3.31(1H,m),3.64(3H,s),5.16-5.30(2H,m),7.34-7.42(3H,m),7.50-7.59(2H,m),7.62-7.68(2H,m),7.76-7.82(2H,m).

IR(CHCl₃):3020,2946,2868,2212,1727,1596,1495,1437,1339,1156,1135,1084 / cm.

$[\alpha]_D^{25} = -16.1^\circ$ (CHCl₃, c=1.05, 25.0°C).

m.p.100-102°C

No.1c-2

CDCl₃ 300MHz

1.10-2.05(14H,m),2.23(2H,t,J=7.5Hz),2.53(1H,m),2.91(3H,s),3.35(1H,m),3.62(3H,s),5.02-5.30(2H,m),7.50-7.60(3H,m),7.90-8.08(6H,m).

IR(CHCl₃):3016,2946,2868,1728,1437,1398,1340,1160,1086 /cm.

$[\alpha]_D^{25} = -32.5^\circ$ (CHCl₃, c=1.00, 25.0°C).

No.1c-3

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五、發明說明 (154)

CD3OD 300MHz

1.15-2.05(14H,m),2.13(2H,t,J=7.2Hz),2.47(1H,m),2.91(3H,s),3.27(1H,m),4.90-5.30(2H,m),7.37-7.44(3H,m),7.53-7.61(2H,m),7.71-7.77(2H,m),7.81-7.87(2H,m).

IR(KBr):3412,2999,2951,2871,2217,1560,1399,1243,1159,1137,1103,1084.

[α]D=-8.6° (CH₃OH,c=1.03,23°C).

No.1d-1

CDCl₃ 300MHz

1.00-2.16(15H,m),2.36(2H,t,J=7.2Hz),3.17(1H,m),3.33(3H,s),5.23-5.43(3H,m),7.51-7.59(3H,m),7.91-8.10(6H,m),9.02(1H,brs).

IR(CHCl₃):3382,3268,3028,2954,2874,1715,1442,1400,1337,1162,1120,1089/cm.[α]D=+40.0° (CHCl₃,C=0.53,22°C).

No.1d-2

CDCl₃ 300MHz

1.03-2.30(17H,m),3.03(1H,m),4.03(2H,s),5.26(2H,m),5.84(1H,br),5.25-5.29(1H,d,J=6.6Hz),6.03(1H,br),7.14(2H,d,J=8.1Hz),7.26-7.31(5H,m),7.80(2H,d,J=8.1Hz).

IR(CHCl₃):3376,3002,2946,1669,1595,1492,1454,1406,1318,1154/cm.[α]D=+4.3° (CHCl₃,c=1.00,23°C).

No.1d-3

CDCl₃ 300MHz

0.96-2.17(17H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),4.04(2H,s),5.10(1H,d,J=6.6Hz),5.21-5.26(2H,m),7.14(2H,d,J=8.7Hz),7.16-7.32(5H,m),7.78(2H,d,J=8.4Hz).

IR(CHCl₃):3260,3020,2946,1711,1596,1492,1457,1407,1318,1154/cm.[α]D=+9.3° (CHCl₃,c=1.09,25°C).

五、發明說明 (155)

No.1d-4

CDC13 300MHz

0.95-2.14(15H,m),2.34(2H,t,J=7.2Hz),3.09(1H,m),3.30(3H,s),4.04(2H,s),5.19(1H,d,J=7.2Hz),5.22-5.39(2H,m),7.10-7.35(7H,m),7.81(2H,d,J=8.1Hz),9.10(1H,brs).

IR(CHCl₃):3382,3260,3028,2952,2874,2670,1713,1595,1492,1450,1405,1338,1160,1120,1092/cm.

[α]D=+22.2° (CHCl₃,C=1.07,22°C).

No.1d-5

CDC13 300MHz

1.00-2.10(14H,m),2.30-2.39(3H,m),3.15(1H,m),3.35(3H,s),5.18-5.40(3H,m),7.41(1H,d,t.,J=0.9and7.8Hz),7.50-7.69(3H,m),7.88-8.15(2H,m),8.60(1H,d,J=1.5Hz),9.06(1H,s).

IR(CHCl₃):3382,3268,3028,2954,2874,1714,1442,1402,1338,1188,1155,1121,1072/cm.

[α]D=+15.3° (CHCl₃,C=1.00,22°C).

No.1e-1

CDC13 300MHz

1.19-2.45(19H,m),2.58(1H,m),5.63(1H,d,J=3.0Hz),7.42-7.65(4H,m),7.94-8.03(2H,m),8.49-8.50(1H,m).

IR(CHCl₃):3293,3024,1710,1595,1584,1467,1445,1410,1324,1222,1213,1206,1190,1160/cm.

[α]D=-41.1° (CHCl₃,C=1.01,23°C).

No.1e-2

CDC13 300MHz

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五、發明說明 (156)

1.10-2.25(19H,m),2.94(1H,m),4.12(3H,s),5.53(1H,d,J=7.2Hz),7.39(1H,m),7.50-7.62(3H,m),7.96(1H,d,J=7.5Hz),8.13(1H,s).

IR(CHCl₃):3367,3025,2955,1711,1634,1600,1584,1468,1454,1440,1415,1342,1317,1222,1189,1157/cm.

$[\alpha]_D^{25} = +1.2^\circ$ (CHCl₃, C=1.00, 25°C).

No.1f-1

CDCl₃ 300MHz

1.08-2.47(19H,m),2.56(1H,m),3.52(2H,t,J=6.6Hz),5.59(1H,d,J=2.4Hz),7.40-7.66(4H,m),7.95-8.04(2H,m),8.50(1H,d,J=1.8Hz).

IR(CHCl₃):3624,3383,3295,2950,2877,1705,1595,1584,1468,1445,1405,1347,1337,1324,1224,1190,1160/cm.

$[\alpha]_D^{23} = -54.1^\circ$ (CHCl₃, C=1.01, 23°C).

No.1f-2

CDCl₃ 300MHz

1.08-2.24(19H,m),2.94(1H,m),3.53(2H,t,J=6.3Hz),4.13(3H,s),5.47(1H,d,J=6.6Hz),7.36-7.63(4H,m),7.96(1H,d,J=6.3Hz),8.14(1H,s).

IR(CHCl₃):3625,3368,3025,3013,2949,2877,1710,1634,1600,1584,1468,1454,1440,1415,1342,1317,1232,1220,1189,1157/cm.

$[\alpha]_D^{25} = -5.6^\circ$ (CHCl₃, C=1.00, 25°C).

No.1g-1

CDCl₃ 200MHz

1.17-2.34(15H,m),3.22(1H,m),5.10-5.16(2H,m),5.45(1H,d,J=7.0Hz),7.35-7.66(4H,m),7.95-8.01(2H,m),8.51(1H,d,J=2.0Hz).

IR(CHCl₃):3383,3275,2959,1707,1595,1584,1468,1445,1425,1319,1269,1248,1190,1149,1123/cm.

$[\alpha]_D^{23} = +64.3^\circ$ (CHCl₃, C=1.01, 23°C).

五、發明說明 (157)

No.1g-2

CDC13 300MHz

1.10-2.15(13H,m),2.36(2H,t,J=7.2Hz),3.21(1H,m),4.09(3H,s),5.10-5.22(2H,m),
5.43(1H,d,J=7.8Hz),7.36-7.62(4H,m),7.96(1H,d,J=7.8Hz),8.12(1H,s).

IR(CHCl₃):3366,2959,1708,1635,1600,1585,1467,1454,1440,1415,1345,1318,1
233,1189,1152/cm.

$[\alpha]_D = +103.1^\circ$ (CHCl₃, C=1.01, 23°C).

No.1h-1

CDC13 300MHz

0.90-1.60(17H,m),1.83(1H,m),2.11(1H,m),2.22(2H,t,J=7.2Hz),3.07(1H,m),5.11(
1H,d,J=7.2Hz),7.38-7.47(1H,m),7.50-7.60(1H,m),7.60-7.72(2H,m),7.88-8.12(2
H,m),8.54(1H,d,J=0.9Hz).

IR(CHCl₃):3382,3274,2926,1707,1464,1442,1318,1266,1188,1153,1121,1105,1
071,1019/cm.

$[\alpha]_D = -2.8^\circ$ (CHCl₃, C=1.01, 23°C).

No.1i-1

$[\alpha]_{365} = +50.9^\circ$ (CHCl₃, c=1.01, 24°C).

No.1i-2

CDC13 300MHz

0.98-1.70(11H,m),1.80-2.00(5H,m),2.19(1H,m),3.03(1H,m),3.64(2H,t,J=6.6Hz),
4.05(2H,s),4.69(1H,d,J=6.6Hz),5.15(1H,m),5.25(1H,m),7.16(2H,d,J=7.2Hz),7.2
7-7.32(5H,m),7.77(2H,d,J=8.4Hz).

IR(CHCl₃):3376,3004,2946,2316,1596,1492,1453,1407,1318,1154/cm.

$[\alpha]_D = +3.5^\circ$ (CHCl₃, c=1.00, 22°C).

mp. 80.5-82.0°C

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五、發明說明 (158)

No.1j- 1

[α]436=-7.5±0.5° (CHCl₃,c=1.05,22°C).

No.1j- 2

[α]D=-9.7±0.5° (CHCl₃,c=1.06,22°C).

No.1j- 3

[α]D=+15.0±0.5° (CH₃OH,c=1.06,24.5°C).

mp.101-108°C

No.1j- 4

[α]D=-28.0±0.6° (CHCl₃,c=1.06,24°C).

mp.159-161°C

1j- 5

[α]D=-12.5±0.5° (CHCl₃,c=1.04,23°C).

mp.99-101°C

No.1j- 6

CDCl₃ 300MHz

0.90-2.03(14H,m),2.20(1H,m),2.30(2H,t,J=7.3Hz),3.00(1H,m)3.68(3H,s),4.76(1H,d,J=6.8Hz),5.13-5.35(2H,m),7.01-7.08(4H,m),7.19-7.26(1H,m),7.37-7.46(2H,m),7.80-7.84(2H,m).

IR(CHCl₃):3382,3280,3080,3016,2952,2900,1727,1582,1486,1432,1322,1150/c

m.

[α]D= -31.0° (CHCl₃,c=1.05,26°C).

No.1j- 7

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五、發明說明 (159)

CDC13 300MHz

0.91-2.09(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.01(1H,m),5.17(1H,d,J=6.8 Hz),5.21-5.34(2H,m),7.01-7.08(4H,m),7.15-7.27(1H,m),7.37-7.43(2H,m),7.80-7.85(2H,m).

IR(CHCl₃):3474,3386,3270,3024,2958,2900,2675,1711,1584,1488,1420,1323,1298,1150/cm.

$[\alpha]_D = -13.4^\circ$ (CHCl₃,c=1.01,26°C).

No.1j-8

CDC13 300MHz

0.95-2.14(13H,m),2.30(2H,t,J=7.5Hz),2.36(1H,m),2.84(1H,m),2.91(1J=4.8Hz),3.66(3H,s),5.33-5.52(2H,m),6.82-6.87(1H,m),6.93-7.00(2H,m),7.09-7.15(4H,m),7.28-7.36(2H,m),7.54-7.59(1H,m).

IR(CHCl₃):3350,3010,2950,2880,1728,1603,1582,1489 1461,1438,1360,1160/cm.

$[\alpha]_D = +75.1^\circ$ (CHCl₃,c=1.13,26°C).

No.1j-9

CDC13 300MHz

0.95-2.03(14H,m),2.20(1H,m),2.29(2H,t,J=7.5Hz),3.06(1H,m),3.68(3H,s),4.98(1H,d,J=7.4Hz),5.14-5.34(2H,m),7.46-7.54(2H,m),7.60-7.68(1H,m),7.75-7.80(2H,m),7.88-7.92(2H,m),7.99-8.03(2H,m).

IR(CHCl₃):3384,3280,3020,2960,2888,1727,1662,1600,1316,1273,1163/cm.

$[\alpha]_D = -41.0^\circ$ (CHCl₃,c=1.17,26°C).

No.1j-10

CDC13+CD3OD 300MHz

0.94-2.08(14H,m),2.21(1H,m),2.34(2H,t,J=6.2Hz),3.04(1H,m),5.21-5.35(2H,m),5.40(1H,m),7.49-7.58(2H,m),7.64-7.68(1H,m),7.79-8.06(6H,m).

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五、發明說明 (160)

IR(CHCl₃):3475,3370,3250,3018,2956,2976,2650,1709,1662,1595,1445,1420,
1395,1317,1274,1163/cm.

$[\alpha]_D = -17.1^\circ$ (CHCl₃, c=1.13, 25°C).

No.1j-11

CDC13 300MHz

1.06-1.98(14H,m),2.24-2.29(3H,m),3.13(1H,m),3.66(3H,s),5.10-5.24(2H,m),5.4
0(1H,d,J=6.3Hz),7.39-7.49(3H,m),7.59-7.64(3H,m),7.80-7.83(2H,m),8.08-8.11(
1H,m).

IR(CHCl₃):3302,3012,2948,2905,1727,1661,1593,1435,1332,1312,1287,1271,1
165/cm.

$[\alpha]_D = +15.6^\circ$ (CHCl₃, c=1.03, 26°C).

No.1j-12

CDC13 300MHz

1.08-1.98(14H,m),2.23(1H,m),2.33(2H,t,J=7.5Hz),3.16(1H,m),5.18-5.26(2H,m),
5.39-5.45(1H,m),7.39-7.49(3H,m),7.60-7.64(3H,m),7.80-7.83(2H,m),8.09-8.12(
1H,m).

IR(CHCl₃):3325,3022,2956,2872,2680,1708,1662,1603,1598,1425,1340,1316,1
288,1271,1165/cm.

$[\alpha]_D = +9.7^\circ$ (CHCl₃, c=0.52, 25°C).

No.1j-13

CDC13 300MHz

0.95-2.00(14H,m),2.20(1H,m),2.27(2H,t,J=6.3Hz),3.03(1H,m),3.67(3H,s),4.99(
1H,d,J=6.6Hz),5.12-5.31(2H,m),7.47-7.55(2H,m),7.60-7.69(2H,m),7.76-7.81(2
H,m),7.96-8.05(1H,m),8.08-8.14(1H,m),8.27-8.28(1H,m).

IR(CHCl₃):3674,3538,3376,3276,3012,2948,2860,1726,1662,1595,1440,1335,1
317,1297,1274,1166,1150/cm.

五、發明說明 (161)

$[\alpha]_D = +10.2^\circ$ (CHCl₃, c=1.00, 25°C).

No. 1j-14

CDC13 300MHz

0.93-2.08(14H, m), 2.21(1H, m), 2.32(2H, t, J=6.3Hz), 3.00(1H, m), 5.20-5.36(2H, m),
5.38(1H, d, J=6.2Hz), 7.50-7.55(2H, m), 7.63-7.71(2H, m), 7.77-7.81(2H, m), 7.99-8.
04(1H, m), 8.10-8.18(1H, m), 8.32-8.36(1H, m).

IR(CHCl₃): 3674, 3480, 3374, 3258, 3012, 2950, 2875, 2650, 1709, 1662, 1598, 1418, 1
335, 1317, 1274, 1143/cm.

$[\alpha]_D = +61.0^\circ$ (CHCl₃, c=1.19, 25°C).

No. 1j-15

CDC13 300MHz

0.90-2.00(14H, m), 2.19(1H, m), 2.30(2H, t, J=7.3Hz), 3.01(1H, m), 3.67(3H, s), 4.82(
1H, d, J=6.6Hz), 5.14-5.34(2H, m), 7.36-7.39(3H, m), 7.53-7.57(2H, m), 7.62-7.66(2
H, m), 7.83-7.88(2H, m).

IR(CHCl₃): 3376, 3276, 3010, 2948, 2868, 2212, 1727, 1597, 1500, 1437, 1325, 1161/c
m.

$[\alpha]_D = -7.2^\circ$ (CHCl₃, c=1.00, 26°C).

No. 1j-16

CDC13 300MHz

0.93-2.03(14H, m), 2.15(1H, m), 2.36(2H, t, J=7.5Hz), 3.05(1H, m), 5.20-5.40(3H, m),
7.36-7.39(3H, m), 7.55-7.66(4H, m), 7.84-7.88(2H, m).

IR(CHCl₃): 3470, 3376, 3260, 3012, 2950, 2868, 2675, 2212, 1708, 1596, 1503, 1416, 1
396, 1322, 1160.

$[\alpha]_D = -22.4^\circ$ (CHCl₃, c=1.00, 26°C).

No. 1j-17

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五、發明說明 (162)

CDC13 300MHz

1.00-1.60(9H,m),1.79-1.89(5H,m),2.17(1H,bs),2.23(2H,t,J=7.2Hz),3.03(1H,m),
5.10-5.23(2H,m),5.49(1H,d,J=6.6Hz),7.40(1H,t,J=7.4Hz),7.53(1H,t,J=7.2Hz),7.
60-7.68(2H,m),7.98-8.03(2H,m),8.55(1H,d,J=1.5Hz).

IR(CHCl₃):3516,3384,3270,2666,1708,1632,1595,1584,1467,1445,1425,1374,1
345,1321,1269,1248,1218/cm.

$[\alpha]_D = -7.8^\circ$ (CHCl₃,c=1.01,22°C).

No.1j-18

CDC13 300MHz

0.90-2.03(14H,m),2.19(1H,m),2.30(2H,t,J=7.5Hz),3.00(1H,m),3.67(3H,s),4.80(
1H,d,J=6.4Hz),5.14-5.35(2H,m),6.99-7.04(2H,m),7.16-7.22(2H,m),7.34-7.49(4
H,m),7.57-7.61(1H,m).

IR(CHCl₃):3376,3276,3012,2948,2875,1727,1583,1488,1471,1432,1330,1311,1
150/cm.

$[\alpha]_D = +54.0^\circ$ (CHCl₃,c=0.99,25°C).

No.1j-19

CDC13 300MHz

0.91-2.09(14H,m),2.15(1H,m),2.34(2H,t,J=7.5Hz),3.01(1H,m),5.16(1H,d,J=6.6
Hz),5.24-5.40(2H,m),7.01-7.08(2H,m),7.15-7.25(2H,m),7.35-7.53(4H,m),7.59-7.
65(1H,m).

IR(CHCl₃):3470,3376,3260,3012,2950,2875,2640,1708,1583,1488,1471,1430,1
335,1305,1149/cm.

$[\alpha]_D = -21.0^\circ$ (CHCl₃,c=1.30,25°C).

No.1j-20

CDC13 300MHz

1.17(1H,m),1.26-1.34(2H,m),1.54-2.24(11H,m),2.31(2H,t,J=7.4Hz),2.48(1H,bs),

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五、發明說明 (163)

3.37(1H,m),3.67(3H,s),5.35-5.50(2H,m),7.39-7.68(9H,m).

IR(CHCl₃):3377,1727,1601,1435,1362,1168/cm.

No.1j- 2 1

CDC13 300MHz

1,10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),2.89(1H,m),5.35-5.53(2H,m),
5.63(1H,d,J=7.2Hz),7.40-7.71(9H,m).

IR(CHCl₃):3674,3496,3374,3234,3010,2952,2870,2640,1730(sh),1710,1605,14
85,1425,1360,1167/cm.

$[\alpha]_D = -43.0^\circ$ (CHCl₃,c=1.01,25°C).

No.1j- 2 2

CDC13 300MHz

0,98-1.95(14H,m),2.25-2,31(3H,m),2.95(1H,m),5.19-5.30(2H,m),5.33(1H,d,J=3.
9Hz),6.58(1H,d,J=7.5Hz),6.80(1H,t,J=7.5Hz),6.99-7.05(1H,m),7.44-7.53(6H,m),
7.60-7.73(9H,m),7.94-7.73(3H,m),8.23-8.26(2H,m),10.66(1H,s).

IR(CHCl₃):3475,3372,3260,3008,2952,2868,2722,1725,1710(sh),1663,1590,15
71,1525,1448,1437,1345,1314,1161,1112/cm.

$[\alpha]_D = +12.9^\circ$ (CHCl₃,c=0.12,23°C).

No.1j- 2 3

CDC13 300MHz

0.94-1.94(14H,m),2.23-2.30(3H,m),2.98(1H,m),3.68(3H,s),5.09(1H,d,J=6.2Hz),
5.15-5.28(2H,m),7.14-7.22(1H,m),7.34-7.42(2H,m),7.68-7.73(2H,m),7.89-8.03(
4H,m),8.51(1H,s).

IR(CHCl₃):3372,3275,1724,1673,1599,1438,1320,1161/cm.

$[\alpha]_D = +17.0^\circ$ (CHCl₃,c=1.38,25°C).

No.1j- 2 4

五、發明說明 (164)

CDC13+CD3OD 300MHz

0.96-2.05(14H,m),2.25-2.34(3H,m),2.92(1H,m),5.16-5.34(2H,m),7.14-7.22(1H,m),7.29-7.42(2H,m),7.70(2H,d,J=7.6Hz),7.92-8.05(4H,m).

IR(CHCl₃):3616,3426,3375,3010,2950,2828,2645,1708,1672,1599,1439,1323,1161/cm.

$[\alpha]_D^{20} = +21.0^\circ$ (CH₃OH,c=1.00,22°C).

No.1j- 2 5

CDC13 300MHz

1.03(1H,m),1.18-2.01(13H,m),2.20(1H,bs),2.27(2H,t,J=7.4Hz),3.08(1H,m),3.66(3H,s),5.11(1H,d,J=6.6Hz),5.14-5.34(2H,m),7.54-7.62(3H,m),8.04-8.32(6H,m).

IR(CHCl₃):3384,3278,1726,1605,1484,1448,1331,1161/cm.

No.1j- 2 6

CDC13+CD3OD 300MHz

1.03-2.10(14H,m),2.22(1H,m),2.31(2H,t,J=7.5Hz),2.98(1H,m),5.23-5.38(2H,m),7.55-7.66(3H,m),8.05-8.08(2H,m),8.14-8.18(2H,m),8.28-8.31(2H,m).

IR(Nujol):3260,2720,2660,1711,1545,1460,1317,1163/cm.

$[\alpha]_D^{20} = +15.8^\circ$ (CH₃OH,c=1.01,22°C).

No.1j- 2 7

$[\alpha]_D^{20} = +16.7^\circ$ (CHCl₃,c=1.00,23°C).

No.1j- 2 8

CDC13 300MHz

1.01(1H,m),1.14-1.29(2H,m),1.46-2.19(11H,m),2.33(2H,t,J=7.2Hz),2.41(1H,bs),3.18-3.21(5H,m),3.68(3H,s),3.73-3.76(4H,m),4.37(1H,d,J=7.2Hz),5.35-5.45(2H,m).

IR(CHCl₃):3392,1727,1435,1335,1148/cm.

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五、發明說明 (165)

$[\alpha]D = +10.7^\circ$ (CHCl₃, c=1.39, 26°C).

No.1j- 2 9

CDCl₃ 300MHz

1.00(1H,m), 1.20-1.29(2H,m), 1.48-2.25(12H,m), 2.37(2H,t, J=7.2Hz), 3.17-3.22(5H,m), 3.74-3.79(4H,m), 4.79(1H,d, J=7.8Hz), 5.34-5.54(2H,m).

IR(CHCl₃): 3470, 3390, 3270, 2675, 1709, 1455, 1420, 1315, 1147/cm.

$[\alpha]D = +16.8^\circ$ (CHCl₃, c=1.42, 26°C).

No.1k- 1

$[\alpha]D = -25.4^\circ$ (CHCl₃, c=1.08, 23°C).

No.1k- 2

CDCl₃ 200MHz

1.07-2.28(14H,m), 2.32(2H,t, J=7.4Hz), 2.63(1H,m), 3.63(3H,s), 3.93(1H,m), 5.30-5.52(2H,m), 6.35(1H,d, J=7.0Hz), 7.48-7.60(3H,m), 7.88-8.02(6H,m).

IR(CHCl₃): 3438, 3002, 2946, 2868, 1727, 1652, 1514, 1485, 1363, 1310, 1245, 1154 / cm.

$[\alpha]D = -80.4^\circ$ (CHCl₃, c=1.01, 24.0°C).

No.1k- 3

CDCl₃ 200MHz

1.10-2.26(14H,m), 2.37(2H,t, J=7.2Hz), 2.60(1H,m), 3.93(1H,m), 5.30-5.50(2H,m), 6.33(1H,d, J=7.5Hz), 7.48-7.58(3H,m), 7.88-7.99(6H,m).

IR(CHCl₃): 3446, 3004, 2952, 2874, 1709, 1652, 1515, 1485, 1305, 1153 / cm.

$[\alpha]D = -96.4^\circ$ (CHCl₃, c=1.05, 23.0°C).

No.1k- 4

CDCl₃ 300MHz

五、發明說明 (166)

1.05-2.17(14H,m),2.38(2H,t,J=7.2Hz),2.52(1H,m),3.81(1H,m),5.33-5.50(2H,m),
6.08(1H,d,J=7.6Hz),7.39-7.53(3H,m),7.57-7.62(6H,m).

IR(CHCl₃):3420,3250,3008,2948,2870,2660,2208,1735(sh),1705,1640,1500/cm

[α]D=-21.9 \pm 0.6° (CHCl₃,c=1.02,22°C).

No.1k-5

CDC13 300MHz

1.05-2.14(14H,m),2.38(2H,t,J=7.2Hz),2.51(1H,m),3.81(1H,m),5.34-5.46(2H,m),
6.07(1H,d,J=7.6Hz),7.33-7.56(5H,m).

IR(CHCl₃):3422,3250,3010,2950,2876,2664,2558,2210,1735(sh),1705,1645,15
02,1441,1410,1307,1276/cm.

[α]D=-63.6 \pm 1.9° (CHCl₃,c=0.56,22°C).

No.1k-6

CDC13 300MHz

1.04-2.24(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.30-5.43(2H,m),
6.21(1H,d,J=7.2Hz),7.41-7.49(3H,m),7.73-7.77(2H,m).

IR(CHCl₃):3447,3011,2955,1708,1653,1603,1578,1515,1486,1457,1312,1211,1
164/cm.

[α]D=-60.3° (CHCl₃,C=1.00,23°C).

No.1k-7

CDC13 300MHz

1.04-2.22(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.87(1H,m),5.30-5.44(2H,m),
6.17(1H,d,J=8.7Hz),6.99-7.40(7H,m),7.73(2H,d,J=7.5Hz).

IR(CHCl₃):3449,3013,2955,1739,1708,1651,1609,1588,1522,1487,1243,1227,1
169/cm.

[α]D=-60.2° (CHCl₃,C=0.92,23°C).

五、發明說明 (167)

No.1k-8

CDC13 300MHz

1.04-2.25(14H,m),2.34(2H,t,J=7.5Hz),2.56(1H,m),3.87(1H,m),5.30-5.44(2H,m),
6.19(1H,d,J=7.5Hz),6.83-6.94(6H,m),7.69(2H,d,J=8.7Hz).

IR(CHCl₃):3599,3455,3012,2955,1711,1644,1604,1577,1524,1507,1492,1290,1
236,1197,1170/cm.

[α]D=-47.7° (CHCl₃,C=1.01,22°C).

No.1k-9

CDC13 300MHz

1.04-2.20(14H,m),2.31(3H,s),2.36(2H,t,J=7.2Hz),2.56(1H,m),3.86(1H,m),5.30-
5.43(2H,m),6.16(1H,d,J=7.2Hz),7.00-7.11(6H,m),7.74(2H,d,J=8.7Hz).

IR(CHCl₃):3450,3010,2955,1750,1709,1651,1609,1596,1523,1489,1370,1247,1
227,1183/cm.

[α]D=-54.7° (CHCl₃,C=1.01,22°C).

No.1k-10

CDC13 300MHz

1.04-2.22(14H,m),2.35(2H,t,J=7.2Hz),2.56(1H,m),3.82(3H,s),3.86(1H,m),5.30-
5.43(2H,m),6.17(1H,d,J=6.9Hz),6.89-7.01(6H,m),7.70(2H,d,J=8.7Hz).

IR(CHCl₃):3023,2955,1742,1708,1649,1613,1602,1577,1522,1507,1490,1227,1
210,1170/cm.

[α]D=-58.1° (CHCl₃,C=1.01,22°C).

No.1m-1

CDC13 300MHz

1.06-2.25(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.91(1H,m),5.33-
5.47(2H,m),6.24(1H,d,J=6.9Hz),7.35-7.38(3H,m),7.53-7.60(4H,m),7.75-7.78(2

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五、發明說明 (168)

H,m).

IR(CHCl₃):3438,3008,2946,2875,2212,1732,1650,1605,1519,1496/cm.

[α]D= +76° (CHCl₃,c=1.39,24°C)

No.1m-2

CDCl₃ 300MHz

1.05-2.20(14H,m),2.36(2H,t,J=6.2Hz),2.59(1H,m),3.89(1H,m),5.29-5.48(2H,m),
6.26(1H,d,J=7.0Hz),7.26-7.38(3H,m),7.52-7.60(4H,m),7.73-7.77(2H,m).

IR(CHCl₃):3444,3012,2952,2874,2664,2214,1718(sh),1708,1649,1605,1520,14
98/cm.

[α]D= +81.4° (CHCl₃,c=1.01,23°C)

No.1m-3

CDCl₃ 300MHz

1.06-2.23(14H,m),2.32(2H,t,J=7.0Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.30-
5.50(2H,m),6.28(1H,d,J=7.0Hz),7.38-7.51(3H,m),7.58-7.67(4H,m),7.83-7.88(2
H,m).

IR(CHCl₃):3438,3008,2948,2875,1783(w),1727,1650,1608,1580(w),1523,1501,
1482/cm.

[α]D= +59° (CHCl₃,c=1.49,25°C)

No.1m-4

CDCl₃ 300MHz

1.08-2.25(14H,m),2.36(2H,t,J=7.4Hz),2.59(1H,m),3.91(1H,m),5.28-5.48(3H,m),
6.29(1H,d,J=7.4Hz),7.38-7.50(3H,m),7.61-7.67(4H,m),7.81-7.86(2H,m).

IR(CHCl₃):3436,3010,2948,2868,1727,1715(sh),1649,,1615(w),1524,1502,1482,
1372/cm.

[α]D= +72° (CHCl₃,c=0.98,25°C)

五、發明說明 (169)

No.1m-5

CDC13 300MHz

1.09-2.20(14H,m),2.32(2H,t,J=7.2Hz),2.63(1H,m),3.63(3H,s),3.92(1H,m),5.31-5.51(2H,m),6.35(1H,d,J=7.0Hz),7.51-7.60(3H,m),7.92-7.97(6H,m).

IR(CHCl₃):3436,3008,2946,2875,1727,1652,1608(w),1515,1484/cm.

[α]D= +82° (CHCl₃,c=0.99,25°C)

No.1m-6

CDC13 300MHz

1.09-2.23(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.92(1H,m),5.30-5.49(2H,m),6.32(1H,d,J=7.4Hz),7.51-7.55(3H,m),7.85-7.98(6H,m).

IR(CHCl₃):3436,3010,2950,2875,2670,1727,1715(sh),1650,1605(w),1515,1484/cm.

[α]D= +84° (CHCl₃,c=1.54,25°C)

No.1m-7

CDC13 300MHz

1.03-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.64(3H,s),3.89(1H,m),5.29-5.49(2H,m),6.16(1H,d,J=7.8Hz),6.98-7.06(4H,m),7.14-7.20(1H,m),7.34-7.41(2H,m),7.73-7.78(2H,m).

IR(CHCl₃):3438,3008,2946,2868,1727,1648,1610,1586,1519,1485/cm.

[α]D= +54° (CHCl₃,c=1.29,25°C).

No.1m-8

CDC13 300MHz

1.06-2.21(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.31-5.46(2H,m),6.17(1H,d,J=6.9Hz),6.99-7.05(4H,m),7.15-7.21(1H,m),7.36-7.41(2H,m),7.72-7.75(2H,m).

IR(CHCl₃):3436,3010,2948,2868,2675,1730(sh),1709,1647,1608,1586,1520,14

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五、發明說明 (170)

85/cm.

$[\alpha]_D = +56^\circ$ (CHCl₃, c=0.97, 25°C)

No. 1m-9

CDC13 300MHz

1.05-2.18(14H,m), 2.29-2.34(5H,m), 2.59(1H,m), 3.64(3H,s), 3.89(1H,m), 5.32-5.4
6(2H,m), 6.16(1H,d, J=7.5Hz), 7.00-7.11(6H,m), 7.74-7.77(2H,m).

IR(CHCl₃): 3440, 3010, 2946, 2868, 1729, 1649, 1595, 1519, 1488/cm.

$[\alpha]_D = +47^\circ$ (CHCl₃, c=0.82, 25°C).

No. 1m-10

CDC13 300MHz

1.04-2.20(14H,m), 2.31-2.39(5H,m), 2.57(1H,m), 3.87(1H,m), 5.28-5.47(2H,m), 6.1
7(1H,d, J=7.0Hz), 6.99-7.12(6H,m), 7.72-7.76(2H,m).

IR(CHCl₃): 3674, 3572, 3438, 3010, 2948, 2868, 2626, 1748, 1710, 1648, 1615, 1595, 1
520, 1489/cm.

$[\alpha]_D = +51^\circ$ (CHCl₃, c=0.91, 25°C)

No. 1m-11

CDC13 300MHz

1.04-2.16(14H,m), 2.31(2H,t, J=7.2Hz), 2.59(1H,m), 3.63(3H,s), 3.89(1H,m), 5.29-
5.49(2H,m), 6.24(1H,d, J=7.4Hz), 6.54(1H,s), 6.83-6.93(6H,m), 7.69-7.73(2H,m).

IR(CHCl₃): 3674, 3588, 3438, 3296, 3010, 2946, 2868, 1725, 1646, 1603, 1520, 1504, 1
489/cm.

$[\alpha]_D = +51^\circ$ (CHCl₃, c=0.91, 25°C)

No. 1m-12

CDC13 300MHz

1.04-2.21(14H,m), 2.33(2H,t, J=8.0Hz), 2.56(1H,m), 3.87(1H,m), 5.28-5.48(2H,m).

五、發明說明 (171)

6.23(1H,d,J=8.0Hz),6.75(1H,m),6.87-6.94(6H,m),7.66-7.71(2H,m),9.63(1H,bs).

IR(CHCl₃):3674,3582,3436,3275,3010,2950,2868,2675,1727,1710(sh),1643,1603,1522,1504,1490/cm.

[α]D= +30° (CHCl₃,c=0.97,25°C)

No.1m-13

CDCl₃ 300MHz

1.01-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.63(3H,s),3.82(3H,s),3.89(1H,m),5.29-5.48(2H,m),6.14(1H,d,J=7.0Hz),6.88-7.02(6H,m),7.70-7.74(2H,m).

IR(CHCl₃):3442,3402,3004,2946,2868,1727,1648,1600,1518,1499/cm.

[α]D=+42° (CHCl₃,c=1.82,26°C)

No.1m-14

CDCl₃ 300MHz

1.05-2.21(14H,m),2.35(2H,t,J=7.2Hz),2.55(1H,m),3.82(3H,s),3.88(1H,m),5.27-5.46(2H,m),6.16(1H,d,J=7.2Hz),6.88-7.02(6H,m),7.68-7.73(2H,m).

IR(CHCl₃):3438,3012,2948,2870,2650,1730(sh),1709,1647,1615(sh),1601,1519,1492/cm.

[α]D=+64° (CHCl₃,c=0.70,25°C)

No.1m-15

CDCl₃ 300MHz

1.05-2.20(14H,m),2.29-2.36(5H,m),2.62(1H,m),3.63(3H,s),3.92(1H,m),5.30-5.50(2H,m),6.25(1H,d,J=7.2Hz),7.16-7.21(2H,m),7.59-7.64(4H,m),7.83-7.87(2H,m).

IR(CHCl₃):3446,3010,2946,2868,1745(sh),1728,1650,1615,1525,1507,1486/cm.

[α]D=+65.0° (CHCl₃,c=1.02,23°C)

五、發明說明 (172)

No.1m-16

CDC13 300MHz

1.08-2.21(14H,m),2.34-2.40(5H,m),2.59(1H,m),3.90(1H,m),5.29-5.48(2H,m),6.29(1H,d,J=7.0Hz),7.18(2H,d,J=8.6Hz),7.58-7.64(4H,m),7.83(2H,d,J=8.2Hz).

IR(CHCl₃):3438,3012,2948,2870,2622,1749,1710,1649,1610,1526,1508,1487/cm.

[α]D=+66° (CHCl₃,c=1.21,24°C)

No.1m-17

CDC13 300MHz

1.06-2.19(14H,m),2.32(2H,t,J=7.2Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.30-5.50(2H,m),6.32(1H,d,J=7.6Hz),6.41(1H,s),6.94(2H,d,J=9.0Hz),7.47(2H,d,J=9.0Hz),7.58(2H,d,J=8.6Hz),7.81(2H,d,J=8.6Hz).

IR(CHCl₃):3580,3434,3284,3010,2946,2868,1726,1646,1606,1528,1490/cm.

[α]D=+62.4° (CHCl₃,c=1.01,23°C)

No.1m-18

CDC13+CD3OD 300MHz

1.11-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.88(1H,m),5.30-5.49(2H,m),6.55(1H,d,J=7.0Hz),6.92(2H,d,J=8.6Hz),7.47(2H,d,J=8.6Hz),7.59(2H,d,J=8.6Hz),7.79(2H,d,J=8.2Hz).

IR(Nujol):3398,3175,2725,1696,1635,1601,1531,1510/cm.

[α]D=+99.5° (CH₃OH,c=1.011,25°C)

No.1m-19

CDC13 300MHz

1.05-2.20(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.86(3H,s),3.94(1H,m),5.30-5.50(2H,m),6.24(1H,d,J=7.0Hz),6.99(2H,d,J=8.6Hz),7.53-7.63(4H,m),7.82(2H,d,J=8.6Hz).

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五、發明說明 (173)

IR(CHCl₃):3440,3006,2946,2875,1726,1649,1606,1527,1510,1489/cm.

[α]D=+68° (CHCl₃,c=0.88,26°C)

No.1m-20

CDC13 300MHz

1.09-2.20(14H,m),2.35(2H,t,J=7.3Hz),2.58(1H,m),3.85(3H,s),3.89(1H,m),5.28-5.48(2H,m),6.35(1H,d,J=7.2Hz),6.98(2H,d,J=8.8Hz),7.51-7.61(4H,m),7.81(2H,d,J=8.4Hz),8.34(1H,bs).

IR(CHCl₃):3446,3012,2952,2881,2640,1730(sh),1707,1647,1606,1527,1510,1489/cm.

[α]D=+83° (CHCl₃,c=1.00,25°C).

No.1m-21

CDC13 300MHz

1.05-2.14(14H,m),2.37(2H,t,J=7.2Hz),2.51(1H,m),3.81(1H,m),5.34-5.46(2H,m),6.11(1H,d,J=7.5Hz),7.33-7.48(3H,m),7.53-7.55(2H,m).

IR(CHCl₃):3420,3250,3008,2948,2870,2660,2210,1735(sh),1705,1645,1503,1441,1409/cm.

[α]D=+59.2±1.0° (CHCl₃,c=1.023,22°C).

No.1m-22

CDC13 300MHz

1.05-2.17(14H,m),2.37(2H,t,J=7.2Hz),2.52(1H,m),3.82(1H,m),5.32-5.47(2H,m),6.20(1H,d,J=7.6Hz),7.38-7.53(3H,m),7.58-7.61(6H,m),9.11(1H,bs).

IR(CHCl₃):3420,3250,3010,2984,2870,2675,2208,1730(sh),1705,1640,1500,1406/cm.

[α]D=+57.4° (CHCl₃,c=1.83,23°C).

No.1m-23

五、發明說明 (174)

CDC13 300MHz

1.05-2.18(14H,m),2.31(2H,t,J=7.5Hz),2.60(1H,m),3.63(3H,s),3.90(1H,m),5.32-5.47(2H,m),6.22(1H,d,J=6.9Hz),7.40-7.49(3H,m),7.76-7.79(2H,m).

IR(CHCl₃):3438,3008,2946,2868,1727,1651,1603,1585,1512,1484/cm.

[α]_D=+52° (CHCl₃,c=1.49,25°C).

No.1m-24

CDC13 300MHz

1.05-2.21(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.89(1H,m),5.28-5.47(2H,m),6.22(1H,d,J=7.0Hz),7.39-7.55(3H,m),7.73-7.79(2H,m).

IR(CHCl₃):3676,3572,3436,3010,2948,2875,1730(sh),1709,1650,1600,1580,1514,1484/cm.

[α]_D=+57° (CHCl₃,c=0.97,26°C).

No.1m-25

CDC13 300MHz

1.04-2.18(14H,m),2.28-2.35(5H,m),2.59(1H,m),3.62(3H,s),3.88(1H,m),5.29-5.49(2H,m),6.20(1H,d,J=7.2Hz),7.15(2H,d,J=9.0Hz),7.80(2H,d,J=8.8Hz).

IR(CHCl₃):3436,3010,2946,2868,1752,1727,1653,1602,1519,1491/cm.

[α]_D=+53° (CHCl₃,c=1.63,25°C).

No.1m-26

CDC13 300MHz

1.05-2.19(14H,m),2.32-2.38(5H,m),2.56(1H,m),3.88(1H,m),5.29-5.47(2H,m),6.25(1H,d,J=7.4Hz),7.15(2H,d,J=9.0Hz),7.78(2H,d,J=8.6Hz).

IR(CHCl₃):3434,3016,3006,2948,2880,2622,1752,1730(sh),1710,1651,1605,1520,1492/cm.

[α]_D=+58° (CHCl₃,c=3.68,24°C)

五、發明說明 (175)

No.1m-27

CDCl₃ 300MHz

1.05-2.16(14H,m),2.30(2H,t,J=7.5Hz),2.57(1H,m),3.62(3H,s),3.87(1H,m),5.27-5.47(2H,m),6.32(1H,d,J=7.4Hz),6.85(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz),8.35(1H,s).

IR(CHCl₃):3580,3450,3216,3010,2946,2868,1726,1640,1608,1584,1528,1496/cm.

$[\alpha]_D^{25} = +56.2^\circ$ (CHCl₃,c=0.713,23°C)

No.1m-28

CDCl₃ 200MHz

1.10-2.25(14H,m),2.32(2H,t,J=7.2Hz),2.55(1H,bs),3.82-3.93(1H,m),5.27-5.47(2H,m),6.25(1H,d,J=7.4Hz),6.86(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz).

IR(CHCl₃):3438,3242,2675,1730(sh),1708,1639,1607,1585/cm.

No.1m-29

CDCl₃ 300MHz

1.05-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.64(3H,s),3.85(3H,s),3.89(1H,m),5.29-5.48(2H,m),6.14(1H,d,J=6.6Hz),6.92(2H,d,J=9.0Hz),7.74(2H,d,J=9.0Hz).

IR(CHCl₃):3445,3008,2946,2868,1727,1646,1606,1578,1523,1493/cm.

$[\alpha]_D^{25} = +53^\circ$ (CHCl₃,c=2.03,24°C)

No.1m-30

CDCl₃ 300MHz

1.04-2.21(14H,m),2.36(2H,t,J=7.3Hz),2.56(1H,m),3.85(3H,s),3.88(1H,m),5.27-5.46(2H,m),6.15(1H,d,J=7.2Hz),6.92(2H,d,J=8.6Hz),7.73(2H,d,J=8.6Hz).

IR(CHCl₃):3440,3010,2950,2870,2645,1727,1710(sh),1646,1606,1575,1524,1494/cm.

五、發明說明 (176)

$[\alpha]_D^{+62}$ (CHCl₃, c=1.10, 24°C).

No.1m-31

CDCl₃+CD3OD 300MHz

1.16-2.20(14H,m), 2.31(2H,t, J=7.2Hz), 2.59(1H,m), 3.85(1H,m), 5.31-5.51(2H,m),
7.13-7.21(1H,m), 7.31-7.42(2H,m), 7.68-7.93(6H,m).

IR(Nujol): 3344, 3175, 2715, 2675, 1699, 1631, 1566/cm.

$[\alpha]_D^{+67}$ (CH₃OH, c=1.01, 24°C).

No.1m-32

CDCl₃ 200MHz

1.09-2.23(14H,m), 2.33(2H,t, J=7.1Hz), 2.57(1H,bs), 3.40-3.93(9H,m), 4.41(1H,bs),
5.29-5.48(2H,m), 6.44(1H,d, J=7.4Hz), 7.43(2H,d, J=8.2Hz), 7.80(2H,d, J=7.8Hz).

IR(CHCl₃): 3434, 3354, 1726, 1720(sh), 1660(sh), 1626/cm.

No.1m-33

CDCl₃ 200MHz

1.14-2.25(14H,m), 2.37(2H,t, J=7.3Hz), 2.64(1H,bs), 3.93-4.01(1H,m), 5.30-5.51(2H,m),
6.47(1H,d, J=7.4Hz), 7.63-7.74(2H,m), 7.79(2H,s), 7.89-7.93(1H,m), 8.00(1H,dd, J=2.3, 1.0Hz),
8.30(1H,d, J=1.0Hz), 8.65-8.73(2H,m).

IR(CHCl₃): 3450, 2675, 1728, 1707, 1649, 1528, 1509/cm.

$[\alpha]_D^{+82.8 \pm 1.2}$ (CHCl₃, c=1.01, 23°C).

No.2a-1

$[\alpha]_D^{+69.0}$ (MeOH, c=1.01, 25°C)

No.2a-2

CDCl₃ 300MHz

0.99(1H,d, J=10.2Hz), 1.15 and 1.24(each 3H, each s), 1.50-2.50(14H,m), 4.30(1

五、發明說明 (177)

H,m), 5.35-5.52(2H,m), 6.32(1H,d,J=8.7Hz), 7.36-7.49(3H,m), 7.58-7.62(2H,m), 7.66 and 7.80(each 2H,each d,J=8.7Hz).

IR(CHCl₃): 3116, 3014, 2925, 2870, 2663, 1708, 1651, 1610, 1524, 1504, 1484, 1472 / cm.

$[\alpha]_D = +64.1^\circ$ (MeOH, c=1.02, 25°C).

No.2a-3

$[\alpha]_D = +76.6^\circ$ (MeOH, c=1.18, 26°C).

No.2a-4

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz), 1.15 and 1.25(each 3H,each s), 1.64-2.51(14H,m), 4.31(1H,m), 5.36-5.53(2H,m), 6.33(1H,d,J=8.4z), 7.50-7.56(3H,m), 7.85-7.98(6H,m).

IR(CHCl₃): 3515, 3452, 3014, 2925, 2870, 1740, 1708, 1654, 1517, 1486, 1470 / cm.

$[\alpha]_D = +79.5^\circ$ (MeOH, c=1.18, 22°C).

No.2a-5

CD₃OD 300MHz

0.98(1H,d,J=9.9Hz), 1.18 and 1.25(each 3H,each s), 1.56-1.71(3H,m), 1.98-2.40(11H,m), 4.17(1H,m), 5.41-5.52(2H,m), 7.52-7.61(3H,m), 7.91-8.01(6H,m).

IR(KBr): 3416, 3063, 2983, 2921, 2869, 1704, 1643, 1566, 1518, 1488, 1408 / cm.

$[\alpha]_D = +62.0^\circ$ (MeOH, c=1.00, 25°C).

No.2a-6

$[\alpha]_D = +64.1^\circ$ (MeOH, c=1.01, 25°C).

No.2a-7

$[\alpha]_D = +65.3^\circ$ (MeOH, c=0.99, 25°C).

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五、發明說明 (178)

No.2a-8

[α]D=+74.0° (MeOH,c=1.01,25°C).

No.2a-9

[α]D=+71.0° (MeOH,c=1.10,25°C).

No.2a-10

[α]D=+74.7° (MeOH,c=1.00,25°C).

No.2a-11

[α]D=+72.1° (MeOH,c=1.00,25°C).

No.2a-12

[α]D=+53.1° (CHCl₃,c=1.01,26°C).

m.p.155.0-156.0°C

No.2a-13

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz),1.18 and 1.25(each 3H,each s),1.63-2.40(14H,m),4.30(1H,m),5.46-5.58(2H,m),6.44(1H,d,J=8.4Hz),7.49 and 7.77(each 2H,each d,J=8.7Hz),7.54(1H,s).

IR(CHCl₃):3689,3378,3028,3014,2924,1713,1652,1602,1522,1496 /cm.[α]D= +78.3° (MeOH,c=0.84,25°C).

m.p.205.0-206.0°C

No.2a-14

[α]D=+72.5° (MeOH,c=1.07,25°C).

No.2a-15

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五、發明說明 (179)

CDCl₃ 300MHz

0.99(1H,d,J=9.9Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),4.27(1H,m),5.30-5.50(2H,m),6.29(1H,d,J=9.0Hz),7.11 and 7.20(each 1H,each d,J=16.2Hz),7.29-7.55(5H,m),7.57 and 7.72(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3453,3083,3022,3013,2925,2870,1708,1650,1607,1560,1522,1496 / cm.

$[\alpha]_D = +72.3^\circ$ (MeOH,c=1.00,27°C).

m.p.115.0-117.0°C

No.2a-16

CDCl₃ 300MHz

0.92(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.50-2.48(14H,m),3.62(3H,s),4.29(1H,m),5.30-5.50(2H,m),6.20(1H,d,J=8.7Hz),6.59 and 6.68(each 1H,each d,J=12.3Hz),7.23(5H,s),7.29 and 7.59(each 2H,each d,J=8.1Hz).

IR(CHCl₃):3453,3024,3016,2924,2870,1730,1651,1607,1520,1495 /cm.

$[\alpha]_D = +56.8^\circ$ (MeOH,c=1.04,24°C).

No.2a-17

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.50-2.38(14H,m),4.26(1H,m),5.30-5.50(2H,m),6.23(1H,d,J=8.4Hz),6.59 and 6.70(each 1H,each d,J=12.3Hz),7.23(5H,s),7.30 and 7.57(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3452,3081,3019,3014,2925,2870,2665,1708,1650,1607,1521,1495 / cm.

$[\alpha]_D = +61.6^\circ$ (MeOH,c=1.00,27°C).

No.2a-18

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each,s),1.50-2.50(14H,m),3.61(3

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五、發明說明 (180)

H,s),4.31(1H,m),5.35-5.51(2H,m),6.33(1H,d,J=8.4Hz),7.48-7.64(4H,m),7.79-7.83(2H,m),7.91(1H,dt,J=1.5 and 7.8Hz),8.01(1H,dt,J=1.5 and 7.8Hz),8.13(1H,t,J=1.5Hz).

IR(CHCl₃):3450,3026,3013,2925,2870,1730,1659,1600,1510 /cm.

[α]D= +56.0° (MeOH,c=1.01,25°C).

No.2a-19

CDCI₃ 300MHz

0.95(1H,d,J=9.9Hz),1.14 and 1.21(each 3H,each s),1.53-2.60(14H,m),4.25(1H,m),5.35-5.64(2H,m),7.21(1H,d,J=7.8Hz),7.49-7.68(4H,m),7.76-7.84(3H,m),8.25(1H,m),8.43(1H,m).

IR(CHCl₃):3382,3196,3025,3015,2925,2870,1725,1652,1599,1577,1521 /cm.

[α]D= +55.9° (MeOH,c=1.00,25°C).

No.2a-20

CDCI₃ 300MHz

0.98(1H,d,J=10.2Hz),1.13 and 1.24(each 3H,each s),1.50-2.50(14H,m),3.62(3H,s),4.31(1H,m),5.35-5.51(2H,m),6.24(1H,d,J=8.4Hz),7.40-7.52(3H,m),7.71-7.76(2H,m).

IR(CHCl₃):3453,3025,3013,2925,2870,1730,1753,1579,1514,1486 /cm.

[α]D= +61.2° (MeOH,c=1.04,25°C).

No.2a-21

CDCI₃ 300MHz

0.98(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.28(1H,m),5.34-5.51(2H,m),6.27(1H,d,J=8.7Hz),7.41-7.53(3H,m),7.71-7.74(2H,m).

IR(CHCl₃):3452,3063,3027,3014,2925,2871,1708,1652,1578,1515,1486 /cm.

[α]D= +62.0° (MeOH,c=1.01,27°C).

五、發明說明 (181)

No.2a-22

d6-DMSO 300MHz

0.86(1H,d,J=9.9Hz),1.10 and 1.16(each 3H,each s),1.42-1.52(3H,m),1.85-2.46(11H,m),3.98(1H,m),5.32-5.43(2H,m),7.41(3H,m),7.88(2H,d,J=6.6Hz),8.19(1H,d,J=6.6Hz).

IR(KBr):3367,3060,2984,2922,2868,1634,1563,1529,1487/cm.

[α]D=+47.7° (MeOH,c=1.00,25°C).

No.2a-23

[α]D=+62.7° (MeOH,c=1.01,27°C).

No.2a-24

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.25(each 3H,each s),1.52-2.50(14H,m),4.31(1H,m),5.36-5.52(2H,m),6.34(1H,d,J=8.4Hz),7.47-7.52(2H,m),7.59-7.64(1H,m),7.78-7.83(6H,m).

IR(CHCl₃):3449,3027,3013,2925,2869,1708,1656,1599,1518,1493 /cm.[α]D= +63.1° (MeOH,c=1.00,25°C).

No.2a-25

[α]D=+35.1° (MeOH,c=1.00,25°C).

No.2a-26

[α]D=+35.5° (MeOH,c=1.02,25°C).

No.2a-27

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.50(14H,m),3.63(3H,s),4.29(1H,m),5.36-5.51(2H,m),6.18(1H,d,J=8.4Hz),7.01 and 7.71(each 2H,

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五、發明說明 (182)

each d, J=8.7Hz), 6.98-7.05(2H, m), 7.16(1H, t, J=7.5Hz), 7.34-7.41(2H, m).

IR(CHCl₃): 3455, 3024, 3016, 2924, 2870, 1730, 1651, 1588, 1520, 1487 /cm.

[α]_D=+56.4° (MeOH, c=1.01, 25°C).

No.2a-28

CDCl₃ 300MHz

0.98(1H, d, J=10.2Hz), 1.12 and 1.23(each 3H, each s), 1.52-2.50(14H, m), 4.26(1H, m), 5.34-5.51(2H, m), 6.20(1H, d, J=9.0Hz), 7.01 and 7.70(each 2H, each d, J=9.0Hz), 6.98-7.15(2H, m), 7.17(1H, t, J=7.5Hz), 7.34-7.40(2H, m).

IR(CHCl₃): 3454, 3031, 3018, 2925, 2870, 1708, 1650, 1588, 1523, 1487/cm.

[α]_D= +56.2° (MeOH, c=1.00, 25°C).

No.2a-29

[α]_D=+53.0° (MeOH, c=1.03, 25°C).

No.2a-30

CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.10 and 1.23(each 3H, each s), 1.52-2.50(14H, m), 4.25(1H, m), 5.30-5.50(2H, m), 6.23(1H, d, J=8.7Hz), 6.36(1H, s), 7.26-7.39(10H, m), 7.60 and 7.68(each 2H, each d, J=8.4Hz).

IR(CHCl₃): 3451, 3088, 3064, 3029, 3014, 2925, 2869, 1707, 1652, 1522, 1495 /cm.

[α]_D=+54.2° (MeOH, c=1.00, 25°C).

No.2a-31

CDCl₃ 300MHz

0.98(1H, d, J=10.2Hz), 1.14 and 1.24(each 3H, each s), 1.50-2.50(14H, m), 3.63(3H, s), 4.31(1H, m), 5.30-5.50(2H, m), 6.26(1H, d, J=8.4Hz), 6.90(1H, t, J=7.4Hz), 7.13(1H, d, J=8.7Hz), 7.29(2H, t, J=8.0Hz), 7.67-7.75(5H, m), 7.82(1H, s).

IR(Nujol): 3380, 3244, 1723, 1638, 1601, 1578, 1535, 1495 /cm.

五、發明說明 (183)

$[\alpha]_D = +73.6^\circ$ (MeOH, c=0.50, 26°C).

m.p. 133.0-134.0°C

No. 2a-32

$[\alpha]_D = +56.1^\circ$ (MeOH, c=1.02, 26°C).

No. 2a-33

CDCl₃ 300MHz

0.95(1H, d, J=10.2Hz), 1.10 and 1.21(each, 3H, each s), 1.50-2.50(14H, m), 4.25(1H, m), 5.13(2H, s), 5.30-5.70(3H, m), 6.41(1H, d, J=8.2Hz), 6.89(1H, s), 7.09(1H, s), 7.17 and 7.72(each 2H, each d, J=8.2Hz), 7.62(1H, s).

IR(CHCl₃): 3450, 3125, 3031, 3013, 2925, 2870, 2467, 1917, 1708, 1654, 1615, 1575, 1523, 1497 /cm.

$[\alpha]_D = +55.2^\circ$ (MeOH, c=1.01, 26°C).

No. 2a-34

$[\alpha]_D = +72.9^\circ$ (MeOH, c=1.03, 25°C).

No. 2a-35

CDCl₃ 300MHz

0.98(1H, d, J=10.2Hz), 1.13 and 1.24(each 3H, each s), 1.52-2.48(14H, m), 4.28(1H, m), 5.35-5.51(2H, m), 6.28(1H, d, J=8.7Hz), 7.34-7.37(3H, m), 7.52-7.55(2H, m), 7.58 and 7.71(each 2H, each d, J=8.7Hz).

IR(CHCl₃): 3515, 3452, 3030, 3012, 2925, 2870, 1739, 1708, 1652, 1607, 1555, 1521, 1497 /cm.

$[\alpha]_D = +74.3^\circ$ (MeOH, c=1.01, 25°C).

No. 2a-36

$[\alpha]_D = +23.4^\circ$ (MeOH, c=1.07, 25°C).

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五、發明說明 (184)

No.2a-37

CDC13 300MHz

0.83(1H,d,J=10.5Hz),0.95 and 1.18(each 3H,each s),1.44-2.46(14H,m),3.92(1H,m),5.34-5.52(3H,m),7.26-7.54(9H,m),7.62(1H,s).

IR(CHCl₃):3432,3310,3189,3023,3014,2924,2870,1704,1610,1594,1523,1487 / cm.[α]D=+25.3° (MeOH,c=1.00,26°C).

No.2a-38

[α]D=+70.9° (MeOH,c=1.02,25°C).

No.2a-39

[α]D=+70.6° (MeOH,c=1.01,25°C).

No.2a-40

[α]D=+74.7° (MeOH,c=1.00,25°C).

No.2a-41

[α]D=+72.1° (MeOH,c=1.01,24°C).

No.2a-42

[α]D=+69.2° (MeOH,c=1.00,25°C).

No.2a-43

[α]D=+70.8° (MeOH,c=1.00,25°C).

No.2a-44

[α]D=+60.4° (MeOH,c=1.00,26°C).

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五、發明說明 (185)

No.2a-45

CDC13 300MHz

0.97(1H,d,J=9.9Hz),1.13 and 1.23(each 3H,each s),1.55-2.52(14H,m),4.29(1H,m),5.34-5.54(2H,m),6.33(1H,d,J=9.0Hz),7.10(1H,t,J=7.4Hz),7.34(2H,t,J=7.4Hz),7.52(2H,m),7.68 and 7.75(each 2H,each d,J=8.4Hz),7.80(1H,s),8.10(1H,s),10.09(1H,s).

IR(CHCl₃):3393,3195,3093,3033,3013,2925,2870,1698,1656,1598,1537,1498 / cm.

$[\alpha]_D^{+59.4}$ (MeOH,c=1.01,24°C).

No.2a-46

$[\alpha]_D^{+63.5}$ (MeOH,c=1.00,25°C).

No.2a-47

CDC13 300MHz

0.97(1H,d,J=9.9Hz),1.12 and 1.23(each 3H,each s),1.54-2.48(14H,m),4.29(1H,m),5.35-5.52(2H,m),6.32(1H,d,J=8.7Hz),7.26(1H,m),7.41(2H,t,J=7.8Hz),7.64(2H,d,J=7.5Hz),7.73 and 7.77(each 2H,each d,J=8.4Hz),7.95(1H,s),9.20(1H,s),10.38(1H,s).

IR(CHCl₃):3450,3339,3003,2992,2925,2870,1706,1653,1596,1523,1495/cm.

$[\alpha]_D^{+63.3}$ (MeOH,c=1.00,25°C).

No.2a-48

$[\alpha]_D^{+63.8}$ (MeOH,c=1.00,24°C).

No.2a-49

CDC13 300MHz

1.00(1H,d,J=10.5Hz),1.17 and 1.26(each 3H,each s),1.55-2.52(14H,m),4.34(1

五、發明說明 (186)

H,m),5.36-5.54(2H,m),6.35(1H,d,J=9.0Hz),7.50-7.62(3H,m),7.90 and 8.33(eac
h 2H,each d,J=8.4Hz),8.21(2H,m).

IR(CHCl₃):3451,3029,3022,3016,2925,2870,1708,1655,1542,1508,1498,1471,1
459 /cm.

[α]D=+63.5° (MeOH,c=1.02,25°C).

m.p.135.0-137.0°C

No.2a-50

[α]D=+68.9° (MeOH,c=1.01,24°C).

No.2a-51

d₆-DMSO 300MHz

0.87(1H,d,J=9.9Hz),1.10 and 1.17(each 3H,each s),1.40-1.60(3H,m),1.90-2.4
0(11H,m),3.98(1H,m),5.35-5.46(2H,m),7.64(1H,s),7.65 and 7.91(each 2H,eac
h d,J=8.7Hz),8.06(1H,d,J=6.0Hz),9.32(1H,bs).

IR(KBr):3385,2962,1734,1707,1632,1529,1498 /cm.

[α]D=+68.4° (MeOH,c=1.01,24°C).

No.2a-52

[α]D=+76.2° (MeOH,c=1.01,24°C).

No.2a-53

[α]D=+73.9° (MeOH,c=1.02,24°C).

No.2a-54

[α]D=+68.1° (MeOH,c=1.00,24°C).

No.2a-55

[α]D=+67.8° (MeOH,c=1.00,24°C).

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五、發明說明(187)

No.2a-56

[α]D=+65.4° (MeOH,c=1.03,25°C).

No.2a-57

[α]D=+63.4° (MeOH,c=1.01,24°C).

No.2a-58

[α]D=+66.6° (MeOH,c=1.01,24°C).

No.2a-59

[α]D=+65.5° (MeOH,c=1.00,24°C).

No.2a-60

[α]D=+60.9° (MeOH,c=1.02,25°C).

No.2a-61

CDCl₃ 300MHz

0.97(1H,d,J=10.0Hz),1.10 and 1.22(each 3H,each s),1.50-2.50(14H,m),4.26(1H,m),5.30-5.54(2H,m),6.28(1H,d,J=8.6Hz),6.60 and 6.82(each 1H,each d,J=12.4Hz),7.12(2H,d,J=6.0Hz),7.25 and 7.62(each 2H,each d,J=8.6Hz),8.47(2H,d,J=6.0Hz).

IR(CHCl₃):3452,3027,3019,3013,2925,2870,2480,1708,1651,1606,1520,1494 / cm.

[α]D=+61.6° (MeOH,c=1.01,25°C).

No.2a-62

[α]D=+72.0° (MeOH,c=0.93,25°C).

五、發明說明 (188)

No.2a-63

CDC13 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.29(1H,m),5.36-5.55(2H,m),6.35(1H,d,J=9.1Hz),7.04 and 7.27(each 1H,each d,J=16.5Hz),7.37(2H,d,J=6.6Hz),7.56 and 7.76(each 2H,each d,J=8.4Hz),8.57(2H,d,J=6.6Hz).

IR(CHCl₃):3452,3024,3018,3014,2925,2870,2470,1933,1708,1652,1605,1521,1496 /cm.

[α]D=+69.2° (MeOH,c=1.01,25°C).

No.2a-64

[α]D=+56.9° (MeOH,c=1.24,25°C).

No.2a-65

CDC13 300MHz

0.98(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.54-2.46(14H,m),4.27(1H,m),5.23(2H,s),5.34-5.52(2H,m),6.26(1H,d,J=8.4Hz),7.32-7.45(5H,m),7.64 and 7.71(each 2H,each d,J=8.4Hz),8.15(1H,s).

IR(CHCl₃):3452,3088,3065,3032,3013,2925,2870,1708,1653,1611,1559,1522,1496 /cm.

[α]D=+61.0° (MeOH,c=0.91,25°C).

No.2a-66

[α]D=+76.0° (MeOH,c=1.01,25°C).

No.2a-67

CDC13 300MHz

0.98(1H,d,J=10.4Hz),1.14 and 1.24(each 3H,each s),1.54-2.46(14H,m),4.28(1H,m),5.32-5.53(2H,m),6.27(1H,d,J=8.6Hz),6.92-7.31(each 1H,each d,J=16.4H

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五、發明說明 (189)

z), 7.02(1H, dd, J=5.8 and 3.6Hz), 7.12(1H, d, J=3.6Hz), 7.24(1H, d, J=5.8Hz), 7.51 and 7.70(each 2H, each d, J=8.4Hz).

IR(CHCl₃): 3453, 3029, 3013, 2925, 2870, 1739, 1650, 1604, 1524, 1515, 1494 /cm.

[α]D=+76.2° (MeOH, c=1.00, 24°C).

m.p. 104.0-106.0°C

No. 2a-68

[α]D=+57.7° (MeOH, c=1.01, 25°C).

No. 2a-69

CDCl₃ 300MHz

0.99(1H, d, J=10.2Hz), 1.14 and 1.24(each 3H, each s), 1.54-2.48(14H, m), 4.28(1H, m), 5.34-5.53(2H, m), 6.29(1H, d, J=9.0Hz), 6.54-6.74(each 1H, each d, J=12.0Hz), 7.02(1H, dd, J=4.8 and 3.3Hz), 6.97(1H, dd, J=3.3 and 1.2Hz), 7.13(1H, dd, J=4.8 and 1.2Hz), 7.44 and 7.70(each 2H, each d, J=8.7Hz).

IR(CHCl₃): 3453, 3025, 3010, 2925, 2870, 1708, 1650, 1607, 1559, 1523, 1493 /cm.

[α]D=+58.4° (MeOH, c=1.00, 25°C).

No. 2a-70

[α]D=+48.6° (MeOH, c=1.00, 25°C).

No. 2a-71

CDCl₃ 300MHz

0.98(1H, d, J=10.2Hz), 1.12 and 1.23(each 3H, each s), 1.52-2.46(14H, m), 2.31(3H, s), 4.26(1H, m), 5.33-5.52(2H, m), 6.20(1H, d, J=9.3Hz), 7.02-7.11(6H, m), 7.70(2H, d, J=9.0Hz).

IR(CHCl₃): 3460, 3031, 3022, 3011, 2925, 2870, 1750, 1708, 1650, 1608, 1597, 1523, 1490 /cm.

[α]D=+48.9° (MeOH, c=1.01, 25°C).

五、發明說明 (190)

No.2a-72

[α]D=+51.2° (MeOH,c=1.02,25°C).

No.2a-73

CDCl₃ 300MHz

0.97(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.54-2.48(14H,m),4.27(1H,m),5.32-5.52(2H,m),6.24(1H,d,J=9.0Hz),6.83-6.94(6H,m),7.65(2H,d,J=9.0Hz).

IR(CHCl₃):3598,3451,3199,3033,3012,2925,2870,1708,1642,1604,1524,1507,1491 /cm.[α]D=+52.2° (MeOH,c=1.01,25°C).

No.2a-74

[α]D=+51.5° (MeOH,c=0.92,25°C).

No.2a-75

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.46(14H,m),3.82(3H,s),4.25(1H,m),5.32-5.52(2H,m),6.19(1H,d,J=8.7Hz),6.89-7.01(6H,m),7.65-7.68(2H,m).

IR(CHCl₃):3450,3025,3008,2925,2870,2837,1741,1649,1612,1521,1505,1490 /cm.[α]D=+51.1° (MeOH,c=1.00,25°C).

No.2a-76

[α]D=+60.4° (MeOH,c=0.98,25°C).

No.2a-77

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五、發明說明(191)

CDC13 300MHz

0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),2.34(3H,s),4.29(1H,m),5.32-5.54(2H,m),6.32(1H,d,J=8.4Hz),7.19 and 7.60(each 2H,each d,J=8.4Hz),7.63 and 7.79(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3452,3027,3012,2925,2870,1751,1709,1651,1611,1560,1527,1509,1489 /cm.

$[\alpha]_D^{25} = +61.2^\circ$ (MeOH,c=1.00,25°C).

No.2a-78

$[\alpha]_D^{25} = +67.4^\circ$ (MeOH,c=1.01,25°C).

No.2a-79

CDC13 300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.54-2.54(14H,m),4.31(1H,m),5.32-5.54(2H,m),6.36(1H,d,J=8.2Hz),6.93 and 7.48(each 2H,each d,J=8.6Hz),7.59 and 7.75(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3593,3448,3192,3030,3010,2925,2870,1708,1644,1608,1591,1559,1530,1516,1491 /cm.

$[\alpha]_D^{25} = +65.8^\circ$ (MeOH,c=1.01,25°C).

No.2a-80

$[\alpha]_D^{25} = +66.9^\circ$ (MeOH,c=1.01,25°C).

No.2a-81

CDC13 300MHz

0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),3.86(3H,s),4.29(1H,m),5.34-5.52(2H,m),6.20(1H,d,J=8.7Hz),6.99 and 7.55(each 2H,each d,J=9.0Hz),7.61 and 7.77(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3450,3009,2925,2870,2838,1740,1708,1650,1608,1557,1528,1512,1

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五、發明說明(192)

491 /cm.

$[\alpha]_D = +66.2^\circ$ (MeOH, c=1.01, 25°C).

No.2a-82

$[\alpha]_D = +57.7^\circ$ (MeOH, c=1.02, 24°C).

No.2a-83

CDC13 300MHz

0.97(1H, d, J=10.2Hz), 1.12 and 1.23(each 3H, each s), 1.54-2.48(14H, m), 2.33(3H, s), 4.26(1H, m), 5.32-5.52(2H, m), 6.25(1H, d, J=8.7Hz), 7.16 and 7.75(each 2H, each d, J=8.7Hz).

IR(CHCl₃): 3452, 3030, 3022, 3012, 2925, 2870, 1754, 1709, 1654, 1604, 1585, 1522, 1

493 /cm.

$[\alpha]_D = +57.4^\circ$ (MeOH, c=1.01, 24°C).

No.2a-84

$[\alpha]_D = +57.8^\circ$ (MeOH, c=1.01, 24°C).

No.2a-85

CDC13 300MHz

0.95(1H, d, J=10.2Hz), 1.12 and 1.22(each 3H, each s), 1.54-2.48(14H, m), 4.25(1H, m), 5.32-5.52(2H, m), 6.28(1H, d, J=8.7Hz), 6.87 and 7.57(each 2H, each d, J=9.0Hz).

IR(CHCl₃): 3590, 3450, 3166, 3019, 3012, 2925, 2871, 1708, 1637, 1608, 1583, 1531, 1

498 /cm.

$[\alpha]_D = +56.0^\circ$ (MeOH, c=1.01, 24°C).

No.2a-86

$[\alpha]_D = +59.3^\circ$ (MeOH, c=1.01, 22°C).

五、發明說明(193)

No.2a-87

CDC13 300MHz

0.98(1H,d,J=10.0Hz),1.13 and 1.23(each 3H,each s),1.54-2.48(14H,m),3.85(3H,s),4.25(1H,m),5.32-5.53(2H,m),6.19(1H,d,J=8.8Hz),6.93 and 7.69(each 2H,each d,J=9.0Hz).

IR(CHCl₃):3450,3030,3017,3012,2925,2870,2840,1740,1708,1647,1606,1575,1525,1496 /cm.

$[\alpha]_D^{25} = +58.2^\circ$ (MeOH,c=0.99,22°C).

No.2a-88

$[\alpha]_D^{25} = +50.9^\circ$ (MeOH,c=1.02,25°C).

No.2a-89

CDC13 300MHz

0.99(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.56-2.48(14H,m),4.29(1H,m),5.36-5.54(2H,m),7.03(1H,d,J=8.7Hz),7.21(1H,s),7.43(2H,m),7.74(1H,ddd,J=1.8,6.9 and 8.7Hz),8.22(1H,dd,J=1.8 and 8.1Hz).

IR(CHCl₃):3443,3087,3023,3014,2925,2870,1708,1685,1658,1630,1517,1466 /cm.

$[\alpha]_D^{25} = +57.1^\circ$ (MeOH,c=1.01,22°C).

m.p.117.0-118.0°C

No.2a-90

$[\alpha]_D^{25} = +54.1^\circ$ (MeOH,c=1.01,22°C).

No.2a-91

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.24(1

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五、發明說明 (194)

H,m),5.34-5.52(2H,m),6.49-6.53(2H,m),7.11(1H,dd,J=0.9 and 3.6Hz),7.44(1H,dd,J=0.9 and 1.8Hz).

IR(CHCl₃):3437,3033,3022,3014,2925,2870,1739,1708,1655,1595,1520,1472 / cm.

[α]D=+55.0° (MeOH,c=1.00,22°C).

No.2a-92

[α]D=+50.3° (MeOH,c=1.00,22°C).

No.2a-93

CDCl₃ 300MHz

0.95(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.25(1H,m),5.34-5.52(2H,m),6.12(1H,d,J=8.7Hz),7.07(1H,dd,J=3.9 and 5.1Hz),7.45-7.48(2H,m).

IR(CHCl₃):3450,3023,3011,2925,2870,1739,1708,1645,1531,1501,1471 /cm.

[α]D=+49.1° (MeOH,c=1.02,24°C).

No.2a-94

[α]D=+51.5° (MeOH,c=1.00,24°C).

No.2a-95

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.25(1H,m),5.34-5.56(2H,m),6.14(1H,d,J=8.7Hz),7.34(2H,d,J=2.0Hz),7.85(1H,t,J=2.0 Hz).

IR(CHCl₃):3452,3114,3030,3013 2925,2870,1708,1649,1535,1498,1471 /cm.

[α]D=+55.5° (MeOH,c=1.00,25°C).

m.p.87.0-88.0°C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (195)

No.2a-96

CD3OD 300MHz

0.94(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.50-1.76(3H,m),1.94-2.39(11H,m),4.11(1H,m),5.39-5.49(2H,m),7.43-7.51(2H,m),8.05(1H,m).

IR(KBr):3369,3084,2985,2921,2868,1630,1566,1538,1503 /cm.

[α]D=+38.8° (MeOH,c=1.01,22°C).

No.2a-97

CD3OD 300MHz

0.93(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.48-1.58(3H,m),1.96-2.36(11H,m),4.10(1H,m),5.35-5.50(2H,m),7.42-7.51(2H,m),8.06(1H,m).

IR(KBr):3447,3087,2987,2922,2868,1629,1545,1501 /cm.

[α]D=+52.9° (MeOH,c=1.01,24°C).

No.2a-98

[α]D=+53.2° (MeOH,c=1.02,23°C).

No.2a-99

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.26-2.45(24H,m),4.25(2H,m),5.34-5.52(2H,m),6.18(1H,d,J=8.7Hz),6.91 and 7.66(each 2H,each d,J=9.0Hz).

IR(CHCl3):3455,3029,3019,2939,2862,1738,1709,1645,1605,1523,1494 /cm.

[α]D=+51.4° (MeOH,c=1.00,23°C).

No.2a-100

[α]D=+49.3° (MeOH,c=1.00,24°C).

No.2a-101

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五、發明說明 (196)

$[\alpha]_D^{25} = +51.3^\circ$ (MeOH, c=1.00, 24°C).

No.2a-102

$[\alpha]_D^{25} = +48.8^\circ$ (MeOH, c=1.01, 23°C).

No.2a-103

CDCl₃ 300MHz

0.94(1H, d, J=10.2Hz), 1.12 and 1.22(each 3H, each s), 1.52-2.46(14H, m), 2.48(3H, d, J=0.3Hz), 4.20(1H, m), 5.32-5.54(2H, m), 6.46(1H, bs), 7.12(1H, d, J=9.0Hz).

IR(CHCl₃): 3415, 3144, 3029, 3011, 2926, 2871, 1708, 1671, 1598, 1538, 14564 /cm.

$[\alpha]_D^{25} = +49.6^\circ$ (MeOH, c=1.01, 23°C).

No.2a-104

$[\alpha]_D^{25} = +77.0^\circ$ (MeOH, c=1.02, 23°C).

No.2a-105

CDCl₃ 300MHz

0.93(1H, d, J=9.9Hz), 1.09 and 1.21(each 3H, each s), 1.51-2.44(14H, m), 3.90(6H, s), 4.20(1H, m), 5.38-5.50(2H, m), 5.87(1H, d, J=9.0Hz), 6.25 and 7.54(each 1H, each d, J=15.6Hz), 6.84(1H, d, J=8.1Hz), 7.03(1H, d, J=1.8Hz), 7.09(1H, dd, J=1.8 and 8.1Hz).

IR(CHCl₃): 3439, 3028, 3012, 2937, 2871, 2841, 1739, 1708, 1661, 1620, 1600, 1513 /cm.

$[\alpha]_D^{25} = +77.3^\circ$ (MeOH, c=1.01, 23°C).

No.2a-106

$[\alpha]_D^{25} = +67.0^\circ$ (MeOH, c=1.00, 25°C).

No.2a-107

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五、發明說明 (197)

$[\alpha]_D = +66.6^\circ$ (MeOH, c=1.01, 24°C).

m.p. 168.0-170.0°C

No. 2a-108

$[\alpha]_D = +61.8^\circ$ (MeOH, c=1.00, 22°C).

No. 2a-109

CDCl₃ 300MHz

0.96(1H, d, J=10.2Hz), 1.10 and 1.22(each 3H, each s), 1.51-2.45(14H, m), 4.25(1H, m), 5.33-5.49(2H, m), 6.21(1H, d, J=8.7Hz), 7.25 and 7.60(each 2H, each d, J=8.7Hz), 7.33-7.41(5H, s).

IR(CHCl₃): 3453, 3062, 3028, 3014, 2925, 2870, 1739, 1708, 1651, 1594, 1557, 1515, 1481 /cm.

$[\alpha]_D = +61.0^\circ$ (MeOH, c=1.01, 22°C).

No. 2a-110

CD₃OD 300MHz

0.94(1H, d, J=9.9Hz), 1.13 and 1.22(each 3H, each s), 1.54-2.37(14H, m), 4.12(1H, m), 5.38-5.49(2H, m), 7.25 and 7.68(each 2H, each d, J=8.7Hz), 7.41(5H, s).

IR(KBr): 3435, 3058, 2986, 2920, 2866, 1635, 1595, 1562, 1521, 1482, 1439, 1411 /cm

$[\alpha]_D = +47.3^\circ$ (MeOH, c=1.01, 23°C).

No. 2a-111

$[\alpha]_D = +65.6^\circ$ (MeOH, c=1.01, 24°C).

No. 2a-112

CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.12 and 1.23(each 3H, each s), 1.51-2.46(14H, m), 4.27(1

五、發明說明 (198)

H,m),5.35-5.50(2H,m),6.22(1H,d,J=8.4Hz),7.40 and 7.66(each 2H,each d,J=9.0Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 / cm.

[α]D=+65.6° (MeOH,c=1.01,22°C).

No.2a-113

[α]D=+59.6° (MeOH,c=1.00,24°C).

No.2a-114

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz),1.12 and 1.24(each 3H,each s),1.52-2.46(14H,m),4.29(1H,m),5.35-5.51(2H,m),6.28(1H,d,J=8.4Hz),7.70 and 7.83(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 / cm.

[α]D=+60.6° (MeOH,c=1.01,22°C).

No.2a-115

[α]D=+59.7° (MeOH,c=0.99,24°C).

No.2a-116

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.39(3H,s),4.27(1H,m),5.33-5.51(2H,m),6.24(1H,d,J=9.0Hz),7.23 and 7.62(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513/cm.

[α]D=+59.7° (MeOH,c=0.99,24°C).

五、發明說明 (199)

No.2a-117

[α]D=+56.7° (MeOH,c=1.00,23°C).

No.2a-118

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.44(14H,m),4.23(1H,m),5.34-5.51(2H,m),6.02(2H,s),6.13(1H,d,J=8.7Hz),6.83(1H,dd,J=1.2 and 7.8Hz),7.22-7.25(2H,m).

IR(CHCl₃):3453,3031,3020,3012,2924,2870,1740,1708,1650,1619,1605,1519,1504,1480 /cm.

[α]D=+57.2° (MeOH,c=1.02,23°C).

No.2a-119

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.07 and 1.23(each 3H,each s),1.51-2.44(14H,m),2.32(3H,s),4.26(1H,m),5.37-5.52(2H,m),6.40(1H,d,J=9.0Hz),7.09(1H,m),7.30(1H,m),7.46(1H,m),7.66(1H,m).

IR(CHCl₃):3443,3028,3012,2925,2870,1766,1747,1709,1657,1607,1516,1479 /cm.

[α]D=+53.2° (MeOH,c=0.99,21°C).

No.2a-120

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.53-2.44(14H,m),4.30(1H,m),5.35-5.52(2H,m),6.42(1H,d,J=8.7Hz),6.85(1H,m),6.99(1H,dd,J=1.2 and 8.4Hz),7.27(1H,m),7.39(1H,m).

IR(CHCl₃):3463,3033,3021,3014,2992,2924,2870,1708,1643,1597,1523,1488 /cm.

五、發明說明 (200)

$[\alpha]_D = +46.3^\circ$ (MeOH, c=1.01, 21°C).

No.2a-121

CDC13 300MHz

0.98(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.47-2.47(14H,m), 3.95(3H,s), 4.31(1H,m), 5.32-5.50(2H,m), 6.98(1H,dd,J=0.9 and 8.4Hz), 7.09(1H,ddd,J=0.9, 7.7 and 8.4Hz), 7.45(1H,m), 8.19(1H,dd,J=2.1 and 8.1Hz), 8.32(1H,d,J=9.0Hz).

IR(CHCl₃): 3400, 3078, 3028, 3020, 3007, 2924, 2870, 2842, 1736, 1708, 1640, 1600, 1536, 1483, 1470 /cm.

$[\alpha]_D = +38.1^\circ$ (MeOH, c=1.02, 23°C).

No.2a-122

$[\alpha]_D = +42.3^\circ$ (MeOH, c=0.99, 23°C).

No.2a-123

$[\alpha]_D = +38.7^\circ$ (MeOH, c=1.00, 21°C).

No.2a-124

$[\alpha]_D = +45.0^\circ$ (MeOH, c=1.01, 21°C).

m.p. 119.0-120.0°C

No.2a-125

$[\alpha]_D = +49.8^\circ$ (MeOH, c=1.01, 22°C).

No.2a-126

CDC13 300MHz

0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.52-2.47(14H,m), 4.26(1H,m), 5.34-5.50(2H,m), 6.22(1H,d,J=8.7Hz), 7.55-7.61(4H,m).

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五、發明說明(201)

IR(CHCl₃):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600,1536,1483,1470 /cm.

$[\alpha]_D^{23} = +63.0^\circ$ (MeOH, c=1.01, 23°C).

No.2a-127

CDCl₃ 300MHz

0.91(1H,d,J=10.2Hz),1.10 and 1.20(each 3H,each s),1.50-2.42(14H,m),4.23(1H,m),5.31-5.51(2H,m),6.45(1H,d,J=8.4Hz),7.01(1H,t,J=7.4Hz),7.22-7.27(2H,m),7.33-7.40(4H,m),7.53(2H,d,J=9.0Hz),8.30 and 8.48(each 1H,each s).

IR(CHCl₃):3452,3028,3022,3015,2925,2870,1708,1654,1590,1514,1478 /cm.

$[\alpha]_D^{23} = +59.5^\circ$ (MeOH, c=1.01, 23°C).

No.2a-128

d₆-DMSO 300MHz

0.84(1H,d,J=9.9Hz),1.06 and 1.19(each 3H,each s),1.37-2.37(14H,m),3.79(1H,m),5.35-5.51(2H,m),6.08(1H,d,J=8.7Hz),6.85-6.90(1H,m),7.18-7.23(2H,m),7.35-7.38(2H,m),8.42(1H,s),12.00(1H,s).

IR(Nujol):3395,3345,2925,2866,2623,2506,1697,1658,1638,1597,1557 /cm.

$[\alpha]_D^{23} = +26.0^\circ$ (MeOH, c=1.01, 23°C).

m.p.164.0-166.0°C

No.2a-129

CDCl₃ 300MHz

1.01(1H,d,J=10.0Hz),1.17 and 1.25(each 3H,each s),1.54-2.52(14H,m),4.34(1H,m),5.36-5.57(2H,m),6.42(1H,d,J=8.6Hz),7.51-7.60(2H,m),7.77(1H,dd,J=1.8 and 8.6Hz),7.85-7.96(3H,m),8.24(1H,bs).

IR(CHCl₃):3451,3060,3028,3010,2925,2870,1708,1652,1629,1600,1517,1502 /cm.

$[\alpha]_D^{22} = +68.6^\circ$ (MeOH, c=1.00, 22°C).

五、發明說明(202)

No.2a-130

CDC13 300MHz

1.02(1H,d,J=10.2Hz),1.04 and 1.26(each 3H,each s),1.54-2.52(14H,m),4.41(1H,m),5.41-5.58(2H,m),6.14(1H,d,J=9.0Hz),7.43-7.59(4H,m),7.85-7.92(2H,m),8.27(1H,dd,J=1.8 and 7.2Hz).

IR(CHCl₃):3436,3032,3010,2924,2870,2664,1708,1652,1512,1498 /cm.[α]D=+93.9° (MeOH,c=1.00,22°C)

m.p.94.0-96.0°C

No.2a-131

[α]D=+50.2° (MeOH,c=0.95,21°C).

No.2a-132

[α]D=+10.9° (MeOH,c=0.92,21°C).

No.2a-133

[α]D=+60.4° (MeOH,c=1.00,21°C).

No.2a-134

[α]D=+38.5° (MeOH,c=1.01,23°C).

No.2a-135

[α]D=+52.5° (MeOH,c=1.01,23°C).

m.p.180.0-182.0°C

No.2a-136

[α]D=+35.3° (MeOH,c=1.02,23°C).

m.p.79.0-80.0°C

五、發明說明(203)

No.2a-137

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.43(3H,t,J=6.9Hz),1.52-2.44(14H,m),4.03(2H,q,J=6.9Hz),4.26(1H,m),5.33-5.50(2H,m),6.19(1H,d,J=8.7Hz),6.88-7.00(6H,m),7.65-7.68(2H,m).

IR(CHCl₃):3455,3031,3024,3014,2988,2925,2870,1741,1708,1649,1602,1521,1504,1490 /cm.

$[\alpha]_D^{23} = +52.0^\circ$ (MeOH,c=1.01,23°C).

No.2a-138

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.35(6H,d,J=6.0Hz),1.53-2.46(14H,m),4.25(1H,m),4.51(1H,m),5.33-5.50(2H,m),6.12(1H,d,J=9.0Hz),6.87-6.99(6H,m),7.65-7.68(2H,m).

IR(CHCl₃):3454,3031,3014,2980,2925,2870,1741,1708,1649,1602,1522,1490 /cm.

$[\alpha]_D^{22} = +50.0^\circ$ (MeOH,c=1.05,22°C).

No.2a-139

CDCl₃ 300MHz

1.00(1H,d,J=10.2Hz),1.16 and 1.24(each 3H,each s),1.59-2.52(14H,m),4.31(1H,m),5.40-5.53(2H,m),6.36(1H,d,J=8.7Hz),6.70(1H,d,J=1.5Hz),7.12(1H,m),7.30(1H,m),7.47(1H,dd,J=0.6 and 8.1Hz),7.61(1H,d,J=8.4Hz).

IR(CHCl₃):3449,3243,3029,3022,3013,2925,2871,1707,1631,1542,1505 /cm.

$[\alpha]_D^{23} = +63.4^\circ$ (MeOH,c=1.00,23°C).

m.p.178.0-179.0°C

No.2a-140

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五、發明說明(204)

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.18 and 1.23(each 3H,each s),1.57-2.50(14H,m),4.35(1H,m),5.32-5.55(2H,m),6.42(1H,d,J=8.7Hz),6.70(1H,d,J=1.5Hz),7.21-7.24(2Hm),7.46(1H,m),7.76(1H,m),7.86(1H,d,J=3.0Hz),10.20(1H,s).

IR(CHCl₃):3465,3010,2924,1739,1604,1546,1504 /cm.[α]_D=+39.4° (MeOH,c=1.01,22°C).

m.p.167.0-168.0°C .

No.2a-141

CDC13 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),3.84(3H,s),4.27(1H,m),5.34-5.52(2H,m),6.28(1H,d,J=9.0Hz),6.91 and 7.47(each 2H,each d,J=9.0Hz),6.98 and 7.14(each 1H,each d,J=16.5Hz),7.54 and 7.70(each 2H,eachd,J=8.7Hz).

IR(CHCl₃):3453,3025,3015,2925,2870,2839,1740,1708,1649,1602,1510,1493,1470 /cm.[α]_D=+73.4° (MeOH,c=1.02,22°C).

m.p.155.0-157.0°C

No.2a-142

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.79(3H,s),4.27(1H,m),5.34-5.50(2H,m),6.24(1H,d,J=9.0Hz),6.49 and 6.62(each 1H,each d,J=12.3Hz),6.77 and 7.16(each 2H,each d,J=8.7Hz),7.32 and 7.59(each 2H,eachd,J=8.1Hz).

IR(CHCl₃):3453,3025,3014,2925,2870,2839,1739,1708,1649,1606,1510,1494 /cm.[α]_D=+60.7° (MeOH,c=0.99,22°C).

五、發明說明 (205)

No.2a-143

[α]D=+57.3° (MeOH,c=1.01,23°C).

No.2a-144

[α]D=+12.2° (MeOH,c=1.00,23°C).

m.p.114.0-116.0°C

No.2a-145

CDC13 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.21(each 3H,each s),1.52-2.44(14H,m),4.25(1H,m),5.33-5.49(2H,m),6.37(1H,d,J=8.7Hz),7.45-7.47(3H,m),7.62-7.66(2H,m),7.69 and 7.80(each 2H,each d,J=7.5Hz).

IR(CHCl₃):3449,3058,3027,3012,2925,2870,1708,1655,1513,1481,1043 /cm.[α]D=+61.0° (MeOH,c=1.01,23°C).

No.2a-146

CDC13 300MHz

0.95(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.41(14H,m),4.25(1H,m),5.33-5.49(2H,m),6.33(1H,d,J=8.4Hz),7.49-7.61(3H,m),7.91-7.92(2H,m),7.82 and 7.97(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3447,3029,3023,3015,2925,2870,1708,1660,1514,1484,1321,1161 /cm.[α]D=+62.0° (MeOH,c=1.00,22°C).

No.2a-147

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.51(3H,s),4.26(1H,m),5.34-5.51(2H,m),6.23(1H,d,J=8.4Hz),7.26 and 7.64(each 2H,each d,J=8.4Hz).

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五、發明說明(206)

IR(CHCl₃):3453,3027,3015,2925,2870,2665,1708,1648,1596,1516,1484 /cm.

[α]D=+67.7° (MeOH,c=0.82,22°C).

No.2a-148

[α]D=+72.5° (MeOH,c=1.01,25°C).

No.2a-149

[α]D=+67.8° (MeOH,c=0.98,25°C).

No.2a-150

CDC13 300MHz

0.94(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.22(1H,m),5.36-5.55(2H,m),6.48(1H,d,J=8.4Hz),8.35(1H,s),8.90(1H,s).

IR(CHCl₃):3443,3374,3091,3024,3012,2925,2871,1709,1652,1525,1494 /cm.

[α]D=+58.1° (MeOH,c=1.01,23°C).

m.p.120.0-122.0°C

No.2a-151

[α]D=+40.6° (MeOH,c=1.01,23°C).

No.2a-152

CDC13 300MHz

0.96(1H,d,J=10.5Hz),1.10 and 1.24(each 3H,each s),1.50-2.50(14H,m),2.71(3H,s),4.26(1H,m),5.37-5.51(2H,m),6.02(1H,d,J=9.0Hz),8.73(1H,s).

IR(CHCl₃):3463,3435,3087,3025,3014,2925,2870,1708,1649,1523,1503 /cm.

[α]D=+54.1° (MeOH,c=1.02,22°C).

No.2a-153

CDC13 300MHz

五、發明說明 (207)

0.95(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.50(14H,m),2.50(3H,s),4.26(1H,m),5.36-5.51(2H,m),6.01(1H,d,J=8.4Hz),6.88(1H,d,J=5.1Hz),7.26(1H,d,J=5.1Hz).

IR(CHCl₃):3469,3431,3025,3013,2925,2871,2664,1708,1639,1544,1505 /cm.

$[\alpha]_D^{25} = +35.8^\circ$ (MeOH,c=1.03,22°C).

No.2a-154

CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz),1.10 and 1.22(each 3H,each s),1.52-2.46(14H,m),2.51(3H,d,J=1.2Hz),4.26(1H,m),5.34-5.50(2H,m),6.00(1H,d,J=8.4Hz),6.73(1H,dd,J=5.1 and 3.6Hz),7.29(1H,d,J=3.6Hz).

IR(CHCl₃):3450,3431,3026,3011,2925,2869,1739,1708,1639,1547,1508 /cm.

$[\alpha]_D^{25} = +50.5^\circ$ (MeOH,c=1.01,22°C).

No.2a-155

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.19 and 1.25(each 3H,each s),1.53-2.48(14H,m),4.31(1H,m),5.36-5.51(2H,m),6.79(1H,d,J=9.3Hz),7.29(1H,m),7.41(1H,m),7.48(1H,s),7.51(1H,m),7.66(1H,d,J=8.1Hz).

IR(CHCl₃):3436,3029,3024,3015,2925,2871,2670,1708,1659,1598,1510 /cm.

$[\alpha]_D^{25} = +69.1^\circ$ (MeOH,c=1.01,22°C).

No.2a-156

CDCl₃:CD₃OD=10:1 300MHz

0.99(1H,d,J=9.9Hz),1.11 and 1.21(each 3H,each s),1.56-2.58(14H,m),4.22(1H,m),5.35-5.59(2H,m),6.83(1H,d,J=8.4Hz),7.48(1H,d,J=8.4Hz),7.61(1H,dd,J=1.5 and 8.4Hz),8.09(1H,d,J=1.5Hz),8.12(1H,s).

IR(KBr):3422,3115,2985,2922,2869,2609,1708,1636,1578,1529,1470 /cm.

$[\alpha]_D^{25} = +62.8^\circ$ (MeOH,c=1.01,22°C).

五、發明說明(208)

No.2a-157

[α]D=+40.0° (MeOH,c=0.95,22°C).

No.2a-158

CDCl₃ 300MHz

1.00(1H,d,J=10.5Hz),1.17 and 1.24(each 3H,each s),1.54-2.50(14H,m),4.34(1H,m),5.36-5.52(2H,m),7.80(1H,d,J=9.0Hz),9.30(1H,s).

IR(CHCl₃):3410,3122,3030,3012,2925,2871,2668,1709,1667,1538,1466 /cm.[α]D=+44.9° (MeOH,c=0.99,22°C).

No.2a-159

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.55-2.43(14H,m),3.03(6H,s),4.23(1H,m),5.32-5.51(2H,m),6.16(1H,d,J=8.7Hz),6.87 and 7.63(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3457,3028,3006,2924,2870,2654,1739,1709,1637,1608,1608,1534,1501 /cm.[α]D=+64.8° (MeOH,c=1.01,22°C).

No.2a-160

d₆-DMSO 300MHz

0.83(1H,d,J=9.9Hz),1.02 and 1.19(each 3H,each s),1.38-1.61(3H,m),1.90-2.32(11H,m),3.90(1H,m),5.41-5.44(2H,m),7.32(1H,dd,J=0.9 and 7.2Hz),7.45-7.60(2H,m),7.77(1H,dd,J=0.9 and 7.8Hz),8.03(1H,d,J=6.9Hz),12.40(1H,s).

IR(Nujol):3315,2924,2856,2656,2535,1737,1703,1637,1598,1581,1541 /cm.

[α]D=+78.5° (MeOH,c=1.01,24°C).

m.p.161.0-162.0°C

五、發明說明 (209)

No.2a-161

[α]D=+65.3° (MeOH,c=1.00,22°C).

No.2a-162

CDC13 300MHz

0.99(1H,d,J=10.2Hz),1.13 and 1.25(each 3H,each s),1.53-2.45(14H,m),4.30(1H,m),5.36-5.51(2H,m),6.32(1H,d,J=8.4Hz),7.88 and 8.28(each 2H,each d,J=9.0Hz).

IR(CHCl3):3448,3029,3016,2925,2870,1708,1664,1602,1527,1484,1347 /cm.

[α]D=+72.7° (MeOH,c=1.02,22°C).

No.2a-163

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.51(14H,m),4.26(1H,m),5.36-5.57(2H,m),6.68(1H,d,J=7.8Hz),7.41(1H,dd,J=4.8 and 8.1Hz),8.20(1H,d,J=8.1Hz),8.66(1H,d,J=4.8Hz),9.00(1H,s).

IR(CHCl3):3448,3026,3013,2925,2870,2534,1709,1658,1590,1515,1471 /cm.

[α]D=+71.3° (MeOH,c=1.01,22°C).

No.2a-164

[α]D=+40.8° (MeOH,c=0.98,22°C).

No.2a-165

CDC13 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.24(each 3H,each s),1.55-2.52(14H,m),4.24(1H,m),5.37-5.57(2H,m),6.63(1H,d,J=7.8Hz),7.59 and 8.63(each 2H each d,J=6.0Hz).

IR(CHCl3):3447,3346,3028,3016,2925,2870,2538,1941,1708,1662,1556,1516 /cm.

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五、發明說明 (210)

$[\alpha]_D^{25} = +75.4^\circ$ (MeOH, c=1.01, 22°C).

No.2a-166

CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.11 and 1.22(each 3H, each s), 1.51-2.44(14H, m), 2.95(6H, s), 4.25(1H, m), 5.33-5.50(2H, m), 6.19(1H, d, J=8.7Hz), 6.77 and 6.97(each 2H, each d, J=8.4Hz), 6.94 and 7.65(each 2H, each d, J=9.0Hz).

IR(CHCl₃): 3453, 3024, 3016, 2924, 2871, 2806, 1739, 1708, 1647, 1612, 1604, 1515, 1490 /cm.

$[\alpha]_D^{25} = +53.1^\circ$ (MeOH, c=1.02, 23°C).

m.p. 104.0-105.5°C

No.2a-167

CDCl₃ 300MHz

1.01(1H, d, J=9.9Hz), 1.19 and 1.26(each 3H, each s), 1.56-2.53(14H, m), 4.37(1H, m), 5.35-5.55(2H, m), 6.47(1H, d, J=8.4Hz), 7.61-7.71(2H, m), 7.79(2H, s), 7.89-7.97(2H, m), 8.27(1H, d, J=2.1Hz), 8.66-8.73(2H, m).

IR(CHCl₃): 3450, 3024, 3014, 2925, 2870, 2667, 1707, 1650, 1531, 1509 /cm.

$[\alpha]_D^{25} = +70.5^\circ$ (MeOH, c=1.00, 22°C).

No.2a-168

CDCl₃ 300MHz

1.02(1H, d, J=10.2Hz), 1.20 and 1.26(each 3H, each s), 1.56-2.50(14H, m), 4.38(1H, m), 5.36-5.56(2H, m), 6.51(1H, d, J=8.4Hz), 7.61-7.93(7H, m), 8.74(1H, d, J=8.4Hz), 9.15(1H, s).

IR(CHCl₃): 3517, 3451, 3060, 3028, 3011, 2925, 2870, 2664, 1709, 1651, 1519, 1498 /cm.

$[\alpha]_D^{25} = +54.4^\circ$ (MeOH, c=1.00, 23°C).

五、發明說明 (211)

No.2a-169

CDC13 300MHz

0.96(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.44(14H,m),3.85(3 H,s),4.24(1H,m),5.32-5.48(2H,m),6.19(1H,d,J=8.4Hz),6.94 and 7.45(each 2H, each d,J=9.0Hz),7.11 and 7.45(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3516,3453,3029,3009,2925,2870,2840,2665,1708,1650,1593,1515,1493,1482 /cm.

$[\alpha]_D^{25} = +57.8^\circ$ (MeOH,c=1.00,23°C).

No.2a-170

CDC13 300MHz

0.98(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.52-2.50(14H,m),4.28(1 H,m),5.33-5.54(2H,m),6.25(1H,d,J=8.2Hz),7.38-7.44(2H,m),7.74(1H,s),7.81-7.86(2H,m).

IR(CHCl₃):3517,3448,3427,3024,3013,2925,2870,2669,1708,1650,1562,1535,1500 /cm.

$[\alpha]_D^{25} = +61.6^\circ$ (MeOH,c=1.00,23°C).

No.2a-171

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.52-2.42(14H,m),2.48(3 H,s),4.21(1H,m),5.31-5.52(2H,m),6.06(1H,d,J=8.2Hz),6.97 and 7.59(each 1H, each d,J=1.2Hz).

IR(CHCl₃):3452,3113,3028,3007,2925,2870,2669,1708,1645,1554,1509 /cm.

$[\alpha]_D^{25} = +52.4^\circ$ (MeOH,c=1.00,23°C).

No.2a-172

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.28(each 3H,each s),1.50-2.40(14H,m),2.69(3

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五、發明說明 (212)

H,s),4.24(1H,m),5.35-5.51(2H,m),5.96(1H,d,J=8.7Hz),7.03 and 7.07(each 1H, each d,J=5.4Hz).

IR(CHCl₃):3451,3031,3013,2925,2870,2666,1708,1647,1542,1497 /cm.

[α]D=+51.2° (MeOH,c=1.00,23°C).

No.2a-173

CDC13 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.50-2.45(14H,m),4.22(1 H,m),5.35-5.49(2H,m),6.05(1H,d,J=8.4Hz),7.26 and 7.75(each 1H,each d,J=1.5Hz).

IR(CHCl₃):3451,3011,3029,3011,2925,2870,1708,1652,1538,1500 /cm.

[α]D=+50.6° (MeOH,c=1.01,23°C).

No.2a-174

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.29(1 H,m),5.35-5.51(2H,m),7.02(1H,d,J=8.4Hz),7.32 and 8.16(each 1H,each d,J=3.9Hz).

IR(CHCl₃):3417,3115,3023,3014,2925,2870,1708,1645,1530 /cm.

[α]D=+48.8° (MeOH,c=1.02,23°C).

No.2a-175

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.50-2.52(14H,m),2.52(3 H,s),4.29(1H,m),5.34-5.51(2H,m),7.78(1H,d,J=9.0Hz),7.24 and 7.52(each 1H, each d,J=5.4Hz).

IR(CHCl₃):3329,3093,3023,3015,2924,2871,1708,1640,1526 /cm.

[α]D=+45.0° (MeOH,c=1.01,23°C).

五、發明說明 (213)

No.2a-176

CDC13 300MHz

0.95(1H,d,J=10.5Hz),1.09 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.40(3H,d,J=0.9Hz),4.24(1H,m),5.35-5.51(2H,m),6.05(1H,d,J=8.7Hz),6.95(1H,m),7.57(1H,d,J=3.3Hz).

IR(CHCl₃):3517,3444,3103,3024,3013,2926,2870,1739,1708,1649,1636,1507/cm.

$[\alpha]_D^{+54.8}$ (MeOH,c=1.01,23°C).

m.p.97.0-99.0°C

No.2a-177

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.93(3H,s),4.27(1H,m),5.34-5.50(2H,m),6.35(1H,d,J=3.3Hz),7.80(1H,d,J=8.7Hz),8.10(1H,d,J=3.3Hz).

IR(CHCl₃):3395,3121,3031,3019,3012,2925,2871,1739,1709,1640,1557,1533 / cm.

$[\alpha]_D^{+22.8}$ (MeOH,c=1.01,23°C).

m.p.109.0-112.0°C

No.2a-178

CDC13 300MHz

0.96(1H,d,J=10.5Hz),1.10 and 1.23(each 3H,each s),1.51-2.45(14H,m),4.24(1H,m),5.35-5.50(2H,m),6.09(1H,d,J=8.4Hz),7.17-7.31(6H,m),7.95(1H,d,J=1.5Hz).

IR(CHCl₃):3510,3451,3062,3031,3022,3011,2925,2870,2662,1708,1651,1582,1535,1497,1477/cm.

$[\alpha]_D^{+47.9}$ (MeOH,c=1.01,25°C).

五、發明說明 (214)

No.2a-179

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.52-2.48(14H,m),4.30(1H,m),5.36-5.52(2H,m),6.73(1H,d,J=9.0Hz),6.26 and 7.37(each 1H,each d,J=6.0Hz).

IR(CHCl₃):3509,3429,3115,3094,3025,3014,2925,2871,2666,1708,1649,1529,1510 /cm.

$[\alpha]_D^{25} = +51.0^\circ$ (MeOH,c=1.02,25°C).

No.2a-180

CDC13 300MHz

0.95(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.52-2.46(14H,m),3.89(3H,s),4.21(1H,m),5.35-5.50(2H,m),6.05(1H,d,J=8.4Hz),6.46 and 7.04(each 1H,each d,J=1.8Hz).

IR(CHCl₃):3516,3450,3114,3031,3010,2925,2871,1708,1648,1546,1511,1477 /cm.

$[\alpha]_D^{25} = +49.1^\circ$ (MeOH,c=1.01,25°C).

No.2a-181

CDC13 300MHz

0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.52-2.48(14H,m),2.42(3H,s),4.31(1H,m),5.34-5.52(2H,m),8.07(1H,d,J=9.3Hz),7.27 and 8.17(each 1H,each d,J=3.3Hz).

IR(CHCl₃):3510,3301,3112,3023,3007,2924,2871,2663,1708,1636,1534 /cm.

$[\alpha]_D^{25} = +41.0^\circ$ (MeOH,c=0.96,25°C).

No.2a-182

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.46(14H,m),2.51(3H,s),4.31(1H,m),5.34-5.52(2H,m),8.07(1H,d,J=9.3Hz),7.27 and 8.17(each 1H,each d,J=3.3Hz).

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五、發明說明 (215)

H,s),4.21(1H,m),5.35-5.51(2H,m),6.05(1H,d,J=8.1Hz),7.26 and 7.78(each 1H, each d,J=1.8Hz).

IR(CHCl₃):3509,3450,3109,3024,3012,2925,2870,2666,1708,1650,1535,1498,1471 /cm.

$[\alpha]_D^{25} = +52.9^\circ$ (MeOH,c=0.95,25°C).

No.2a-183

CDC13 300MHz

0.96(1H,d,J=10.5Hz),1.12 and 1.22(each 3H,each s),1.52-2.46(14H,m),4.25(1H,m),5.33-5.51(2H,m),6.17(1H,d,J=8.7Hz),7.01-7.05(3H,m).7.14 and 7.62(each 2H,each d,J=8.7Hz),7.27-7.34(2H,m).

IR(CHCl₃):3428,3026,3015,2925,2870,2666,1739,1708,1643,1613,1594,1526,1499 /cm.

$[\alpha]_D^{23} = +64.8^\circ$ (MeOH,c=1.02,23°C).

No.2a-184

CDC13 300MHz

1.01(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.55-2.50(14H,m),4.35(1H,m),5.35-5.55(2H,m),6.42(1H,d,J=8.7Hz),7.46-7.52(2H,m).7.73(1H,dd,J=1.8 and 8.4Hz),7.83-7.89(2H,m),8.21(1H,m),8.59(1H,d,J=1.5Hz).

IR(CHCl₃):3451,3031,3014,2925,2870,2660,1739,1708,1650,1604,1513,1463 /cm.

$[\alpha]_D^{23} = +58.3^\circ$ (MeOH,c=1.00,23°C).

No.2a-185

CDC13 300MHz

1.00(1H,d,J=10.2Hz),1.18 and 1.25(each 3H,each s),1.55-2.50(14H,m),4.34(1H,m),5.35-5.54(2H,m),6.36(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.50(1H,m),7.57-7.59(2H,m),7.79(1H,dd,J=1.8 and 8.1Hz),7.99(1H,d,J=7.8Hz),8.39(1H,d,J=

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五、發明說明(216)

1.8Hz).

IR(CHCl₃):3451,3030,3020,2870,2665,1708,1652,1632,1603,1586,1514,1469,1448 /cm.

[α]D=+59.4° (MeOH,c=1.01,24°C).

No.2a-186

CDCl₃ 300MHz

1.00(1H,d,J=10.5Hz),1.17 and 1.25(each 3H,each s),1.54-2.50(14H,m),4.33(1H,m),5.35-5.54(2H,m),6.37(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.51(1H,t,J=7.8Hz),7.56(1H,m),7.70(1H,dd,J=1.2 and 8.4Hz),7.97(3H,m).

IR(CHCl₃):3451,3030,3014,2924,2870,2671,1739,1708,1652,1577,1517,1488,1471 /cm.

[α]D=+72.2° (MeOH,c=1.00,24°C).

No.2a-187

CDCl₃ 300MHz

1.00(1H,d,J=9.8Hz),1.18 and 1.25(each 3H,each s),1.54-2.53(14H,m),4.07(3H,s),4.37(1H,m),5.30-5.54(2H,m),7.34(1H,m),7.47(1H,s),7.47-7.60(2H,m),7.93(1H,d,J=7.8Hz),8.43(1H,s),8.49(1H,d,J=9.0Hz).

IR(CHCl₃):3397,3074,3027,3020,3009,2924,1738,1708,1647,1633,1534,1465,1453 /cm.

[α]D=+43.7° (MeOH,c=1.01,25°C).

No.2a-188

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.50(14H,m),4.23(1H,m),5.37-5.50(2H,m),6.10(1H,d,J=9.0Hz),6.20(1H,m),6.51(1H,m),6.97(1H,m),10.81(1H,bs).

IR(CHCl₃):3450,3236,3112,3029,3015,2925,2871,2645,1701,1616,1558,1516

五、發明說明(217)

/cm.

[α]D=+50.6° (MeOH, c=1.01, 24°C).

No.2a-189

CDCl₃ 300MHz

0.94(1H,d,J=9.9Hz), 1.11 and 1.23(each 3H, each s), 1.50-2.46(14H,m), 3.93(3H,s), 4.18(1H,m), 5.35-5.52(2H,m), 6.03(1H,d,J=9.3Hz), 6.09(1H,m), 6.48(1H,m), 6.73(1H,m).

IR(CHCl₃): 3452, 3102, 3028, 3007, 2925, 2871, 2666, 1739, 1708, 1650, 1536, 1499, 1471 /cm.

[α]D=+49.8° (MeOH, c=1.01, 23°C).

m.p. 101.5-103.5°C

No.2a-190

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz), 1.11 and 1.21(each 3H, each s), 1.54-2.47(14H,m), 4.23(1H,m), 5.33-5.52(2H,m), 6.06(1H,d,J=9.0Hz), 6.34(1H,m), 6.75(1H,m), 6.36(1H,m), 9.71(1H,bs).

IR(CHCl₃): 3470, 3215, 3030, 3020, 3010, 2925, 2871, 2664, 1709, 1613, 1564, 1510 /cm.

[α]D=+43.3° (MeOH, c=1.01, 24°C).

No.2a-191

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.22(each 3H, each s), 1.55-2.44(14H,m), 3.66(3H,s), 4.20(1H,m), 5.35-5.51(2H,m), 5.93(1H,d,J=8.4Hz), 6.27(1H,dd,J=1.8 and 2.7Hz), 6.56(1H,t,J=2.7Hz), 7.19(1H,t,J=1.8Hz).

IR(CHCl₃): 3452, 3031, 3018, 3006, 2925, 2871, 2662, 1736, 1710, 1634, 1609, 1556, 1498 /cm.

五、發明說明 (218)

$[\alpha]_D = +43.1^\circ$ (MeOH, c=1.01, 23°C).

No.2a-192

CDC13 300MHz

0.96(1H,d,J=10.5Hz), 1.11 and 1.21(each 3H,each s), 1.43(3H,t,J=7.5Hz), 1.54-2.44(14H,m), 3.93(2H,q,J=7.5Hz), 4.21(1H,m), 5.33-5.51(2H,m), 5.94(1H,d,J=8.4Hz), 6.27(1H,dd,J=1.8 and 2.7Hz), 6.62(1H,t,J=2.7Hz), 7.26(1H,t,J=1.8Hz).

IR(CHCl₃): 3630, 3452, 3032, 3018, 3006, 2925, 2871, 2661, 1735, 1710, 1633, 1610, 1555, 1497 /cm.

$[\alpha]_D = +40.1^\circ$ (MeOH, c=1.00, 23°C).

No.2a-193

CDC13 300MHz

0.95(1H,d,J=10.2Hz), 1.10 and 1.22(each 3H,each s), 1.53-2.49(14H,m), 2.58(3H,s), 4.21(1H,m), 5.35-5.54(2H,m), 6.15(1H,d,J=8.1Hz), 6.52(1H,dd,J=1.8 and 3.6Hz), 7.29(1H,t,J=3.6Hz), 7.94(1H,t,J=1.8Hz).

IR(CHCl₃): 3516, 3450, 3410, 3152, 3027, 3015, 2925, 2871, 2670, 1732, 1648, 1574, 1509 /cm.

$[\alpha]_D = +45.0^\circ$ (MeOH, c=1.01, 25°C).

No.2a-194

CDC13 300MHz

0.99(1H,d,J=10.2Hz), 1.11 and 1.24(each 3H,each s), 1.52-2.53(14H,m), 4.34(1H,m), 5.33-5.57(2H,m), 6.21(1H,d,J=8.6Hz), 7.35-7.50(2H,m), 7.83(1H,s), 7.86(1H,m), 8.31(1H,m).

IR(CHCl₃): 3443, 3067, 3013, 2925, 2870, 2665, 1708, 1651, 1515, 1493 /cm.

$[\alpha]_D = +55.7^\circ$ (MeOH, c=1.01, 23°C).

No.2a-195

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五、發明說明 (219)

CDC13 300MHz

1.01(1H,d,J=10.0Hz),1.06 and 1.26(each 3H,each s),1.50-2.64(14H,m),2.68(3H,s),4.40(1H,m),5.36-5.61(2H,m),6.02(1H,d,J=9.4Hz),7.30-7.42(2H,m),7.73-7.86(2H,m).

IR(CHCl₃):3510,3434,3062,3029,3014,2924,2871,2669,1708,1650,1563,1539,1500 /cm.

[α]D=+72.4° (MeOH,c=1.00,23°C).

m.p.111.0-112.0°C

No.2a-196

CDC13 300MHz

0.42 and 1.04(each 3H,each s),0.80(1H,d,J=10.0Hz),1.11-2.48(14H,m),2.24(3H,s),4.02(1H,m),5.23-5.44(2H,m),5.53(1H,d,J=8.8Hz),7.27-7.31(2H,m),7.42-7.48(3H,m),7.93(1H,s).

IR(CHCl₃):3419,3114,3025,3006,2924,2871,2662,1737,1709,1636,1540,1519 /cm.

[α]D=+43.7° (MeOH,c=1.01,23°C).

No.2a-197

CDC13 300MHz

0.95(1H,d,J=10.0Hz),1.09 and 1.23(each 3H,each s),1.54-2.46(18H,m),2.77(4H,bs),4.21(1H,m),5.32-5.54(2H,m),6.02(1H,d,J=8.6Hz),7.43(1H,s).

IR(CHCl₃):3445,3101,3024,3014,2928,2865,2661,1739,1708 1646,1550,1507 /cm.

[α]D=+51.9° (MeOH,c=1.01,23°C).

No.2a-198

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.50-2.44(14H,m),4.24(1

五、發明說明 (220)

H,m),4.42(2H,s),5.35-5.49(2H,m),6.25(1H,d,J=8.1Hz),7.33(1H,m),7.43(1H,dd,J=1.5 and 7.5Hz),7.49(1H,d,J=8.1Hz),7.60-7.63(1H,m),7.68(1H,dd,J=1.8 and 7.8Hz),8.02(1H,d,J=1.8Hz),8.19(1H,dd,J=1.5 and 8.1Hz).

IR(CHCl₃):3448,3030,3012,2925,2870,1739,1708,1671,1588,1559,1514,1472 / cm.

$[\alpha]_D^{25} = +56.9^\circ$ (MeOH,c=1.01,24°C).

No.2a-199

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.46(14H,m),3.40(1H,m),3.76(1H,m),4.24(1H,m),5.33-5.51(3H,m),6.25(1H,m),7.16(1H,m),7.24-7.33(2H,m),7.46(1H,d,J=7.5Hz),7.52-7.60(2H,m),7.85(1H,dd,J=1.8 and 4.5Hz).

IR(CHCl₃):3583,3447,3062,3028,3013,2924,2871,2663,1708,1651,1600,1557,1514,1471 /cm.

$[\alpha]_D^{25} = +54.8^\circ$ (MeOH,c=1.00,23°C).

No.2a-200

CDC13 300MHz

0.96(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.25(1H,m),5.34-5.51(2H,m),6.25(1H,d,J=8.4Hz),7.02 and 7.10(each,1H,each d,J=1.23Hz),7.23-7.33(4H,m),7.50(1H,m),7.64(1H,dd,J=1.8 and 7.8Hz),7.82(1H,d,J=1.8Hz).

IR(CHCl₃):3450,3060,3025,3014,2925,2871,2662,1708,1653,1596,1542,1513,1473 /cm.

$[\alpha]_D^{25} = +62.5^\circ$ (MeOH,c=1.00,24°C).

No.2a-201

CDC13 300MHz

0.95(1H,d,J=9.9Hz),1.15 and 1.22(each 3H,each s),1.55-2.60(14H,m),4.26(1

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五、發明說明(221)

H,m),5.35-5.63(2H,m),7.14(1H,d,J=9.9Hz),7.34 and 7.40(each,1H,each d,J=1
2.9Hz),7.62-7.73(4H,m),8.25-8.30(2H,m),8.72(1H,d,J=1.5Hz).

IR(CHCl₃):3443,3389,3297,3061,3030,3016,2925 2870,1726,1708 1652,1603,
1521,1483,1472,1309 /cm.

$[\alpha]_D^{23} = +61.1^\circ$ (MeOH,c=1.01,23°C).

No.2a-202

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.22(each 3H,each s),1.52-2.43(14H,m),2.63(3
H,s),4.25(1H,m),5.33-5.49(2H,m),6.19(1H,d,J=8.4Hz),7.10 and 7.58(each,2H,
each d,J=9.0Hz),7.21(1H,m),7.30-7.32(2H,m),7.46(1H,d,J=7.5Hz).

IR(CHCl₃):3511,3453,3062,3032,3014,2925 2870,1739,1708,1650,1595,1556,1
516,1482,1471 /cm.

$[\alpha]_D^{25} = +60.2^\circ$ (MeOH,c=1.01,25°C).

No.2a-203

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.09 and 1.23(each 3H,each s),1.52-2.43(14H,m),4.23(1
H,m),5.35-5.51(2H,m),5.93(1H,d,J=8.7Hz),6.56(1H,dd,J=0.9 and 1.8Hz),7.43(
1H,t,J=1.8Hz),7.92(1H,dd,J=0.9 and 1.8Hz).

IR(CHCl₃):3517,3450,3134,3031,3008,2925,2870,2667,1708,1656,1588,1570,1
514 /cm.

$[\alpha]_D^{25} = +46.7^\circ$ (MeOH,c=0.92,25°C).

No.2b-1

$[\alpha]_D^{23} = +25.6^\circ$ (MeOH,c=1.01,23°C).

No.2b-2

$[\alpha]_D^{24} = +38.9^\circ$ (MeOH,c=1.01,24°C).

五、發明說明(222)

No.2c-1

[α]D= +60.5° (MeOH,c=1.01,22°C).

No.2c-2

[α]D= +55.8° (MeOH,c=0.92,22°C).

No.2c-3

[α]D= +54.7° (MeOH,c=1.01,22°C).

No.2d-1

[α]D= -6.2° (MeOH,c=1.00,21°C).

No.2d-2

[α]D=+15.8° (MeOH,c=0.34,22°C).

No.2d-3

[α]D=+31.6° (MeOH,c=1.01,22°C).

No.2e-1

[α]D= -9.4° (MeOH,c=1.00,22°C).

No.2e-2

[α]D= -1.8° (MeOH,c=1.02,23°C).

No.2e-3

[α]D= -6.7° (MeOH,c=1.01,23°C).

No.2f-1

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五、發明說明 (223)

$[\alpha]_D = +6.8^\circ$ (MeOH, c=1.01, 23°C).

No.2f-2

$[\alpha]_D = -2.6^\circ$ (MeOH, c=1.00, 22°C).

No.2f-3

$[\alpha]_D = -3.5^\circ$ (MeOH, c=1.01, 22°C).

No.2g-1

$[\alpha]_D = +54.6^\circ$ (MeOH, c=1.01, 24°C).

No.3a-2

CDC13 300MHz

0.98-2.15(14H, m), 2.31(2H, t, J=7.2Hz), 2.35-2.40(1H, m), 3.10-3.20(1H, m),
5.00(1H, d, J=6.9Hz), 5.30-5.48(2H, m), 6.75(1H, d, J=10.2Hz), 7.38-7.52(6H, m).
IR(CDC13): 3266, 3028, 2954, 2874, 1709, 1620, 1448, 1412, 1318, 1141, 970, 892/cm.
 $[\alpha]_D = +20.3 \pm 0.6^\circ$ (CHCl₃, c=1.05, 24°C).

No.3a-3

CDC13 300MHz

0.95-2.00(14H, m), 2.20-2.29(3H, m), 3.00-3.08(1H, m), 3.66(3H, s), 5.00(1H, d,
J=6.6Hz), 5.13-5.29(2H, m), 7.38-7.52(3H, m), 7.59-7.65(2H, m), 7.69-
7.75(2H, m), 7.92-7.98(2H, m).
IR(CHCl₃): 3376, 3018, 2946, 2868, 1727, 1594, 1436, 1395, 1322, 1157, 1095, 890
/cm.
 $[\alpha]_D = +2.3 \pm 0.4^\circ$ (CHCl₃, c=1.03, 22°C).
mp. 65-66.5°C

No.3a-4

五、發明說明 (224)

CDC13 300MHz

0.93-2.05(14H,m),2.15-2.22(1H,m),2.31(2H,t,J=7.2Hz),3.01-3.10(1H,m),
5.18-5.31(3H,m),7.38-7.52(3H,m),7.58-7.66(2H,m),7.69-7.76(2H,m),7.92-
7.98(2H,m)

IR(CHCl₃):3374,3260,3020,2948,2868,1708,1594,1479,1396,1319,1156,1095,1
052,891/cm.

$[\alpha]_D = +13.1 \pm 0.5^\circ$ (CHCl₃, c=1.16, 24°C).

No.3a-6

CD3OD 300MHz

1.04-1.95(14H,m),2.07(2H,t,J=7.8Hz),2.14-2.22(1H,m),2.94-3.00(1H,m), 5.04-
5.25(2H,m),7.36-7.52(3H,m),7.66-7.71(2H,m),7.78-7.85(2H,m),7.91-
7.97(2H,m).

IR(KBr):3421,3278,2951,2872,1562,1481,1409,1317,1156,1097,1057,895/cm.

$[\alpha]_D = -15.3 \pm 0.5^\circ$ (CHCl₃, c=1.06, 23°C).

mp.105-112°C

No.3a-11

CDC13 300MHz

0.90-2.04(14H,m),2.08-2.19(1H,m),2.35(2H,t,J=7.2Hz),2.95-3.04(1H,m), 5.17-
5.32(3H,m),7.56-7.63(2H,m),7.83-7.95(2H,m).

IR(CHCl₃):3260,3020,2948,2868,1707,1569,1456,1383,1325,1268,1160,1088,
1053,1006,892/cm.

$[\alpha]_D = +8.3 \pm 0.5^\circ$ (CHCl₃, c=1.00, 22°C).

No.3a-16

CDC13 300MHz

0.80-1.90(14H,m),1.98-2.04(1H,m),2.27(2H,t,J=7.2Hz),2.88(6H,s),2.90-
2.98(1H,m),4.88-5.00(2H,m),5.13(1H,d,J=7.2Hz),7.18(1H,d,J=7.5Hz),7.48-

五、發明說明(225)

7.60(2H,m),8.25-8.33(2H,m),8.53(1H,d,J=8.7Hz).

IR(CHCl₃):3272,3020,2946,2866,2782,1708,1573,1455,1407,1311,1229,1160,
1142,1070,942,891/cm.

[α]_D=-19.7±0.6°(CHCl₃,c=1.08,23.5°C).

No.3a-31

CDCl₃ 300MHz

0.80-1.85(14H,m),2.02-2.08(1H,m),2.20(2H,t,J=7.2Hz),2.85-2.95(1H,m),

3.68(3H,s),4.80-4.92(2H,m),4.96(1H,d,J=6.9Hz),7.50-7.70(3H,m),7.92-

7.98(1H,m),8.07(1H,d,J=8.4Hz),8.29(1H,dd,J=1.5&7.5Hz),8.65

(1H,d,J=8.7Hz).

IR(CHCl₃):3374,3016,2946,2868,1727,1506,1435,1318,1160,1133,1105,1051,
984,890/cm.

[α]_D=-39.3±0.8°(CHCl₃,c=1.07,22°C).

No.3a-32

CDCl₃ 300MHz

0.80-1.90(14H,m),1.95-2.05(1H,m),2.27(2H,t,J=7.2Hz),2.90-2.96(1H,m), 4.85-

5.00(2H,m),5.23(1H,d,J=6.6Hz),7.50-7.72(3H,m),7.95(1H,d,J=8.1Hz),

8.07(1H,d,J=8.4Hz),8.29(1H,dd,J=1.2&7.5Hz),8.66(1H,d,J=9.0Hz).

IR(CHCl₃):3270,3020,2948,2868,1708,1455,1412,1317,1159,1132,1104,1079,1
051,983,891/cm.

[α]_D=-29.2±0.6°(CHCl₃,c=1.08,22°C).

No.3a-33

CD₃OD 300MHz

0.94-1.84(14H,m),1.96-2.08(3H,m),2.77-2.84(1H,m),4.67-4.84(2H,m),7.55-

7.75(3H,m),8.02(1H,d,J=7.8Hz),8.12-8.26(2H,m),8.74(1H,d,J=8.7Hz).

IR(KBr):3432,3298,2951,2872,1564,1412,1315,1159,1134,1107,1082,1058,

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五、發明說明 (226)

986/cm.

$[\alpha]_D = -79.9 \pm 1.2^\circ$ (CH₃OH, c=1.00, 23°C).

No.3a-34

CDCl₃ 300MHz

0.97-1.91(14H,m), 2.13-2.20(1H,m), 2.42(2H,t, J=7.2Hz), 3.00-3.07(1H,m), 5.06-5.24(2H,m), 5.33(1H,d, J=6.9Hz), 7.57-7.68(2H,m), 7.82-8.00(4H,m), 8.45(1H,d, J=1.2Hz)

IR(CHCl₃): 3260, 3020, 2948, 1708, 1408, 1319, 1154, 1129, 1073, 953, 893/cm.

$[\alpha]_D = +20.7 \pm 0.6^\circ$ (CHCl₃, c=1.07, 22°C).

No.3a-35

CD₃OD 300MHz

1.03-2.20(m, 17H), 2.97(m, 1H), 5.02(m, 2H), 7.64(m, 2H), 8.00(m, 4H), 8.43(S, 1H).

IR(KBr): 3360, 3285, 1562, 1407, 1316, 1153, 1130, 1075/cm.

$[\alpha]_D = 0$

$[\alpha]_{365} = +20.9 \pm 0.6^\circ$ (CH₃OH, c=1.04, 23°C).

No.3d-1

CDCl₃ 300MHz

0.93-2.55(m, 17H), 3.02(m, 1H), 5.24(m, 2H), 6.48(m, 1H), 7.35-7.60(m, 3H), 7.85-8.00(m, 2H)

IR(Nujol): 3275, 1548, 1160, 1094, 758, 719, 689, 591, 557/cm.

$[\alpha]_D = +19.0 \pm 0.6^\circ$ (CH₃OH, c=1.010, 26.5°C).

元素分析(C₂₀H₂₆NO₄S · 1/2Ca · 1.0H₂Oとして)

計算値: C, 57.94; H, 6.82; N, 3.38; Ca, 4.83;

H₂O, 4.35

實測値: C, 57.80; H, 6.68; N, 3.68; Ca, 5.06;

H₂O, 4.50

五、發明說明 (227)

No.3d-6

 $[\alpha]_D = -20.7 \pm 0.6^\circ$ (CHCl₃, c=1.00, 24°C).

No.3d-7

 $[\alpha]_D = -3.2 \pm 0.4^\circ$ (CHCl₃:c=1.03, 22°C).

mp. 65-67°C

No.3d-8

 $[\alpha]_D = -14.5 \pm 0.5^\circ$ (CHCl₃, c=1.07, 24°C).

No.3d-9

 $[\alpha]_D = +12.2 \pm 0.5^\circ$ (CH₃OH, c=1.00, 23°C).

mp. 119-125°C

No.3d-10

 $[\alpha]_D = +39.7 \pm 0.8^\circ$ (CHCl₃, c=1.07, 22°C).

No.3d-11

 $[\alpha]_D = +29.2 \pm 0.7^\circ$ (CHCl₃, c=1.06, 22°C).

No.3d-12

 $[\alpha]_D = +76.4 \pm 1.1^\circ$ (CH₃OH, c=1.03, 24°C).

No.3d-14

 $[\alpha]_D = -20.6 \pm 0.6^\circ$ (CHCl₃, c=1.07, 22°C).

No.3d-15

 $[\alpha]_{365} = -28.0 \pm 0.7^\circ$ (CH₃OH, c=1.03, 24.5°C).

五、發明說明(228)

No.3d-16

[α]D=-8.7±0.5°(CHCl₃,c=1.06,22°C).

No.3d-17

CDCl₃ 300MHz

0.80-2.15(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),2.15
(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),5.22(m,2H),5.38(d,J=
7Hz,1H),7.30(A2B2q-Apart,J=8Hz,2H),7.81(A2B2qBpart,J=8Hz,2H),9.86
(br.s,1H).

[α]D=≐0[α]365=-9.7±0.5°(CHCl₃,c=1.03,22°C).

No.3d-24

[α]D=+19.2±0.6°(CHCl₃,c=1.05,23°C).

No.3d-26

CD3OD 300MHz

0.90-2.20(20H,m),2.88(1H,m),3.07(2H,q,J=7.0Hz),5.00-5.40(2H,m),7.20-
7.60(4H,m),7.95(1H,m).

IR(KBr):3415,3254,1698,1564,1314,1154/cm.

No.3d-28

CD3OD 300MHz

0.90-2.20(20H,m),2.73(2H,q,J=7.0Hz),2.93(1H,m),5.00-5.30(2H,m),7.40-
7.50(2H,m),7.60-7.77(2H,m).

IR(KBr):3435,3280,1562,1323,1304,1151/cm.

No.3d-30

(請先閱讀背面之注意事項再填寫本頁)

裝

訂

線

五、發明說明 (229)

元素分析 $C_{20}H_{25}BrNO_4SNa$

Calc. C50.21; H5.27; Br16.70; N2.93; S6.70; Na4.81

found. C50.22; H5.40; Br15.57; N2.88; S6.41; Na5.10

IR(KBr): 3425, 3280, 3085, 1697, 1570, 1410, 1321, 1165, 1155/cm.

No. 3e-1

CD3OD 300MHz

0.71(1H, d, J=10.2Hz), 1.04(3H, s), 1.12(3H, s), 1.35-2.28(14H, m), 2.42(3H, s), 3.17-3.25(1H, m), 5.18-5.39(2H, m), 7.37(2H, d, J=8.4Hz), 7.75(2H, d, J=8.4Hz).

IR(CHCl₃): 3400, 3289, 2986, 2924, 2870, 1559, 1424, 1322, 1305, 1160, 1095, 1075, 1030/cm.

$[\alpha]_D^{25} = +25.9 \pm 0.7$ (CH₃OH, c=1.00, 23°C).

(請先閱讀背面之注意事項再填寫本頁)

裝

訂

線

五、發明說明 (230)

關於上述實施例得到之化合物，以如下實驗例所示之方法進行活體內及活體外試驗。

實驗例 1 PGD₂受容體之結合實驗

試驗材料及試驗方法

(1) 人血小板膜區分之調製

從健康正常人（成人男性及女性）之靜脈之裝有 3.8 % 檸檬酸鈉之塑膠製注射器採取到的血液置入塑膠製試驗管內，輕輕傾倒混合後，於室溫以 1800 rpm，10 分鐘離心分離，採取上清之多血小板血漿 [PRP (Platelet rich plasma)]。將此 PRP 進一步附諸室溫 2300 rpm，22 分鐘之離心分離，得到血小板。所得到之血小板使用 homogenizer (Ultra-Turrax) 而經同質化後，以 4℃，2000 rpm，10 分鐘離心分離進行 3 次，得到血小板膜區分。膜區分蛋白定量後，成為 2mg/ml，供應結合實驗而於 -80℃ 下冷凍保存。

(2) PGD₂受容體之結合實驗

於結合反應液 (50 mM TrB/HCl, pH 7.4, 5 mM MgCl₂) 0.2ml 中加入人血小板膜區分 (0.1mg) 及 5 nM [3H] PGD₂ (115 Ci/mmol)，於 4℃ 下反應 90 分鐘。反應後使用玻璃纖維濾紙過濾，以冷生理食鹽水洗淨數次，測定殘餘在濾紙之放射活性。特異性結合量係從全結合量減去非特異性結合量 (10 μM PGD₂ 存在下之結合量) 之值。各化合物之結合阻礙活性係以在化合物非存在下之結合量作為 100%，求取各化合物存在下之結合量 (%) 而作成取代曲線，算出 50%

(請先閱讀背面之注意事項再填寫本頁)

裝

訂

線

五、發明說明 (231)

抑制濃度 (IC₅₀值)。結果表示於下。

化合物編號	結合活性 (μM)	化合物編號	結合活性 (μM)
3a-4	0.6	2a-4	0.54
1a-115	8.6	2a-17	0.12
1a-28	0.045	2a-21	5.2
1a-47	0.0086	2a-28	0.046
1a-100	0.56	2a-95	1.6
1a-176	0.047	2a-109	0.003
1a-2	0.13		
1a-162	0.027		

實驗例 2 使用人血小板之 PGD₂受容體的拮抗活性

以預先添加有 1/9 之檸檬酸-右旋糖液的注射器從健康正常人採取末梢血液，以 180g 離心 10 分鐘後，採取上清 (PRP: platelet rich plasma)。以洗淨緩衝液將所得之 PRP 離心洗淨 3 次後，以 microcell 計數器計數血小板數目。將調製成最終濃度 5×10^8 個/ml 之血小板浮游液加溫至 37℃ 後，以 3-異丁基-1-甲基黃嘌呤 (0.5mM) 前處理 5 分鐘，添加稀釋成各種濃度之化合物，10 分鐘後，添加 0.1 ~ 2.0 μM 之 PGD₂ 而引起反應。15 分鐘後加入鹽酸以停止反應，以超音波 homogenizer 破壞血小板，離心後以放射分析定量其上清中之 CAMP。藥物之 PGD₂ 受容體拮抗活性係以各濃度求取對於藉 PGD₂ 添加而增加之 CAMP 的抑制率，算出顯示 50% 阻礙之藥物濃度而進行評價。結果表示於下。

五、發明說明 (232)

化合物編號	人血小板 cAMP 上昇阻礙 IC ₅₀ (μM)
3a-16	0.37
1a-121	2.11
1a-28	0.30
1a-47	2.09
2a-2	0.77
2a-4	0.94
2a-35	1.52
2a-75	0.71

實驗例 3 鼻塞模型之實驗

使用天竺鼠之鼻腔抵抗之測定及抗鼻塞作用之評價方法表示於以下。以超音波噴霧器將1%卵白清蛋白(OVA)溶液煙霧化，使此令Hartley系雄性天竺鼠每隔一週以2次各吸入10分鐘而作用之，其7日後，暴露抗原而引起反應。戊巴比妥(30 mg/kg, i.p.)麻醉下切開天竺鼠的氣管而分別於鼻腔側與肺側裝載插管，於肺側連接一可以每分鐘60次、1次4ml之空氣的人工呼吸器。以加拉明(gallamine)(2 mg/kg, i.v.)使天竺鼠之自發呼吸停止後，從鼻腔側之插管使用人工呼吸器將每分70次、1次4ml之空氣送至鼻吻側，介由裝載於側枝之轉換器以測定此送氣中必要的空氣壓，作為鼻腔抵抗的指標。抗原的暴露係藉由於人工呼吸器與鼻腔插管之間使3% OVA溶液的煙霧劑產生3分鐘來進行的。被檢藥物乃於抗原暴露的10分鐘前靜脈注射。成績係連續測定0至30分鐘間之鼻腔抵抗，其30分鐘間之AUC〔縱軸為鼻腔抵抗(c_mH₂O)、橫軸為時間(0-30分鐘)〕

五、發明說明 (233)

當為指標，表示成對於媒液之抑制率。結果表示於以下。

化合物編號	抑制率 (%) 1mg/Kg(i.v.)	備 考
1a-28	44	
1a-98	69	
1a-100	50	
1a-115	66	
1a-116	48	
1a-120	58	3mg/Kg(i.v.)
1a-2	82	
1a-162	80	
1a-176	60	
1a-267	62	
2a-4	60	
2a-21	52	
2a-28	54	
2a-95	77	
2a-96	77	10mg(Kg(p.o.))
2a-109	73	
2a-110	66	10mg(Kg(p.o.))
2a-194	79	

製劑例 1

錠劑之製造

依常用的方法製造一含有 40 mg 之有效成分的錠劑。

40 mg 錠的組成表示於下。

五、發明說明 (234)

(+)-(Z)-7-[(1R,2S,3S,4S)-3- -苯磺醯胺雙環[2,2,1]庚-2- 基]-5-庚烯酸鈣二水和物	40.0 mg
羥丙基纖維素	3.6 mg
硬脂酸鎂	0.4 mg
玉蜀黍澱粉	18.0 mg
乳糖	58.0 mg
合計	120.0 mg

製劑例 2

顆粒劑之製造

(+)-(Z)-7-[(1R,2S,3S,4S)-3- -苯磺醯胺雙環[2,2,1]庚-2- 基]-5-庚烯酸鈣二水和物	100.0 mg
羥丙基纖維素	30.0 mg
羧甲基纖維素鈣	30.0 mg
滑石粉	10.0 mg
聚氧伸乙基(160)聚氧伸丙基(30)	
乙二醇 188	20.0 mg
結晶纖維素	70.0 mg
玉蜀黍澱粉	300.0 mg
乳糖	440.0 mg
合計	1000.0 mg

(請先閱讀背面之注意事項再填
本頁)

訂

線

補充說明書

(一)申請案號數：第八五一〇七四二五號

(二)申請案名稱：雙環之環系胺基衍生物及含有該衍生物之PGD₂拮抗劑組成物

(三)申請人姓名：日商・鹽野義製藥股份有限公司

地址：日本

代理人姓名：惲軼群技師(M100046301, 台代字第487號)

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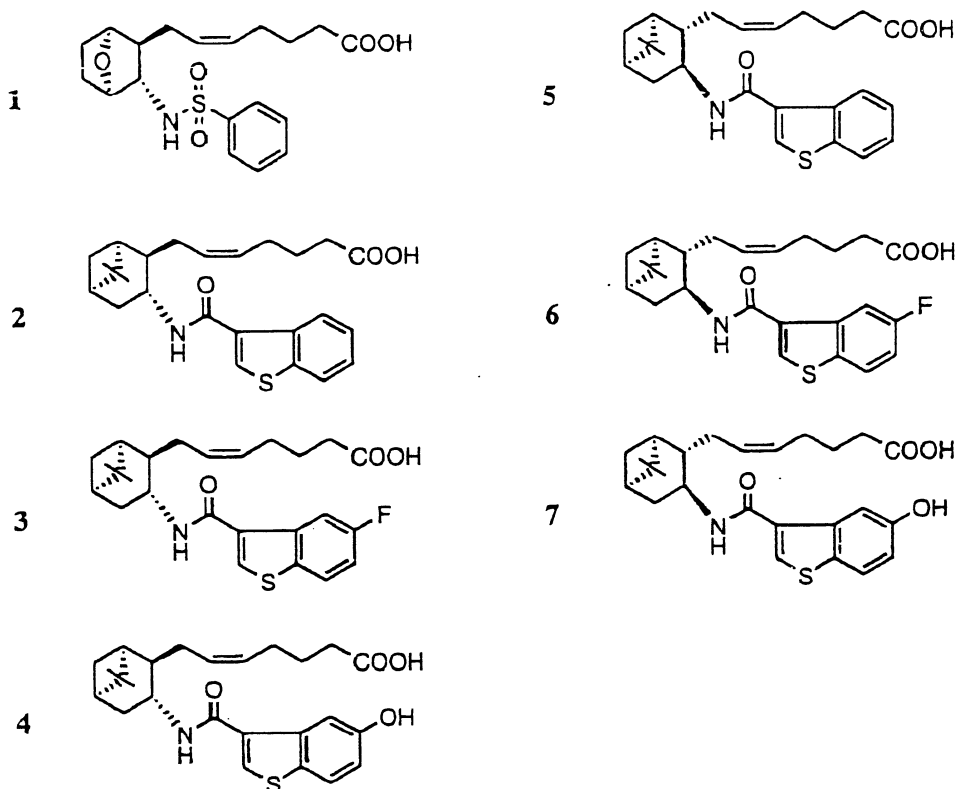
(四)補充之依據：87.09.11.台專(玖)02021字第131515號函

收文日期：中華民國87年09月14日

(五)補充要點：依原已揭示之內容，補充具有  結構

之化合物的物理化學數據，及使用人體血小板之PGD₂受容體的拮抗活性(IC₅₀)。

(六) 補充內容：

¹H-NMR 及其他數據

1

1.20-2.40 (13H, m), 3.01 (1H, m), 4.07 (1H, d, $J = 4.0$ Hz), 4.41 (1H, t, $J = 5.0$ Hz), 5.16 (2H, m), 5.64 (1H, d, $J = 6.0$ Hz), 7.55 (3H, m), 7.88 (2H, m). IR(film): 3206, 1706, 1157 cm^{-1}

2

0.87 and 1.24 (each 3H, each s), 1.51 (1H, d, $J = 10.5$ Hz), 1.60-2.61 (14H, m), 4.24 (1H, m), 5.32-5.45 (2H, m), 6.12 (1H, d, $J = 9.0$ Hz), 7.37-7.48 (2H, m), 7.85-7.88 (2H, m), 8.33 (1H, d, $J = 7.8$ Hz). IR (CHCl_3): 3429, 3067, 3023, 3014, 2923, 2871, 1708, 1652, 1556, 1516, 1494 cm^{-1} [α]_D²⁵-23.0° ($c=1.00\%$, CH_3OH)

3

1.11 and 1.24 (each 3H, each s), 1.50 (1H, d, $J = 10.8$ Hz), 1.59-2.60 (14H, m), 4.20 (1H, m), 5.32-5.45 (2H, m), 6.09 (1H, d, $J = 8.4$ Hz), 7.16 (1H, ddd, $J = 2.4, 9.0$ and 10.2 Hz), 7.77 (1H, dd, $J = 4.8$ and 9.0 Hz), 7.93 (1H, s), 8.09 (1H, dd, $J = 2.4$ and 0.2 Hz). IR (CHCl_3): 3429, 3095, 3030, 3015, 2923, 2871, 1708, 1653, 1603, 1566, 1517, 1432 cm^{-1} [α]_D²⁵-22.4° ($c=1.01\%$, CH_3OH)

4

0.86 and 1.23 (each 3H, each s), 1.49-2.58 (15H, m), 4.24 (1H, m), 5.25-5.40 (2H, m), 6.18 (1H, d, J = 9.0 Hz), 7.03 (1H, dd, J = 2.4 and 8.7 Hz), 7.66 (1H, d, J = 8.7 Hz), 7.77 (1H, s), 8.06 (1H, d, J = 2.4 Hz). IR(CHCl₃): 3425, 3237, 3029, 3021, 3017, 2924, 2871, 1707, 1637, 1519, 1457, 1437 cm⁻¹ [α]_D²⁵-18.7° (c=1.00%, CH₃OH)

5

0.91 (1H, d, J = 10.2 Hz), 1.13 and 1.25 (each 3H, each s), 1.60-1.88 (3H, m), 2.01-2.50 (10H, m), 2.79 (1H, t, J = 11.6 Hz), 4.54 (1H, m), 5.31-5.50 (2H, m), 6.10 (1H, d, J = 8.4 Hz), 7.37-7.48 (2H, m), 7.85-7.88 (2H, m), 8.33 (1H, d, J = 7.5 Hz). IR(CHCl₃): 3429, 3065, 3023, 3015, 2923, 2872, 1708, 1651, 1556, 1516, 1493 cm⁻¹ [α]_D²⁵+26.5° (c=1.01%, CH₃OH)

6

0.91 (1H, d, J = 10.2 Hz), 1.12 and 1.25 (each 3H, each s), 1.60-1.90 (3H, m), 2.01-2.50 (10H, m), 2.78 (1H, t, J = 12.2 Hz), 4.52 (1H, m), 5.30-5.50 (2H, m), 6.08 (1H, d, J = 8.4 Hz), 7.16 (1H, dt, J = 2.7 and 8.7 Hz), 7.77 (1H, dd, J = 4.5 and 8.7 Hz), 7.91 (1H, s), 8.09 (1H, dd, J = 2.7 and 9.9 Hz). IR(CHCl₃): 3430, 3095, 3024, 3015, 2923, 2872, 1708, 1652, 1603, 1565, 1517, 1433 cm⁻¹ [α]_D²⁵+25.8° (c=1.00%, CH₃OH)

7

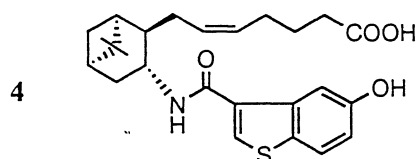
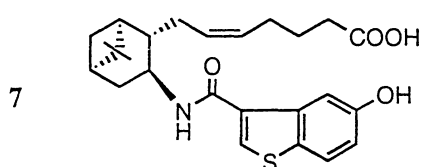
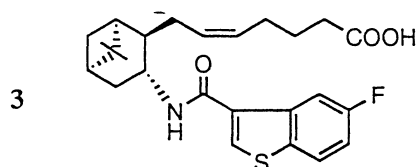
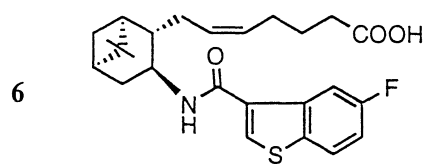
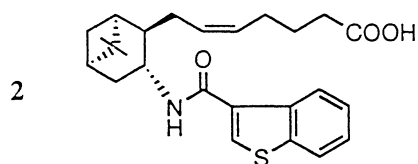
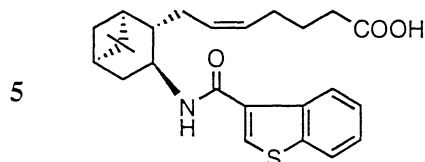
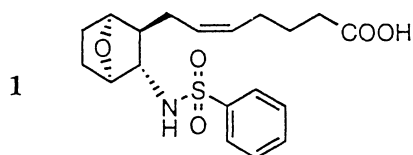
0.88 (1H, d, J = 9.9 Hz), 1.11 and 1.26 (each 3H, each s), 1.50-1.90 (3H, m), 2.00-2.23 (8H, m), 2.40-2.50 (2H, m), 2.83 (1H, t, J = 12.0 Hz), 4.55 (1H, m), 5.24-5.44 (2H, m), 6.11 (1H, d, J = 9.0 Hz), 7.02 (1H, dd, J = 2.4 and 8.4 Hz), 7.67 (1H, d, J = 8.4 Hz), 7.75 (1H, s), 8.12 (1H, d, J = 2.4 Hz). IR(CHCl₃): 3425, 3222, 3028, 3022, 3015, 2923, 2872, 1707, 1637, 1601, 1519, 1456, 1437 cm⁻¹ [α]_D²⁵+19.3° (c=1.00%, CH₃OH)

使用人體血小板之PGD₂受容體的拮抗活性(IC₅₀)

化合物編號	μ M	化合物編號	μ M
1	*(7.1%)	5	0.37
2	0.77	6	0.037
3	0.58	7	0.23
4	0.29		

* 10 μ M 時的抑制率

補足説明

¹H-NMR and other data

1

1.20-2.40 (13H, m), 3.01 (1H, m), 4.07 (1H, d, $J = 4.0$ Hz), 4.41 (1H, t, $J = 5.0$ Hz), 5.16 (2H, m), 5.64 (1H, d, $J = 6.0$ Hz), 7.55 (3H, m), 7.88 (2H, m). IR(film): 3206, 1706, 1157 cm^{-1}

2

0.87 and 1.24 (each 3H, each s), 1.51 (1H, d, $J = 10.5$ Hz), 1.60-2.61 (14H, m), 4.24 (1H, m), 5.32-5.45 (2H, m), 6.12 (1H, d, $J = 9.0$ Hz), 7.37-7.48 (2H, m), 7.85-7.88 (2H, m), 8.33 (1H, d, $J = 7.8$ Hz). IR (CHCl_3): 3429, 3067, 3023, 3014, 2923, 2871, 1708, 1652, 1556, 1516, 1494 cm^{-1} $[\alpha]_{\text{D}}^{25} -23.0^\circ$ ($c=1.00\%$, CH_3OH)

3

1.11 and 1.24 (each 3H, each s), 1.50 (1H, d, $J = 10.8$ Hz), 1.59-2.60 (14H, m), 4.20 (1H, m), 5.32-5.45 (2H, m), 6.09 (1H, d, $J = 8.4$ Hz), 7.16 (1H, ddd, $J = 2.4, 9.0$ and 10.2 Hz), 7.77 (1H, dd, $J = 4.8$ and 9.0 Hz), 7.93 (1H, s), 8.09 (1H, dd, $J = 2.4$ and 0.2 Hz). IR (CHCl_3): 3429, 3095, 3030, 3015, 2923, 2871, 1708, 1653, 1603, 1566, 1517, 1432 cm^{-1} $[\alpha]_{\text{D}}^{25} -22.4^\circ$ ($c=1.01\%$, CH_3OH)

4

0.86 and 1.23 (each 3H, each s), 1.49-2.58 (15H, m), 4.24 (1H, m), 5.25-5.40 (2H, m), 6.18 (1H, d, $J = 9.0$ Hz), 7.03 (1H, dd, $J = 2.4$ and 8.7 Hz), 7.66 (1H, d, $J = 8.7$ Hz), 7.77 (1H, s), 8.06 (1H, d, $J = 2.4$ Hz). IR(CHCl₃): 3425, 3237, 3029, 3021, 3017, 2924, 2871, 1707, 1637, 1519, 1457, 1437 cm⁻¹ [α]_D²⁵-18.7° (c=1.00%, CH₃OH)

5

0.91 (1H, d, $J = 10.2$ Hz), 1.13 and 1.25 (each 3H, each s), 1.60-1.88 (3H, m), 2.01- 2.50 (10H, m), 2.79 (1H, t, $J = 11.6$ Hz), 4.54 (1H, m), 5.31- 5.50 (2H, m), 6.10 (1H, d, $J = 8.4$ Hz), 7.37-7.48 (2H, m), 7.85-7.88 (2H, m), 8.33 (1H, d, $J = 7.5$ Hz). IR(CHCl₃): 3429, 3065, 3023, 3015, 2923, 2872, 1708, 1651, 1556, 1516, 1493 cm⁻¹ [α]_D²⁵+26.5° (c=1.01%, CH₃OH)

6

0.91 (1H, d, $J = 10.2$ Hz), 1.12 and 1.25 (each 3H, each s), 1.60-1.90 (3H, m), 2.01-2.50 (10H, m), 2.78 (1H, t, $J = 12.2$ Hz), 4.52 (1H, m), 5.30-5.50 (2H, m), 6.08 (1H, d, $J = 8.4$ Hz), 7.16 (1H, dt, $J = 2.7$ and 8.7 Hz), 7.77 (1H, dd, $J = 4.5$ and 8.7 Hz), 7.91 (1H, s), 8.09 (1H, dd, $J = 2.7$ and 9.9 Hz). IR(CHCl₃): 3430, 3095, 3024, 3015, 2923, 2872, 1708, 1652, 1603, 1565, 1517, 1433 cm⁻¹ [α]_D²⁵+25.8° (c=1.00%, CH₃OH)

7

0.88 (1H, d, $J = 9.9$ Hz), 1.11 and 1.26 (each 3H, each s), 1.50-1.90 (3H, m), 2.00-2.23 (8H, m), 2.40-2.50 (2H, m), 2.83 (1H, t, $J = 12.0$ Hz), 4.55 (1H, m), 5.24-5.44 (2H, m), 6.11 (1H, d, $J = 9.0$ Hz), 7.02 (1H, dd, $J = 2.4$ and 8.4 Hz), 7.67 (1H, d, $J = 8.4$ Hz), 7.75 (1H, s), 8.12 (1H, d, $J = 2.4$ Hz). IR(CHCl₃): 3425, 3222, 3028, 3022, 3015, 2923, 2872, 1707, 1637, 1601, 1519, 1456, 1437 cm⁻¹ [α]_D²⁵+19.3° (c=1.00%, CH₃OH)

ヒト血小板を使った PGD2 受容体の拮抗活性 (IC₅₀)

Compound No	μ M	Compound No	μ M
1	*(7.1%)	5	0.37
2	0.77	6	0.037
3	0.58	7	0.23
4	0.29		

* 10 μ M 時の抑制率

以上

附件

88. 5月 04

資料 1

	実験例 1 (μ M)	実験例 2 (μ M)	実験例 3 (%)
1a-2	0.13	0.08	82
1a-3	0.03	0.18	75
1a-14	1.2		
1a-15	0.4		
1a-20	0.76		
1a-21	0.6		38
1a-143		0.25	
1a-144		0.10	
1a-145		0.18	
1a-155		0.79	
1a-157		0.18	
1a-158		>1	
1a-159		0.46	
1a-160		0.18	
1a-171	6.0		
1a-172	0.55		
1a-173		>1	
1a-174		>1	
1a-184		>1	
1a-188	5.9		
1a-189	>10		
1a-190	>10		
1a-191	3.8		
2a-32	1.5		
2a-33	0.6		
2a-54	7.5		
2a-55	10>		
2a-58	7.2		
2a-59	3.3		
2a-61	0.22		
2a-63	0.38		

2a-67	0.0089		
2a-69	0.0089		
2a-89	1.4		
2a-91	0.4	0.466	
2a-93	2.0	0.22	38
2a-95	0.13	0.224	77
2a-103	>10	>1	
2a-139	0.015	0.29	16
2a-150		>1	
2a-151		>1	
2a-152		>1	
2a-154		0.38	
2a-156		>1	
2a-170	0.0013	0.39	
2a-171	0.024	0.24	
2a-172		1.98	
2a-174		0.28	
2a-184		0.39	
2a-185	0.032	0.22	
2a-186		>1	
2a-188	0.032	0.064	34
2a-189		0.057	9
2a-190			26
2a-191		0.1	
2a-192		0.026	
2a-198		0.18	
2a-199		0.47	
2a-200		0.50	
2a-201		0.63	
2a-206		0.082	
2a-207		0.21	
2a-274		0.44	
2a-275		0.24	
2a-278		0.219	
2a-279		0.259	
2a-282		0.47	
2a-283		0.65	

補充資料：自化合物「3d-1'」製備「3d-1」的方法

將取自於中文說明書第28頁實施例3所製備之化合物3d-1' ((z)-7-[(1R,2S,3S,4S)-3-苯基磺醯基胺基雙環[2,2,1]庚-2-基]-5-庚烯酸鈣)依下述方法製備其水合物—化合物3d-1。

(中文版)

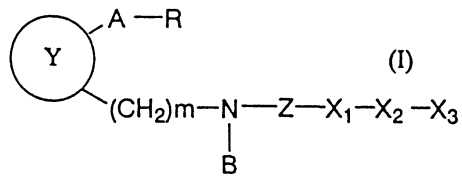
於化合物(3d-1')(4.49克, 11.9毫莫耳)中添加水(25毫升)及1當量之氫氧化鈉水溶液(11.9毫升)。於所得之水溶液中, 在室溫下添加CaCl₂(1.32克, 11.9毫莫耳)溶於水(50毫升)的溶液。將反應溶液攪拌6小時, 濾取生成的結晶。將所得之結晶以水清洗, 得到4.68克(94.9%)化合物(3d-1), 為無色柱狀結晶。

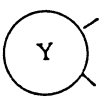
(日文版)

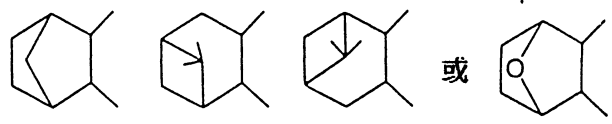
「化合物(3d-1')(4.49g, 11.9mmol)に水(25ml)及び1規定水酸化ナトリウム水溶液(11.9mL)を加えた。得られた水溶液に、室温でCaCl₂(1.32g、11.9mmol)を、水(50mL)に溶かした水溶液を加えた。反応液を6時間攪拌し、生じた結晶をろ取した。得られた結晶を水で洗浄し、4.68g(94.9%)の化合物(3d-1)を無色柱状結晶として得た。」

四、中文發明摘要 (發明之名稱：雙環之環系胺基衍生物及含有該衍生物之 PGD₂拮抗劑組成物)

一種以式 (I) :



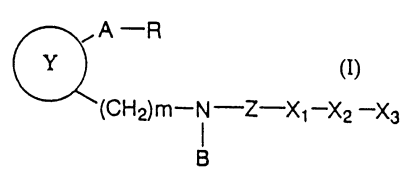
(式中,  表示




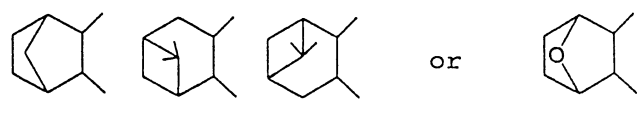
(接下頁)

英文發明摘要 (發明之名稱：Bicycloamino derivative and PGD₂ antagonist containing the derivative)

A compound of the formula:



wherein  represents



and A, B, R, X₁, X₂, X₃, and m are as defined in the specification, and a salt and hydrate thereof are useful as a

(請先閱讀背面之注意事項再填寫本頁各欄)

裝

訂

線

經濟部中央標準局員工消費合作社印製

四、中文發明摘要(發明之名稱:)

(承上頁)

， A， B， R， X₁， X₂， X₃， m與申請專利範圍第 1 項之定義相同) 的雙環之環系胺基衍生物或其鹽或水和物，係可用來作為 PGD₂拮抗劑，例如可用來作為全身性肥胖細胞症或全身性肥胖細胞活性化障礙的治療劑、抗氣管收縮劑、抗喘息劑、抗過敏性鼻炎劑、抗過敏性結膜炎劑、抗蕁麻疹劑、缺血再灌流傷害治療劑、抗炎症劑。尤其有用於治療鼻塞症。

(請先閱讀背面之注意事項再填寫本頁各欄)

裝

訂

線

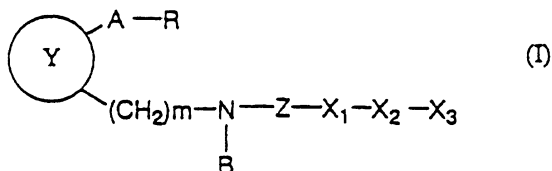
英文發明摘要(發明之名稱:)

PGD₂ antagonist, and can be used, for example, as a therapeutic agent for treating systemic mastocytosis or systemic mast cell activating disorder, anti-bronchoconstricting agent, antiasthmatic agent, anti-urticaria agent, a therapeutic agent for treating ischemic re-perfusion disorder, allergic rhinitis or allergic conjunctivitis, and anti-inflammatory agent. The compound is especially useful for treating rhinostegnosis.

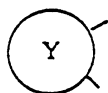
六、申請專利範圍

第85107425號專利申請案 申請專利範圍修正本 91年9月27日

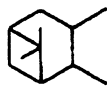
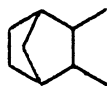
1. 一種用以作為前列腺素D₂(PGD₂)拮抗劑之醫藥組成物，其係含有一以式(I)



表示的雙環之環系胺基衍生物或其鹽亦或水合物作為有效成分；(上述式中，



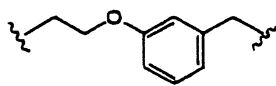
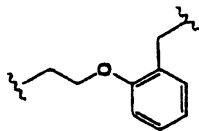
乃表示



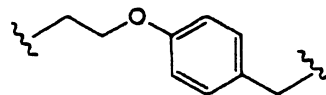
或



A 為 $-\text{CH}_2-\text{CH} = \text{CH}-(\text{CH}_2)_2-\text{C}(\text{CH}_3)_2-$ 、 $-\text{CH}_2-\text{C}(=\text{O})-(\text{CH}_2)_4-$ 、 $-\text{CH}=\text{CH}-(\text{CH}_2)_3-$ 、C₁~C₉烷撐基；



或



B為氫、C₁~C₆烷基、C₁~C₆烷基苯基；

R為COOR₁、CH₂OR₂或CON(R₃)R₄；

R₁為氫或C₁~C₆烷基；

R₂為氫；

R₃及R₄分別為氫、羥基或C₁~C₆烷基磺醯基；

六、申請專利範圍

X_1 為單鍵、苯撐基、噻吩二基、吡啶二基或嘔唑二基；

X_2 為單鍵、 $-N=N-$ 、 $-N=CH-$ 、 $-CH=N-N-$ 、 $-CH=N-O-$ 、 $-CH=CH-$ 、 $-CH=(OH)-$ 、 $-C(Cl)=C(Cl)-$ 、 $-(CH_2)_n$ 、 $-C \equiv C-$ 、 $-N(R_5)-$ 、 $-N(R_{51})CO-$ 、 $-N(R_{53})CON(R_{54})-$ 、 $-CON(R_{55})-$ 、 $-O-$ 、 $-S-$ 、 $-SO-$ 、 $-SO_2-$ 、 $-CO-$ 、 $-CH_2O-$ 、嘔嗟二基、或四唑二基；

X_3 為 $C_1 \sim C_6$ 烷基、 $C_2 \sim C_6$ 烯基、苯基、萘基、菲基、芴基、 $C_1 \sim C_6$ 烷基苯基、二苯并呋喃基、噻吩基、呋唑基、苯并噻吩基、吩三嗪基、苯并嘔唑基、二苯并嘔吡基、嗎啉基、四唑基、吡啶基、呋喃基、嘔唑基、吡啶基、二羥嘔二唑基、苯并間二氧雜還戊烯基、吡啶基、噻唑基、苯甲醯、苯并咪唑基、噻二唑基、異噻唑基、吡咯基、四氫苯噻吩基(=環己噻吩基)、二苯并噻吡基、二氫苯并噻吡基、吡嗪基、二苯并二羥噻啉基、二苯并噻吩基、 $C_3 \sim C_8$ 環烷基、 $C_3 \sim C_8$ 環烯基、亞噻唑啉基甲基、亞噻唑啉基甲基、 $-CH=NR_6$ 、 $-N=C(R_7)R_8$ ；

R_5 、 R_{51} 、 R_{53} 、 R_{54} 及 R_{55} 為氫；

R_6 為羥基、脲基、以苯基取代之脲基、硫脲基、以苯基取代之硫脲基；

R_7 及 R_8 分別為苯基；

n 為1或2；

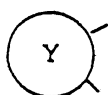
Z 為 $-SO_2-$ 或 $-CO-$ ；

m 為0或1；

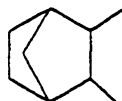
六、申請專利範圍

於此等定義中，取代基為硝基、 $C_1\sim C_6$ 烷氧基、氮磺醯基、胺基、以 $C_1\sim C_6$ 烷基取之胺基、 $C_1\sim C_6$ 烷基羥基、甲醯基、 $C_1\sim C_6$ 烷基羥基氧基、羥基、鹵素、 $C_1\sim C_6$ 烷基、 $C_2\sim C_6$ 炔基、羰基、 $C_1\sim C_6$ 烷基氧基羰基、甲磺醯氧基、氰基、 $C_2\sim C_6$ 烯氧基、三氟甲基、 $C_1\sim C_6$ 烷硫基、 $-N=PPh_3$ 、氧基、硫基、苯基、以氰基取代之苯基。

2. 如申請專利範圍第1項之用以作為前列腺素 $D_2(PGD_2)$ 拮抗劑之醫藥組成物，於有效成分以式(I)所示的雙環之環系胺基衍生物中，

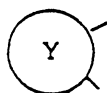


乃表示



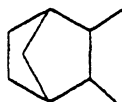
m 為0、 Z 為 SO_2 時， X_1 及 X_2 均為單鍵， X_3 表示烷基、苯基、萘基、苯乙烯基、喹啉基或噻吩甲基，此等之取代基中，環狀者亦可被選自硝基、烷氧基、取代或非取代胺基、鹵素、烷基及羥烷基中之1~3個基所取代的雙環之環系胺基衍生物或其鹽亦或水合物。

3. 如申請專利範圍第1項之用以作為前列腺素 $D_2(PGD_2)$ 拮抗劑之醫藥組成物，於有效成分為以式(I)所示的雙環之環系胺基衍生物中，



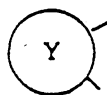
六、申請專利範圍

乃表示

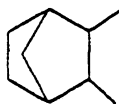


m為1時， X_1 及 X_2 均為單鍵， X_3 為亦可被鹵素所取代之苯基的雙環之環系胺基衍生物或其鹽亦或水合物。

4. 如申請專利範圍第1項之用以作為前列腺素 D_2 (PGD_2)拮抗劑之醫藥組成物，於有效成分乃以式(I)所示的雙環之環系胺基衍生物中，

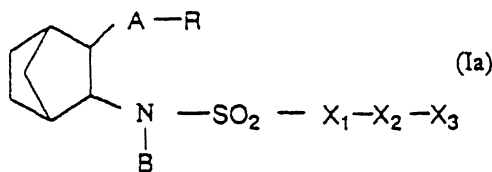


乃表示



m為1時， X_1 為亞苯基， X_2 為 $-CH_2-$ 或 $-N=N-$ ， X_3 為苯基的雙環之環系胺基衍生物或其鹽或水合物。

5. 如申請專利範圍第1項之用以作為前列腺素 D_2 (PGD_2)拮抗劑之醫藥組成物，係治療鼻塞之醫藥組成物。
6. 一種雙環之環系胺基衍生物或其鹽或水合物，係以式(Ia)所示，



(式中，A、B、R、 X_1 、 X_2 及 X_3 乃與申請專利範圍第1項之定義相同；

六、申請專利範圍

但，(1) X_1 為單鍵、 X_2 為單鍵、 X_3 為 $C_1 \sim C_6$ 烷基、取代或非取代苯基或萘基；

(2) X_1 為單鍵、 X_2 為 $-(CH_2)_n$ 或 $-CH_2CH_2-$ 、 X_3 為 $C_1 \sim C_6$ 烷基或芳基；

(3) X_1 為苯撐基、 X_2 為單鍵、 X_3 為 $C_1 \sim C_6$ 烷基；

(4) X_1 為單鍵、 X_2 為單鍵、 X_3 為吡啶基時除外)。

7. 如申請專利範圍第6項的雙環之環系胺基衍生物或其鹽或水合物， X_1 及 X_2 為單鍵， X_3 為異喹啉基、噻吩基、異噻基、嗎啉基、吡啶基、苯并咪唑基、二苯并咪唑基、二苯并二氧基、苯并噻吩基、二苯并噻吩基、呋啉基、氧雜蒽基、吩三嗪基、二苯并呋啉基、二苯并噻吩基、二氫雜萘基、氧萘基、苯并咪唑基或二氫苯并噻吩基、A、B、R之定義同於申請專利範圍第1項。

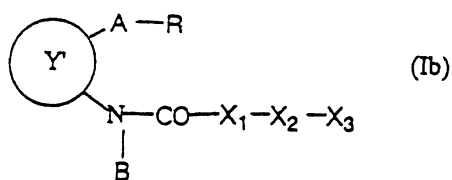
8. 如申請專利範圍第6項的雙環之環系胺基衍生物或其鹽或水合物， X_1 為單鍵， X_2 為亞苯基、 X_3 為烯基、炔基、 $-CH=NR_6$ 或 $-N=C(R_7)R_8$ ，A、B、R、 R_6 、 R_7 及 R_8 乃與申請專利範圍第1項之定義相同。

9. 如申請專利範圍第6項的雙環之環系胺基衍生物或其鹽或水合物，R表示COOR， X_1 表示亞苯基或噻吩二基， X_2 表示單鍵、 $-N=N-$ 、 $-CH=CH-$ 、 $-CONH-$ 、 $-NHCO-$ 或亞乙烯基， X_3 表示苯基、噻唑烷基甲基、噻吩基或噻吩基，A、B、 R_1 、 R_6 、 R_7 及 R_8 乃與申請專利範圍第1項之定義相同。

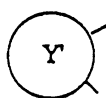
10. 一種雙環之環系胺基衍生物或其鹽或水合物，係以式

六、申請專利範圍

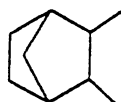
(Ib) :



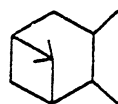
(式中，



係表示

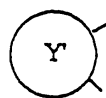


或

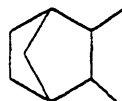


A、B、R、X₁、X₂及X₃乃與申請專利範圍第1項之定義相同；但，X₁及X₂為單鍵，X₃為苯基時除外)所示。

11. 如申請專利範圍第10項的雙環之環系胺基衍生物或其鹽或水合物，於式(Ib)所示之化合物中，



乃表示

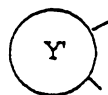


A、B、R、X₁、X₂及X₃乃與申請專利範圍第1項之定義相同。

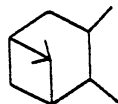
12. 如申請專利範圍第11項的雙環之環系胺基衍生物或其鹽或水合物，R為COOR₁(R₁乃與申請專利範圍第1項之定義相同)。

六、申請專利範圍

13. 如申請專利範圍第11項的雙環之環系胺基衍生物或其鹽或水合物， X_1 為亞苯基或噻吩二基， X_2 為單鍵、 $-N=N-$ 、 $-CH=CH-$ 、1,2-亞乙烯基、 $-O-$ 、 $-S-$ 、 $-CO-$ 、 $-CON(R_{55})-$ （ R_{55} 乃與申請專利範圍第1項之定義相同）或 $-N(R_{51})CO-$ （ R_{51} 乃與申請專利範圍第1項之定義相同）及 X_3 為苯基或噻吩基。
14. 如申請專利範圍第10項的雙環之環系胺基衍生物或其鹽或水合物，於式(Ib)所示之化合物中，



乃表示

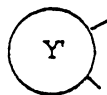


A 、 B 、 R 、 X_1 、 X_2 及 X_3 乃與申請專利範圍第1項之定義相同。

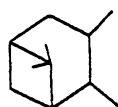
15. 如申請專利範圍第14項的雙環之環系胺基衍生物或其鹽或水合物， B 為氫， X_1 及 X_2 均為單鍵， X_3 為噻吩甲基、噻唑基、噻嗪基、異噻唑基、吡咯基、吡啶基、苯并咪唑基、苯并咪唑基、苯并噻吩基、二苯并咪唑基、二苯并噻吩基、喹啉基或吲哚基。
16. 如申請專利範圍第14項的雙環之環系胺基衍生物或其鹽或水合物， X_1 為亞苯基、噻吩二基、吲哚二基或噁唑二基、 X_2 為單鍵、 $-N=N-$ 、 $-CH=CH-$ 、1,2-亞乙烯基、 $-S-$ 、或 $-O-$ 、及 X_3 為芳基或雜環。

六、申請專利範圍

17. 如申請專利範圍第10項的雙環之環系胺基衍生物或其鹽或水合物，於式(Ib)所示之化合物中，



乃表示



A為亦可具有氧基或不飽和鍵之烷撐基，B為氫，R為CH₂OH或COOH，X₁及X₂為單鍵，X₃為取代或非取代之苯并噻吩基。

18. 一種用以作為前列腺素D₂(PGD₂)拮抗劑之醫藥組成物，其係含有申請專利範圍第6至17項中所載之化合物。