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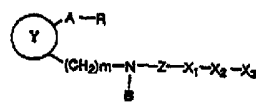
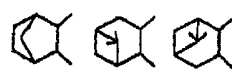
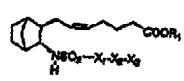
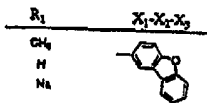
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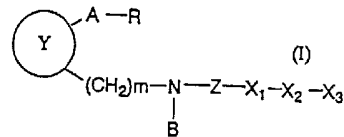


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(21) 国際出願番号 PCT/JP96/01685 (22) 国際出願日 1996年6月19日 (19.06.96) (30) 優先権データ 特願平7/154575 1995年6月21日 (21.06.95) JP (71) 出願人 (米国を除くすべての指定国について) 塩野義製薬株式会社(SHIONOGI & CO., LTD.)(JP/JP) 〒541 大阪府大阪市中央区道修町三丁目1番8号 Osaka, (JP) (72) 発明者: および (75) 発明者/出願人 (米国についてののみ) 大谷光昭(OHTANI, Mitsuaki)(JP/JP) 〒630 奈良県奈良市高畑町1342 Nara, (JP) 有村昭典(ARIMURA, Akinori)(JP/JP) 〒558 大阪府大阪市住吉区南住吉1丁目7-32-304 Osaka, (JP) 約 達男(TSURI, Tatsuo)(JP/JP) 〒651-11 兵庫県神戸市北区鈴蘭台北町9丁目20番6号 Hyogo, (JP) 岸野淳二(KISHINO, Junji)(JP/JP) 〒654-01 兵庫県神戸市須磨区神の谷3丁目3番17号 Hyogo, (JP)	本摩恒利(HONMA, Tsunetoshi)(JP/JP) 〒630-02 奈良県生駒市青山台117-42 Nara, (JP) (74) 代理人 弁理士 青山 葆, 外(AOYAMA, Tamotsu et al.) 〒540 大阪府大阪市中央区城見1丁目3番7号 IMPビル 青山特許事務所 Osaka, (JP) (81) 指定国 AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ARIPO特許 (KE, LS, MW, SD, SZ, UG), ユーラシア特許 (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), 欧州特許 (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI特許 (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). 添付公開書類 国際調査報告書	
(54) Title: BICYCLIC AMINO DERIVATIVES AND PGD₂ ANTAGONIST CONTAINING THE SAME (54) 発明の名称 ビシクロ環系アミノ誘導体およびそれを含有するPGD₂拮抗剤  (I)  (a)  (b)  (c)  (d)  (e)		
(57) Abstract Compounds of general formula (I), salts thereof or hydrates thereof wherein (a) represents (b) or (c), for example, the compounds (d) and (e), which are useful as a PGD₂ antagonist and thus usable in, for example, a remedy for systemic mastocytosis or systemic mast cell activation disorders, a drug for bronchoconstriction, an antiasthmatic, a drug for allergic rhinitis agent, a drug for allergic conjunctivitis, a drug for urticaria, a remedy for ischemia reflow disorders or an antiinflammatory agent. It is particularly useful in the treatment of nasal occlusion.		

(57) 要約

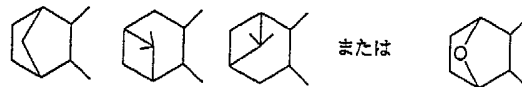
式 (I) :



(式中、

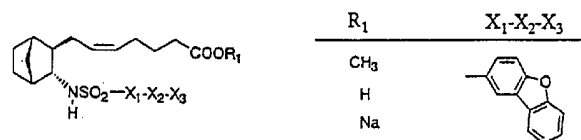


は、



または

を表し、一例として、



である化合物またはその塩もしくは水和物は、PGD₂拮抗剤として有用であり、例えば全身性肥満細胞症や全身性肥満細胞活性化障害の治療剤、抗気管収縮剤、抗喘息剤、抗アレルギー性鼻炎剤、抗アレルギー性結膜炎剤、抗蕁麻疹剤、虚血再灌流傷害治療薬、抗炎症剤として用いることができる。特に鼻閉症の治療に有用である。

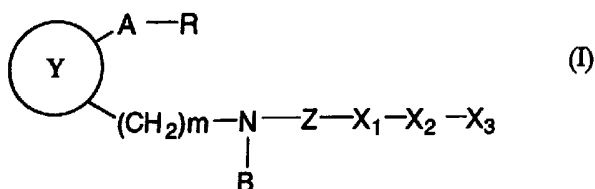
情報としての用途のみ

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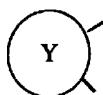
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AT	オーストリア	EE	エストニア	LK	スリランカ	RO	ルーマニア
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		KZ	カザフスタン			VN	ヴェトナム

ABSTRACT

A compound of the formula (I):



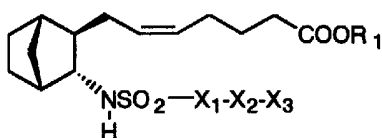
5 wherein



is



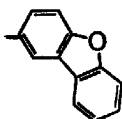
for example, a compound below:



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wherein

R_1 is CH_3 , H or Na; and $\text{X}_1-\text{X}_2-\text{X}_3$ is



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or its salt or a hydrate thereof is useful as PGD₂ antagonist and can be used as a drug for treating diseases in which mast cell dysfunction is involved, for example, systemic mastocytosis and disorder of systemic mast cell activation, and

also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and as an anti-inflammatory agent. It is particularly useful in the treatment of nasal occlusion.

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DESCRIPTION

BICYCLIC AMINO DERIVATIVES AND PGD₂ ANTAGONIST CONTAINING THEM

5 FIELD OF THE INVENTION

The present invention relates to bicyclic amino derivatives and prostaglandin D₂ (hereinafter, referred to as PGD₂) antagonist containing them.

10 BACKGROUND OF THE INVENTION

Some of bicyclic amino derivatives of the present invention have known to be useful as thromboxane A₂ (TXA₂) antagonists (Japanese Patent Publication (KOKOKU) No. 79060/1993). However, the Japanese Patent Publication (KOKOKU) No. 79060/1993 only describes that the compounds are useful as TXA₂ antagonist, and does not suggest the usefulness thereof as PGD₂ antagonist as disclosed by the present invention.

Namely, the TXA₂ is known to have activities such as action against platelet agglutination, thrombogenesis, etc. The TXA₂ antagonist has therefore been considered to be useful as anti-thrombotic agent, and also in the treatment of myocardial infarction or asthma by antagonizing against TXA₂.

On the other hand, the PGD₂ antagonist of the present invention is useful in the improvement of conditions due to excessive production of PGD₂. Specifically, it is useful as a drug for treating diseases in which mast cell dysfunction is involved, for example, systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and



inflammation.

As is apparent from the above, the TXA_2 antagonist and the PGD_2 antagonist are completely different from each other in terms of the active site, mechanism of action, and application, and have quite different characteristics. Accordingly, it has never been expected that any compound could possess these activities simultaneously.

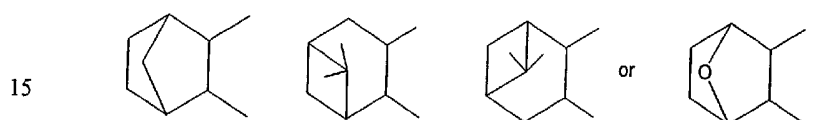
PGD_2 is produced through PGG_2 and PGH_2 from arachidonic acid by the action of cyclooxygenase activated by immunological or unimmunological stimulation and is the major prostanoid that is produced and released from mast cells. PGD_2 has various potent physiological and pathological activities. For example, PGD_2 can cause strong tracheal contraction, which leads to bronchial asthma, and, in a systemic allergic state, it can dilate the peripheral vessels, which leads to an anaphylactic shock. Especially, much attention has been paid on the idea that PGD_2 is one of the causal substances responsible to the onset of nasal occlusion in the allergic rhinitis. Therefore, it has been proposed to develop an inhibitor against the biosynthesis of PGD_2 or an antagonist of PGD_2 receptor as a drug for the reduction of nasal occlusion. However, the inhibitor of PGD_2 biosynthesis possibly affects greatly the synthesis of prostaglandins in other organisms, and therefore, it is desirable to develop an antagonist (blocker) specific to PGD_2 receptor.

DISCLOSURE OF THE INVENTION

The present inventors have studied intensively to develop PGD_2 receptor antagonists (blockers) specific to PGD_2 receptor, and found that compounds of the formula (I) below or its salt possess a potent activity as PGD_2 receptor antagonist, and are chemically



Accordingly, the present invention provides a compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:



30 X_2 is a single bond, $-N=N-$, $-N=CH-$, $-CH=N-$, $-CH=N-N-$, $-CH=N-O-$, $-C=NNHCSNH-$, $-C=NNHCONH-$, $-CH=CH-$, $-CH(OH)-$, $-C(Cl)=C(Cl)-$, -



- 4 -

(CH₂)_n-, ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -
N(R₅₃)CON(R₅₄)-, -CON(R₅₅)-SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-
, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group,

5 cycloalkyl, cycloalkenyl, thiazolinyldidemethyl,

thiazolidinyldidemethyl, -CH=NR₆ or -N=C(R₇)R₈;

R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R₆ is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy,

thiocarbamoyloxy, ureido or thioureido;

10 R₇ and R₈ each are independently alkyl, alkoxy or aryl;

n is 1 or 2;

z is -SO₂- or -CO-; and

m is 0 or 1;

15 wherein a cyclic substituent may have one to three substituents
selected from the group consisting of nitro, alkoxy, sulfamoyl,
substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy,
halogen, alkyl, alkynyl, carboxy, alkoxy-carbonyl, aralkoxy-carbonyl,
aryloxy-carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl,
20 trifluoromethyl, alkylthio, -N=PPh₂, oxo, thioxo, hydroxyimino,
alkoxyimino, phenyl and alkylendioxy, when used as a PGD₂ antagonist.

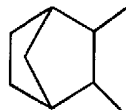
THE BEST EMBODIMENT FOR PRACTICING THE INVENTION

25 Specific examples of compounds usable as a PGD₂ antagonist above include a
compound of the formula (I) wherein



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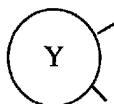




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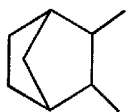
m is 0; and when Z is SO_2 , both X_1 and X_2 are a single bond; X_3 is alkyl, phenyl, naphthyl, stylyl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from a group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or its salt or hydrate thereof.

Similarly, specific examples include a compound of the formula (I) wherein



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is

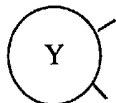


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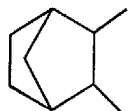
when m is 1, both X_1 and X_2 are a single bond; and X_3 is phenyl optionally substituted with halogen, or its salt or hydrate thereof.

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Similarly, specific examples include a compound of the formula (I) wherein



is

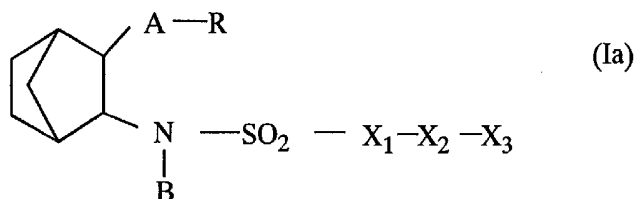


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when m is 1, X_1 is phenyl, X_2 is $-\text{CH}_2-$ or $-\text{N}=\text{N}-$ and X_3 is phenyl, or its salt or hydrate thereof.

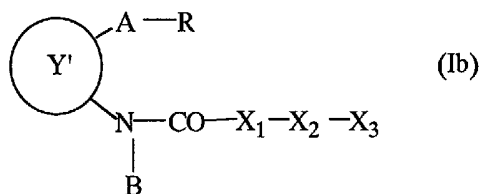
Similarly, examples of compounds of the formula (I) include those of the formula (Ia):



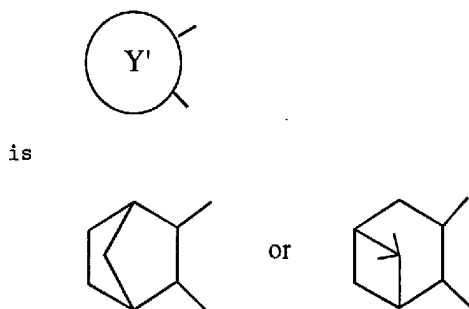
wherein A, B, R, X_1 , X_2 and X_3 are as defined above, or its salt or hydrate thereof, provided that those wherein (1) X_1 and X_2 are a single bond, and X_3 is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is COOR_1 (R_1 is hydrogen or methyl), X_1 is 1,4-phenylene, X_2 is a single bond, and X_3 is phenyl are excluded.

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Similarly, examples of compounds of the formula (I) include those of the formula (Ib):



wherein



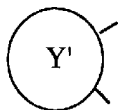
A, B, R, X_1 , X_2 and X_3 are as defined above, or its salt or hydrate thereof, provided that those wherein X_1 and X_2 are a single bond, and X_3 is phenyl, and wherein X_1 is a single bond, X_2 is -O-, and X_3 is benzyl are excluded.

5 More specifically, examples of compounds of the formula (I) include those of the formula (Ia) wherein X_1 and X_2 are a single bond, X_3 is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl,
 10 dibenzoxepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein X_1 is a single bond, X_2
 15 is phenylene, X_3 is alkenyl, alkynyl, $-\text{CH}=\text{NR}_6$ or $-\text{N}=\text{C}(\text{R}_7)\text{R}_8$, or its salt or hydrate thereof.

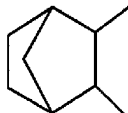
Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein R is COOR_1 , X_1 is phenylene or thiophenediyl, X_2 is a single bond, $-\text{N}=\text{N}-$, $-\text{CH}=\text{CH}-$, $-\text{CONH}-$, -
 20 $\text{NHCO}-$ or ethynylene and X_3 is phenyl, thiazolinyldidenemethyl, thiazolidinyldidenemethyl or thienyl, or its salt or hydrate thereof.

More specifically, examples of the compound (I) of the present invention include those of the formula (Ib) wherein



25 is

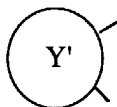




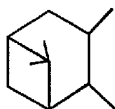
or its salt or hydrate thereof. Examples of more preferred compounds include those of the formula (Ib) wherein R is COOR₁ (R₁ is as defined above) or its salt or hydrate thereof.

5 Similarly, examples of compound (I) include those of the formula (Ib) wherein X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=N-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R₅₅)- (R₅₅ is as defined above), -N(R₅₁)CO- (R₅₁ is as defined above) and X₃ is phenyl, or its salt or hydrate thereof.

10 More specifically, examples of compound (I) include those of the formula (Ib) wherein



is



15 or its salt or hydrate thereof. Examples of more preferred embodiment include those wherein B is hydrogen, both X₁ and X₂ are a single bond, X₃ is thienyl, thiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl, pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl or its salt or
20 hydrate thereof. Similarly, examples include those wherein X₁ is phenylene, thiophenediyl, indolediyl or oxazolediyl, X₂ is a single bond, -N=N-, -CH=CH-, ethynylene, -S- or -O-, and X₃ is aryl or heterocyclic group, or its salt or hydrate thereof.



The compounds of the general formula (Ia) and (Ib) are novel compounds synthesized by the present inventors.

The terms used throughout the present specification are as defined below.

5 The term "alkylene" means $C_1 - C_9$ straight or branched chain alkylene, for example, methylene, methylenemethylene, dimethylenemethylene, methylethylenemethylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene, or the like. The alkylene above can
10 be intervened by a hetero atom(s) (oxygen, sulfur, nitrogen atom, or the like) or phenylene (e.g., 1,4-phenylene, 1,3-phenylene, 1,2-phenylene, or the like), contain an oxo group, and/or have one or more double- or triple-bonds at any positions on the chain. Examples include $-(CH_2)_2-O-CH_2-$, $-(CH_2)_2-O-(CH_2)_2-$, $-(CH_2)_2-O-(CH_2)_3-$,
15 $-(CH_2)_2-O-(CH_2)_4-$, $-(CH_2)_2-O-(CH_2)_5-$, $-(CH_2)_2-O-(CH_2)_6-$, $-(CH_2)_2-S-(CH_2)_2-$, $-(CH_2)_3-S-(CH_2)_2-$, $-CH_2-S-CH_2-$, $-CH_2-S-(CH_2)_4-$, $-CH_2-N(CH_3)-CH_2-$, $-CH_2-NH-(CH_2)_2-$, $-(CH_2)_2-N(CH_2CH_3)-(CH_2)_3-$, $-(CH_2)_2-1,4-$ phenylene- CH_2- , $-(CH_2)_2-O-1,3$ -phenylene- CH_2- , $-(CH_2)_2-O-1,2$ -phenylene- CH_2- , $-(CH_2)_2-O-1,4$ -phenylene- CH_2- , $-CH=CH-S-CH_2-1,4$ -phenylene- CH_2- , $-CH=CH-S-1,3$ -phenylene- $(CH_2)_2-$, 2-oxopropylene,
20 3-oxopentylene, 5-oxohexylene, vinylene, 1-propenylene, 2-propenylene, 1-butenylene, 2-butenylene, 3-butenylene, 1, 2-butadienylene, 1,3-butadienylene, 1-pentenylene, 2-pentenylene, 3-pentenylene, 4-pentenylene, 1,2-pentadienylene, 1, 3-pentadienylene, 1,4-pentadienylene, 2,3-pentadienylene, 2, 4-pentadienylene, 1-hexenylenylene, 2-hexenylenylene, 3-hexenylenylene, 4-hexenylenylene, 5-hexenylenylene, 1,2-hexadienylene, 1,3-hexadienylene, 1,4-hexadienylene, 1,5-hexadienylene, 2,3-hexadienylene, 2,4-hexadienylene, 2,5-hexadienylene, 3,4-hexadienylene, 3,5-



hexadienylene, 4,5-hexadienylene, 1,1-dimethyl-4-hexenylene, 1-heptenylene, 2-heptenylene, 3-heptenylene, 4-heptenylene, 5-heptenylene, 2,2-dimethyl-5-heptenylene, 6-heptenylene, 1,2-heptadienylene, 1,3-heptadienylene, 1,4-heptadienylene, 1,5-heptadienylene, 1,6-heptadienylene, 2,3-heptadienylene, 2,4-heptadienylene, 2,5-heptadienylene, 2,6-heptadienylene, 3,4-heptadienylene, 3,5-heptadienylene, 3, 6-heptadienylene, 4,5-heptadienylene, 4,6-heptadienylene or 5,6-heptadienylene, 1-propynylene, 3-butyne, 2-pentyne, 5-hexyne, 6-heptyne, $-(CH_2)-CH=CH-O-(CH_2)_2-$, $-CH_2-S-(CH_2)_3-$, $-CH_2-cis-CH=CH-1,2-phenylene-CH_2-$, $-CH=CH-1,4-phenylene-(CH_2)_2-$, -4-oxo-4,5-hexenylene-, and the like.

The term "alkyl" means $C_1 - C_{20}$ straight or branched chain alkyl, for example, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, i-pentyl, neopentyl, t-pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl, icosyl, and the like.

The term "aryl" means $C_6 - C_{14}$ monocyclic or condensed ring, for example, phenyl, naphthyl (e.g., 1-naphthyl, 2-naphthyl), anthryl (e.g., 1-anthryl, 2-anthryl, 9-anthryl), phenanthryl (e.g., 2-phenanthryl, 3-phenanthryl, 9-phenanthryl), fluorenyl (e.g., 2-fluorenyl), and the like. Phenyl is especially preferred.

The term "aralkyl" means a group formed by substituting an alkyl as defined above with an aryl above at any substitutable positions on the alkyl. Examples include benzyl, phenethyl, phenylpropyl (e.g., 3-phenylpropyl), naphthylmethyl (e.g., α -naphthylmethyl), anthrylmethyl (e.g., 9-anthrylmethyl),



phenanthrylmethyl (e.g., 3-phenanthrylmethyl), and the like.

The term "acyl" means $C_1 - C_{20}$ acyl derived from aliphatic carboxylic acid, for example, formyl, acetyl, propionyl, butyryl, valeryl, and the like.

5 The term "alkylsulfonyl" means a group formed by substituting a sulfonyl with an alkyl above, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, and the like.

10 The term "alkenyl" is $C_2 - C_{20}$ straight or branched chain alkenyl, which corresponds to an alkyl above containing one or more double bonds. Examples include vinyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1,2-butadienyl, 1-pentenyl, 1,2-pentadienyl, 2-hexenyl, 1,2-hexadienyl, 3-heptenyl, 1,5-heptadienyl, and the like.

15 The term "alkynyl" is $C_2 - C_{20}$ straight or branched chain, alkynyl, which corresponds to an alkyl above containing one or more triple bonds. Examples include ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne, and the like.

20 The term "heterocyclic group" means 5 - 7 membered cyclic group containing one or more hetero atoms selected independently from the group consisting of oxygen, sulfur and/or nitrogen atom on the ring, and is optionally condensed with a carbon ring or other heterocyclic group at any substitutable positions. Examples include pyrrolyl (e.g., 1-pyrrolyl, 3-pyrrolyl), indolyl (e.g., 2-indolyl, 3-indolyl, 6-indolyl), carbazolyl (e.g., 2-carbazolyl, 3-carbazolyl), imidazolyl (e.g., 1-imidazolyl, 4-imidazolyl), pyrazolyl (e.g., 1-pyrazolyl, 3-pyrazolyl), benzimidazolyl (e.g., 2-benzimidazolyl, 5-benzimidazolyl), indazolyl (e.g., 3-indazolyl), indolizynyl (e.g., 6-indolizynyl), pyridyl (e.g., 2-pyridyl, 3-pyridyl, 4-pyridyl), quinolyl (e.g., 8-quinolyl),



isoquinolyl (e.g., 3-isoquinolyl), acridyl (e.g., 1-acridyl),
phenanthrydiny (e.g., 2-phenanthrydiny, 3-phenanthrydiny),
pyridaziny (e.g., 3-pyridaziny), pyrimidiny (e.g., 4-
pyrimidiny), pyraziny (e.g., 2-pyraziny), cinnoliny (e.g.,
5 3-cinnoliny), phthaladiny (e.g., 5-phthaladiny), quinazoliny
(e.g., 2-quinazoliny), isoxazolyl (e.g., 3-isoxazolyl, 4-
isoxazolyl), benzisoxazolyl (e.g., 1,2-benzisoxazol-4-yl, 2,1-
benzisoxazol-3-yl), oxazolyl (e.g., 2-oxazolyl, 4-oxazolyl, 5-
oxazolyl), benzoxazolyl (e.g., 2-benzoxazolyl), benzoxadiazolyl
10 (e.g., 4-benzoxadiazolyl), isothiazolyl (e.g., 3-isothiazolyl,
4-isothiazolyl) benzisothiazolyl (e.g., 1,2-benzisothiazol-3-yl,
2,1-benzisothiazol-5-yl), thiazolyl (e.g., 2-thiazolyl),
benzothiazolyl (e.g., 2-benzothiazolyl), thiadiazolyl (e.g.,
1,2,3-thiadiazol-4-yl), oxadiazolyl (e.g., 1,3,4-oxadiazol-2-yl),
15 dihydroxadiazolyl (e.g., 4,5-dihydro-1,2,4-oxadiazol-3-yl), furyl
(e.g., 2-furyl, 3-furyl), benzofuryl (e.g., 3-benzofuryl),
isobenzofuryl (e.g., 1-isobenzofuryl), thienyl (e.g., 2-thienyl,
3-thienyl), benzothienyl (1-benzothiophen-2-yl, 2-
benzothiophen-1-yl), tetrazolyl (e.g., 5-tetrazolyl),
20 benzodioxolyl (e.g., 1,3-benzodioxol-5-yl), dibenzofuryl (e.g.,
2-dibenzofuryl, 3-dibenzofuryl), dibenzoxepiny (e.g.,
dibenz[b,f]oxepin-2-yl), dihydrodibenzoxepiny (e.g.,
dihydrodibenz[b,f]oxepin-2-yl, chromenyl (e.g., 2H-chromen-3-yl,
4H-chromen-2-yl), dibenzothiepinyl (e.g., dibenzo[b,f]thiepin-
25 3-yl, dihydrodibenzo[b,f]thiepin-3-yl), morpholiny (e.g., 1,4-
morpholin-4-yl), phenothiadiny (2-phenothiadiny),
cyclopentathienyl (e.g., cyclopenta[b]thiophen-3-yl),
cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl),



cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl),
cycloheptathienyl (e.g., cyclohepta[b]thiophen-3-yl),
dibenzothienyl (e.g., 2-dibenzothienyl), dibenzopyranyl (e.g.,
2-dibenzopyranyl), dibenzo-p-dioxyl (e.g., 2-dibenzo-p-dioxyl),
5 and the like.

The term "cycloalkyl" means C₃ - C₈ cyclic alkyl, for

10

15



example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like.

The term "cycloalkenyl" means $C_3 - C_6$ cyclic alkenyl, for example, cyclopropenyl (e.g., 1-cyclopropenyl), cyclobutenyl (e.g.,
5 2-cyclobuten-1-yl), cyclopentenyl (1-cyclopenten-1-yl), cyclohexenyl (1-cyclohexen-1-yl), and the like.

The term "alkoxy" means $C_1 - C_6$ alkoxy, for example, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, and the like.

Examples of the substituted amino in the definition of
10 "substituted- or un-substituted-amino" include mono- or di-substituted amino such as methylamino, ethylamino, dimethylamino, cyclohexylamino, phenylamino, diphenylamino, or cyclic amino such as piperidino, piperadino or morpholino.

The term "acyloxy" means an acyloxy derived from the
15 "acyl" above, for example, acetyloxy, propionyloxy, butyryloxy, valeryloxy, and the like.

The term "halogen" means fluorine, chlorine, bromine and iodine.

The term "alkoxycarbonyl" means an alkoxycarbonyl group
20 derived from the "alkoxy" above, for example, methoxycarbonyl, ethoxycarbonyl, phenyloxycarbonyl, and the like.

The term "aralkyloxycarbonyl" means an aralkyloxycarbonyl group derived from the "aralkyl" above, for example, benzyloxycarbonyl, phenethyloxycarbonyl, and the like.

25 The term "aryloxycarbonyl" means an aryloxycarbonyl group derived from the "aryl" above, for example, phenyloxycarbonyl, naphtyloxycarbonyl, and the like.

The term "alkenyloxy" means an alkenyloxy group derived from the "alkenyl" above, for example, vinyloxy, 1-propenyloxy,



2-butenyloxy, and the like.

The term "hydroxyalkyl" means a hydroxyalkyl group derived from the "alkyl" above, for example, hydroxymethyl, hydroxyethyl, hydroxypropyl, and the like.

5 The term "alkylthio" means an alkylthio group derived from the "alkyl" above, for example, methylthio, ethylthio, propylthio, and the like.

10 The term "alkylenedioxy" means $C_1 - C_3$ alkylenedioxy, for example, methylenedioxy, ethylenedioxy, propylenedioxy, and the like.

In the case of "phenylene, "naphtylene", "thiophenediyl", "indolediyl", "oxazolediyl", "oxadiazolediyl" and tetrazolediyl", the said group can bind to the neighboring groups at any two substitutable sites.

15 In the definitions above, when a substituent(s) is cyclic, it may be substituted by one to three substituents selected from nitro, alkoxy, sulfamoyl, substituted- or un-substituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxy carbonyl, mesyloxy, 20 cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, - $N=PPh_3$, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy. The substituent(s) may bind to any substitutable positions on the ring.

25 Examples of salts of the compound (I) include those formed with an alkali metal (e.g., lithium, sodium or potassium), an alkali earth metal (e.g., calcium), an organic base (e.g., tromethamine, trimethylamine, triethylamine, 2-aminobutane, t-butylamine, diisopropylethylamine, n-butylmethylaniline, cyclohexylamine, dicyclohexylamine, N-isopropylcyclohexylamine, furfurylamine,



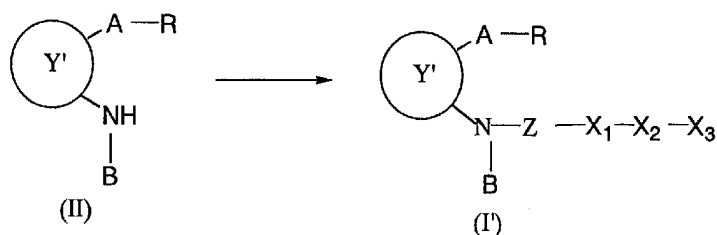
benzylamine, methylbenzylamine, dibenzylamine, N,N-dimethylbenzylamine, 2-chlorobenzylamine, 4-methoxybenzylamine, 1-naphthylenemethylamine, diphenylbenzylamine, triphenylamine, 1-naphthylamine, 1-aminoanthracene, 2-aminoanthracene, 5 dehydroabiethylamine, N-methylmorpholine or pyridine), an amino acid (e.g., lysine, or arginine), and the like.

The term "hydrate" means a hydrate of the compound of the formula (I) or its salt. Examples include mono- and dihydrates.

The present compounds are shown by the formula (I) and 10 are inclusive of the form of any types of stereoisomers (e.g., diastereomer, epimer, enantiomer) and racemic compounds.

Among the compounds of the general formula (I), those wherein $m=1$, especially, those shown in Tables 3b and 3c below are known compounds described in Japanese Patent Publication (KOKAI) 15 No. 180862/1990.

Among the compounds of the general formula (I), those wherein $m=0$, [i.e., those shown by the general formula (I')], can be prepared by reacting an amino compound of the general formula (II) with a reactive derivative of sulfonic acid or carboxylic acid 20 corresponding to the partial structure: $Z-X_1-X_2-X_3$, as shown below.



Wherein A, B, R, X_1 , X_2 , X_3 , Y and Z are as defined above.

A sulfonic acid corresponding to the partial structure: $Z-X_1-X_2-X_3$ is a compound of the general formula $X_1-X_2-X_3-SO_2OH$ and a



carboxylic acid corresponding to the said partial structure is a compound of the general formula $X_3-X_2-X_1-COOH$. Reactive derivative of these sulfonic or carboxylic acids means a corresponding halide (e.g., chloride, bromide, iodide), acid anhydride (e.g., mixed acid anhydride with formic acid or acetic acid), active ester (e.g., succinimide ester), and examples thereof generally include acylating agents used for the acylation of amino group. The carboxylic acid $X_3-X_2-X_1-COOH$ can be used in the reaction as it is without converting into a reactive derivative, in the presence of a condensing agent (e.g., dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, N,N'-carbonyldiimidazole) which are used in the condensing reaction between amine and carboxylic acid.

The reaction can be conducted under the conditions generally used for the acylation of amino group. For example, in the case of condensation using an acid halide, the reaction is carried out using a solvent such as an ether solvent (e.g., diethylether, tetrahydrofuran, dioxane), benzene solvent (e.g., benzene, toluene, xylene), halogenated hydrocarbon solvent (e.g., dichloromethane, dichloroethane, chloroform), ethyl acetate, dimethylformamide, dimethyl sulfoxide, acetonitrile, or the like, if necessary, in the presence of a base (e.g., organic base such as triethylamine, pyridine, N,N-dimethylaminopyridine, N-methylmorpholine; inorganic base such as sodium hydroxide, potassium hydroxide, potassium carbonate, or the like) under cooling, at room temperature or under heating, preferably at temperature ranging from $-20^{\circ}C$ to a temperature under cooling, or from room temperature to a refluxing temperature of the reaction system, for several min to several hr,



preferably for 0.5 hr to 24 hr, more preferably, for 1 hr to 12 hr.

The reaction conditions for the reaction between other reactive derivative or a free acid and an amine (II) can be determined in a conventional manner depending on the characteristics of the
5 respective reactive derivative or free acid.

The reaction product can be purified by conventional purification methods, for example, the extraction with a solvent, chromatography, recrystallization, or the like.

Specific examples of the compound (II) as a starting
10 material for the present method are as follows. Examples of 3-amino[2.2.1]bicyclic compound include 7-(3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-2,2-dimethyl-5-heptenoic acid, 7-(N-methyl-3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 6-
15 (3-aminobicyclo[2.2.1]hept-2-yl)-5-hexenoic acid. Specific examples of 2-amino-6,6-dimethyl[3.1.1]bicyclic compound include 7-(2-amino-6,6-dimethylbicyclo[3.1.1]hept-3-yl)-5-heptenoic acid. In these starting compounds, the heptenoic acid chain may be saturated to form heptanoic acid chain, intervened by a hetero atom(s)
20 or a hetero group(s) such as -O-, -S-, -NH-, or a phenylene(s), or substituted with an oxo group. Examples of such compounds include 7-(3-aminobicyclo[2.2.1]hept-2-yl)heptanoic acid, 4-[2-(2-aminobicyclo[3.1.1]hept-3-yl)ethoxyphenyl]acetic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-6-oxo-heptanoic acid. These
25 starting compounds are either described in the Japanese Patent Publication (KOKOKU) No. 79060/1993 or 23170/1991, or can be prepared according to the method described therein.

Sulfonic acid $X_3-X_2-X_1-SO_2OH$ and carboxylic acid $X_3-X_2-X_1-COOH$ corresponding to the partial structure $Z-X_1-X_2-X_3$, mean a

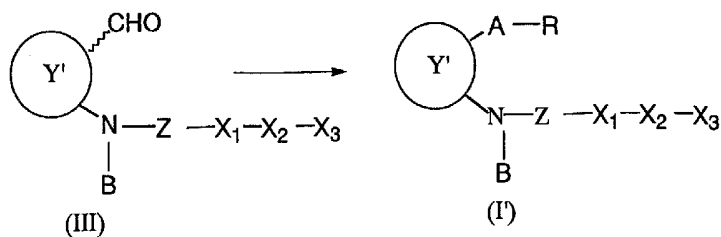


sulfonic acid or carboxylic acid having substituents corresponding to the Xs above. That is, examples include alkane-sulfonic acid or -carboxylic acid, alkene-sulfonic acid or -carboxylic acid, alkyne-sulfonic acid or -carboxylic acid, cycloalkane-sulfonic acid or -carboxylic acid, cycloalkene-sulfonic acid or -carboxylic acid, aryl-sulfonic acid or -carboxylic acid, aralkyloxy-sulfonic acid or -carboxylic acid, heterocyclic-substituted-sulfonic acid or -carboxylic acid, heteroarylalkyl-sulfonic acid or -carboxylic acid, and substituted-amino-sulfonic acid or -carboxylic acid.

Each of sulfonic and carboxylic acids may have a substituent(s) above. These sulfonic acids and carboxylic acids are commercially available or can be easily synthesized from a known compound(s) in accordance with a known method. Upon reaction, the sulfonic or carboxylic acid can be converted into the corresponding reactive derivative above, if necessary. For example, when an acid halide is needed, the compound is reacted with thionyl halide (e.g., thionyl chloride), phosphorous halide (e.g., phosphorous trichloride, phosphorous pentachloride) or oxalyl halide (e.g., oxalyl chloride) in accordance with a known method such as those described in a literature (e.g., Shin-Jikken-Kagaku-Koza, vol. 14, pp. 1787 (1978); Synthesis, 852-854 (1986); Shin-Jikken-Kagaku-Koza, vol. 22, pp. 115 (1992)). The other reactive derivatives can also be prepared in accordance with a known method.

Among the objective compounds (I), those wherein the side chain A contains an unsaturated bond, especially, a double bond, can also be prepared by reacting an aldehyde derivative of the general formula (III) below with an ylide compound corresponding to the rest part of the side chain A-R under the conditions for the Wittig reaction:





wherein A, B, R, X₁, X₂, X₃, Y and Z are as defined above.

The starting compound (III) can be prepared in accordance with a method described in, for example, Japanese Patent Publication (KOKAI) No. 256650/1990. Further, an ylide compound corresponding to the rest part of the side chain A-R can be synthesized by reacting triphenylphosphine with a corresponding halogenated alkanolic acid, or an ester derivative, ether derivative or amide derivative thereof in the presence of a base according to a known method.

Among the objective compounds (I), those wherein R is COOH can be converted into a corresponding ester derivative, alcohol derivative, ether derivative, amide derivative, if desired. For example, ester derivatives can be prepared by esterifying a carboxylic acid in a conventional manner. An ester derivative, when reduced, gives an alcohol derivative, and amidated, gives an amide derivative. An ether derivative can be obtained by O-alkylating an alcohol derivative.

The compound (I) of the present invention shows antagonistic effect against PGD₂ in vitro through the binding to PGD₂ receptor, and is useful as a drug for treating diseases in which mast cell dysfunction due to excessive production of PGD₂ is involved. For example, the compound (I) is useful as a drug for treating diseases, such as systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma,



allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation. The compound (I) shows preventive effect on nasal occlusion in vivo, and therefore is especially useful as a drug for treating them.

5 When using a compound (I) of the present invention in treatment, it can be formulated into ordinary formulations for oral and parenteral administration. A pharmaceutical composition containing a compound (I) of the present invention can be in the form for oral and parenteral administration. Specifically, it can
10 be formulated into formulations for oral administration such as tablets, capsules, granules, powders, syrup, and the like; those for parenteral administration such as injectable solution or suspension for intravenous, intramuscular or subcutaneous injection, inhalant, eye drops, nasal drops, suppositories, or
15 percutaneous formulations such as ointment.

 In preparing the formulations, carriers, excipients, solvents, and bases known to one ordinary skilled in the art may be used. In case of tablets, they are prepared by compressing or formulating an active ingredient together with auxiliary components.
20 Examples of usable auxiliary components include pharmaceutically acceptable excipients such as binders (e.g., cornstarch), fillers (e.g., lactose, microcrystalline cellulose), disintegrants (e.g., starch sodium glycolate) or lubricants (e.g., magnesium stearate). Tablets may be coated appropriately. In the case of liquid
25 formulations such as syrups, solutions, or suspensions, they may contain suspending agents (e.g., methyl cellulose), emulsifiers (e.g., lecithin), preservatives, and the like. In the case of injectable formulations, it may be in the form of solution or suspension, or oily or aqueous emulsion, which may contain



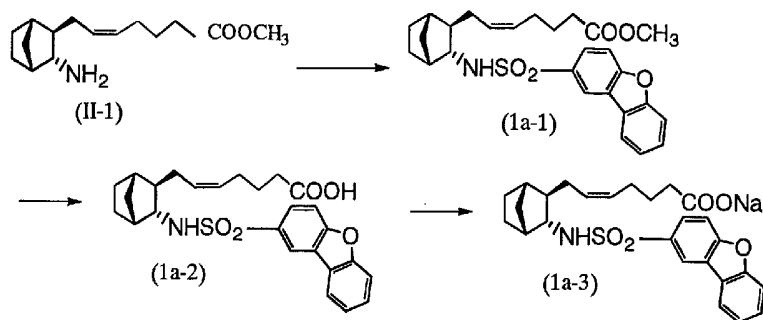
suspension-stabilizing agent or dispensing agent, and the like. In the case of an inhalant, it is formulated into a liquid formulation applicable to an inhaler. In the case of eye drops, it is formulated into a solution or a suspension. Especially, in the case of nasal drug for treating nasal occlusion, it can be used as a solution or suspension prepared by a conventional formulating method, or as a powder formulated using a powdering agent (e.g., hydroxypropyl cellulose, carbopole), which are administered into the nasal cavity. Alternatively, it can be used as an aerosol after filling into a special container together with a solvent of low boiling point.

Although an appropriate dosage of the compound (I) varies depending on the administration route, age, body weight, sex, or conditions of the patient, and the kind of drug(s) used together, if any, and should be determined by the physician in the end, in the case of oral administration, the daily dosage can generally be between about 0.01 - 100 mg, preferably about 0.01 - 10 mg, more preferably about 0.1 - 10 mg, per kg body weight. In the case of parenteral administration, the daily dosage can generally be between about 0.001 - 100 mg, preferably about 0.001 - 1 mg, more preferably about 0.01 - 1 mg, per kg body weight. The daily dosage can be administered in 1 - 4 divisions.

The following Examples are provided to further illustrate the present invention and are not to be construed as limiting the scope thereof.



Example 1



- Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (II-1) (251 mg, 1.00 mmol) was dissolved in methylene chloride (8 ml) and triethylamine (0.238 ml, 2.00 mmol) was added thereto under a nitrogen atmosphere. To the mixture was added 2-chlorosulfonyldibenzofuran (350 mg, 1.31 mmol) under ice-cooling, and the mixture was stirred for 30 min and allowed to warm up to room temperature. The reaction mixture was purified by column chromatography on silica gel (n-hexane/ethyl acetate (1:4)) and recrystallized from n-hexane (10 ml) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (342 mg, 0.710 mmol). Yield 71 %, mp 115-116 °C.
- Elemental analysis ($C_{27}H_{31}NO_5S$)
- Calcd. (%): C, 67.34; H, 6.49; N, 2.91; S, 6.66
- Found (%): C, 67.16; H, 6.47; N, 2.99; S, 6.66
- IR ($CHCl_3$): 3382, 3024, 2952, 2874, 1726, 1583, 1465, 1442, 1319, 1245, 1154, 1121, 1104, 1071, 1019, 890, 840, 817 /cm.
- 1H NMR($CDCl_3$) 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)



$[\alpha]_D = -0.6^\circ$ (CHCl_3 , $c=1.01\%$, 23°C).

$([\alpha]_{365} = +37.0^\circ$ (CHCl_3 , $c=1.01\%$, 23°C).

Methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)-
5 sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (234
mg, 0.50 mmol) was dissolved in methanol (6 ml)/tetrahydrofuran (4
ml). To the solution was added 1 N potassium hydroxide (1.50 ml,
1.50 mmol) under ice-cooling. After the reaction mixture was warmed
up to room temperature, it was allowed to react for 16 hr and
concentrated to remove the solvent. To the residue were added ethyl
acetate (50 ml) and water (10 ml), and then 1 N HCl (2.00 ml, 2.00
mmol), and the organic layer was separated. The organic layer was
washed with saturated brine, dried over anhydrous sodium sulfate
and concentrated. The residue was purified by column chromatography
on silica gel (n-hexane/ethyl acetate (1:1) containing 0.2 % acetic
acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)-
sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2)
(203 mg, 0.434 mmol). Yield 87 %, oil.
IR (CHCl_3): 3266, 3026, 2952, 2874, 1708, 1465, 1443, 1423, 1319,
1267, 1245, 1153, 1121, 1104, 1072, 906 /cm.
 ^1H NMR (CDCl_3) δ : 0.93-1.94 (14H, m), 2.12-2.19 (1H, m), 2.26 (2H, t,
 $J=7.2\text{Hz}$), 3.00-3.08 (1H, m), 5.12-5.25 (2H, m), 5.26 (1H, d, $J=6.6\text{Hz}$),
7.38-7.45 (1H, m), 7.51-7.70 (3H, m), 7.87-8.13 (2H, m), 8.54 (1H, d,
 $J=2.1\text{Hz}$).
 $[\alpha]_D = +6.8^\circ$ (CHCl_3 , $c=1.08\%$, 23°C).

(Z)-7-[(1S,2R,3R,4R)-3-(2-Dibenzofuryl)sulfonylamino-
bicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2) (453 mg, 0.97



mmol) was dissolved in methanol (5 ml). After addition of 1 N sodium methoxide/methanol (1.034 N, 0.937 ml, 0.97 mmol), the mixture was allowed to warm up to room temperature and to react for 1 hr. The solvent was removed by distillation to yield the sodium salt (1a-3)

5 (457 mg, 0.933 mmol). Yield 96 %.

Amorphous powder.

Elemental analysis ($C_{26}H_{28}NO_5SNa \cdot 0.6H_2O$)

Calcd. (%) : C, 62.41; H, 5.88; N, 2.80; S, 6.41; Na, 4.59

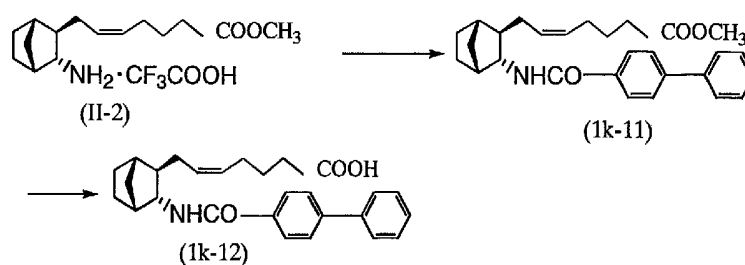
Found (%) : C, 62.45; H, 5.92; N, 2.99; S, 6.49; Na, 4.46

10 IR (KBr) : 434, 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(CD_3OD) 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).

15 $[\alpha]_D^{25} = -15.2^\circ$ (CH_3OH , c=1.07%, 22°C).

Example 2



20

Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate trifluoroacetate (II-2) (232 mg, 0.636 mmol), which was prepared by the method



described in Reference Example 4 of the Japanese Patent Publication (KOKOKU) No. 79060/1993, was dissolved in methylene chloride (5 ml).

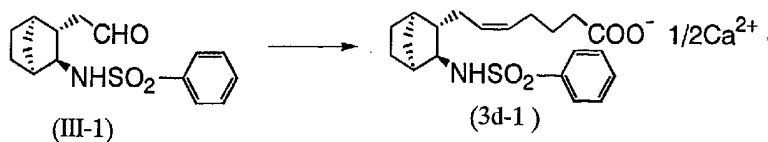
To the solution were added triethylamine (0.279 ml, 2.00 mmol) and 4-biphenylcarbonyl chloride under ice-cooling and stirred for 7 hr

5 at the same temperature. The reaction mixture was purified by column chromatography on silica gel (ethyl acetate/n-hexane (1:4)) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(4-biphenyl)carbonylaminobicyclo[2.2.1]hept-2-

10 yl]-5-heptenoate (1k-11) (221 mg, 0.512 mmol). The compound (1k-11) (190 mg, 0.440 mmol) was dissolved in methanol (6 ml). To the solution was added 1 N KOH (1.10 ml, 1.10 mmol) under ice-cooling and stirred for 15 hr at room temperature. The reaction mixture was concentrated in vacuo. The residue, after the addition of water (20 ml) and 1 N HCl (2 ml), was extracted with ethyl acetate. The organic layer
15 was washed with saturated brine, dried over anhydrous sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (ethyl acetate/hexane (1:1) containing 0.3 % acetic acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(4-biphenyl)carbonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid
20 (1k-12) (172 mg, 0.412 mmol). Yield 94 %.

The following compounds can also be prepared in the following manner.

Example 3



25

To a suspension of 4-carboxybutyltriphenylphosphonium

bromide (14.8 g, 33.3 mmol) and tetrahydrofuran (80 ml) was added potassium t-butyrate (7.55 g, 67.3 mmol) at room temperature under a nitrogen atmosphere. After stirring for 1 hr at room temperature, the mixture was cooled to -20°C and a solution of N-[(1S,2S,3S,4R)-3-formylmethylbicyclo[2.2.1]hept-2-yl]benzenesulfonamide (III-1) (Japanese Patent Publication (KOKAI) No. 256650/1990, Reference Example 2) (3.25 g, 11.1 mmol) in tetrahydrofuran (20 ml) was added slowly. After stirring for about 1 hr at -20°C , the ice bath was removed and the mixture was further stirred for 1 hr. To the reaction solution was added 2 N HCl and the mixture was extracted with ethyl acetate, washed with water and brine, and concentrated. After the addition of toluene and 1 N sodium hydroxide to the resultant crude product, aqueous layer was separated. The organic layer was washed with water again and the washing was combined with the previously obtained aqueous layer. After the addition of 2 N HCl, the aqueous solution was extracted with ethyl acetate. The extract was washed with water and brine, dried over sodium sulfate, and concentrated. The residue was purified by column chromatography on silica gel to obtain calcium (Z)-7-[(1R,2S,3S,4S)-3-phenylsulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1d-1) (3.29 g, yield 79 %, mp 62°C).

Elemental analysis ($\text{C}_{20}\text{H}_{27}\text{NO}_4\text{S}$)

Calcd. (%) : C, 63.63; H, 7.21; N, 3.71; S, 8.49

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

25 $[\alpha]_D^{25} = +5.3 \pm 0.5^{\circ}$ (CHCl_3 , $c=1.003$ %, 22°C)

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

IR(Nujol) 3282, 3260, 3300, 2400, 1708, 1268, 1248, 1202, 1162, 1153,



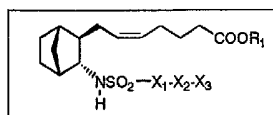
1095, 1076/cm.

^1H NMR δ 0.88-2.10(m, 14H), 2.14(br s, 1H), 2.34(t, $J=7.2\text{Hz}$, 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).

5 Compounds prepared in accordance with a method described
in Examples above are shown in Tables below.

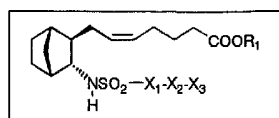


Table 1a



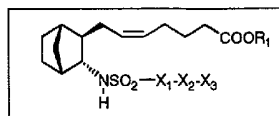
No.	R ₁	X ₁ -X ₂ -X ₃
1a-1	CH ₃	
1a-2	H	
1a-3	Na	
1a-4	CH ₃	
1a-5	H	
1a-6	CH ₃	
1a-7	H	
1a-8	CH ₃	
1a-9	H	
1a-10	CH ₃	
1a-11	H	
1a-12	CH ₃	
1a-13	H	
1a-14	CH ₃	
1a-15	H	
1a-16	CH ₃	
1a-17	H	
1a-18	CH ₃	
1a-19	H	
1a-20	CH ₃	
1a-21	H	
1a-22	H	
1a-23	H	





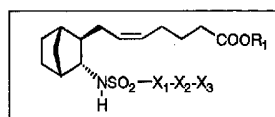
No.	R ₁	X ₁ -X ₂ -X ₃
1a-24	CH ₃	
1a-25	H	
1a-26	Na	
1a-27	CH ₃	
1a-28	H	
1a-29	Na	
1a-30	CH ₃	
1a-31	H	
1a-32	CH ₃	
1a-33	H	
1a-34	CH ₃	
1a-35	CH ₃	
1a-36	H	
1a-37	CH ₃	
1a-38	H	
1a-39	CH ₃	
1a-40	H	
1a-41	H	
1a-42	CH ₃	
1a-43	H	
1a-44	CH ₃	
1a-45	H	





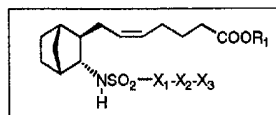
No.	R ₁	X ₁ -X ₂ -X ₃
1a-46	CH ₃	
1a-47	H	
1a-48	Na	
1a-49	CH ₃	
1a-50	H	
1a-51	CH ₃	
1a-52	H	
1a-53	CH ₃	
1a-54	H	
1a-55	CH ₃	
1a-56	H	
1a-57	CH ₃	
1a-58	H	
1a-59	CH ₃	
1a-60	H	
1a-61	CH ₃	
1a-62	H	
1a-63	CH ₃	
1a-64	H	
1a-65	CH ₃	
1a-66	H	
1a-67	CH ₃	
1a-68	H	





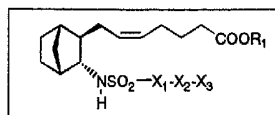
No.	R ₁	X ₁ -X ₂ -X ₃
1a-69	CH ₃	
1a-70	H	
1a-71	CH ₃	
1a-72	H	
1a-73	CH ₃	
1a-74	H	
1a-75	CH ₃	
1a-76	H	
1a-77	CH ₃	
1a-78	H	
1a-79	H	
1a-80	CH ₃	
1a-81	H	
1a-82	CH ₃	
1a-83	H	
1a-84	H	
1a-85	H	
1a-86	H	
1a-87	H	





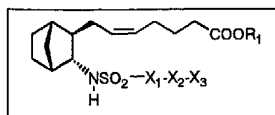
No.	R_1	$X_1\text{---}X_2\text{---}X_3$
1a-88	CH_3	
1a-89	H	
1a-90	CH_3	
1a-91	H	
1a-92	CH_3	
1a-93	H	
1a-94	H	
1a-95	H	
1a-96	H	
1a-97	H	
1a-98	H	
1a-99	Na	





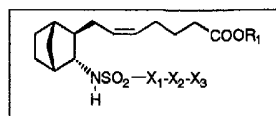
No.	R ₁	X ₁ -X ₂ -X ₃
1a-100	CH ₃	
1a-101	H	
1a-102	CH ₃	
1a-103	CH ₃	
1a-104	H	
1a-105	CH ₃	
1a-106	H	
1a-107	CH ₃	
1a-108	H	
1a-109	CH ₃	
1a-110	H	
1a-111	CH ₃	
1a-112	H	
1a-113	CH ₃	
1a-114	H	





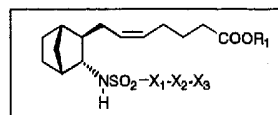
No.	R ₁	X ₁ -X ₂ -X ₃
1a-115	CH ₃	
1a-116	H	
1a-117	Na	
1a-118	<i>i</i> -Pr	
1a-119	CH ₃	
1a-120	Na	
1a-121	H	
1a-122	CH ₃	
1a-123	H	
1a-124	CH ₃	
1a-125	CH ₃	
1a-126	H	
1a-127	CH ₃	
1a-128	H	
1a-129	CH ₃	
1a-130	CH ₃	
1a-131	H	
1a-132	CH ₃	
1a-133	H	
1a-134	H	
1a-135	CH ₃	
1a-136	H	
1a-137	CH ₃	
1a-138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





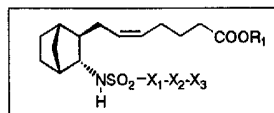
No.	R ₁	X ₁ -X ₂ -X ₃
1a-141	CH ₃	
1a-142	H	
1a-143	H	
1a-144	H	
1a-145	H	
1a-146	H	
1a-147	H	
1a-148	H	
1a-149	H	
1a-150	H	
1a-151	H	





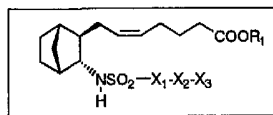
No.	R ₁	X ₁ -X ₂ -X ₃
1a-152	H	
1a-153	H	
1a-154	H	
1a-155	H	
1a-156	H	
1a-157	H	
1a-158	H	
1a-159	H	
1a-160	H	





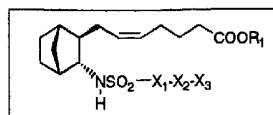
No.	R ₁	X ₁ -X ₂ -X ₃
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1a-162	H	
1a-163	H	
1a-164	H	
1a-165	H	
1a-166	H	
1a-167	H	
1a-168	H	
1a-169	H	
1a-170	H	
1a-171	CH ₃	
1a-172	H	





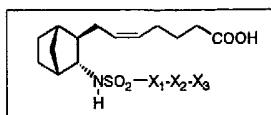
No.	R ₁	X ₁ -X ₂ -X ₃
1a-173	H	
1a-174	H	
1a-175	CH ₃	
1a-176	H	
1a-177	CH ₃	
1a-178	H	
1a-179	CH ₃	
1a-180	H	
1a-181	H	
1a-182	CH ₃	
1a-183	H	





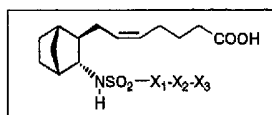
No.	R ₁	X ₁ -X ₂ -X ₃
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1a-185	H	
1a-186	CH ₃	
1a-187	H	
1a-188	CH ₃	
1a-189	H	
1a-190	CH ₃	
1a-191	H	
1a-192	CH ₃	
1a-193	H	





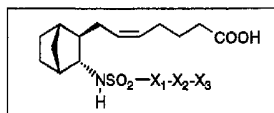
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
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1a-195	
1a-196	
1a-197	
1a-198	
1a-199	
1a-200	
1a-0201	
1a-202	
1a-203	





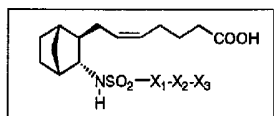
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1a-205	
1a-206	
1a-207	
1a-208	
1a-209	
1a-210	
1a-211	
1a-212	
1a-213	





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	

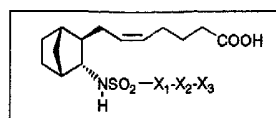




No. $\text{X}_1\text{---X}_2\text{---X}_3$

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

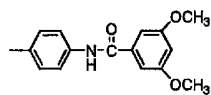




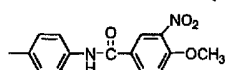
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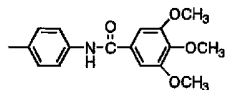
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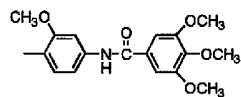
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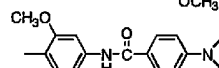
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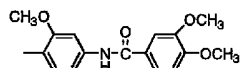
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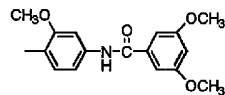
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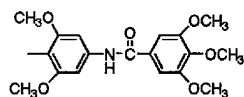
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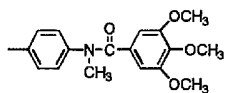
1a-242



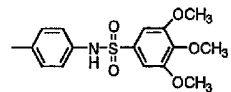
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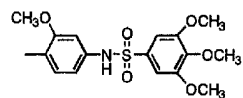
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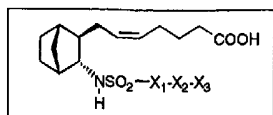


1a-245



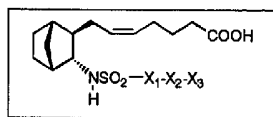
1a-246



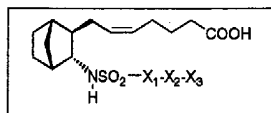


No.	$X_1-X_2-X_3$
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1a-248	
1a-249	
1a-250	
1a-251	
1a-252	
1a-253	
1a-254	
1a-255	
1a-256	
1a-257	



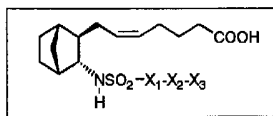


No.	X ₁ -X ₂ -X ₃
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1a-259	
1a-260	
1a-261	
1a-262	
1a-263	
1a-264	
1a-265	
1a-266	
1a-267	
1a-268	
1a-269	
1a-270	
1a-271	



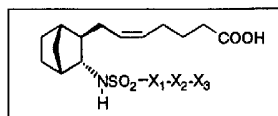
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1a-273	
1a-274	
1a-275	
1a-276	
1a-277	
1a-278	
1a-279	
1a-280	
1a-281	
1a-282	
1a-283	





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	

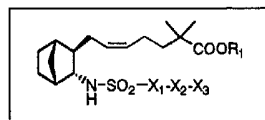




No.	X ₁ -X ₂ -X ₃
1a-295	
1a-296	
1a-297	
1a-298	
1a-299	
1a-300	
1a-301	
1a-302	
1a-303	
1a-304	
1a-305	

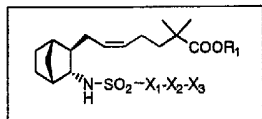


Table 1b



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	

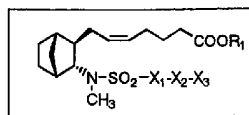




No.	R ₁	X ₁ -X ₂ -X ₃
1b-11	H	
1b-12	H	
1b-13	H	
1b-14	H	
1b-15	H	

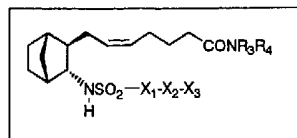


Table 1c

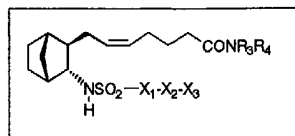


No.	R ₁	X ₁ -X ₂ -X ₃
1c-1	CH ₃	
1c-2	CH ₃	
1c-3	K	
1c-4	H	
1c-5	H	
1c-6	H	
1c-7	H	
1c-8	H	
1c-9	H	
1c-10	H	
1c-11	H	
1c-12	H	

Table 1d

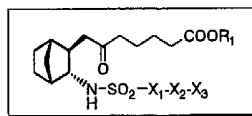


No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
1d-1	H	SO ₂ CH ₃	
1d-2	H	H	
1d-3	H	OH	
1d-4	H	SO ₂ CH ₃	
1d-5	H	SO ₂ CH ₃	
1d-6	H	SO ₂ CH ₃	
1d-7	H	SO ₂ CH ₃	
1d-8	H	SO ₂ CH ₃	
1d-9	H	SO ₂ CH ₃	
1d-10	H	SO ₂ CH ₃	



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	

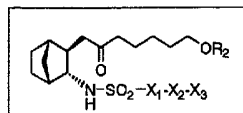
Table 1e



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	

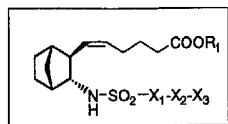


Table 1f



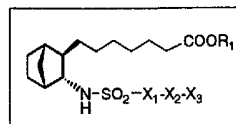
No.	R ₂	X ₁ -X ₂ -X ₃
1f-1	H	
1f-2	H	
1f-3	H	
1f-4	H	
1f-5	H	
1f-6	H	
1f-7	H	
1f-8	H	
1f-9	H	
1f-10	H	

Table 1g



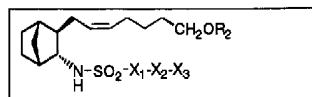
No.	R ₁	X ₁ -X ₂ -X ₃
1g-1	H	
1g-2	H	
1g-3	H	
1g-4	H	
1g-5	H	
1g-6	H	
1g-7	H	
1g-8	H	
1g-9	H	
1g-10	H	
1g-11	H	

Table 1h



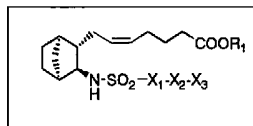
No.	R ₁	X ₁ -X ₂ -X ₃
1h-1	H	
1h-2	H	
1h-3	H	
1h-4	H	
1h-5	H	
1h-6	H	
1h-7	H	
1h-8	H	
1h-9	H	
1h-10	H	

Table 1i

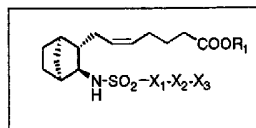


No.	R ₂	X ₁ -X ₂ -X ₃
1i-1	H	
1i-2	H	
1i-3	H	
1i-4	H	
1i-5	H	
1i-6	H	
1i-7	H	
1i-8	H	
1i-9	H	
1i-10	H	
1i-11	H	
1i-12	H	

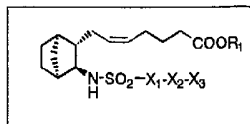
Table 1j



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	

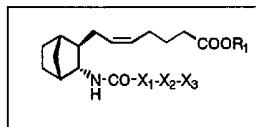


No.	R ₁	X ₁ -X ₂ -X ₃
1j-17	H	
1j-18	CH ₃	
1j-19	H	
1j-20	CH ₃	
1j-21	H	
1j-22	H	
1j-23	CH ₃	
1j-24	H	
1j-25	CH ₃	
1j-26	H	
1j-27	H	
1j-28	CH ₃	
1j-29	H	

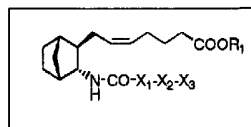


No.	R ₁	X ₁ -X ₂ -X ₃
1j-30	H	
1j-31	H	
1j-32	H	
1j-33	H	
1j-34	H	
1j-35	H	
1j-36	H	
1j-37	H	
1j-38	H	

Table 1k

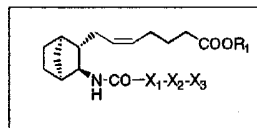


No.	R_1	$X_1\text{-X}_2\text{-X}_3$
1k-1	H	$-\text{O-CH}_2\text{-C}_6\text{H}_5$
1k-2	CH_3	$-\text{C}_6\text{H}_4\text{-N=N-C}_6\text{H}_5$
1k-3	H	$-\text{C}_6\text{H}_4\text{-N=N-C}_6\text{H}_5$
1k-4	H	$-\text{C}_6\text{H}_4\text{-C}\equiv\text{C-C}_6\text{H}_5$
1k-5	H	$-\text{C}_6\text{H}_4\text{-C}\equiv\text{C-C}_6\text{H}_5$
1k-6	H	$-\text{C}_6\text{H}_5$
1k-7	H	$-\text{C}_6\text{H}_4\text{-O-C}_6\text{H}_5$
1k-8	H	$-\text{C}_6\text{H}_4\text{-O-C}_6\text{H}_4\text{-OH}$
1k-9	H	$-\text{C}_6\text{H}_4\text{-O-C}_6\text{H}_4\text{-OAc}$
1k-10	H	$-\text{C}_6\text{H}_4\text{-O-C}_6\text{H}_4\text{-OCH}_3$
1k-11	CH_3	$-\text{C}_6\text{H}_4\text{-C}_6\text{H}_5$
1k-12	H	$-\text{C}_6\text{H}_4\text{-C}_6\text{H}_5$

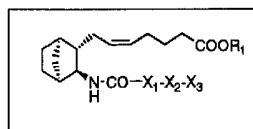


No.	R_1	$X_1-X_2-X_3$
1k-13	H	
1k-14	H	
1k-15	H	
1k-16	H	
1k-17	H	
1k-18	H	
1k-19	H	
1k-20	H	

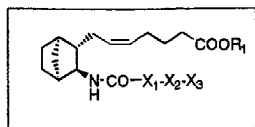
Table 1m



No.	R_1	$X_1\text{-X}_2\text{-X}_3$
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	

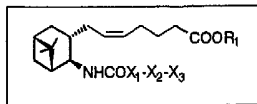


No.	R ₁	X ₁ -X ₂ -X ₃
1m-19	CH ₃	
1m-20	H	
1m-21	H	
1m-22	H	
1m-23	CH ₃	
1m-24	H	
1m-25	CH ₃	
1m-26	H	
1m-27	CH ₃	
1m-28	H	
1m-29	CH ₃	
1m-30	H	
1m-31	H	
1m-32	H	
1m-33	H	

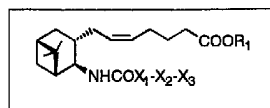


No.	R ₁	X ₁ -X ₂ -X ₃
1m-34	H	
1m-35	H	
1m-36	H	
1m-37	H	
1m-38	H	
1m-39	H	
1m-40	H	

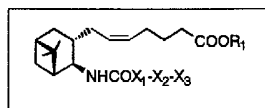
Table 2a



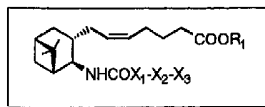
No.	R ₁	X ₁ -X ₂ -X ₃
2a-1	CH ₃	
2a-2	H	
2a-3	CH ₃	
2a-4	H	
2a-5	Na	
2a-6	CH ₃	
2a-7	H	
2a-8	CH ₃	
2a-9	H	
2a-10	CH ₃	
2a-11	H	
2a-12	CH ₃	
2a-13	H	
2a-14	CH ₃	
2a-15	H	
2a-16	CH ₃	
2a-17	H	
2a-18	CH ₃	
2a-19	H	
2a-20	CH ₃	
2a-21	H	
2a-22	Na	
2a-23	CH ₃	
2a-24	H	



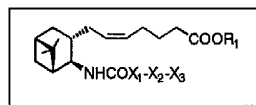
No.	R ₁	X ₁ -X ₂ -X ₃
2a-25	CH ₃	
2a-26	H	
2a-27	CH ₃	
2a-28	H	
2a-29	CH ₃	
2a-30	H	
2a-31	CH ₃	
2a-32	CH ₃	
2a-33	H	
2a-34	CH ₃	
2a-35	H	
2a-36	CH ₃	
2a-37	H	
2a-38	CH ₃	
2a-39	H	
2a-40	CH ₃	
2a-41	H	
2a-42	CH ₃	
2a-43	H	
2a-44	CH ₃	
2a-45	H	
2a-46	CH ₃	
2a-47	H	



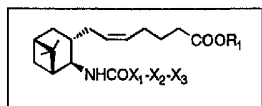
No.	R ₁	X ₁ -X ₂ -X ₃
2a-48	CH ₃	
2a-49	H	
2a-50	CH ₃	
2a-51	H	
2a-52	CH ₃	
2a-53	H	
2a-54	CH ₃	
2a-55	H	
2a-56	CH ₃	
2a-57	H	
2a-58	CH ₃	
2a-59	H	
2a-60	CH ₃	
2a-61	H	
2a-62	CH ₃	
2a-63	H	
2a-64	CH ₃	
2a-65	H	
2a-66	CH ₃	
2a-67	H	



No.	R_1	$X_1\text{-X}_2\text{-X}_3$
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	

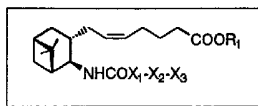


No.	R ₁	X ₁ -X ₂ -X ₃
2a-88	CH ₃	
2a-89	H	
2a-90	CH ₃	
2a-91	H	
2a-92	CH ₃	
2a-93	H	
2a-94	CH ₃	
2a-95	H	
2a-96	Na	
2a-97	Ca ^{1/2}	
2a-98	CH ₃	
2a-99	H	
2a-100	CH ₃	
2a-101	H	
2a-102	CH ₃	
2a-103	H	
2a-104	CH ₃	
2a-105	H	
2a-106	CH ₃	
2a-107	H	
2a-108	CH ₃	
2a-109	H	
2a-110	Na	
2a-111	CH ₃	
2a-112	H	



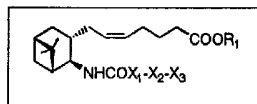
No.	R ₁	X ₁ -X ₂ -X ₃
2a-113	CH ₃	
2a-114	H	
2a-115	CH ₃	
2a-116	H	
2a-117	CH ₃	
2a-118	H	
2a-119	H	
2a-120	H	
2a-121	H	
2a-122	H	
2a-123	H	
2a-124	H	
2a-125	H	





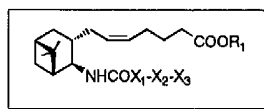
No.	R ₁	X ₁ -X ₂ -X ₃
2a-126	H	
2a-127	H	
2a-128	H	
2a-129	H	
2a-130	H	
2a-131	H	
2a-132	H	
2a-133	H	
2a-134	H	
2a-135	H	
2a-136	H	





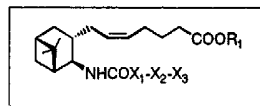
No.	R ₁	X ₁ -X ₂ -X ₃
2a-137	H	
2a-138	H	
2a-139	H	
2a-140	H	
2a-141	H	
2a-142	H	
2a-143	H	
2a-144	H	
2a-145	H	
2a-146	H	
2a-147	H	





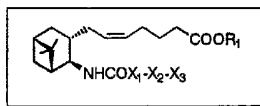
No.	R ₁	X ₁ -X ₂ -X ₃
2a-148	H	
2a-149	H	
2a-150	H	
2a-151	H	
2a-152	H	
2a-153	H	
2a-154	H	
2a-155	H	
2a-156	H	
2a-157	H	
2a-158	H	
2a-159	H	





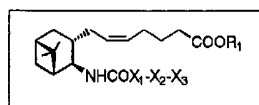
No.	R_1	$X_1\text{-X}_2\text{-X}_3$
2a-160	H	
2a-161	H	
2a-162	H	
2a-163	H	
2a-164	H	
2a-165	H	
2a-166	H	
2a-167	H	
2a-168	H	
2a-169	H	
2a-170	H	





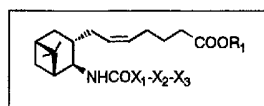
No.	R_1	$X_1\text{-X}_2\text{-X}_3$
2a-171	H	
2a-172	H	
2a-173	H	
2a-174	H	
2a-175	H	
2a-176	H	
2a-177	H	
2a-178	H	
2a-179	H	
2a-180	H	
2a-181	H	
2a-182	H	





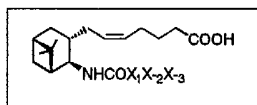
No.	R ₁	X ₁ -X ₂ -X ₃
2a-183	H	
2a-184	H	
2a-185	H	
2a-186	H	
2a-187	H	
2a-188	H	
2a-189	H	
2a-190	H	
2a-191	H	
2a-192	H	
2a-193	H	





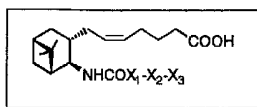
No.	R ₁	X ₁ -X ₂ -X ₃
2a-194	H	
2a-195	H	
2a-196	H	
2a-197	H	
2a-198	H	
2a-199	H	
2a-200	H	
2a-201	H	
2a-202	H	
2a-203	H	





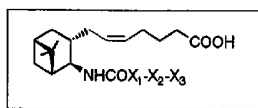
No.	$X_1-X_2-X_3$
2a-204	
2a-205	
2a-206	
2a-207	
2a-208	
2a-209	
2a-210	
2a-211	
2a-212	
2a-213	





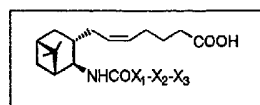
No.	$X_1-X_2-X_3$
2a-214	
2a-215	
2a-216	
2a-217	
2a-218	
2a-219	
2a-220	
2a-221	
2a-222	
2a-223	





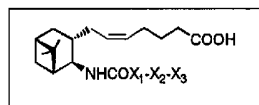
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-224	
2a-225	
2a-226	
2a-227	
2a-228	
2a-229	
2a-230	
2a-231	
2a-232	
2a-233	





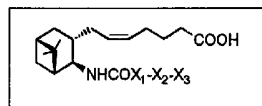
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-234	
2a-235	
2a-236	
2a-237	
2a-238	
2a-239	
2a-240	
2a-241	
2a-242	
2a-243	





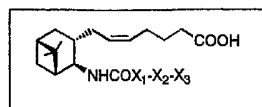
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-244	
2a-245	
2a-246	
2a-247	
2a-248	
2a-249	
2a-250	
2a-251	





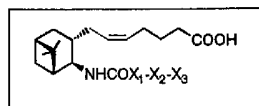
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-252	
2a-253	
2a-254	
2a-255	
2a-256	
2a-257	





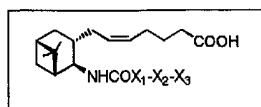
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-258	
2a-259	
2a-260	
2a-261	
2a-262	
2a-263	
2a-264	
2a-265	
2a-266	
2a-267	





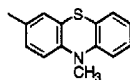
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-268	Chemical structure of a benzothiophene derivative, specifically 2-phenyl-3-methylthiophene.
2a-269	Chemical structure of a thiophene derivative, specifically 2-methylthiophene.
2a-270	Chemical structure of a thiophene derivative, specifically 2-methylthiophene.
2a-271	Chemical structure of a benzofuran derivative, specifically 2-methyl-2,3-dihydrobenzofuran.
2a-272	Chemical structure of a benzofuran derivative, specifically 2-methyl-2,3-dihydrobenzofuran.
2a-273	Chemical structure of a benzofuran derivative, specifically 2-methyl-2,3-dihydrobenzofuran.
2a-274	Chemical structure of a benzothiophene derivative, specifically 2-methyl-2,3-dihydrobenzothiophene.
2a-275	Chemical structure of a benzothiophene derivative, specifically 2-methyl-2,3-dihydrobenzothiophene.
2a-276	Chemical structure of a benzothiophene derivative, specifically 2-methyl-2,3-dihydrobenzothiophene.
2a-277	Chemical structure of a benzothiophene derivative, specifically 2-methyl-2,3-dihydrobenzothiophene.



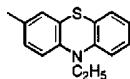


No. $\text{X}_1\text{-X}_2\text{-X}_3$

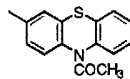
2a-278



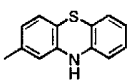
2a-279



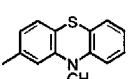
2a-280



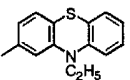
2a-281



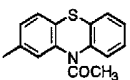
2a-282



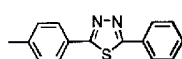
2a-283



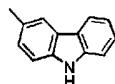
2a-284



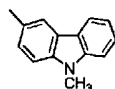
2a-285

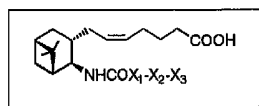


2a-286



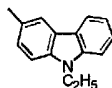
2a-287



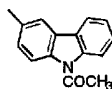


No. $\text{X}_1\text{-X}_2\text{-X}_3$

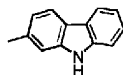
2a-288



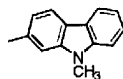
2a-289



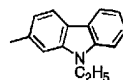
2a-290



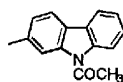
2a-291



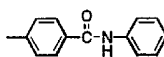
2a-292



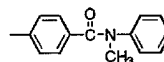
2a-293



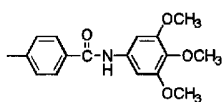
2a-294

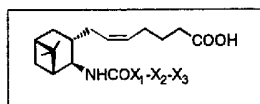


2a-295



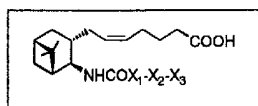
2a-296





No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-297	<chem>Oc1ccc(NC(=O)c2ccccc2)cc1</chem>
2a-298	<chem>COc1ccc(NC(=O)c2ccccc2)cc1</chem>
2a-299	<chem>COc1ccc(NC(=O)c2cc(OC)c(OC)c(OC)c2)cc1</chem>
2a-300	<chem>CN(C)c1ccc(NC(=O)c2ccccc2)cc1</chem>
2a-301	<chem>Cc1ccccc1NC(=O)c2ccccc2</chem>
2a-302	<chem>c1ccccc1NC(=O)c2ccccc2</chem>
2a-303	<chem>CN(C(=O)c1ccccc1)c2ccccc2</chem>
2a-304	<chem>COc1cc(OC)c(OC)c(NC(=O)Nc2ccc(OC)cc2)cc1</chem>
2a-305	<chem>Oc1ccc(NC(=O)c2ccccc2)cc1</chem>
2a-306	<chem>COc1ccc(NC(=O)c2ccccc2)cc1</chem>

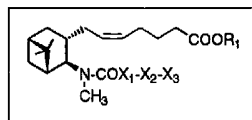




No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-307	
2a-308	
2a-309	
2a-310	
2a-311	
2a-312	
2a-313	
2a-314	
2a-315	

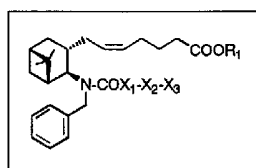


Table 2b



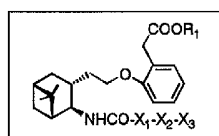
No.	R ₁	X ₁ -X ₂ -X ₃
2b-1	H	
2b-2	H	

Table 2c



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

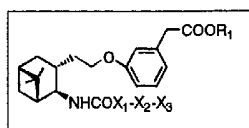
Table 2d



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

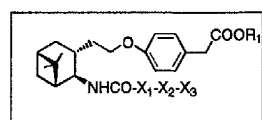


Table 2e



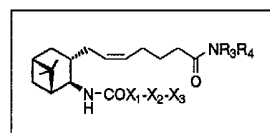
No.	R ₁	X ₁ -X ₂ -X ₃
2e-1	H	
2e-2	H	
2e-3	H	

Table 2f



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

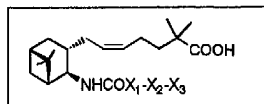
Table 2g



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

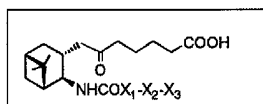


Table 2h



No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2h-1	
2h-2	
2h-3	
2h-4	
2h-5	
2h-6	

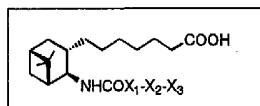
Table 2i



No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2i-1	
2i-2	
2i-3	
2i-4	
2i-5	
2i-6	

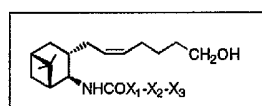


Table 2j



No.	$X_1-X_2-X_3$
2j-1	
2j-2	
2j-3	
2j-4	
2j-5	
2j-6	

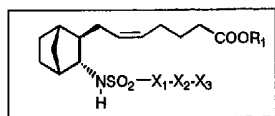
Table 2k



No.	$X_1-X_2-X_3$
2k-1	
2k-2	
2k-3	
2k-4	
2k-5	
2k-6	

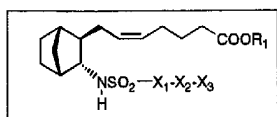


Table 3a



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	

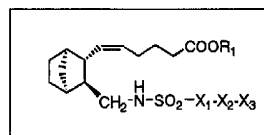




No.	R ₁	X ₁ -X ₂ -X ₃
3a-19	CH ₃	
3a-20	H	
3a-21	CH ₃	
3a-22	H	
3a-23	CH ₃	
3a-24	H	
3a-25	H	$\text{---(CH}_2\text{)}_3\text{CH}_3$
3a-26	CH ₃	
3a-27	H	
3a-28	CH ₃	
3a-29	H	
3a-30	CH ₃	
3a-31	CH ₃	
3a-32	H	
3a-33	Na	
3a-34	H	
3a-35	Na	

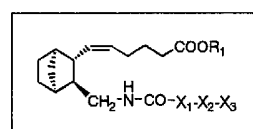


Table 3b



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

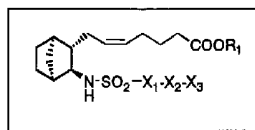
Table 3c



No.	R ₁	X ₁ -X ₂ -X ₃
3c-1	H	

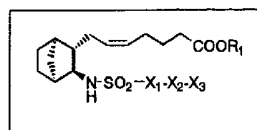


Table 3d



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	





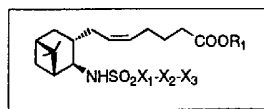
No.	R ₁	X ₁ -X ₂ -X ₃
3d-16	H	
3d-17	H	
3d-18	H	
3d-19	CH ₃	
3d-20	H	
3d-21	CH ₃	
3d-22	H	
3d-23	H	
3d-24	H	
3d-25	H	
3d-26	H Na	
3d-27	H	
3d-28	H Na	
3d-29	H	
3d-30	H Na	

racemic compound

racemic compound

racemic compound

Table 3e



No.	R ₁	X ₁ -X ₂ -X ₃
3e-1	1/2Ca	



Physicochemical properties of compounds above are shown below. The compound number below corresponds to that described in Tables above.

5 No.1a - 4

$[\alpha]_D = -11.5^\circ$ (CHCl_3 , $c=1.01$, 23.5°C).

No.1a - 5

$[\alpha]_D = -10.0^\circ$ (CHCl_3 , $c=1.01$, 25.0°C).

10

No.1a - 6

CDCl_3 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, $J=6.6\text{Hz}$), 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).

15

IR (CHCl_3): 3384, 3278, 3026, 2952, 2874, 1727, 1436, 1411, 1324, 1155, 1097 cm^{-1} .

$[\alpha]_D = -9.0^\circ$ (CHCl_3 , $c=1.04$, 22.0°C).

No.1a - 7

20 CDCl_3 300MHz

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

IR (CHCl_3): 3268, 3028, 2952, 2872, 1708, 1452, 1410, 1324, 1155, 1097 cm^{-1} .

25 $[\alpha]_D = -9.1^\circ$ (CHCl_3 , $c=1.01$, 24.0°C).

No.1a - 8

CDCl_3 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).

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

5 $[\alpha]_D = +1.6^\circ$ (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,
10 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

15 No.1 a - 1 0

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.

20 $[\alpha]_D = -1.5^\circ$ (CHCl₃, c=1.01, 25.0°C).

mp.133-139°C.

No.1 a - 1 1

CD₃OD 300MHz

25 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):3385,3261,3069,3003,2954,2872,1708,1596,1428,1413,1378,1343,1

326,1236,1186,1160,1096 /cm.

mp.144-146°C.



No.1 a - 1 2

CDCl₃ 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(1
5 H,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(2
H,m),7.89-7.92(2H,m).

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

[α]_D=+4.2° (CHCl₃,c=1.01,24°C).

10 mp.85-87°C.

No.1 a - 1 3

CDCl₃ 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),5.1
15 8(1H,d,J=5.7Hz),5.23-5.26(2H,m),6.99-7.02(2H,m),7.55-7.58(2H,m),7.66-7.6
8(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.

[α]_D=+18.3° (CHCl₃,c=1.00,25.5°C) .

20

No.1 a - 1 4

CDCl₃ 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),4.8
5(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=4.8
25 Hz),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.

[α]_D= +5.6° (CHCl₃,c=1.01,24°C).

mp.69-71°C.



No.1 a - 1 5

CDCl₃ 300MHz

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=6.9Hz), 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.[α]_D = +20.8° (CHCl₃, c=1.07, 23°C).

mp. 71-73°C.

10 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).

15 IR(CHCl₃):3376,3276,3018,2946,2868,1726,1593,1435,1394,1322,1159/cm.[α]_D = +7.0° (CHCl₃, c=1.07, 24°C).

No.1 a - 1 7

CDCl₃ 300MHz

20 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.[α]_D = +20.2° (CHCl₃, c=1.02, 24°C).

25 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.

[α]_D=-5.7° (CHCl₃,c=1.01,23°C).

No.1 a - 1 9

5 CDCl₃ 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(2
H,m).

IR(CHCl₃):3372,3254,3018,2948,2868,1707,1431,1328,1151/cm.

10 [α]_D= +4.5° (CHCl₃,c=1.01,21.5°C).

No.1 a - 2 0

CDCl₃ 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),4.9
15 2(1H,d,J=6.0Hz),5.27(2H,m),7.05(1H,m),7.10(1H,d,J=3.6Hz),7.25(1H,m),7.3
2(1H,m),7.49(1H,d,J=3.6Hz).

IR(CHCl₃):3372,3272,3018,2946,2868,1727,1438,1417,1333,1151/cm.

[α]_D=-9.2° (CHCl₃,c=1.01,25°C).

20 No.1 a - 2 1

CDCl₃ 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).

25 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

CDCl₃ 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=1.8and7.8Hz),8.35(1H,d,J=1.8Hz).

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

5 $[\alpha]_D^{25} = +18.3^\circ$ (CHCl₃, c=0.31, 22°C).

No.1 a - 2 3

CDCl₃ 300MHz

10 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=2.1and8.1Hz),8.29(1H,d,J=2.1Hz).

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

$[\alpha]_D^{25} = +17.0^\circ$ (CHCl₃, c=1.00, 21°C).

15

No.1No.1 a - 24

CDCl₃ 300MHz

20 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.

$[\alpha]_D^{25} = +11.2 \pm 0.5^\circ$ (CHCl₃, c=1.04, 23.5°C)

mp.101-103°C

25 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/c



m.

$[\alpha]_D = +29.8 \pm 0.7^\circ$ (CHCl_3 , $c=1.05$, 25°C)

mp. $158-160^\circ\text{C}$

5 No.1 a - 2 6

Anal. Calcd for $\text{C}_{26}\text{H}_{30}\text{N}_3\text{O}_4\text{SNa} \cdot 0.8\text{H}_2\text{O}$: 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°C).

10 No.1 a - 2 7

CDCl_3 300MHz

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

15 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°C).

mp. $158-168^\circ\text{C}$

20 No.1 a - 2 8

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz

0.99-2.14(14H, m), 2.21(1H, m), 2.31(2H, t, $J=7.2\text{Hz}$), 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, 1

25 155, 1134, 1091 /cm.

$[\alpha]_D =$ not measurable (colored, insufficient energy)

mp. $193-196^\circ\text{C}$



No.1 a - 2 9

CD₃OD 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),
5.07-5.27(2H,m),6.80-6.87(2H,m),7.84-8.00(6H,m).

IR(KBr):3433,3087,3004,2949,2871,1604,1565,1520,1444,1420,1364,1312,1

5 253,11638,1136,1090 /cm.

$[\alpha]_D$ = not measurable

No.1 a - 3 0

CDCl₃ 300MHz

10 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),3.6
6(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.

15 $[\alpha]_D$ = +12.9° (CHCl₃, c = 1.04, 26.0°C).

No.1 a - 3 1

CDCl₃ 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),
20 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° (CHCl₃, c = 0.51, 22°C).

25 No.1 a - 3 2

CDCl₃ 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),4.9
2(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. $[\alpha]_D^{25} = +18.6^\circ$ (CHCl₃, c=1.00, 26.0°C).

No.1 a - 3 3

5 CDCl₃+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):3385,3248,2948,2876,1717,1601,1505,1430,1399,1296,1280,1219,1
165,1136,1092 /cm.

10 $[\alpha]_D^{25} = -16.0^\circ$ (CH₃OH, c=1.08, 26.0°C).
mp.208-210°C

No.1 a - 3 4

mp.82-83°C $[\alpha]_D^{25} = +10.6^\circ$ (CHCl₃, c=1.01, 23.5°C).

15

No.1 a - 3 5

mp.80-82°C $[\alpha]_D^{25} = -1.8^\circ$ (CHCl₃, c=1.07, 22.0°C).

No.1 a - 3 6

20 TLC R_f=0.25 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1 a - 3 7

CDCl₃ 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),4.7

25 1(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),
7.28-7.42(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.

$[\alpha]_D^{25} = +10.5^\circ$ (CHCl₃, c=1.01, 24°C).

mp 116-117 °C.



No.1 a - 3 8

CDCl₃ 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,
5 m),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).

10

No.1 a - 3 9

CDCl₃ 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),4.9
6(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),
15 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).

20 No.1 a - 4 0

CDCl₃ 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.
9Hz),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).

25 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



CDCl_3 300MHz

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

5 IR(CHCl_3): 3258, 3018, 3002, 2950, 1709, 1590, 1509, 1457, 1404, 1302, 1250, 1153
/cm.

$[\alpha]_D = +30.2^\circ$ (CHCl_3 , $c=1.00$, 23°C).

mp. 99-100 $^\circ\text{C}$

10 No.1 a - 4 2

CDCl_3 300MHz

1.01-1.99(14H,m), 2.28(2H,t, $J=7.2\text{Hz}$), 2.30(1H,m), 3.10(1H,m), 3.66(3H,s), 5.0
7(1H,br), 5.25-5.30(2H,m), 6.98-7.04(2H,m), 7.16(1H,d, $J=16.2\text{Hz}$), 7.28-7.37(3
H,m), 7.47-7.50(3H,m).

15 IR(CHCl_3): 3372, 3276, 3020, 2946, 2870, 1727, 1491, 1433, 1331, 1152 /cm.

$[\alpha]_D = -11.5^\circ$ (CHCl_3 , $c=1.07$, 21.5°C).

No.1 a - 4 3

CDCl_3 300MHz

20 0.98-2.00(14H,m), 2.11-2.36(3H,m), 3.12(1H,m), 5.10(1H,d, $J=6.6\text{Hz}$), 5.29-
5.32(2H,m), 6.99-7.04(2H,m), 7.23(1H,d, $J=21.6\text{Hz}$), 7.32-7.49(6H,m).

IR(CHCl_3): 3380, 3248, 3020, 2948, 2868, 1709, 1491, 1430, 1329, 1151/cm.

$[\alpha]_D = +3.4^\circ$ (CHCl_3 , $c=1.03$, 25°C).

25 No.1 a - 4 4

CDCl_3 300MHz

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

IR(CHCl_3): 3384, 3282, 3063, 3028, 3023, 3016, 2953, 2876, 1730, 1599, 1496, 1319,



1157 /cm.

$[\alpha]_D^{+2.3^\circ}$ (CHCl_3 , $c=1.00$, 25°C).

mp. $85.0-86.0^\circ\text{C}$

5 No.1 a - 4 5

CDCl_3 300MHz

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

IR(CHCl_3): 3510, 3384, 3270, 3087, 3063, 3026, 3018, 3014, 2955, 2876, 2670, 1708,

10 1599, 1496, 1318, 1157/cm.

$[\alpha]_D^{+8.5^\circ}$ (CHCl_3 , $c=1.01$, 25°C).

No.1 a - 4 6

$[\alpha]_D^{+6.8^\circ}$ (CHCl_3 , $c=1.05$, 25°C). mp. $99-100^\circ\text{C}$.

15

No.1 a - 4 7

CDCl_3 300MHz

0.97-2.01(14H,m), 2.14(1H,m), 2.36(2H,t, $J=7.2\text{Hz}$), 3.02(1H,m), 5.23(1H,d, $J=5.4\text{Hz}$), 5.26-5.30(2H,m), 7.37-7.39(3H,m), 7.54-7.58(2H,m), 7.63-7.66(2H,m), 7.8

20 5-7.88(2H,m).

IR(CHCl_3): 3375, 3260, 3022, 2948, 2212, 1707, 1596, 1497, 1396, 1322, 1160/cm.

$[\alpha]_D^{+25.0^\circ}$ (CHCl_3 , $c=1.02$, 24°C). mp. $117-118^\circ\text{C}$.

No.1 a - 4 8

25 CD_3OD 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(3 H,m), 7.554-7.56(2H,m), 7.69(1H,d, $J=8.4\text{Hz}$), 7.87(1H,d, $J=8.4\text{Hz}$).

No.1 a - 4 9



CDCl_3 300MHz

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

5 IR(CHCl_3): 3374, 3020, 2948, 2870, 2212, 1726, 1606, 1530, 1493, 1437, 1345, 1167/
cm.

$[\alpha]_D^{25} = +2.4^\circ$ (CHCl_3 , $c=1.03$, 25°C). mp. $77-79^\circ\text{C}$.

No.1 a - 5 0

10 CDCl_3 300MHz

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

IR(CHCl_3): 3380, 3254, 2952, 2880, 2212, 1707, 1606, 1531, 1493, 1409, 1344, 1166.

15

$[\alpha]_D^{25} = +23.4^\circ$ (CHCl_3 , $c=1.00$, 25°C).

No.1 a - 5 1

CDCl_3 300MHz

20 0.95-1.98(14H,m), 2.23(1H,m), 2.30(2H,t, $J=7.2\text{Hz}$), 3.00(1H,m), 3.66(3H,s), 4.56(2H,br), 4.70(1H,d, $J=6.9\text{Hz}$), 5.20-5.29(2H,m), 7.15(1H,dd, $J=7.8, 1.8\text{Hz}$), 7.23(1H,d, $J=1.8\text{Hz}$), 7.36-7.39(3H,m), 7.46(1H,d, $J=7.8\text{Hz}$), 7.53-7.56(2H,m).

IR(CHCl_3): 3494, 3386, 3028, 2952, 2874, 1725, 1611, 1559, 1497, 1422, 1317, 1162/
cm.

25

No.1 a - 5 2

CDCl_3 300MHz

0.96-2.04(16H,m), 2.20(1H,m), 2.36(2H,t, $J=6.9\text{Hz}$), 2.99(1H,m), 5.17(1H,d, $J=6.3\text{Hz}$), 5.28-5.31(2H,m), 7.18(1H,dd, $J=9.6, 1.8\text{Hz}$), 7.25(1H,m), 7.36-7.39(3H,m),



7.46(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.

[α]_D=+15.0° (CHCl₃,c=1.00,24°C).

5

No.1 a - 5 3

CDCl₃ 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),5.2

6-5.33(2H,m),7.18(1H,d,J=4.2Hz),7.36-7.39(3H,m),7.48(1H,d,J=4.2Hz),7.51-

10 7.55(2H,m).

IR(CHCl₃):3372,3270,3018,3004,2946,2868,2202,1726,1486,1433,1336,115

4/cm.

[α]_D=+0.6° (CHCl₃,c=1.11,25°C), [α]₄₃₆ +17.8° (CHCl₃,c=1.11,25°C).

15 No.1 a - 5 4

CDCl₃ 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=3.6

Hz),7.52-7.55(2H,m).

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

[α]_D=+17.8° (CHCl₃,c=1.00,24°C). mp.95-96°C

No.1 a - 5 5

CDCl₃ 300MHz

25 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),5.1

0-5.30(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. [α]

_D=-58.4° (CHCl₃,c=1.00,26°C). mp.84-85°C.



No.1 a - 5 6

CDCl₃ 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).

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

[α]_D = -43.1° (CHCl₃, c=1.00, 25°C).

10 No.1 a - 5 7

CDCl₃ 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.

[α]_D = -12.7° (CHCl₃, c=1.00, 24°C).

No.1 a - 5 8

CDCl₃ 300MHz

20 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.

[α]_D = -8.6° (CHCl₃, c=1.01, 24°C).

25

No.1 a - 5 9

CDCl₃ 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,



$J=8.4\text{Hz}$).

IR(CHCl_3): 3583, 3376, 3002, 2936, 2852, 1725, 1591, 1490, 1437, 1393, 1325, 1160/cm.

$[\alpha]_D = -8.8^\circ$ (CHCl_3 , $c=1.00$, 24°C).

5

No. 1 a - 6 0

CDCl_3 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, $J=5.7\text{Hz}$), 7.50(2H,d, $J=8.7\text{Hz}$), 7.80(2H,d, $J=8.7\text{Hz}$).

10 IR(CHCl_3): 3376, 3260, 3022, 2936, 2852, 1710, 1592, 1491, 1452, 1395, 1325, 1159/cm.

$[\alpha]_D = -8.9^\circ$ (CHCl_3 , $c=1.06$, 24°C),

mp. 88-91°C

15 No. 1 a - 6 1

CDCl_3 300MHz

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

IR(CHCl_3): 3374, 3270, 3018, 2942, 2868, 2196, 1726, 1589, 1490, 1435, 1324, 1158/cm.

20 cm.

$[\alpha]_D = +7.7^\circ$ (CHCl_3 , $c=1.02$, 24°C), mp. 93-95°C

No. 1 a - 6 2

CDCl_3 300MHz

25 0.96-2.45(23H,m), 2.36(2H,d, $J=6.9\text{Hz}$), 2.99(1H,m), 5.24(1H,d, $J=6.3\text{Hz}$), 5.24-5.32(2H,m), 6.28(1H,m), 7.50-7.53(2H,m), 7.78-7.81(2H,m). IR(CHCl_3): 3468, 3374, 3260, 3020, 2942, 2868, 2196, 1598, 1490, 1455, 1398, 1322, 1157/cm.

$[\alpha]_D = +19.4^\circ$ (CHCl_3 , $c=1.03$, 24°C).



No.1 a - 6 3

CDCl₃ 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(2H,J=8.7Hz).

5

IR(CHCl₃):3376,3018,2946,2866,2222,1727,1592,1456,1435,1325,1158/cm.[α]_D=+3.7° (CHCl₃,c=1.00,25°C).

No.1 a - 6 4

10 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.

15 [α]_D=+14.4° (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).

20

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

[α]_D=+9.1° (CHCl₃,c=1.03,24°C), mp.111-112°C

25

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), 7.8



2-7.85(2H,m).

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

[α]_D = -21.0° (CHCl₃, c=1.00, 23°C), mp. 161-162°C

5

No.1 a - 6 7

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), 4.8
2(1H,d, J=6.6Hz), 5.19-5.27(2H,m), 7.05-7.10(2H,m), 7.51-7.56(2H,m), 7.61-7.6

10 4(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.

[α]_D = +6.7° (CHCl₃, c=1.01, 24°C), mp. 84-85°C

15 No.1 a - 6 8

CDCl₃ 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,
20 1156/cm.

[α]_D = +22.6° (CHCl₃, c=1.02, 24°C), mp. 135-136°C

No.1 a - 6 9

CDCl₃ 300MHz

25 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), 3.6
9(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.



$[\alpha]_D^{25} = +9.2^\circ$ (CHCl_3 , $c = 1.02$, 24°C).

mp. $116-118^\circ\text{C}$

No. 1 a - 7 0

5 CDCl_3 300MHz

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

IR(CHCl_3): 3380, 3260, 3020, 2948, 2868, 2210, 1708, 1590, 1511, 1396, 1324, 1160,

10 1133/cm.

$[\alpha]_D^{25} = +24.6^\circ$ (CHCl_3 , $c = 1.00$, 24°C).

No. 1 a - 7 1

CDCl_3 300MHz

15 0.95-1.96(14H,m), 2.19(1H,m), 2.29(2H,t, $J = 7.2$ Hz), 3.00(1H,m), 3.20(1H,s), 3.65(3H,s), 4.81(1H,d, $J = 6.6$ Hz), 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(CHCl_3): 3374, 3290, 3018, 3002, 2946, 2868, 2212, 2110, 1726, 1591, 1507, 1435, 1401, 1324, 1161/cm.

20 $[\alpha]_D^{25} = +9.6^\circ$ (CHCl_3 , $c = 1.01$, 24°C), mp. $136-138^\circ\text{C}$,

No. 1 a - 7 2

CDCl_3 300MHz

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

IR(CHCl_3): 3462, 3374, 3290, 3024, 2948, 2868, 2212, 2110, 1708, 1591, 1508, 1455, 1401, 1321, 1274, 1160, 1132/cm.

$[\alpha]_D^{25} = +24.3^\circ$ (CHCl_3 , $c = 1.03$, 24°C), mp. $96-99^\circ\text{C}$



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(1
 5 H,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,
 1161/cm.

[α]_D=+7.8° (CHCl₃, c=1.02, 24°C), mp. 102-104°C

10

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=6.6
 Hz), 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
 15 -7.87(2H,m).

IR(CHCl₃): 3482, 3250, 3022, 2946, 2868, 2214, 1716, 1709, 1589, 1507, 1454, 1396,
 1368, 1322, 1195, 1161/cm.

[α]_D=+15.0° (CHCl₃, c=1.00, 24°C), mp. 129-131°C

20 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), 3.9
 4(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).

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

[α]_D=+7.3° (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, $J=6.9\text{Hz}$), 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.)

5

No. 1 a - 7 7

CDCl₃ 300MHz

0.96-1.99(14H,m), 2.20(1H,m), 2.30(2H,t, $J=7.2\text{Hz}$), 3.02(1H,m), 3.68(3H,s), 4.88(1H,d, $J=6.3\text{Hz}$), 5.19-5.29(2H,m), 7.67-7.72(4H,m), 7.89-7.91(2H,m), 8.24-8.2

10 7(2H,m).

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

$[\alpha]_D = +7.7^\circ$ (CHCl₃, $c=1.02$), mp. 87-89°C

15 No. 1 a - 7 8

CDCl₃ 300MHz

0.98-2.00(14H,m), 2.18(1H,m), 2.34(2H,t, $J=7.2\text{Hz}$), 3.02(1H,m), 5.24-5.28(2H,m), 5.32(1H,d, $J=5.7\text{Hz}$), 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.

20 $[\alpha]_D = +23.3^\circ$ (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, $J=7.1\text{Hz}$), 3.05(1H,m), 3.84(3H,s), 5.1

25 8(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.

$[\alpha]_D = +26.7^\circ$ (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),4.8
5 0(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,
1436,1344,1296,1161/cm.

[α]_D=+7.4° (CHCl₃,c=1.00,22°C), mp.68-70°C

10

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.
15 9Hz,1.5Hz).

IR(CHCl₃):3480,3382,3262,3026,2952,2872,2218,1708,1607,1567,1526,1396,
1343,1225,1160/cm.

[α]_D=+22.0° (CHCl₃,c=1.00), mp.92-94°C

20 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),4.3
0(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).

25 IR(CHCl₃):3376,3020,2946,2868,2202,1725,1613,1589,1484,1454,1315,1253,
1161/cm.

[α]_D=+8.9° (CHCl₃,c=1.00,22°C). mp.68-70°C

No.1 a - 8 3



CDCl_3 300MHz

0.97-1.99(14H,m), 2.17(1H,m), 2.33(2H,t, $J=6.9\text{Hz}$), 2.99(1H,m), 5.20-5.28(2H,m), 5.37(1H,d, $J=6.9\text{Hz}$), 6.45(2H,br), 6.71-6.76(2H,m), 7.19(1H,dd, $J=7.8, 6.6\text{Hz}$), 7.37(1H,m), 7.62(2H,d, $J=8.4\text{Hz}$), 7.85(2H,d, $J=8.4\text{Hz}$).

5 IR(CHCl_3): 3478, 3378, 3260, 3022, 2950, 2868, 2204, 1708, 1613, 1589, 1484, 1454, 1396, 1316, 1160/cm.

$[\alpha]_D^{25} = +17.1^\circ$ (CHCl_3 , $c=1.01$).

No.1 a - 8 4

10 CDCl_3 300MHz

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

IR(CHCl_3): 3492, 3254, 3028, 2954, 2202, 1708, 1597, 1512, 1344, 1291, 1250/cm.

15 $[\alpha]_D^{25} = +27.4^\circ$ (CHCl_3 , $c=0.53$, 23°C).

No.1 a - 8 5

CDCl_3 300MHz

0.96-2.05(14H,m), 2.20(1H,m), 2.35(2H,t, $J=6.9\text{Hz}$), 2.99(1H,m), 3.84(3H,s), 5.2

20 2-5.31(3H,m), 6.89(2H,d, $J=8.7\text{Hz}$), 7.19(1H,brs), 7.29(1H,brs), 7.45-7.50(3H,m).

IR(CHCl_3): 3478, 3378, 3020, 2950, 2868, 2202, 1708, 1606, 1511, 1421, 1311, 1287, 1248, 1155/cm.

$[\alpha]_D^{25} = +17.1^\circ$ (CHCl_3 , $c=1.00$, 23°C).

25

No.1 a - 8 6

CDCl_3 300MHz

1.03-2.05(14H,m), 2.21(1H,m), 2.37(2H,t, $J=6.9\text{Hz}$), 3.04(1H,m), 5.29-5.33(2H,m), 5.57(1H,d, $J=6.3\text{Hz}$), 6.84-6.87(2H,m), 7.50-7.53(2H,m), 7.79(1H,d, $J=8.1\text{Hz}$)



), 8.03(1H, d, d, J=1.5 and 8.1 Hz), 8.57(1H, d, J=1.5 Hz).

IR(CHCl₃): 3250, 3024, 2950, 2868, 2200, 1707, 1515, 1344, 1271, 1166, 1143/cm.

[α]_D = +21.2° (CHCl₃, c=0.26, 22°C).

5 No. 1 a - 8 7

CD₃OD 300MHz

1.04-2.00(14H, m), 2.18(1H, m), 2.26(2H, t, J=5.4 Hz), 2.93(1H, m), 5.19-5.24(2H, m), 6.77-6.80(2H, m), 7.05(1H, d, d, J=2.1 and 8.1 Hz), 7.22(1H, d, J=2.1 Hz), 7.38-7.42(3H, m).

10 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

15 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=6.6 Hz), 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, brs).

IR(CHCl₃): 3376, 3016, 2946, 2868, 1720, 1677, 1592, 1514, 1498, 1429, 1376, 1314, 1241, 1156, 1094 /cm.

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

No. 1 a - 8 9

CDCl₃+CD₃OD 300MHz

25 0.96-2.08(14H, m), 2.23(1H, m), 2.28(2H, t, J=7.2 Hz), 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, 1260, 1152, 1094 /cm.

[α]_D = -24.4° (CH₃OH, c=1.02, 25.0°C).



No.1 a - 9 0

CDCl_3 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(CHCl_3): 3372, 3018, 2946, 2868, 1718, 1685, 1592, 1527, 1436, 1397, 1346, 1318, 1256, 1154, 1099 /cm .

$[\alpha]_D = -16.1^\circ$ (CHCl_3 , c=1.00, 23.0°C).

10

No.1 a - 9 1

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 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).

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

$[\alpha]_D = -26.3^\circ$ (CH_3OH , c=1.01, 22°C).

No.1 a - 9 2

20 CDCl_3 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).

25 IR(CHCl_3): 3382, 3008, 2952, 1720, 1675, 1599, 1525, 1499, 1438, 1321, 1253, 1161, 1087 /cm .

$[\alpha]_D = -16.6^\circ$ (CHCl_3 , c=1.03, 24.0°C) mp. 100-101°C

No.1 a - 9 3

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 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.

5 $[\alpha]_D = -19.4^\circ$ (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), 5.1

10 3-5.27(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, 1
302, 1248, 1162, 1107, 1090, 1032/cm.

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

15 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, 1

20 302, 1248, 1162, 1107, 1090, 1032/cm.

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

No. 1 a - 9 6

CD₃OD 300MHz

25 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, 1
259, 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

 d_6 -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
 5 (3H,m), 7.73(1H,d,d,J=1.4 and 7.8Hz), 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/
 m.

$[\alpha]_D = -20.7^\circ$ (CH_3OH , $c=1.01$, $21^\circ C$).

10

No.1 a - 9 8

 $CDCl_3$ 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), 3.8
 5(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), 7.9
 15 0-7.98(2H,m), 8.58(1H,s).

IR($CHCl_3$): 3264, 3008, 2954, 2874, 1707, 1670, 1607, 1537, 1506, 1451, 1421, 1308,
 1158, 1129, 1088/cm.

$[\alpha]_D = -7.2^\circ$ ($CHCl_3$, $c=1.01$, $23.5^\circ C$). mp. 147-149°C.

20 No.1 a - 9 9

 CD_3OD 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), 3.8
 6(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).

25 IR(KBr): 3354, 3002, 2950, 2874, 1656, 1607, 1570, 1508, 1452, 1413, 1314, 1233, 1
 185, 1157, 1127, 1092/cm.

$[\alpha]_D = -20.3^\circ$ (CH_3OH , $c=1.00$, $23.5^\circ C$).

No.1 a - 1 0 0



CDCl_3 300MHz

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

- 5 IR(CHCl_3): 3386, 3271, 3025, 3015, 2955, 2877, 1755, 1712, 1608, 1331, 1162/cm.
 $[\alpha]_D = -29.4^\circ$ (CH_3OH , $c=1.01$, 25°C).

No.1 a - 1 0 1

d_6 -DMSO

- 10 1.00-2.20(17H,m), 2.84(1H,m), 5.00-5.20(2H,m), 7.78(2H,d, $J=8.2\text{Hz}$), 7.84(1H,s), 7.89-7.95(3H,m).

IR(KBr): 3269, 3065, 3008, 2952, 2874, 2763, 1746, 1707, 1607, 1322, 1157 /cm.
 $[\alpha]_D = -26.2^\circ$ (CH_3OH , $c=1.01$, 25°C).

- 15 No.1 a - 1 0 2

CD_3OD

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, $J=8.6\text{Hz}$), 7.90(2H,d, $J=8.6$).

- IR(KBr): 3430, 3277, 3006, 2952, 2873, 1720, 1687, 1620, 1571, 1438, 1312, 1156 /cm.
 20 m.
 $[\alpha]_D = -27.3^\circ$ (CH_3OH , $c=0.51$, 26°C), mp $230-232^\circ\text{C}$.

No.1 a - 1 0 3

CDCl_3 300MHz

- 25 0.94-1.96(14H,m), 2.19(1H,m), 2.28(2H,t, $J=7.2\text{Hz}$), 3.04(1H,m), 3.69(3H,s), 5.11(1H,d, $J=6.6\text{Hz}$), 5.15-5.28(2H,m), 7.60(2H,d, $J=8.4\text{Hz}$), 7.67(1H,s), 7.98(2H,d, $J=8.4\text{Hz}$).

IR(CHCl_3): 3381, 3021, 2955, 2876, 1735, 1605, 1437, 1411, 1325, 1231, 1177 /cm.
 $[\alpha]_D = +8.6^\circ$ (CHCl_3 , $c=1.00$, 23°C).



No.1 a - 1 0 4

CDCl_3 300MHz

0.94-1.96(14H,m), 2.21(1H,m), 2.31(2H,t, $J=6.8\text{Hz}$), 2.99(1H,m), 5.18-5.28(2H, 5 m), 5.45(1H,d, $J=6.6\text{Hz}$), 7.61(2H,d, $J=8.7\text{Hz}$), 7.67(1H,s), 7.99(2H,d, $J=8.7\text{Hz}$).

IR(CHCl_3): 3382, 3222, 3028, 3019, 2957, 2876, 1736, 1709, 1604, 1412, 1322, 1301, 1286, 1179, 1162 /cm .

$[\alpha]_D = +10.4^\circ$ (CHCl_3 , $c=1.00$, 23°C).

10 No.1 a - 1 0 5

CDCl_3 300MHz

0.92-1.98(14H,m), 2.17(1H,m), 2.26(2H,d, $J=7.5\text{Hz}$), 3.01(1H,m), 3.69(3H,s), 4.0 1(3H,s), 4.84(1H,d, $J=6.3\text{Hz}$), 5.14-5.30(2H,m), 7.71(2H,d, $J=8.7\text{Hz}$), 7.87(2H,d, $J=8.7\text{Hz}$), 8.09(1H,s).

15 IR(CHCl_3): 3385, 3284, 3025, 3015, 2954, 2877, 2821, 1730, 1598, 1459, 1438, 1403, 1341, 1160, 1052 /cm .

$[\alpha]_D = +3.6^\circ$ (CHCl_3 , $c=1.00$, 26°C).

No.1 a - 1 0 6

20 CDCl_3 300MHz

0.92-2.08(14H,m), 2.14(1H,m), 2.34(2H,d, $J=7.2\text{Hz}$), 3.02(1H,m), 4.01(3H,s), 5.1 9(1H,d, $J=6.9\text{Hz}$), 5.23-5.32(2H,m), 7.71(2H,d, $J=8.4\text{Hz}$), 7.88(2H,d, $J=8.4\text{Hz}$), 8. 09(1H,s).

IR(CHCl_3): 3510, 3384, 3268, 3028, 3021, 3014, 2957, 2877, 2821, 2667, 2821, 2666, 25 1707, 1598, 1459, 1404, 1341, 1324, 1160, 1052 /cm .

$[\alpha]_D = +11.8^\circ$ (CHCl_3 , $c=1.01$, 25°C). mp $95-96^\circ\text{C}$

No.1 a - 1 0 7

CDCl_3 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,

5 1403, 1338, 1161 /cm.

[α]_D=+4.4° (CHCl₃, c=1.00, 25°C).

No.1 a - 1 0 8

CDCl₃ 300MHz

10 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,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.

15 [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

20 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).

25 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,
5 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

10

No.1 a - 1 1 3

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

15 No.1 a - 1 1 4

[α]_D = +2.2° (CHCl₃, c=1.02, 25°C).

No.1 a - 1 1 5

CDCl₃ 300MHz

20 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°

25 [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)

5

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).

10 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)

No.1 a - 1 1 8

15 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).

20 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

25 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,
5 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):3430,3278,3006,2952,2873,1583,1487,1410,1322,1298,1245,1152,1
095 /cm.

$[\alpha]_D = -8.8^\circ$ (CH₃OH,c=1.05,25.0°C).

10 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.
9Hz),5.22-5.35(2H,m),7.00-7.09(4H,m),7.18-7.25(1H,m),7.37-7.45(2H,m),7.7
9-7.86(2H,m).

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

$[\alpha]_D = +13.1^\circ$ (CHCl₃,c=1.04,24.0°C).

No.1 a - 1 2 2

20 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),4.6
9(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).

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

$[\alpha]_D = +6.2^\circ$ (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.

5 $[\alpha]_D = +20.9^\circ$ (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), 3.6

10 8(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, 1148, 1091 /cm.

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

15

No.1 a - 1 2 5

CDCl₃ 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), 3.6

20 8(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).

25 No.1 a - 1 2 6

CDCl₃ 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), 4.0

3(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.

[α]_D= +10.0° (CHCl₃,c=1.09,23.0°C).

5 No.1 a - 1 2 7

CDCl₃ 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(1
H,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).

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

[α]_D= -2.1° (CHCl₃,c=1.00,21.5°C).

No.1 a - 1 2 8

15 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),5.0
5-5.27(3H,m),6.73-6.82(2H,m),6.96-7.04(2H,m),7.25-7.32(2H,m),7.74-7.81(2
H,m).

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

[α]_D=+4.8° (CHCl₃,c=1.04,22°C).

No.1 a - 1 2 9

CDCl₃ 300MHz

25 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),3.7
9(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),7.0
3-7.10(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.



$[\alpha]_D^{20} = +19.3^\circ$ (CHCl_3 , $c = 1.05$, 23°C).

No.1 a - 1 3 0

CDCl_3 300MHz

5 0.90-2.00(14H,m), 2.20(1H,m), 2.30(2H,t, $J = 7.2\text{Hz}$), 2.98(1H,m), 3.69(3H,s), 4.81(1H,d, $J = 6.6\text{Hz}$), 5.12-5.32(2H,m), 5.46(1H,brs), 6.84-7.01(6H,m), 7.76-7.83(2H,m)

IR(CHCl_3): 3380, 3284, 3024, 2952, 2874, 1724, 1588, 1504, 1488, 1436, 1321, 1296, 1149, 1091/ cm^{-1} .

10 $[\alpha]_D^{20} = +28.9^\circ$ (CHCl_3 , $c = 1.01$, 23°C).

No.1 a - 1 3 1

CDCl_3 300MHz

15 0.92-2.10(14H,m), 2.18(1H,m), 2.34(2H,t, $J = 6.9\text{Hz}$), 2.96(1H,m), 5.18-5.35(3H,m), 6.84-7.01(6H,m), 7.75-7.83(2H,m).

IR(CHCl_3): 3270, 3028, 2952, 2874, 1708, 1589, 1505, 1489, 1456, 1322, 1297, 1238, 1148, 1091/ cm^{-1} .

$[\alpha]_D^{20} = +7.7^\circ$ (CHCl_3 , $c = 1.09$, 24°C).

20 No.1 a - 1 3 2

CDCl_3 300MHz

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

25 IR(CHCl_3): 3384, 3006, 2952, 2874, 1727, 1589, 1502, 1488, 1459, 1438, 1321, 1295, 1231, 1150, 1092, 1033/ cm^{-1} .

$[\alpha]_D^{20} = +3.1^\circ$ (CHCl_3 , $c = 1.01$, 23°C).

No.1 a - 1 3 3



TLC Rf=0.21 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1 a - 1 3 4

CDCl₃ 300MHz

5 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):3384,3270,3020,2958,1709,1610,1587,1537,1479,1352,1271,1252,1167/cm.

10 [α]_D=+20.9° (CHCl₃,c=0.51,22°C).

No.1 a - 1 3 5

CDCl₃ 300MHz

15 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(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):3384,3282,3026,2952,2874,1727,1663,1596,1446,1396,1316,1274,1163,1090 /cm.

[α]_D= +3.1° (CHCl₃,c=1.03,22.0°C).

20

No.1 a - 1 3 6

CDCl₃ 300MHz

25 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(2H,m),7.95-8.06(2H,m).
IR(CHCl₃):3260,3018,2950,2870,1708,1662,1595,1446,1395,1316,1274,1162,1090 /cm.

[α]_D= +12.9° (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),5.1
5-5.30(3H,m),7.48-7.68(5H,m),7.96-8.02(2H,m).

5 IR(CHCl₃):3382,3030,2952,2878,1725,1446,1329,1154,1098 /cm.[α]_D= -12.1° (CHCl₃,c=1.03,22.0°C).

No.1 a - 1 3 8

CDCl₃ 300MHz

10 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.[α]_D=-0.6° (CHCl₃,c=1.06,21.5°C) [α]₈₆₅ +30.7° (CHCl₃,c=1.06,21.5°C).

15 No.1 a - 1 3 9

CDCl₃ 300MHz

0.92-2.19(14H,m),2.27-2.34(3H,m),3.26(1H,m),3.65(3H,s),4.28(2H,s),4.37(1
H,d,J=7.4Hz),5.34-5.50(2H,m),7.37-7.62(9H,m).

20 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 300MHz

25 0.92-2.22(15H,m),2.34(2H,t,J=7.1Hz),3.24(1H,m),4.29(2H,s),4.81(1H,d,J=7.
4Hz),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,
1151,1129 /cm.

[α]_D= -24.6° (CHCl₃,c=1.02,25°C).

No.1 a - 1 4 1

CDCl₃ 300MHz

0.92-2.19(15H,m),2.32(2H,t,J=7.2Hz),3.26(1H,m),3.65(3H,s),4.31(2H,s),4.48

5 (1H,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).

10 No.1 a - 1 4 2

CDCl₃ 300MHz

0.92-2.22(15H,m),2.35(2H,t,J=6.8Hz),3.25(1H,m),4.32(2H,s),4.86(1H,d,J=7.

4Hz),5.33-5.53(2H,m),7.43-7.80(8H,m).

IR(CHCl₃):3512,3388,3258,3031,3023,3014,2956 2877,2225,1708,1597,147

15 9,1319,1151,1128 /cm.

[α]_D= -19.3° (CHCl₃,c=1.09,23°C).

No.1 a - 1 4 3

CDCl₃ 300MHz

20 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=2.1and9.0Hz),8.51(1H,d,J=1.8Hz),8.65(1H,d,J=2.1Hz).

IR(CHCl₃):3382,3266,3026,2954,2874,1708,1632,1585,1528,1458,1419,1345,1153/cm.

25 [α]_D=+7.6° (CHCl₃,c=1.04,22°C).

No.1 a - 1 4 4

CDCl₃ 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.



6Hz), 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=1.8and9.0Hz), 8.32(1H,d,J=1.8Hz).
 IR(CHCl₃): 3380, 3260, 3022, 2948, 2868, 2352, 1709, 1636, 1460, 1425, 1313, 1291, 1265, 1148, 1130/cm.

5 $[\alpha]_D^{25} = +12.9^\circ$ (CHCl₃, c=1.02, 22.5°C).

No. 1 a - 1 4 5

CDCl₃ 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), 5.1
 10 2(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, 1151, 1124/cm.

$[\alpha]_D^{25} = +6.3^\circ$ (CHCl₃, c=1.04, 23°C).

15

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), 5.1
 3-5.28(2H,m), 5.38(1H,d,J=6.9Hz), 7.67-7.74(2H,m), 8.08(1H,d,d,J=1.8and9.0
 20 Hz), 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.

$[\alpha]_D^{25} = +7.8^\circ$ (CHCl₃, c=1.00, 23°C).

25 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), 5.1
 0-5.27(5H,m), 6.92(1H,s), 7.29(1H,s), 7.52(1H,d,J=8.7Hz), 7.82(1H,d,d,J=2.1a
 nd 8.7Hz), 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.

[α]_D=+5.6° (CHCl₃, c=1.02, 23°C).

5 No.1a - 148

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).

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

[α]_D=+11.2° (CHCl₃, c=1.01, 23°C).

No.1a - 149

15 CDCl₃ 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(1
H,d,J=8.7Hz),7.96(1H,d,d,J=1.8and8.7Hz),8.45(1H,d,J=1.8Hz).

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

[α]_D=-11.4° (CHCl₃, c=1.01, 23°C).

No.1a - 150

CDCl₃ 300MHz

25 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.
36(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,



1266, 1162, 1145, 1118/cm.

$[\alpha]_D = +4.9^\circ$ (CHCl_3 , $c = 1.00$, 24°C).

No. 1 a - 1 5 1

5 CDCl_3 300MHz

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

10 IR(CHCl_3): 3260, 3018, 2948, 1708, 1483, 1454, 1432, 1314, 1287, 1268, 1188, 1169, 1147/cm.

$[\alpha]_D = +4.9^\circ$ (CHCl_3 , $c = 1.01$, 23.5°C).

No. 1 a - 1 5 2

15 CDCl_3 300MHz

0.98-2.04(14H, m), 2.15(1H, m), 2.30(2H, t, $J = 6.6\text{Hz}$), 3.04(1H, m), 5.17-5.29(3H, m), 7.41(1H, d, d, $J = 1.5$ and 8.1Hz), 7.64-7.68(2H, m), 7.92(1H, d, $J = 8.4\text{Hz}$), 8.00(1H, d, d, $J = 1.8$ and 8.4Hz), 8.49(1H, d, $J = 1.8\text{Hz}$).

20 IR(CHCl_3): 3266, 3028, 2952, 2872, 1707, 1629, 1591, 1456, 1416, 1318, 1275, 1150/cm.

$[\alpha]_D = +3.2^\circ$ (CHCl_3 , $c = 1.04$, 23°C).

No. 1 a - 1 5 3

CDCl_3 300MHz

25 0.97-1.88(14H, m), 2.16(1H, m), 2.26(2H, t, $J = 7.2\text{Hz}$), 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, $J = 2.7$ and 9.0Hz), 7.46-7.52(2H, m), 7.63(1H, d, $J = 8.7\text{Hz}$), 7.97(1H, d, d, $J = 1.8$ and 8.7Hz), 8.49(1H, d, $J = 1.8\text{Hz}$).

IR(CHCl_3): 3374, 3260, 3020, 2948, 2868, 1708, 1599, 1478, 1446, 1414, 1314, 1284, 1268, 1184, 1148, 1120/cm.



$[\alpha]_D^{23} = +5.3^\circ$ (CHCl_3 , $c=1.00$, 23°C).

No.1 a - 1 5 4

CDCl_3 300MHz

5 0.99-2.00(15H,m), 2.26(2H,t, $J=7.2\text{Hz}$), 3.03(1H,m), 4.07(3H,s), 5.23-5.27(2H,m), 5.36(1H,d, $J=7.2\text{Hz}$), 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(CHCl_3): 3362, 3257, 3020, 2948, 2868, 1708, 1637, 1602, 1579, 1488, 1457, 1437, 1413, 1345, 1318, 1301, 1276, 1182, 1104/cm.

10 $[\alpha]_D^{25} = +19.4^\circ$ (CHCl_3 , $c=1.01$, 25°C).

mp. 88-90°C

No.1 a - 1 5 5

CDCl_3 300MHz

15 0.92-2.02(14H,m), 2.15(1H,m), 2.31(2H,t, $J=7.2\text{Hz}$), 3.01(1H,m), 4.10(2H,s), 5.10(1H,d, $J=6.6\text{Hz}$), 5.18-5.35(2H,m), 7.04-7.26(5H,m), 7.67-7.76(2H,m).

IR(CHCl_3): 3266, 3028, 2952, 2952, 2872, 1708, 1599, 1574, 1478, 1457, 1418, 1301, 1258, 1147, 1124, 1101, 1080/cm.

$[\alpha]_{365}^{23} = +33.4^\circ$ (CHCl_3 , $c=1.00$, 23°C).

20

No.1 a - 1 5 6

CDCl_3 300MHz

0.91-2.21(15H,m), 2.33(2H,t, $J=6.9\text{Hz}$), 3.01(1H,m), 5.11(1H,d, $J=6.6\text{Hz}$), 5.27-5.35(2H,m), 6.85-6.96(5H,m), 7.35(1H,d, $J=2.1\text{Hz}$), 7.42(1H,d,d, $J=2.1$ and 8.7Hz).

25 IR(CHCl_3): 3384, 3263, 2957, 1708, 1587, 1489, 1462, 1416, 1290, 1222, 1151, 1123/cm.

$[\alpha]_D^{23} = +6.4^\circ$ (CHCl_3 , $c=1.00$, 23°C).

No.1 a - 1 5 7



CDCl_3 300MHz

0.97-1.91(14H,m), 2.18(1H,m), 2.26(2H,t, $J=6.9\text{Hz}$), 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(CHCl_3): 3382, 3268, 2952, 2874, 1707, 1457, 1425, 1409, 1318, 1152/cm.

5 $[\alpha]_D^{25} = +4.4^\circ$ (CHCl_3 , $c=1.02$, 22°C).

No.1 a - 1 5 8

CDCl_3 300MHz

10 1.02-1.97(14H,m), 2.20(1H,m), 2.29(2H,t, $J=7.2\text{Hz}$), 3.06(1H,m), 5.19-5.24(2H,m), 5.58(1H,d, $J=6.6\text{Hz}$), 7.62(1H,m), 7.72(1H,m), 7.86-7.91(2H,m), 7.96(1H,d, $J=7.8\text{Hz}$), 8.04(1H,d,d, $J=1.5$ and 8.1Hz), 8.34(1H,d, $J=1.2\text{Hz}$).

IR(CHCl_3): 3490, 3260, 3020, 2950, 2870, 1707, 1456, 1399, 1312, 1165/cm.

$[\alpha]_D^{25} = -8.3^\circ$ (CHCl_3 , $c=1.00$, 23°C).

15 No.1 a - 1 5 9

CDCl_3 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, $J=1.8$ and 7.5Hz), 8.13(1H,d, $J=7.5\text{Hz}$), 8.64(1H,d, $J=1.8\text{Hz}$).

20 IR(CHCl_3): 3382, 3266, 3018, 2956, 1708, 1629, 1594, 1476, 1467, 1325, 1245, 1227, 1158, 1146/cm.

$[\alpha]_D^{25} = +14.6^\circ$ (CHCl_3 , $c=1.00$, 22°C).

No.1 a - 1 6 0

25 CDCl_3 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, $J=1.5$ and 7.8Hz), 8.10(1H,d, $J=7.8\text{Hz}$), 8.63-8.64(2H,m).

IR(CHCl_3): 3465, 3380, 3275, 3020, 2957, 2876, 1708, 1627, 1604, 1495, 1473, 1457,



1328,1240,1222,1156,1149/cm.

$[\alpha]_D = +8.2^\circ$ (CHCl_3 , $c=1.01$, 22°C).

No.1 a - 1 6 1

5 CDCl_3 300MHz

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

IR(CHCl_3): 3478, 3266, 3028, 2952, 2874, 1708, 1454, 1417, 1323, 1196, 1148/cm.

10 $[\alpha]_D = +21.9^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1 a - 1 6 2

CDCl_3 300MHz

0.96-1.98(14H,m), 2.02(1H,m), 2.25(2H,t, $J=7.2\text{Hz}$), 3.05(1H,m), 4.10(3H,s), 5.1
15 4-5.25(2H,m), 5.41(1H,d, $J=7.2\text{Hz}$), 7.35-7.42(1H,m), 7.51-7.64(3H,m), 7.94-8.0
0(1H,m), 8.16(1H,s).

IR(CHCl_3): 3368, 3274, 3028, 2952, 2874, 1708, 1633, 1583, 1465, 1452, 1438, 1413,
1315, 1151, 1103, 1053, 1024/cm.

$[\alpha]_D = +15.1^\circ$ (CHCl_3 , $c=1.01$, 23°C). mp. 108-110°C

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No.1 a - 1 6 3

d_6 -DMSO 300MHz

0.97-1.84(14H,m), 1.92(1H,m), 2.04(2H,t, $J=7.5\text{Hz}$), 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.
25 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.

$[\alpha]_D = -25.3^\circ$ (CH_3OH , $c=1.01$, 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

10 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).

15 IR(CHCl₃): 3366, 3087, 3022, 2957, 1708, 1632, 1538, 1463, 1408, 1364, 1346, 1308, 1227, 1212, 1205, 1167/cm.

[α]_D = +19.6° (CHCl₃, c=1.01, 25°C).

No.1 a - 1 6 6

20 CDCl₃ 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=0.6 and 9.0Hz), 8.25(1H,s), 8.47(1H,d,d,J=2.4 and 9.0Hz), 8.94(1H,d,d,J=0.6 and 2.4Hz).

IR(CHCl₃): 3373, 2957, 1708, 1639, 1587, 1528, 1467, 1428, 1415, 1345, 1221, 1184, 1155/cm.

[α]_D = +14.4° (CHCl₃, c=0.50, 25°C)

No.1 a - 1 6 7

CDCl₃ 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), 5.1
5-5.30(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
=0.3Hz).

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

5 cm.

[α]_D = +18.2° (CHCl₃, c=1.04, 22°C).

No.1 a - 1 6 8

CDCl₃ 300MHz

10 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=1.5
and 8.1Hz), 8.08-8.14(3H,m), 8.93(1H,s).

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

cm.

15 [α]_D = +18.0° (CHCl₃, c=1.00, 22°C).

No.1 a - 1 6 9

CDCl₃ 300MHz

20 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), 5.0
0-5.22(2H,m), 5.27(1H,d, J=6.9Hz), 6.88(1H,d,d, J=2.1 and 8.4Hz), 6.94(1H,d, J=
2.1Hz), 7.69(1H,d,d, J=1.5 and 7.8Hz), 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).

25

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=1.6 and 8.2Hz), 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

5 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.

10 [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),5.1
15 2-5.22(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),7.78(1H,d,J=2.1Hz).

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

[α]_D= -4.1° (CHCl₃,c=1.08,26.0°C) m.p.141-143°C

20

No.1 a - 1 7 3

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,
25 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.

[α]_D=-41.0° (CH₃OH,c=1.01,23°C).



No.1 a - 1 7 4

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=1.8and8.7Hz),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.

[α]_D=-19.3° (DMSO,c=0.50,23°C).

10 No.1 a - 1 7 5

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).

15 IR(CHCl₃):3462,3374,3026,3006,2952,2872,1724,1610,1580,1484,1452,1358,1309,1147.

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

No.1 a - 1 7 6

20 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.

25 [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(1



H, brs), 5.08-5.14(2H, m), 6.77(1H, d, $J=1.5\text{Hz}$), 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(CHCl_3): 3426, 3376, 3006, 2952, 1724, 1610, 1495, 1438, 1357, 1308, 1282, 1249, 1177, 1147/ cm .

5 $[\alpha]_D^{+18.1^\circ}$ (CHCl_3 , $c=1.02$, 22°C).

No. 1 a - 1 7 8

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz

0.96-1.91(14H, m), 2.19(1H, m), 2.27(2H, t, $J=6.0\text{Hz}$), 2.85(1H, m), 3.87(3H, s), 5.1

10 6-5.23(2H, m), 6.99-7.02(2H, m), 7.41(1H, m), 7.64-7.73(3H, m), 7.92(1H, m).

IR(CHCl_3): 3366, 3261, 3004, 2954, 2873, 1705, 1611, 1496, 1458, 1438, 1304, 1286, 1253, 1180, 1149, 1128/ cm .

$[\alpha]_D^{+14.6^\circ}$ (CHCl_3 , $c=1.02$, 22°C).

15 No. 1 a - 1 7 9

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz

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), 6.90-6.93(2H, m), 7.50(1H, m), 7.60-7.65(3H, m), 7.91(1H, d, $J=0.9\text{Hz}$).

IR(CHCl_3): 3369, 3270, 2950, 2873, 1719, 1612, 1498, 1456, 1440, 1359, 1306, 1269,

20 1219, 1146, 1127/ cm .

$[\alpha]_D^{+18.1^\circ}$ (CH_3OH , $c=1.00$, 22°C).

No. 1 a - 1 8 0

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz

25 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), 6.86-6.90(2H, m), 7.48(1H, m), 7.61-7.69(3H, m), 7.89(1H, m).

IR(CHCl_3): 3360, 3259, 2954, 2873, 1706, 1612, 1497, 1457, 1360, 1306, 1272, 1230, 1176, 1148, 1126/ cm .

$[\alpha]_D^{+20.3^\circ}$ (CH_3OH , $c=1.00$, 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),5.0
 5 8(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(1H,m),7.97(1H,m).

IR(CHCl₃):3380,3260,3020,2946,2868,1708,1466,1388,1328,1149/cm.[α]_D=+32.9° (CHCl₃,c=1.07,22°C).

10 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),4.8
 3(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).

15 IR(CHCl₃):3458,3372,3020,3002,2946,2868,1719,1598,1452,1422,1321,1300,
 1157/cm.

[α]_D=-6.6° (CHCl₃,c=1.00), mp150-151°C

No.1 a - 1 8 3

20 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=8.4Hz),8.95(1H,br).

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

[α]_D=+17.9° (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(2H,d, J=8.6Hz).

- 5 IR(CHCl₃): 3384, 3271, 3019, 2958, 1709, 1615, 1599, 1551, 1453, 1405, 1344, 1326, 1243, 1163/cm.
[α]_D = +18.5° (CHCl₃, c=1.00, 21°C).

No.1 a - 1 8 5

10 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(1H,d, J=1.2Hz), 9.00(1H,s).

- IR(CHCl₃): 3464, 3375, 3275, 3022, 2956, 1707, 1605, 1490, 1449, 1356, 1322, 1219, 1147, 1131/cm.
15 [α]_D = +21.6° (CHCl₃, c=1.01, 23°C).

No.1 a - 1 8 6

CDCl₃: 300MHz

- 20 1.36-2.24(14H,m), 2.31(2H,t, J=7.4Hz), 2.49(1H,brs), 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

25 CDCl₃: 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.



$[\alpha]_D^{+32^\circ}$ (CHCl_3 , $c=1.69$).

No.1 a - 1 8 8

CDCl_3 200MHz

5 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, $J=6.2\text{Hz}$), 5.04-5.20(2H,m), 7.02-7.05(2H,m), 7.31(1H,d, $J=8.6\text{Hz}$), 7.39(1H,d, $J=7.8\text{Hz}$), 7.79-7.89(3H,m).

IR(CHCl_3): 3385, 3286, 3029, 3019, 3015, 2954, 2877, 1718, 1617, 1598, 1567, 1507, 1311, 1269, 1153 /cm.

10 $[\alpha]_D = -29.4^\circ$ (CHCl_3 , $c=1.01$, 25°C).

No.1 a - 1 8 9

$[\alpha]_D = -7.7^\circ$ (CHCl_3 , $c=1.00$, 24°C).

15 No.1 a - 1 9 0

$[\alpha]_D = -17.3^\circ$ (CHCl_3 , $c=1.00$, 24°C).

No.1 a - 1 9 1

CDCl_3 300MHz

20 0.95-2.20(14H,m), 2.30(1H,m), 2.36(2H,d, $J=6.9\text{Hz}$), 3.21(1H,m), 4.25(2H,s), 5.07(1H,d, $J=7.8\text{Hz}$), 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, $J=8.1\text{Hz}$), 7.94(1H,s), 8.14(1H,d, $J=2.7\text{Hz}$), 8.23(1H,d, $J=2.7$ and 8.7Hz).

IR(CHCl_3): 3386, 3026, 3015, 2957, 2877, 2633, 1702, 1617, 1573, 1530, 1348, 1123

25 /cm.

$[\alpha]_D = -6.1^\circ$ (CHCl_3 , $c=1.01$, 25°C).

No.1 a - 1 9 2

CDCl_3 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

5 /cm.

[α]_D = -15.2° (CHCl₃, c=1.01, 25°C).

No.1a - 193

CDCl₃ 300MHz

10 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.

15 [α]_D = -0.9° (CHCl₃, c=1.00, 25°C).

No.1b - 1

CDCl₃ 300MHz

20 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.

[α]₄₃₆ +4.0~+6.0 (CHCl₃, c=1.00, 23°C).

mp. 96-98°C

25

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.

[α]_D=+2.5° (CHCl₃, c=1.02, 24°C).

5 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.

10 [α]_D= +17.1° (CHCl₃, c=1.01, 25°C).

mp. 129-131°C.

No.1b-4

CDCl₃ 200MHz

15 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).

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

[α]_D=+10.8° (CHCl₃, c=0.51, 23°C).

20

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.

25

[α]_D=+9.9° (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

5 /cm.

[α]_D = -16.1° (CHCl₃, c=1.05, 25.0°C).

m.p. 100-102°C

No. 1c-2

10 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.

[α]_D = -32.5° (CHCl₃, c=1.00, 25.0°C).

15

No. 1c-3

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).

20

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

25 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.



$[\alpha]_D^{+40.0^\circ}$ (CHCl_3 , $c=0.53$, 22°C).

No.1d-2

CDCl_3 300MHz

5 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, $J=6.6\text{Hz}$), 6.03(1H,br), 7.14(2H,d, $J=8.1\text{Hz}$), 7.26-7.31(5H,m), 7.80(2H,d, $J=8.1\text{Hz}$).

IR(CHCl_3): 3376, 3002, 2946, 1669, 1595, 1492, 1454, 1406, 1318, 1154/cm.

$[\alpha]_D^{+4.3^\circ}$ (CHCl_3 , $c=1.00$, 23°C).

10

No.1d-3

CDCl_3 300MHz

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

IR(CHCl_3): 3260, 3020, 2946, 1711, 1596, 1492, 1457, 1407, 1318, 1154/cm.

$[\alpha]_D^{+9.3^\circ}$ (CHCl_3 , $c=1.09$, 25°C).

No.1d-4

20 CDCl_3 300MHz

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

IR(CHCl_3): 3382, 3260, 3028, 2952, 2874, 2670, 1713, 1595, 1492, 1450, 1405, 1338,

25 1160, 1120, 1092/cm.

$[\alpha]_D^{+22.2^\circ}$ (CHCl_3 , $c=1.07$, 22°C).

No.1d-5

CDCl_3 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):3382,3268,3028,2954,2874,1714,1442,1402,1338,1188,1155,1

5 121,1072/cm.

[α]_D=+15.3° (CHCl₃,c=1.00,22°C).

No.1e-1

CDCl₃ 300MHz

10 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).

15

No.1e-2

CDCl₃ 300MHz

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).

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

[α]_D=+1.2° (CHCl₃,c=1.00,25°C).

No.1f-1

25 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.



$[\alpha]_D = -54.1^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1f- 2

CDCl_3 300MHz

5 1.08-2.24(19H,m), 2.94(1H,m), 3.53(2H,t, $J=6.3\text{Hz}$), 4.13(3H,s), 5.47(1H,d, $J=6.6\text{Hz}$), 7.36-7.63(4H,m), 7.96(1H,d, $J=6.3\text{Hz}$), 8.14(1H,s).

IR(CHCl_3): 3625, 3368, 3025, 3013, 2949, 2877, 1710, 1634, 1600, 1584, 1468, 1454, 1440, 1415, 1342, 1317, 1232, 1220, 1189, 1157/ cm^{-1} .

$[\alpha]_D = -5.6^\circ$ (CHCl_3 , $c=1.00$, 25°C).

10

No.1g- 1

CDCl_3 200MHz

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

15 IR(CHCl_3): 3383, 3275, 2959, 1707, 1595, 1584, 1468, 1445, 1425, 1319, 1269, 1248, 1190, 1149, 1123/ cm^{-1} .

$[\alpha]_D = +64.3^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1g- 2

20 CDCl_3 300MHz

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

IR(CHCl_3): 3366, 2959, 1708, 1635, 1600, 1585, 1467, 1454, 1440, 1415, 1345, 1318, 1233, 1189, 1152/ cm^{-1} .

25 $[\alpha]_D = +103.1^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1h- 1

CDCl_3 300MHz

0.90-1.60(17H,m), 1.83(1H,m), 2.11(1H,m), 2.22(2H,t, $J=7.2\text{Hz}$), 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(2H,m),8.54(1H,d,J=0.9Hz).

IR(CHCl₃):3382,3274,2926,1707,1464,1442,1318,1266,1188,1153,1121,1105,
1071,1019/cm.

5 $[\alpha]_D = -2.8^\circ$ (CHCl₃, c=1.01, 23°C).

No.1i- 1

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

10 No.1i- 2

CDCl₃ 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),
7.27-7.32(5H,m),7.77(2H,d,J=8.4Hz).

15 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

No.1j- 1

20 $[\alpha]_{436} = -7.5 \pm 0.5^\circ$ (CHCl₃, c=1.05, 22°C).

No.1j- 2

$[\alpha]_D = -9.7 \pm 0.5^\circ$ (CHCl₃, c=1.06, 22°C).

25 No.1j- 3

$[\alpha]_D = +15.0 \pm 0.5^\circ$ (CH₃OH, c=1.06, 24.5°C).

mp.101-108°C

No.1j- 4



$[\alpha]_D = -28.0 \pm 0.6^\circ$ (CHCl_3 , $c = 1.06$, 24°C).

mp. $159-161^\circ\text{C}$

1j-5

5 $[\alpha]_D = -12.5 \pm 0.5^\circ$ (CHCl_3 , $c = 1.04$, 23°C).

mp. $99-101^\circ\text{C}$

No. 1j-6

CDCl_3 300MHz

10 0.90-2.03(1H,m), 2.20(1H,m), 2.30(2H,t, $J = 7.3\text{Hz}$), 3.00(1H,m) 3.68(3H,s), 4.76
(1H,d, $J = 6.8\text{Hz}$), 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(CHCl_3): 3382, 3280, 3080, 3016, 2952, 2900, 1727, 1582, 1486, 1432, 1322, 1150/
cm.

15 $[\alpha]_D = -31.0^\circ$ (CHCl_3 , $c = 1.05$, 26°C).

No. 1j-7

CDCl_3 300MHz

20 0.91-2.09(1H,m), 2.15(1H,m), 2.35(2H,t, $J = 7.5\text{Hz}$), 3.01(1H,m), 5.17(1H,d, $J = 6.8\text{Hz}$), 5.21-5.34(2H,m), 7.01-7.08(4H,m), 7.15-7.27(1H,m), 7.37-7.43(2H,m), 7.8
0-7.85(2H,m).

IR(CHCl_3): 3474, 3386, 3270, 3024, 2958, 2900, 2675, 1711, 1584, 1488, 1420, 1323,
1298, 1150/cm.

$[\alpha]_D = -13.4^\circ$ (CHCl_3 , $c = 1.01$, 26°C).

25

No. 1j-8

CDCl_3 300MHz

0.95-2.14(13H,m), 2.30(2H,t, $J = 7.5\text{Hz}$), 2.36(1H,m), 2.84(1H,m), 2.91(1H,t, $J = 4.8\text{Hz}$), 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).



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.

[α]_D = +75.1° (CHCl₃, c=1.13, 26°C).

5

No. 1j-9

CDCl₃ 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), 4.9
8(1H,d, J=7.4Hz), 5.14-5.34(2H,m), 7.46-7.54(2H,m), 7.60-7.68(1H,m), 7.75-7.8

10 0(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.

[α]_D = -41.0° (CHCl₃, c=1.17, 26°C).

No. 1j-10

15 CDCl₃+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).

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

20 [α]_D = -17.1° (CHCl₃, c=1.13, 25°C).

No. 1j-11

CDCl₃ 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.

25 40(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,
1165/cm.

[α]_D = +15.6° (CHCl₃, c=1.03, 26°C).



No.1j-12

CDCl_3 300MHz

1.08-1.98(14H,m), 2.23(1H,m), 2.33(2H,t, $J=7.5\text{Hz}$), 3.16(1H,m), 5.18-5.26(2H,
5 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(CHCl_3): 3325, 3022, 2956, 2872, 2680, 1708, 1662, 1603, 1598, 1425, 1340, 1316,
1288, 1271, 1165/cm.

$[\alpha]_D = +9.7^\circ$ (CHCl_3 , $c=0.52$, 25°C).

10

No.1j-13

CDCl_3 300MHz

0.95-2.00(14H,m), 2.20(1H,m), 2.27(2H,t, $J=6.3\text{Hz}$), 3.03(1H,m), 3.67(3H,s), 4.9
9(1H,d, $J=6.6\text{Hz}$), 5.12-5.31(2H,m), 7.47-7.55(2H,m), 7.60-7.69(2H,m), 7.76-7.8
15 1(2H,m), 7.96-8.05(1H,m), 8.08-8.14(1H,m), 8.27-8.28(1H,m).

IR(CHCl_3): 3674, 3538, 3376, 3276, 3012, 2948, 2860, 1726, 1662, 1595, 1440, 1335,
1317, 1297, 1274, 1166, 1150/cm.

$[\alpha]_D = +10.2^\circ$ (CHCl_3 , $c=1.00$, 25°C).

20 No.1j-14

CDCl_3 300MHz

0.93-2.08(14H,m), 2.21(1H,m), 2.32(2H,t, $J=6.3\text{Hz}$), 3.00(1H,m), 5.20-5.36(2H,
m), 5.38(1H,d, $J=6.2\text{Hz}$), 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).

25 IR(CHCl_3): 3674, 3480, 3374, 3258, 3012, 2950, 2875, 2650, 1709, 1662, 1598, 1418,
1335, 1317, 1274, 1143/cm.

$[\alpha]_D = +61.0^\circ$ (CHCl_3 , $c=1.19$, 25°C).

No.1j-15



CDCl₃ 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),4.8
2(1H,d,J=6.6Hz),5.14-5.34(2H,m),7.36-7.39(3H,m),7.53-7.57(2H,m),7.62-7.6
6(2H,m),7.83-7.88(2H,m).

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

[α]_D=-7.2° (CHCl₃,c=1.00,26°C).

No.1j-16

10 CDCl₃ 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,
1396,1322,1160.

15 [α]_D=-22.4° (CHCl₃,c=1.00,26°C).

No.1j-17

CDCl₃ 300MHz

1.00-1.60(9H,m),1.79-1.89(5H,m),2.17(1H,brs),2.23(2H,t,J=7.2Hz),3.03(1H,
20 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=7.2
Hz),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,
1345,1321,1269,1248,1218/cm.

[α]_D= -7.8°(CHCl₃,c=1.01,22°C).

25

No.1j-18

CDCl₃ 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),4.8
0(1H,d,J=6.4Hz),5.14-5.35(2H,m),6.99-7.04(2H,m),7.16-7.22(2H,m),7.34-7.4



9(4H,m), 7.57-7.61(1H,m).

IR(CHCl₃): 3376, 3276, 3012, 2948, 2875, 1727, 1583, 1488, 1471, 1432, 1330, 1311, 1150/cm.

[α]_D = +54.0° (CHCl₃, c = 0.99, 25°C).

5

No.1j- 19

CDCl₃ 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=6.6Hz), 5.24-5.40(2H,m), 7.01-7.08(2H,m), 7.15-7.25(2H,m), 7.35-7.53(4H,m), 7.5

10 9-7.65(1H,m).

IR(CHCl₃): 3470, 3376, 3260, 3012, 2950, 2875, 2640, 1708, 1583, 1488, 1471, 1430, 1335, 1305, 1149/cm.

[α]_D = -21.0° (CHCl₃, c = 1.30, 25°C).

15 No.1j- 20

CDCl₃ 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, brs), 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.

20

No.1j- 21

CDCl₃ 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).

25 IR(CHCl₃): 3674, 3496, 3374, 3234, 3010, 2952, 2870, 2640, 1730(sh), 1710, 1605, 1485, 1425, 1360, 1167/cm.

[α]_D = -43.0° (CHCl₃, c = 1.01, 25°C).

No.1j- 22



CDCl_3 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).

- 5 IR(CHCl_3): 3475, 3372, 3260, 3008, 2952, 2868, 2722, 1725, 1710(sh), 1663, 1590, 1571, 1525, 1448, 1437, 1345, 1314, 1161, 1112/cm.
 $[\alpha]_D^{25} = +12.9^\circ$ (CHCl_3 , c=0.12, 23°C).

No.1j- 2 3

10 CDCl_3 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(CHCl_3): 3372, 3275, 1724, 1673, 1599, 1438, 1320, 1161/cm.
 15 $[\alpha]_D^{25} = +17.0^\circ$ (CHCl_3 , c=1.38, 25°C).

No.1j- 2 4

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 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).
 20 IR(CHCl_3): 3616, 3426, 3375, 3010, 2950, 2828, 2645, 1708, 1672, 1599, 1439, 1323, 1161/cm.
 $[\alpha]_D^{25} = +21.0^\circ$ (CH_3OH , c=1.00, 22°C).

25 No.1j- 2 5

CDCl_3 300MHz

1.03(1H,m), 1.18-2.01(13H,m), 2.20(1H,brs), 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

CDCl₃+CD₃OD 300MHz

5 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.

[α]_D=+15.8° (CH₃OH,c=1.01,22°C).

10 No.1j- 2 7

[α]_D= +16.7° (CHCl₃,c=1.00,23°C).

No.1j- 2 8

CDCl₃ 300MHz

15 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,brs),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.

[α]_D= +10.7°(CHCl₃,c=1.39,26°C).

20

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).

25 IR(CHCl₃):3470,3390,3270,2675,1709,1455,1420,1315,1147/cm.

[α]_D= +16.8°(CHCl₃,c=1.42,26°C).

No.1k- 1

[α]_D= -25.4° (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),5.3
5 0-5.52(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.

[α]_D=-80.4° (CHCl₃,c=1.01,24.0°C).

10 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.

15 [α]_D=-96.4° (CHCl₃,c=1.05,23.0°C).

No.1k- 4

CDCl₃ 300MHz

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,
20 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/c
m.

[α]_D=-21.9±0.6° (CHCl₃,c=1.02,22°C).

25 No.1k-5

CDCl₃ 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),1705,1645,1



502,1441,1410,1307,1276/cm.

$[\alpha]_D = -63.6 \pm 1.9^\circ$ (CHCl_3 , $c=0.56$, 22°C).

No.1k-6

5 CDCl_3 300MHz

1.04-2.24(14H,m), 2.36(2H,t, $J=7.5\text{Hz}$), 2.58(1H,m), 3.88(1H,m), 5.30-5.43(2H,m), 6.21(1H,d, $J=7.2\text{Hz}$), 7.41-7.49(3H,m), 7.73-7.77(2H,m).

IR(CHCl_3): 3447, 3011, 2955, 1708, 1653, 1603, 1578, 1515, 1486, 1457, 1312, 1211, 1164/cm.

10 $[\alpha]_D = -60.3^\circ$ (CHCl_3 , $c=1.00$, 23°C).

No.1k-7

CDCl_3 300MHz

15 1.04-2.22(14H,m), 2.36(2H,t, $J=7.2\text{Hz}$), 2.57(1H,m), 3.87(1H,m), 5.30-5.44(2H,m), 6.17(1H,d, $J=8.7\text{Hz}$), 6.99-7.40(7H,m), 7.73(2H,d, $J=7.5\text{Hz}$).

IR(CHCl_3): 3449, 3013, 2955, 1739, 1708, 1651, 1609, 1588, 1522, 1487, 1243, 1227, 1169/cm.

$[\alpha]_D = -60.2^\circ$ (CHCl_3 , $c=0.92$, 23°C).

20 No.1k-8

CDCl_3 300MHz

1.04-2.25(14H,m), 2.34(2H,t, $J=7.5\text{Hz}$), 2.56(1H,m), 3.87(1H,m), 5.30-5.44(2H,m), 6.19(1H,d, $J=7.5\text{Hz}$), 6.83-6.94(6H,m), 7.69(2H,d, $J=8.7\text{Hz}$).

25 IR(CHCl_3): 3599, 3455, 3012, 2955, 1711, 1644, 1604, 1577, 1524, 1507, 1492, 1290, 1236, 1197, 1170/cm.

$[\alpha]_D = -47.7^\circ$ (CHCl_3 , $c=1.01$, 22°C).

No.1k-9

CDCl_3 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),5.3
0-5.43(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,
1227,1183/cm.

5 $[\alpha]_D = -54.7^\circ$ (CHCl₃, c=1.01, 22°C).

No.1k-10

CDCl₃ 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),5.3

10 0-5.43(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,
1210,1170/cm.

$[\alpha]_D = -58.1^\circ$ (CHCl₃, c=1.01, 22°C).

15 No.1m-1

CDCl₃ 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),5.3

3-5.47(2H,m),6.24(1H,d,J=6.9Hz),7.35-7.38(3H,m),7.53-7.60(4H,m),7.75-7.7
8(2H,m).

20 IR(CHCl₃):3438,3008,2946,2875,2212,1732,1650,1605,1519,1496/cm.

$[\alpha]_D = +76^\circ$ (CHCl₃, c=1.39, 24°C)

No.1m-2

CDCl₃ 300MHz

25 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),1708,1649,1605,1520,1
498/cm.

$[\alpha]_D = +81.4^\circ$ (CHCl₃, c=1.01, 23°C)



No.1m-3

 CDCl_3 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),5.3
5 0-5.50(2H,m),6.28(1H,d,J=7.0Hz),7.38-7.51(3H,m),7.58-7.67(4H,m),7.83-7.8
8(2H,m).

IR(CHCl_3):3438,3008,2948,2875,1783(w),1727,1650,1608,1580(w),1523,150
1,1482/cm.

$[\alpha]_D = +59^\circ$ (CHCl_3 , c=1.49, 25°C)

10

No.1m-4

 CDCl_3 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).
15 IR(CHCl_3):3436,3010,2948,2868,1727,1715(sh),1649,,1615(w),1524,1502,14
82,1372/cm.

$[\alpha]_D = +72^\circ$ (CHCl_3 , c=0.98, 25°C)

No.1m-5

20 CDCl_3 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),5.3
1-5.51(2H,m),6.35(1H,d,J=7.0Hz),7.51-7.60(3H,m),7.92-7.97(6H,m).

IR(CHCl_3):3436,3008,2946,2875,1727,1652,1608(w),1515,1484/cm.

$[\alpha]_D = +82^\circ$ (CHCl_3 , c=0.99, 25°C)

25

No.1m-6

 CDCl_3 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)

5 No.1m-7

CDCl₃ 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).

10 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

CDCl₃ 300MHz

15 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),1709,1647,1608,1586,1520,1485/cm.

20 [α]_D = +56° (CHCl₃, c=0.97, 25°C)

No.1m-9

CDCl₃ 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),5.32-5.46(2H,m),6.16(1H,d,J=7.5Hz),7.00-7.11(6H,m),7.74-7.77(2H,m).

25 IR(CHCl₃):3440,3010,2946,2868,1729,1649,1595,1519,1488/cm.

[α]_D = +47° (CHCl₃, c=0.82, 25°C).

No.1m-10



CDCl_3 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),
6.17(1H,d,J=7.0Hz), 6.99-7.12(6H,m), 7.72-7.76(2H,m).

IR(CHCl_3): 3674, 3572, 3438, 3010, 2948, 2868, 2626, 1748, 1710, 1648, 1615, 1595,

5 1520, 1489/cm.

$[\alpha]_D^{25} = +51^\circ$ (CHCl_3 , c=0.91, 25°C)

No.1m-11

CDCl_3 300MHz

10 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), 5.2
9-5.49(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(CHCl_3): 3674, 3588, 3438, 3296, 3010, 2946, 2868, 1725, 1646, 1603, 1520, 1504,
1489/cm.

15 $[\alpha]_D^{25} = +51^\circ$ (CHCl_3 , c=0.91, 25°C)

No.1m-12

CDCl_3 300MHz

20 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), 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(1
H,brs).

IR(CHCl_3): 3674, 3582, 3436, 3275, 3010, 2950, 2868, 2675, 1727, 1710(sh), 1643, 1
603, 1522, 1504, 1490/cm.

$[\alpha]_D^{25} = +30^\circ$ (CHCl_3 , c=0.97, 25°C)

25

No.1m-13

CDCl_3 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)

5 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),5.2
7-5.46(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),1601,15

10 19,1492/cm.

[α]_D=+64° (CHCl₃, c=0.70, 25°C)

No.1m-15

CDCl₃ 300MHz

15 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(2
H,m).

IR(CHCl₃):3446,3010,2946,2868,1745(sh),1728,1650,1615,1525,1507,1486/c

m.

20 [α]_D=+65.0° (CHCl₃, c=1.02, 23°C)

No.1m-16

CDCl₃ 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),
25 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

CDCl₃ 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),5.3
 5 0-5.50(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)

10 No.1m-18

CDCl₃+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).

15 IR(Nujol):3398,3175,2725,1696,1635,1601,1531,1510/cm.
 $[\alpha]_D$ =+99.5° (CH₃OH,c=1.011,25°C)

No.1m-19

CDCl₃ 300MHz

20 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(4
 H,m),7.82(2H,d,J=8.6Hz).

IR(CHCl₃):3440,3006,2946,2875,1726,1649,1606,1527,1510,1489/cm.
 $[\alpha]_D$ =+68° (CHCl₃,c=0.88,26°C)

25

No.1m-20

CDCl₃ 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),5.2
 8-5.48(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,brs).

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).

5

No.1m- 2 1

CDCl₃ 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).

10 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- 2 2

15 CDCl₃ 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,brs).

IR(CHCl₃):3420,3250,3010,2984,2870,2675,2208,1730(sh),1705,1640,1500,1406/cm.

20 [α]_D=+57.4° (CHCl₃,c=1.83,23°C).

No.1m- 2 3

CDCl₃ 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),5.3

25 2-5.47(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- 2 4



CDCl_3 300MHz

1.05-2.21(14H,m), 2.36(2H,t, $J=7.2\text{Hz}$), 2.57(1H,m), 3.89(1H,m), 5.28-5.47(2H,m), 6.22(1H,d, $J=7.0\text{Hz}$), 7.39-7.55(3H,m), 7.73-7.79(2H,m).

IR(CHCl_3): 3676, 3572, 3436, 3010, 2948, 2875, 1730(sh), 1709, 1650, 1600, 1580, 1

5 514, 1484/cm.

$[\alpha]_D^{+57}$ (CHCl_3 , $c=0.97$, 26°C).

No.1m- 2 5

CDCl_3 300MHz

10 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, $J=7.2\text{Hz}$), 7.15(2H,d, $J=9.0\text{Hz}$), 7.80(2H,d, $J=8.8\text{Hz}$).

IR(CHCl_3): 3436, 3010, 2946, 2868, 1752, 1727, 1653, 1602, 1519, 1491/cm.

$[\alpha]_D^{+53}$ (CHCl_3 , $c=1.63$, 25°C).

15 No.1m- 2 6

CDCl_3 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, $J=7.4\text{Hz}$), 7.15(2H,d, $J=9.0\text{Hz}$), 7.78(2H,d, $J=8.6\text{Hz}$).

IR(CHCl_3): 3434, 3016, 3006, 2948, 2880, 2622, 1752, 1730(sh), 1710, 1651, 1605, 1

20 520, 1492/cm.

$[\alpha]_D^{+58}$ (CHCl_3 , $c=3.68$, 24°C)

No.1m- 2 7

CDCl_3 300MHz

25 1.05-2.16(14H,m), 2.30(2H,t, $J=7.5\text{Hz}$), 2.57(1H,m), 3.62(3H,s), 3.87(1H,m), 5.27-5.47(2H,m), 6.32(1H,d, $J=7.4\text{Hz}$), 6.85(2H,d, $J=8.6\text{Hz}$), 7.62(2H,d, $J=8.6\text{Hz}$), 8.35(1H,s).

IR(CHCl_3): 3580, 3450, 3216, 3010, 2946, 2868, 1726, 1640, 1608, 1584, 1528, 1496/cm.



$[\alpha]_D = +56.2^\circ$ (CHCl_3 , $c=0.713$, 23°C)

No.1m-28

CDCl_3 200MHz

- 5 1.10-2.25(1H,m), 2.32(2H,t, $J=7.2\text{Hz}$), 2.55(1H,brs), 3.82-3.93(1H,m), 5.27-5.47(2H,m), 6.25(1H,d, $J=7.4\text{Hz}$), 6.86(2H,d, $J=8.6\text{Hz}$), 7.62(2H,d, $J=8.6\text{Hz}$).
 IR(CHCl_3): 3438, 3242, 2675, 1730(sh), 1708, 1639, 1607, 1585/cm.

No.1m-29

10 CDCl_3 300MHz

- 1.05-2.18(1H,m), 2.31(2H,t, $J=7.4\text{Hz}$), 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, $J=6.6\text{Hz}$), 6.92(2H,d, $J=9.0\text{Hz}$), 7.74(2H,d, $J=9.0\text{Hz}$).
 IR(CHCl_3): 3445, 3008, 2946, 2868, 1727, 1646, 1606, 1578, 1523, 1493/cm.
 15 $[\alpha]_D = +53^\circ$ (CHCl_3 , $c=2.03$, 24°C)

No.1m-30

CDCl_3 300MHz

- 1.04-2.21(1H,m), 2.36(2H,t, $J=7.3\text{Hz}$), 2.56(1H,m), 3.85(3H,s), 3.88(1H,m), 5.27-5.46(2H,m), 6.15(1H,d, $J=7.2\text{Hz}$), 6.92(2H,d, $J=8.6\text{Hz}$), 7.73(2H,d, $J=8.6\text{Hz}$).
 20 IR(CHCl_3): 3440, 3010, 2950, 2870, 2645, 1727, 1710(sh), 1646, 1606, 1575, 1524, 1494/cm.
 $[\alpha]_D = +62^\circ$ (CHCl_3 , $c=1.10$, 24°C).

25 No.1m-31

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz

- 1.16-2.20(1H,m), 2.31(2H,t, $J=7.2\text{Hz}$), 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.



$[\alpha]_D^{+67^\circ}$ (CH₃OH, c=1.01, 24°C).

No.1m-32

CDCl₃ 200MHz

5 1.09-2.23(14H,m), 2.33(2H,t, J=7.1Hz), 2.57(1H,brs), 3.40-3.93(9H,m), 4.41(1H,brs), 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.

10 No.1m-33

CDCl₃ 200MHz

1.14-2.25(14H,m), 2.37(2H,t, J=7.3Hz), 2.64(1H,brs), 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).

15 IR(CHCl₃): 3450, 2675, 1728, 1707, 1649, 1528, 1509/cm.

$[\alpha]_D^{+82.8 \pm 1.2^\circ}$ (CHCl₃, c=1.01, 23°C).

No.2a-1

$[\alpha]_D^{+69.0^\circ}$ (MeOH, c=1.01, 25°C)

20

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(1H,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).

25

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

5 CDCl_3 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(CHCl_3): 3515, 3452, 3014, 2925, 2870, 1740, 1708, 1654, 1517, 1486, 1470 /cm.

10 $[\alpha]_D = +79.5^\circ$ (MeOH, c=1.18, 22°C).

No.2a-5

CD_3OD 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.

15 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

20 $[\alpha]_D = +64.1^\circ$ (MeOH, c=1.01, 25°C).

No.2a-7

$[\alpha]_D = +65.3^\circ$ (MeOH, c=0.99, 25°C).

25 No.2a-8

$[\alpha]_D = +74.0^\circ$ (MeOH, c=1.01, 25°C).

No.2a-9

$[\alpha]_D = +71.0^\circ$ (MeOH, c=1.10, 25°C).



No.2a-10

 $[\alpha]_D^{25} = +74.7^\circ$ (MeOH, c=1.00, 25°C).

5 No.2a-11

 $[\alpha]_D^{25} = +72.1^\circ$ (MeOH, c=1.00, 25°C).

No.2a-12

 $[\alpha]_D^{26} = +53.1^\circ$ (CHCl₃, c=1.01, 26°C).

10 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), 4.3
15 0(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. $[\alpha]_D^{25} = +78.3^\circ$ (MeOH, c=0.84, 25°C).

m.p.205.0-206.0°C

20

No.2a-14

 $[\alpha]_D^{25} = +72.5^\circ$ (MeOH, c=1.07, 25°C).

No.2a-15

25 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.

[α]_D = +72.3° (MeOH, c=1.00, 27°C).

m.p. 115.0-117.0°C

5 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), 3.6
2(3H, s), 4.29(1H, m), 5.30-5.50(2H, m), 6.20(1H, d, J=8.7Hz), 6.59 and 6.68 (

10 1Hz).

IR(CHCl₃): 3453, 3024, 3016, 2924, 2870, 1730, 1651, 1607, 1520, 1495 /cm.[α]_D = +56.8° (MeOH, c=1.04, 24°C).

No.2a-17

15 CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.50-2.38(14H, m), 4.2
6(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

20 /cm.

[α]_D = +61.6° (MeOH, c=1.00, 27°C).

No.2a-18

CDCl₃ 300MHz

25 0.97(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.50-2.50(14H, m), 3.61
(3H, s), 4.31(1H, m), 5.35-5.51(2H, m), 6.33(1H, d, J=8.4Hz), 7.48-7.64(4H, m), 7.7
9-7.83(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.

$[\alpha]_D = +56.0^\circ$ (MeOH, $c=1.01$, 25°C).

No.2a-19

CDCl_3 300MHz

5 0.95(1H,d, $J=9.9\text{Hz}$), 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, $J=7.8\text{Hz}$), 7.49-7.68(4H,m), 7.76-7.84(3H,m), 8.25(1H,m), 8.43(1H,m).

IR(CHCl_3): 3382, 3196, 3025, 3015, 2925, 2870, 1725, 1652, 1599, 1577, 1521 /cm.

$[\alpha]_D = +55.9^\circ$ (MeOH, $c=1.00$, 25°C).

10

No.2a-20

CDCl_3 300MHz

0.98(1H,d, $J=10.2\text{Hz}$), 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, $J=8.4\text{Hz}$), 7.40-7.52(3H,m), 7.71-7.76(2H,m).

15

IR(CHCl_3): 3453, 3025, 3013, 2925, 2870, 1730, 1753, 1579, 1514, 1486 /cm.

$[\alpha]_D = +61.2^\circ$ (MeOH, $c=1.04$, 25°C).

No.2a-21

20 CDCl_3 300MHz

0.98(1H,d, $J=10.2\text{Hz}$), 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, $J=8.7\text{Hz}$), 7.41-7.53(3H,m), 7.71-7.74(2H,m).

IR(CHCl_3): 3452, 3063, 3027, 3014, 2925, 2871, 1708, 1652, 1578, 1515, 1486 /cm.

25 $[\alpha]_D = +62.0^\circ$ (MeOH, $c=1.01$, 27°C).

No.2a-22

d_8 -DMSO 300MHz

0.86(1H,d, $J=9.9\text{Hz}$), 1.10 and 1.16(each 3H,each s), 1.42-1.52(3H,m), 1.85-2.



46(1H,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.

$[\alpha]_D^{25} = +47.7^\circ$ (MeOH, c=1.00, 25°C).

5

No.2a-23

$[\alpha]_D^{27} = +62.7^\circ$ (MeOH, c=1.01, 27°C).

No.2a-24

10 CDCl₃ 300MHz

0.99(1H,d, J=10.2Hz), 1.14 and 1.25(each 3H, each s), 1.52-2.50(14H,m), 4.3
1(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.

15 $[\alpha]_D^{25} = +63.1^\circ$ (MeOH, c=1.00, 25°C).

No.2a-25

$[\alpha]_D^{25} = +35.1^\circ$ (MeOH, c=1.00, 25°C).

20 No.2a-26

$[\alpha]_D^{25} = +35.5^\circ$ (MeOH, c=1.02, 25°C).

No.2a-27

CDCl₃ 300MHz

25 0.97(1H,d, J=10.2Hz), 1.12 and 1.23(each 3H, each s), 1.52-2.50(14H,m), 3.6
3(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, each d, J=8.7Hz), 6.98-7.05(2H,m), 7.16(1H,t, J=7.5Hz), 7.34-7.41(2
H,m).

IR(CHCl₃): 3455, 3024, 3016, 2924, 2870, 1730, 1651, 1588, 1520, 1487 /cm.



$[\alpha]_D^{25} = +56.4^\circ$ (MeOH, $c = 1.01$, 25°C).

No. 2a-28

CDCl_3 300MHz

5 0.98(1H, d, $J = 10.2\text{Hz}$), 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, $J = 9.0\text{Hz}$), 7.01 and 7.70(each 2H, each d, $J = 9.0\text{Hz}$), 6.98-7.15(2H, m), 7.17(1H, t, $J = 7.5\text{Hz}$), 7.34-7.40(2H, m).

IR(CHCl_3): 3454, 3031, 3018, 2925, 2870, 1708, 1650, 1588, 1523, 1487/cm.

$[\alpha]_D^{25} = +56.2^\circ$ (MeOH, $c = 1.00$, 25°C).

10

No. 2a-29

$[\alpha]_D^{25} = +53.0^\circ$ (MeOH, $c = 1.03$, 25°C).

No. 2a-30

15 CDCl_3 300MHz

0.97(1H, d, $J = 10.2\text{Hz}$), 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, $J = 8.7\text{Hz}$), 6.36(1H, s), 7.26-7.39(10H, m), 7.60 and 7.68(each 2H, each d, $J = 8.4\text{Hz}$).

IR(CHCl_3): 3451, 3088, 3064, 3029, 3014, 2925, 2869, 1707, 1652, 1522, 1495 /cm.

20 $[\alpha]_D^{25} = +54.2^\circ$ (MeOH, $c = 1.00$, 25°C).

No. 2a-31

CDCl_3 300MHz

0.98(1H, d, $J = 10.2\text{Hz}$), 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, $J = 8.4\text{Hz}$), 6.90(1H, t, $J = 7.4\text{Hz}$), 7.13(1H, d, $J = 8.7\text{Hz}$), 7.29(2H, t, $J = 8.0\text{Hz}$), 7.67-7.75(5H, m), 7.82(1H, s).

IR(Nujol): 3380, 3244, 1723, 1638, 1601, 1578, 1535, 1495 /cm.

$[\alpha]_D^{25} = +73.6^\circ$ (MeOH, $c = 0.50$, 26°C).

m.p. 133.0-134.0°C



No.2a-32

$[\alpha]_D^{25} = +56.1^\circ$ (MeOH, $c=1.02$, 26°C).

5 No.2a-33

CDCl_3 300MHz

0.95(1H, d, $J=10.2\text{Hz}$), 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, $J=8.2\text{Hz}$), 6.89(1H, s), 7.09(1H, s), 7.17 and 7.72(each 2H, each d, $J=8.2\text{Hz}$), 7.62(1H, s).

10 IR(CHCl_3): 3450, 3125, 3031, 3013, 2925, 2870, 2467, 1917, 1708, 1654, 1615, 1575, 1523, 1497 cm^{-1} .

$[\alpha]_D^{25} = +55.2^\circ$ (MeOH, $c=1.01$, 26°C).

No.2a-34

15 $[\alpha]_D^{25} = +72.9^\circ$ (MeOH, $c=1.03$, 25°C).

No.2a-35

CDCl_3 300MHz

20 0.98(1H, d, $J=10.2\text{Hz}$), 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, $J=8.7\text{Hz}$), 7.34-7.37(3H, m), 7.52-7.55(2H, m), 7.58 and 7.71(each 2H, each d, $J=8.7\text{Hz}$).

IR(CHCl_3): 3515, 3452, 3030, 3012, 2925, 2870, 1739, 1708, 1652, 1607, 1555, 1521, 1497 cm^{-1} .

$[\alpha]_D^{25} = +74.3^\circ$ (MeOH, $c=1.01$, 25°C).

25

No.2a-36

$[\alpha]_D^{25} = +23.4^\circ$ (MeOH, $c=1.07$, 25°C).

No.2a-37



CDCl_3 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(CHCl_3): 3432, 3310, 3189, 3023, 3014, 2924, 2870, 1704, 1610, 1594, 1523, 1487

5 /cm.

$[\alpha]_D^{25} = +25.3^\circ$ (MeOH, c=1.00, 26°C).

No.2a-38

$[\alpha]_D^{25} = +70.9^\circ$ (MeOH, c=1.02, 25°C).

10

No.2a-39

$[\alpha]_D^{25} = +70.6^\circ$ (MeOH, c=1.01, 25°C).

No.2a-40

15 $[\alpha]_D^{25} = +74.7^\circ$ (MeOH, c=1.00, 25°C).

No.2a-41

$[\alpha]_D^{25} = +72.1^\circ$ (MeOH, c=1.01, 24°C).

20 No.2a-42

$[\alpha]_D^{25} = +69.2^\circ$ (MeOH, c=1.00, 25°C).

No.2a-43

$[\alpha]_D^{25} = +70.8^\circ$ (MeOH, c=1.00, 25°C).

25

No.2a-44

$[\alpha]_D^{25} = +60.4^\circ$ (MeOH, c=1.00, 26°C).

No.2a-45



CDCl_3 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.

5 10(1H,s), 10.09(1H,s).

IR(CHCl_3): 3393, 3195, 3093, 3033, 3013, 2925, 2870, 1698, 1656, 1598, 1537, 1498 /cm.

$[\alpha]_D^{25} = +59.4^\circ$ (MeOH, c=1.01, 24°C).

10 No.2a-46

$[\alpha]_D^{25} = +63.5^\circ$ (MeOH, c=1.00, 25°C).

No.2a-47

CDCl_3 300MHz

15 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(CHCl_3): 3450, 3339, 3003, 2992, 2925, 2870, 1706, 1653, 1596, 1523, 1495/cm.

20 $[\alpha]_D^{25} = +63.3^\circ$ (MeOH, c=1.00, 25°C).

No.2a-48

$[\alpha]_D^{25} = +63.8^\circ$ (MeOH, c=1.00, 24°C).

25 No.2a-49

CDCl_3 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(1H,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(each 2H,each d,J=8.4Hz), 8.21(2H,m).



IR(CHCl₃):3451,3029,3022,3016,2925,2870,1708,1655,1542,1508,1498,1471,
1459 /cm.

[α]_D=+63.5° (MeOH,c=1.02,25°C).

m.p.135.0-137.0°C

5

No.2a-50

[α]_D=+68.9° (MeOH,c=1.01,24°C).

No.2a-51

10

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.
40(11H,m),3.98(1H,m),5.35-5.46(2H,m),7.64(1H,s),7.65 and 7.91(each 2H,
each d,J=8.7Hz),8.06(1H,d,J=6.0Hz),9.32(1H,brs).

IR(KBr):3385,2962,1734,1707,1632,1529,1498 /cm.

15 [α]_D=+68.4° (MeOH,c=1.01,24°C).

No.2a-52

[α]_D=+76.2° (MeOH,c=1.01,24°C).

20

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).

25

No.2a-55

[α]_D=+67.8° (MeOH,c=1.00,24°C).

No.2a-56



$[\alpha]_D^{25} = +65.4^\circ$ (MeOH, c=1.03, 25°C).

No.2a-57

$[\alpha]_D^{24} = +63.4^\circ$ (MeOH, c=1.01, 24°C).

5

No.2a-58

$[\alpha]_D^{24} = +66.6^\circ$ (MeOH, c=1.01, 24°C).

No.2a-59

10 $[\alpha]_D^{24} = +65.5^\circ$ (MeOH, c=1.00, 24°C).

No.2a-60

$[\alpha]_D^{25} = +60.9^\circ$ (MeOH, c=1.02, 25°C).

15 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), 4.2

6(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

20), 8.47(2H,d,J=6.0Hz).

IR(CHCl₃): 3452, 3027, 3019, 3013, 2925, 2870, 2480, 1708, 1651, 1606, 1520, 1494
/cm.

$[\alpha]_D^{25} = +61.6^\circ$ (MeOH, c=1.01, 25°C).

25 No.2a-62

$[\alpha]_D^{25} = +72.0^\circ$ (MeOH, c=0.93, 25°C).

No.2a-63

CDCl₃ 300MHz



0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.2
9(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).

- 5 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

- 10 [α]_D=+56.9° (MeOH,c=1.24,25°C).

No.2a-65

CDCl₃ 300MHz

- 0.98(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.54-2.46(14H,m),4.2
15 7(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).

20

No.2a-66

[α]_D=+76.0° (MeOH,c=1.01,25°C).

No.2a-67

- 25 CDCl₃ 300MHz

0.98(1H,d,J=10.4Hz),1.14 and 1.24(each 3H,each s),1.54-2.46(14H,m),4.2
8(1H,m),5.32-5.53(2H,m),6.27(1H,d,J=8.6Hz),6.92-7.31(each 1H,each d,J=
16.4Hz),7.02(1H,dd,J=5.8 and 3.6Hz),7.12(1H,d,J=3.6Hz),7.24(1H,d,J=5.8
Hz),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

5 No.2a-68

[α]_D=+57.7° (MeOH,c=1.01,25°C).

No.2a-69

CDCl₃ 300MHz

- 10 0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.54-2.48(14H,m),4.2
8(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(1
H,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.

- 15 [α]_D=+58.4° (MeOH,c=1.00,25°C).

No.2a-70

[α]_D=+48.6° (MeOH,c=1.00,25°C).

20 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),2.3
1(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).

- 25 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).



No.2a-72

$[\alpha]_D^{25} = +51.2^\circ$ (MeOH, $c = 1.02$, 25°C).

No.2a-73

CDCl_3 300MHz

5 0.97(1H, d, $J = 9.9\text{Hz}$), 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, $J = 9.0\text{Hz}$), 6.83-6.94(6H, m), 7.65(2H, d, $J = 9.0\text{Hz}$).

IR(CHCl_3): 3598, 3451, 3199, 3033, 3012, 2925, 2870, 1708, 1642, 1604, 1524, 1507, 1491 cm^{-1} .

10 $[\alpha]_D^{25} = +52.2^\circ$ (MeOH, $c = 1.01$, 25°C).

No.2a-74

$[\alpha]_D^{25} = +51.5^\circ$ (MeOH, $c = 0.92$, 25°C).

15 No.2a-75

CDCl_3 300MHz

0.97(1H, d, $J = 10.2\text{Hz}$), 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, $J = 8.7\text{Hz}$), 6.89-7.01(6H, m), 7.65-7.68(2H, m).

20 IR(CHCl_3): 3450, 3025, 3008, 2925, 2870, 2837, 1741, 1649, 1612, 1521, 1505, 1490 cm^{-1} .

$[\alpha]_D^{25} = +51.1^\circ$ (MeOH, $c = 1.00$, 25°C).

No.2a-76

25 $[\alpha]_D^{25} = +60.4^\circ$ (MeOH, $c = 0.98$, 25°C).

No.2a-77

CDCl_3 300MHz

0.99(1H, d, $J = 10.5\text{Hz}$), 1.15 and 1.24(each 3H, each s), 1.54-2.48(14H, m), 2.3



4(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.

5 $[\alpha]_D^{25} = +61.2^\circ$ (MeOH,c=1.00,25°C).

No.2a-78

$[\alpha]_D^{25} = +67.4^\circ$ (MeOH,c=1.01,25°C).

10 No.2a-79

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.54-2.54(14H,m),4.3 1(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).

15 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

20 $[\alpha]_D^{25} = +66.9^\circ$ (MeOH,c=1.01,25°C).

No.2a-81

CDCl₃ 300MHz

0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),3.8

25 6(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, 1491 /cm.

$[\alpha]_D^{25} = +66.2^\circ$ (MeOH,c=1.01,25°C).



No.2a-82

$[\alpha]_D^{25} = +57.7^\circ$ (MeOH, $c=1.02$, 24°C).

5 No.2a-83

CDCl_3 300MHz

0.97(1H,d, $J=10.2\text{Hz}$), 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, $J=8.7\text{Hz}$), 7.16 and 7.75 (each 2H,each d, $J=8.7\text{Hz}$).

10 IR(CHCl_3): 3452, 3030, 3022, 3012, 2925, 2870, 1754, 1709, 1654, 1604, 1585, 1522, 1493 cm^{-1} .

$[\alpha]_D^{25} = +57.4^\circ$ (MeOH, $c=1.01$, 24°C).

No.2a-84

15 $[\alpha]_D^{25} = +57.8^\circ$ (MeOH, $c=1.01$, 24°C).

No.2a-85

CDCl_3 300MHz

0.95(1H,d, $J=10.2\text{Hz}$), 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, $J=8.7\text{Hz}$), 6.87 and 7.57(each 2H,each d, $J=9.0\text{Hz}$).

20 IR(CHCl_3): 3590, 3450, 3166, 3019, 3012, 2925, 2871, 1708, 1637, 1608, 1583, 1531, 1498 cm^{-1} .

$[\alpha]_D^{25} = +56.0^\circ$ (MeOH, $c=1.01$, 24°C).

25

No.2a-86

$[\alpha]_D^{25} = +59.3^\circ$ (MeOH, $c=1.01$, 22°C).

No.2a-87



CDCl_3 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).

5 IR(CHCl_3): 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

10 $[\alpha]_D^{25} = +50.9^\circ$ (MeOH, c=1.02, 25°C).

No.2a-89

CDCl_3 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).

15 IR(CHCl_3): 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).

20 m.p. 117.0-118.0°C

No.2a-90

$[\alpha]_D^{25} = +54.1^\circ$ (MeOH, c=1.01, 22°C).

25 No.2a-91

CDCl_3 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(1H,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).

5 No.2a-92

[α]_D=+50.3° (MeOH,c=1.00,22°C).

No.2a-93

CDCl₃ 300MHz

10 0.95(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 5(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).

15

No.2a-94

[α]_D=+51.5° (MeOH,c=1.00,24°C).

No.2a-95

20 CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 5(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=2.0Hz).

IR(CHCl₃):3452,3114,3030,3013 2925,2870,1708,1649,1535,1498,1471/cm.

25 [α]_D=+55.5° (MeOH,c=1.00,25°C).

m.p.87.0-88.0°C

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.

$[\alpha]_D^{25} = +38.8^\circ$ (MeOH,c=1.01,22°C).

5

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).

10 IR(KBr):3447,3087,2987,2922,2868,1629,1545,1501 /cm.

$[\alpha]_D^{25} = +52.9^\circ$ (MeOH,c=1.01,24°C).

No.2a-98

$[\alpha]_D^{25} = +53.2^\circ$ (MeOH,c=1.02,23°C).

15

No.2a-99

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.26-2.45(24H,m),4.2

5(2H,m),5.34-5.52(2H,m),6.18(1H,d,J=8.7Hz),6.91 and 7.66(each 2H,each

20 d,J=9.0Hz).

IR(CHCl₃):3455,3029,3019,2939,2862,1738,1709,1645,1605,1523,1494 /cm.

$[\alpha]_D^{25} = +51.4^\circ$ (MeOH,c=1.00,23°C).

No.2a-100

25 $[\alpha]_D^{25} = +49.3^\circ$ (MeOH,c=1.00,24°C).

No.2a-101

$[\alpha]_D^{25} = +51.3^\circ$ (MeOH,c=1.00,24°C).



No.2a-102

 $[\alpha]_D^{25} = +48.8^\circ$ (MeOH, c=1.01, 23°C).

No.2a-103

5 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, brs), 7.12(1H, d, J=9.0 Hz).

10 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).

15

No.2a-105

CDCl₃ 300MHz

93(1H, d, J=9.9Hz), 1.09 and 1.21(each 3H, each s), 1.51-2.44(14H, m), 3.90(6 H, 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(1 H, dd, J=1.8 and 8.1Hz).

20

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).

25

No.2a-106

 $[\alpha]_D^{25} = +67.0^\circ$ (MeOH, c=1.00, 25°C).

No.2a-107



$[\alpha]_D = +66.6^\circ$ (MeOH, $c = 1.01$, 24°C).

m.p. 168.0 - 170.0°C

No.2a-108

5 $[\alpha]_D = +61.8^\circ$ (MeOH, $c = 1.00$, 22°C).

No.2a-109

CDCl_3 300MHz

0.96(1H, d, $J = 10.2\text{Hz}$), 1.10 and 1.22(each 3H, each s), 1.51-2.45(14H, m), 4.2
10 5(1H, m), 5.33-5.49(2H, m), 6.21(1H, d, $J = 8.7\text{Hz}$), 7.25 and 7.60(each 2H, each
d, $J = 8.7\text{Hz}$), 7.33-7.41(5H, s).

IR(CHCl_3): 3453, 3062, 3028, 3014, 2925, 2870, 1739, 1708, 1651, 1594, 1557, 1515,
1481 $/\text{cm}$.

$[\alpha]_D = +61.0^\circ$ (MeOH, $c = 1.01$, 22°C).

15

No.2a-110

CD_3OD 300MHz

0.94(1H, d, $J = 9.9\text{Hz}$), 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, $J = 8.7\text{Hz}$), 7.41(5H, s)

20

IR(KBr): 3435, 3058, 2986, 2920, 2866, 1635, 1595, 1562, 1521, 1482, 1439, 1411 $/\text{cm}$
m.

$[\alpha]_D = +47.3^\circ$ (MeOH, $c = 1.01$, 23°C).

25 No.2a-111

$[\alpha]_D = +65.6^\circ$ (MeOH, $c = 1.01$, 24°C).

No.2a-112

CDCl_3 300MHz



0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2
7(1H,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

5 /cm.

[α]_D=+65.6° (MeOH,c=1.01,22°C).

No.2a-113

[α]_D=+59.6° (MeOH,c=1.00,24°C).

10

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),4.2
9(1H,m),5.35-5.51(2H,m),6.28(1H,d,J=8.4Hz),7.70 and 7.83(each 2H,each
15 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).

20 No.2a-115

[α]_D=+59.7° (MeOH,c=0.99,24°C).

No.2a-116

CDCl₃ 300MHz

25 0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.3
9(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.



$[\alpha]_D^{+59.7^\circ}$ (MeOH, $c=0.99$, 24°C).

No.2a-117

$[\alpha]_D^{+56.7^\circ}$ (MeOH, $c=1.00$, 23°C).

5

No.2a-118

CDCl_3 300MHz

0.96(1H, d, $J=10.2\text{Hz}$), 1.11 and 1.23(each 3H, each s), 1.53-2.44(14H, m), 4.2

3(1H, m), 5.34-5.51(2H, m), 6.02(2H, s), 6.13(1H, d, $J=8.7\text{Hz}$), 6.83(1H, dd, $J=1.2$

10 and 7.8Hz), 7.22-7.25(2H, m).

IR(CHCl_3): 3453, 3031, 3020, 3012, 2924, 2870, 1740, 1708, 1650, 1619, 1605, 1519, 1504, 1480 /cm .

$[\alpha]_D^{+57.2^\circ}$ (MeOH, $c=1.02$, 23°C).

15 No.2a-119

CDCl_3 300MHz

0.96(1H, d, $J=10.5\text{Hz}$), 1.07 and 1.23(each 3H, each s), 1.51-2.44(14H, m), 2.3

2(3H, s), 4.26(1H, m), 5.37-5.52(2H, m), 6.40(1H, d, $J=9.0\text{Hz}$), 7.09(1H, m), 7.30(1

H, m), 7.46(1H, m), 7.66(1H, m).

20 IR(CHCl_3): 3443, 3028, 3012, 2925, 2870, 1766, 1747, 1709, 1657, 1607, 1516, 1479 /cm .

$[\alpha]_D^{+53.2^\circ}$ (MeOH, $c=0.99$, 21°C).

No.2a-120

25 CDCl_3 300MHz

0.98(1H, d, $J=10.2\text{Hz}$), 1.14 and 1.24(each 3H, each s), 1.53-2.44(14H, m), 4.3

0(1H, m), 5.35-5.52(2H, m), 6.42(1H, d, $J=8.7\text{Hz}$), 6.85(1H, m), 6.99(1H, dd, $J=1.2$

and 8.4Hz), 7.27(1H, m), 7.39(1H, m).

IR(CHCl_3): 3463, 3033, 3021, 3014, 2992, 2924, 2870, 1708, 1643, 1597, 1523, 1488



/cm.

$[\alpha]_D = +46.3^\circ$ (MeOH, c=1.01, 21°C).

No.2a-121

5 CDCl_3 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).

10 IR(CHCl_3): 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

15 $[\alpha]_D = +42.3^\circ$ (MeOH, c=0.99, 23°C).

No.2a-123

$[\alpha]_D = +38.7^\circ$ (MeOH, c=1.00, 21°C).

20 No.2a-124

$[\alpha]_D = +45.0^\circ$ (MeOH, c=1.01, 21°C).

m.p. 119.0-120.0°C

No.2a-125

25 $[\alpha]_D = +49.8^\circ$ (MeOH, c=1.01, 22°C).

No.2a-126

CDCl_3 300MHz

0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.52-2.47(14H,m), 4.2



6(1H,m),5.34-5.50(2H,m),6.22(1H,d,J=8.7Hz),7.55-7.61(4H,m).

IR(CHCl₃):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600,
1536,1483,1470 /cm.

[α]_D=+63.0° (MeOH,c=1.01,23°C).

5

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),4.2

3(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(

10 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.

[α]_D=+59.5° (MeOH,c=1.01,23°C).

15 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).

20 IR(Nujol):3395,3345,2925,2866,2623,2506,1697,1658,1638,1597,1557 /cm.

[α]_D=+26.0° (MeOH,c=1.01,23°C).

m.p.164.0-166.0°C

No.2a-129

25 CDCl₃ 300MHz

1.01(1H,d,J=10.0Hz),1.17 and 1.25(each 3H,each s),1.54-2.52(14H,m),4.3

4(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,brs).

IR(CHCl₃):3451,3060,3028,3010,2925,2870,1708,1652,1629,1600,1517,1502



/cm.

$[\alpha]_D^{25} = +68.6^\circ$ (MeOH, $c=1.00$, 22°C).

No.2a-130

5 CDCl_3 300MHz

1.02(1H,d, $J=10.2\text{Hz}$), 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, $J=9.0\text{Hz}$), 7.43-7.59(4H,m), 7.85-7.92(2H,m), 8.27(1H,dd, $J=1.8$ and 7.2Hz).

IR(CHCl_3): 3436, 3032, 3010, 2924, 2870, 2664, 1708, 1652, 1512, 1498 /cm.

10 $[\alpha]_D^{25} = +93.9^\circ$ (MeOH, $c=1.00$, 22°C)

m.p. $94.0-96.0^\circ\text{C}$

No.2a-131

$[\alpha]_D^{25} = +50.2^\circ$ (MeOH, $c=0.95$, 21°C).

15

No.2a-132

$[\alpha]_D^{25} = +10.9^\circ$ (MeOH, $c=0.92$, 21°C).

No.2a-133

20 $[\alpha]_D^{25} = +60.4^\circ$ (MeOH, $c=1.00$, 21°C).

No.2a-134

$[\alpha]_D^{25} = +38.5^\circ$ (MeOH, $c=1.01$, 23°C).

25 No.2a-135

$[\alpha]_D^{25} = +52.5^\circ$ (MeOH, $c=1.01$, 23°C).

m.p. $180.0-182.0^\circ\text{C}$

No.2a-136



$[\alpha]_D^{25} = +35.3^\circ$ (MeOH, $c = 1.02$, 23°C).

m.p. $79.0-80.0^\circ\text{C}$

No. 2a-137

5 CDCl_3 300MHz

0.97(1H, d, $J = 10.2\text{Hz}$), 1.11 and 1.22(each 3H, each s), 1.43(3H, t, $J = 6.9\text{Hz}$), 1.52-2.44(14H, m), 4.03(2H, q, $J = 6.9\text{Hz}$), 4.26(1H, m), 5.33-5.50(2H, m), 6.19(1H, d, $J = 8.7\text{Hz}$), 6.88-7.00(6H, m), 7.65-7.68(2H, m).

10 IR(CHCl_3): 3455, 3031, 3024, 3014, 2988, 2925, 2870, 1741, 1708, 1649, 1602, 1521, 1504, 1490 $/\text{cm}$.

$[\alpha]_D^{25} = +52.0^\circ$ (MeOH, $c = 1.01$, 23°C).

No. 2a-138

CDCl_3 300MHz

15 0.97(1H, d, $J = 10.2\text{Hz}$), 1.11 and 1.22(each 3H, each s), 1.35(6H, d, $J = 6.0\text{Hz}$), 1.53-2.46(14H, m), 4.25(1H, m), 4.51(1H, m), 5.33-5.50(2H, m), 6.12(1H, d, $J = 9.0\text{Hz}$), 6.87-6.99(6H, m), 7.65-7.68(2H, m).

IR(CHCl_3): 3454, 3031, 3014, 2980, 2925, 2870, 1741, 1708, 1649, 1602, 1522, 1490 $/\text{cm}$.

20 $[\alpha]_D^{25} = +50.0^\circ$ (MeOH, $c = 1.05$, 22°C).

No. 2a-139

CDCl_3 300MHz

25 1.00(1H, d, $J = 10.2\text{Hz}$), 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, $J = 8.7\text{Hz}$), 6.70(1H, d, $J = 1.5\text{Hz}$), 7.12(1H, m), 7.30(1H, m), 7.47(1H, dd, $J = 0.6$ and 8.1Hz), 7.61(1H, d, $J = 8.4\text{Hz}$).

IR(CHCl_3): 3449, 3243, 3029, 3022, 3013, 2925, 2871, 1707, 1631, 1542, 1505 $/\text{cm}$.

$[\alpha]_D^{25} = +63.4^\circ$ (MeOH, $c = 1.00$, 23°C).

m.p. $178.0-179.0^\circ\text{C}$



No.2a-140

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.18 and 1.23(each 3H,each s),1.57-2.50(14H,m),4.3
 5 5(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(
 2H m),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

10

No.2a-141

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),3.8
 4(3H,s),4.27(1H,m),5.34-5.52(2H,m),6.28(1H,d,J=9.0Hz),6.91 and 7.47
 15 (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).

20 m.p.155.0-157.0°C

No.2a-142

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.7
 25 9(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.

$[\alpha]_D^{25} = +60.7^\circ$ (MeOH, $c = 0.99$, 22°C).

No.2a-143

$[\alpha]_D^{25} = +57.3^\circ$ (MeOH, $c = 1.01$, 23°C).

5

No.2a-144

$[\alpha]_D^{25} = +12.2^\circ$ (MeOH, $c = 1.00$, 23°C).

m.p. $114.0-116.0^\circ\text{C}$

10 No.2a-145

CDCl_3 300MHz

0.95(1H, d, $J = 10.2\text{Hz}$), 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, $J = 8.7\text{Hz}$), 7.45-7.47(3H, m), 7.62-7.66(2H, m), 7.69 and 7.80(each 2H, each d, $J = 7.5\text{Hz}$).

15 IR(CHCl_3): 3449, 3058, 3027, 3012, 2925, 2870, 1708, 1655, 1513, 1481, 1043 $/\text{cm}$.

$[\alpha]_D^{25} = +61.0^\circ$ (MeOH, $c = 1.01$, 23°C).

No.2a-146

CDCl_3 300MHz

20 0.95(1H, d, $J = 10.5\text{Hz}$), 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, $J = 8.4\text{Hz}$), 7.49-7.61(3H, m), 7.91-7.92(2H, m), 7.82 and 7.97(each 2H, each d, $J = 8.7\text{Hz}$).

IR(CHCl_3): 3447, 3029, 3023, 3015, 2925, 2870, 1708, 1660, 1514, 1484, 1321, 1161 $/\text{cm}$.

25 $[\alpha]_D^{25} = +62.0^\circ$ (MeOH, $c = 1.00$, 22°C).

No.2a-147

CDCl_3 300MHz

0.97(1H, d, $J = 10.2\text{Hz}$), 1.12 and 1.23(each 3H, each s), 1.52-2.46(14H, m), 2.5



1(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).

IR(CHCl₃):3453,3027,3015,2925,2870,2665,1708,1648,1596,1516,1484 /cm.

[α]_D=+67.7° (MeOH,c=0.82,22°C).

5

No.2a-148

[α]_D=+72.5° (MeOH,c=1.01,25°C).

No.2a-149

10 [α]_D=+67.8° (MeOH,c=0.98,25°C).

No.2a-150

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2

15 2(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

20 No.2a-151

[α]_D=+40.6° (MeOH,c=1.01,23°C).

No.2a-152

CDCl₃ 300MHz

25 0.96(1H,d,J=10.5Hz),1.10 and 1.24(each 3H,each s),1.50-2.50(14H,m),2.7

1(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

CDCl₃ 300MHz

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),
 5 7.26(1H,d,J=5.1Hz).

IR(CHCl₃):3469,3431,3025,3013,2925,2871,2664,1708,1639,1544,1505 /cm.[α]_D=+35.8° (MeOH,c=1.03,22°C).

No.2a-154

10 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.15 [α]_D=+50.5° (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),4.3
 20 1(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.[α]_D=+69.1° (MeOH,c=1.01,22°C).

25 No.2a-156

CDCl₃:CD₃O_D=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).

No.2a-157

5 $[\alpha]_D^{25} = +40.0^\circ$ (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), 4.3
10 4(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.

$[\alpha]_D^{25} = +44.9^\circ$ (MeOH, c=0.99, 22°C).

No.2a-159

15 CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.13 and 1.22(each 3H, each s), 1.55-2.43(14H, m), 3.0
3(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,
20 1501 /cm.

$[\alpha]_D^{25} = +64.8^\circ$ (MeOH, c=1.01, 22°C).

No.2a-160

d₆-DMSO 300MHz

25 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.

$[\alpha]_D^{25} = +78.5^\circ$ (MeOH, c=1.01, 24°C).



m.p.161.0-162.0°C

No.2a-161

$[\alpha]_D^{25} = +65.3^\circ$ (MeOH, c=1.00, 22°C).

5

No.2a-162

CDCl₃ 300MHz

0.99(1H, d, J=10.2Hz), 1.13 and 1.25(each 3H, each s), 1.53-2.45(14H, m), 4.3

0(1H, m), 5.36-5.51(2H, m), 6.32(1H, d, J=8.4Hz), 7.88 and 8.28(each 2H, each

10 d, J=9.0Hz).

IR(CHCl₃): 3448, 3029, 3016, 2925, 2870, 1708, 1664, 1602, 1527, 1484, 1347 /cm.

$[\alpha]_D^{25} = +72.7^\circ$ (MeOH, c=1.02, 22°C).

No.2a-163

15 CDCl₃ 300MHz

0.96(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.55-2.51(14H, m), 4.2

6(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.

20 $[\alpha]_D^{25} = +71.3^\circ$ (MeOH, c=1.01, 22°C).

No.2a-164

$[\alpha]_D^{25} = +40.8^\circ$ (MeOH, c=0.98, 22°C).

25 No.2a-165

CDCl₃ 300MHz

0.96(1H, d, J=10.5Hz), 1.11 and 1.24(each 3H, each s), 1.55-2.52(14H, m), 4.2

4(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.

[α]_D=+75.4° (MeOH,c=1.01,22°C).

5 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),2.9 5(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).

10 IR(CHCl₃):3453,3024,3016,2924,2871,2806,1739,1708,1647,1612,1604,1515, 1490 /cm.

[α]_D=+53.1° (MeOH,c=1.02,23°C).

m.p.104.0-105.5°C

15 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).

20 IR(CHCl₃):3450,3024,3014,2925,2870,2667,1707,1650,1531,1509 /cm.

[α]_D=+70.5° (MeOH,c=1.00,22°C).

No.2a-168

CDCl₃ 300MHz

25 1.02(1H,d,J=10.2Hz),1.20 and 1.26(each 3H,each s),1.56-2.50(14H,m),4.3 8(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).

No.2a-169

CDCl_3 300MHz

5 0.96(1H, d, $J = 10.5\text{Hz}$), 1.09 and 1.21(each 3H, each s), 1.50-2.44(14H, m), 3.8
5(3H, s), 4.24(1H, m), 5.32-5.48(2H, m), 6.19(1H, d, $J = 8.4\text{Hz}$), 6.94 and 7.45 (each 2H, each d, $J = 9.0\text{Hz}$), 7.11 and 7.45(each 2H, each d, $J = 8.7\text{Hz}$).
IR(CHCl_3): 3516, 3453, 3029, 3009, 2925, 2870, 2840, 2665, 1708, 1650, 1593, 1515, 1493, 1482 /cm.

10 $[\alpha]_D^{25} = +57.8^\circ$ (MeOH, $c = 1.00$, 23°C).

No.2a-170

CDCl_3 300MHz

0.98(1H, d, $J = 10.2\text{Hz}$), 1.15 and 1.24(each 3H, each s), 1.52-2.50(14H, m), 4.2
15 8(1H, m), 5.33-5.54(2H, m), 6.25(1H, d, $J = 8.2\text{Hz}$), 7.38-7.44(2H, m), 7.74(1H, s), 7.81-7.86(2H, m).
IR(CHCl_3): 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).

20

No.2a-171

CDCl_3 300MHz

0.96(1H, d, $J = 10.2\text{Hz}$), 1.11 and 1.22(each 3H, each s), 1.52-2.42(14H, m), 2.48
(3H, s), 4.21(1H, m), 5.31-5.52(2H, m), 6.06(1H, d, $J = 8.2\text{Hz}$), 6.97 and 7.59 (e
25 ach 1H, each d, $J = 1.2\text{Hz}$).
IR(CHCl_3): 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



CDCl_3 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(3H,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).

- 5 IR(CHCl_3): 3451, 3031, 3013, 2925, 2870, 2666, 1708, 1647, 1542, 1497 /cm.
 $[\alpha]_D^{25} = +51.2^\circ$ (MeOH, c=1.00, 23°C).

No.2a-173

CDCl_3 300MHz

- 10 0.95(1H,d,J=10.2Hz), 1.10 and 1.23(each 3H,each s), 1.50-2.45(14H,m), 4.22(1H,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(CHCl_3): 3451, 3011, 3029, 3011, 2925, 2870, 1708, 1652, 1538, 1500 /cm.
 $[\alpha]_D^{25} = +50.6^\circ$ (MeOH, c=1.01, 23°C).

15

No.2a-174

CDCl_3 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(1H,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).

20

IR(CHCl_3): 3417, 3115, 3023, 3014, 2925, 2870, 1708, 1645, 1530 /cm.
 $[\alpha]_D^{25} = +48.8^\circ$ (MeOH, c=1.02, 23°C).

No.2a-175

25

CDCl_3 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(3H,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(CHCl_3): 3329, 3093, 3023, 3015, 2924, 2871, 1708, 1640, 1526 /cm.



$[\alpha]_D^{25} = +45.0^\circ$ (MeOH, $c=1.01$, 23°C).

No.2a-176

CDCl_3 300MHz

5 0.95(1H, d, $J=10.5\text{Hz}$), 1.09 and 1.23(each 3H, each s), 1.52-2.46(14H, m), 2.40(3H, d, $J=0.9\text{Hz}$), 4.24(1H, m), 5.35-5.51(2H, m), 6.05(1H, d, $J=8.7\text{Hz}$), 6.95(1H, m), 7.57(1H, d, $J=3.3\text{Hz}$).

IR(CHCl_3): 3517, 3444, 3103, 3024, 3013, 2926, 2870, 1739, 1708, 1649, 1636, 1507/
cm.

10 $[\alpha]_D^{25} = +54.8^\circ$ (MeOH, $c=1.01$, 23°C).

m.p. $97.0-99.0^\circ\text{C}$

No.2a-177

CDCl_3 300MHz

15 0.97(1H, d, $J=10.2\text{Hz}$), 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, $J=3.3\text{Hz}$), 7.80(1H, d, $J=8.7\text{Hz}$), 8.10(1H, d, $J=3.3\text{Hz}$).

IR(CHCl_3): 3395, 3121, 3031, 3019, 3012, 2925, 2871, 1739, 1709, 1640, 1557, 1533/
cm.

20 $[\alpha]_D^{25} = +22.8^\circ$ (MeOH, $c=1.01$, 23°C).

m.p. $109.0-112.0^\circ\text{C}$

No.2a-178

CDCl_3 300MHz

25 0.96(1H, d, $J=10.5\text{Hz}$), 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, $J=8.4\text{Hz}$), 7.17-7.31(6H, m), 7.95(1H, d, $J=1.5\text{Hz}$).

IR(CHCl_3): 3510, 3451, 3062, 3031, 3022, 3011, 2925, 2870, 2662, 1708, 1651, 1582, 1535, 1497, 1477/cm.



$[\alpha]_D = +47.9^\circ$ (MeOH, $c=1.01$, 25°C).

No.2a-179

CDCl_3 300MHz

5 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(CHCl_3): 3509, 3429, 3115, 3094, 3025, 3014, 2925, 2871, 2666, 1708, 1649, 1529, 1510 /cm .

10 $[\alpha]_D = +51.0^\circ$ (MeOH, $c=1.02$, 25°C).

No.2a-180

CDCl_3 300MHz

0.95(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.52-2.46(14H,m), 3.8
15 9(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(CHCl_3): 3516, 3450, 3114, 3031, 3010, 2925, 2871, 1708, 1648, 1546, 1511, 1477 /cm .

$[\alpha]_D = +49.1^\circ$ (MeOH, $c=1.01$, 25°C).

20

No.2a-181

CDCl_3 300MHz

0.97(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.52-2.48(14H,m), 2.4
2(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).
25

IR(CHCl_3): 3510, 3301, 3112, 3023, 3007, 2924, 2871, 2663, 1708, 1636, 1534 /cm .

$[\alpha]_D = +41.0^\circ$ (MeOH, $c=0.96$, 25°C).

No.2a-182



CDCl_3 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), 4.21(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).

- 5 IR(CHCl_3): 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

10 CDCl_3 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).

- 15 IR(CHCl_3): 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

CDCl_3 300MHz

- 20 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(CHCl_3): 3451, 3031, 3014, 2925, 2870, 2660, 1739, 1708, 1650, 1604, 1513, 1463 /cm.
 25 $[\alpha]_D^{23} = +58.3^\circ$ (MeOH, c=1.00, 23°C).

No.2a-185

CDCl_3 300MHz

1.00(1H,d,J=10.2Hz), 1.18 and 1.25(each 3H,each s), 1.55-2.50(14H,m), 4.3



4(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=1.8Hz).

IR(CHCl₃):3451,3030,3020,2870,2665,1708,1652,1632,1603,1586,1514,1469,

5 1448 /cm.

[α]_D=+59.4° (MeOH,c=1.01,24°C).

No.2a-186

CDCl₃ 300MHz

10 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.

15 [α]_D=+72.2° (MeOH,c=1.00,24°C).

No.2a-187

CDCl₃ 300MHz

20 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).

25

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(1



H,m),10.81(1H,brs).

IR(CHCl₃):3450,3236,3112,3029,3015,2925,2871,2645,1701,1616,1558,1516
/cm.

[α]_D=+50.6° (MeOH,c=1.01,24°C).

5

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).

10

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

15

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,brs).

20

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).

25 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.

[α]_D=+43.1° (MeOH,c=1.01,23°C).

5 No.2a-192

CDCl₃ 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=1.8
10 Hz).

IR(CHCl₃):3630,3452,3032,3018,3006,2925,2871,2661,1735,1710,1633,1610,
1555,1497 /cm.

[α]_D=+40.1° (MeOH,c=1.00,23°C).

15 No.2a-193

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.22(each 3H,each s),1.53-2.49(14H,m),2.5
8(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).

20 IR(CHCl₃):3516,3450,3410,3152,3027,3015,2925,2871,2670,1732,1648,1574,
1509 /cm.

[α]_D=+45.0° (MeOH,c=1.01,25°C).

No.2a-194

25 CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.11 and 1.24(each 3H,each s),1.52-2.53(14H,m),4.3
4(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.



$[\alpha]_D^{25} = +55.7^\circ$ (MeOH, $c = 1.01$, 23°C).

No. 2a-195

CDCl_3 300MHz

5 1.01(1H, d, $J = 10.0\text{Hz}$), 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, $J = 9.4\text{Hz}$), 7.30-7.42(2H, m), 7.73-7.86(2H, m).

IR(CHCl_3): 3510, 3434, 3062, 3029, 3014, 2924, 2871, 2669, 1708, 1650, 1563, 1539, 1500 /cm.

10 $[\alpha]_D^{25} = +72.4^\circ$ (MeOH, $c = 1.00$, 23°C).

m.p. 111.0-112.0°C

No. 2a-196

CDCl_3 300MHz

15 0.42 and 1.04(each 3H, each s), 0.80(1H, d, $J = 10.0\text{Hz}$), 1.11-2.48(14H, m), 2.24(3H, s), 4.02(1H, m), 5.23-5.44(2H, m), 5.53(1H, d, $J = 8.8\text{Hz}$), 7.27-7.31(2H, m), 7.42-7.48(3H, m), 7.93(1H, s).

IR(CHCl_3): 3419, 3114, 3025, 3006, 2924, 2871, 2662, 1737, 1709, 1636, 1540, 1519 /cm.

20 $[\alpha]_D^{25} = +43.7^\circ$ (MeOH, $c = 1.01$, 23°C).

No. 2a-197

CDCl_3 300MHz

0.95(1H, d, $J = 10.0\text{Hz}$), 1.09 and 1.23(each 3H, each s), 1.54-2.46(18H, m), 2.77(4H, brs), 4.21(1H, m), 5.32-5.54(2H, m), 6.02(1H, d, $J = 8.6\text{Hz}$), 7.43(1H, s).

IR(CHCl_3): 3445, 3101, 3024, 3014, 2928, 2865, 2661, 1739, 1708 1646, 1550, 1507 /cm.

$[\alpha]_D^{25} = +51.9^\circ$ (MeOH, $c = 1.01$, 23°C).



No.2a-198

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.50-2.44(14H,m),4.2
 4(1H,m),4.42(2H,s),5.35-5.49(2H,m),6.25(1H,d,J=8.1Hz),7.33(1H,m),7.43(1
 5 H,dd,J=1.5and 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.

[α]_D=+56.9° (MeOH,c=1.01,24°C).

10

No.2a-199

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.46(14H,m),3.4
 0(1H,m),3.76(1H,m),4.24(1H,m),5.33-5.51(3H,m),6.25(1H,m),7.16(1H,m),7.2
 15 4-7.33(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.

[α]_D=+54.8° (MeOH,c=1.00,23°C).

20

No.2a-200

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2
 5(1H,m),5.34-5.51(2H,m),6.25(1H,d,J=8.4Hz),7.02 and 7.10(each,1H,each
 25 d,J=12.3Hz),7.23-7.33(4H,m),7.50(1H,m),7.64(1H,dd,J=1.8 and 7.8Hz),7.8
 2(1H,d,J=1.8Hz).

IR(CHCl₃):3450,3060,3025,3014,2925,2871,2662,1708,1653,1596,1542,1513,
 1473 /cm.

[α]_D=+62.5° (MeOH,c=1.00,24°C).



No.2a-201

CDCl₃ 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(1H,m),5.35-5.63(2H,m),7.14(1H,d,J=9.9Hz),7.34 and 7.40(each,1H,each d,J=12.9Hz),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.

[α]_D=+61.1° (MeOH,c=1.01,23°C).

10

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(3H,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 2870,1739,1708,1650,1595,1556,1516,1482,1471 /cm.

[α]_D=+60.2° (MeOH,c=1.01,25°C).

20 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(1H,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).

25 IR(CHCl₃):3517,3450,3134,3031,3008,2925,2870,2667,1708,1656,1588,1570,1514 /cm.

[α]_D=+46.7° (MeOH,c=0.92,25°C).

No.2b-1



$[\alpha]_D = +25.6^\circ$ (MeOH, $c=1.01$, 23°C).

No.2b-2

$[\alpha]_D = +38.9^\circ$ (MeOH, $c=1.01$, 24°C).

5

No.2c-1

$[\alpha]_D = +60.5^\circ$ (MeOH, $c=1.01$, 22°C).

No.2c-2

10 $[\alpha]_D = +55.8^\circ$ (MeOH, $c=0.92$, 22°C).

No.2c-3

$[\alpha]_D = +54.7^\circ$ (MeOH, $c=1.01$, 22°C).

15 No.2d-1

$[\alpha]_D = -6.2^\circ$ (MeOH, $c=1.00$, 21°C).

No.2d-2

$[\alpha]_D = +15.8^\circ$ (MeOH, $c=0.34$, 22°C).

20

No.2d-3

$[\alpha]_D = +31.6^\circ$ (MeOH, $c=1.01$, 22°C).

No.2e-1

25 $[\alpha]_D = -9.4^\circ$ (MeOH, $c=1.00$, 22°C).

No.2e-2

$[\alpha]_D = -1.8^\circ$ (MeOH, $c=1.02$, 23°C).



No.2e-3

$[\alpha]_D = -6.7^\circ$ (MeOH, c=1.01, 23°C).

No.2f-1

5 $[\alpha]_D = +6.8^\circ$ (MeOH, c=1.01, 23°C).

No.2f-2

$[\alpha]_D = -2.6^\circ$ (MeOH, c=1.00, 22°C).

10 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).

15

No.3a-2

CDCl₃ 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).

20 IR(CDCl₃): 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

25 CDCl₃ 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.

$[\alpha]_D^{25} = +2.3 \pm 0.4^\circ$ (CHCl₃, c=1.03, 22°C).

mp. 65-66.5°C

5 No. 3a-4

CDCl₃ 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)

10 IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1708, 1594, 1479, 1396, 1319, 1156, 1095,
1052, 891/cm.

$[\alpha]_D^{25} = +13.1 \pm 0.5^\circ$ (CHCl₃, c=1.16, 24°C).

No. 3a-6

15 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

20 .

$[\alpha]_D^{25} = -15.3 \pm 0.5^\circ$ (CHCl₃, c=1.06, 23°C).

mp. 105-112°C

No. 3a-11

25 CDCl₃ 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.



$[\alpha]_D = +8.3 \pm 0.5^\circ$ (CHCl_3 , $c = 1.00$, 22°C).

No.3a-16

CDCl_3 300MHz

- 5 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), 7.48-7.60(2H,m), 8.25-8.33(2H,m), 8.53(1H,d,J=8.7Hz).
- IR(CHCl_3): 3272, 3020, 2946, 2866, 2782, 1708, 1573, 1455, 1407, 1311, 1229, 1160, 1142, 1070, 942, 891/cm.

- 10 $[\alpha]_D = -19.7 \pm 0.6^\circ$ (CHCl_3 , $c = 1.08$, 23.5°C).

No.3a-31

CDCl_3 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),
- 15 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(CHCl_3): 3374, 3016, 2946, 2868, 1727, 1506, 1435, 1318, 1160, 1133, 1105, 1051, 984, 890/cm.

- 20 $[\alpha]_D = -39.3 \pm 0.8^\circ$ (CHCl_3 , $c = 1.07$, 22°C).

No.3a-32

CDCl_3 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),
- 25 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(CHCl_3): 3270, 3020, 2948, 2868, 1708, 1455, 1412, 1317, 1159, 1132, 1104, 1079, 1051, 983, 891/cm.

$[\alpha]_D = -29.2 \pm 0.6^\circ$ (CHCl_3 , $c = 1.08$, 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-
5 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,
986/cm.

$[\alpha]_D = -79.9 \pm 1.2^\circ$ (CH₃OH, c=1.00, 23°C).

10 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)

15 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

20 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.

$[\alpha]_D \div 0$

$[\alpha]_{365} = +20.9 \pm 0.6^\circ$ (CH₃OH, c=1.04, 23°C).

25

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.

$[\alpha]_D^{25} = +19.0 \pm 0.6^\circ$ (CH₃OH, c=1.010, 26.5°C).

Elemental analysis (C₂₀H₂₆NO₄S 1/2Ca 1.0 H₂O)

Calcd.: C, 57.94; H, 6.82; N, 3.38; Ca, 4.83; H₂O, 4.35

5 Found: C, 57.80; H, 6.68; N, 3.68; Ca, 5.06; H₂O, 4.50

No.3d-6

$[\alpha]_D^{25} = -20.7 \pm 0.6^\circ$ (CHCl₃, c=1.00, 24°C).

10 No.3d-7

$[\alpha]_D^{25} = -3.2 \pm 0.4^\circ$ (CHCl₃, c=1.03, 22°C).

mp. 65-67°C

No.3d-8

15 $[\alpha]_D^{25} = -14.5 \pm 0.5^\circ$ (CHCl₃, c=1.07, 24°C).

No.3d-9

$[\alpha]_D^{25} = +12.2 \pm 0.5^\circ$ (CH₃OH, c=1.00, 23°C).

mp. 119-125°C

20

No.3d-10

$[\alpha]_D^{25} = +39.7 \pm 0.8^\circ$ (CHCl₃, c=1.07, 22°C).

No.3d-11

25 $[\alpha]_D^{25} = +29.2 \pm 0.7^\circ$ (CHCl₃, c=1.06, 22°C).

No.3d-12

$[\alpha]_D^{25} = +76.4 \pm 1.1^\circ$ (CH₃OH, c=1.03, 24°C).



No.3d-14

$[\alpha]_D = -20.6 \pm 0.6^\circ$ (CHCl_3 , $c=1.07$, 22°C).

No.3d-15

5 $[\alpha]_{365} = -28.0 \pm 0.7^\circ$ (CH_3OH , $c=1.03$, 24.5°C).

No.3d-16

$[\alpha]_D = -8.7 \pm 0.5^\circ$ (CHCl_3 , $c=1.06$, 22°C).

10 No.3d-17

CDCl_3 300MHz

0.80-2.15(m,24H), 2.32(t, $J=7\text{Hz}$, 2H), 2.68(t, $J=7\text{Hz}$, 2H), 3.02(m, 1H), 2.15
(m,24H), 2.32(t, $J=7\text{Hz}$, 2H), 2.68(t, $J=7\text{Hz}$, 2H), 3.02(m, 1H), 5.22(m, 2H), 5.38(d,
 $J=7\text{Hz}$, 1H), 7.30(A2B2q-Apart, $J=8\text{Hz}$, 2H), 7.81(A2B2qBpart, $J=8\text{Hz}$, 2H),

15 9.86 (brs, 1H).

$[\alpha]_D \doteq 0$

$[\alpha]_{365} = -9.7 \pm 0.5^\circ$ (CHCl_3 , $c=1.03$, 22°C).

No.3d-24

20 $[\alpha]_D = +19.2 \pm 0.6^\circ$ (CHCl_3 , $c=1.05$, 23°C).

No.3d-26

CD_3OD 300MHz

0.90-2.20(20H,m), 2.88(1H,m), 3.07(2H,q, $J=7.0\text{Hz}$), 5.00-5.40(2H,m), 7.20-

25 7.60(4H,m), 7.95(1H,m).

IR(KBr): 3415, 3254, 1698, 1564, 1314, 1154/cm.

No.3d-28

CD_3OD 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.

5 No.3d-30

Elemental analysis ($C_{20}H_{26}BrNO_4SNa$)

Calcd.: 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.

10

No.3e-1

CD_3OD 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-

15 5.39(2H,m), 7.37(2H,d,J=8.4Hz), 7.75(2H,d,J=8.4Hz).

IR($CHCl_3$): 3400, 3289, 2986, 2924, 2870, 1559, 1424, 1322, 1305, 1160, 1095, 1075, 1030/cm.

$[\alpha]_D^{25} = +25.9 \pm 0.7^\circ$ (CH_3OH , c=1.00, 23°C).



Compounds prepared in Examples above were tested for the in vivo and in vitro activity according to the method shown in Experimental examples below.

5 Experiment 1 Binding to PGD₂ Receptor

Material and Method

(1) Preparation of Human Platelet Membrane Fraction

10 Blood sample was obtained using a plastic syringe containing 3.8 % sodium citrate from a venous of healthy volunteers (adult male and female), put into a plastic test tube and mixed gently by inversion. The sample was then centrifuged at 1800 rpm, 10 min at room temperature, and supernatant containing PRP (platelet rich plasma) was collected. The PRP was re-centrifuged at 2300 rpm, 22 min at 15 room temperature to obtain platelets. The platelets were homogenized using a homogenizer (Ultra-Turrax) followed by centrifugation 3 times at 20,000 rpm, 10 min at 4°C to obtain platelet membrane fraction. After protein determination, the membrane fraction was adjusted to 2 mg/ml and preserved in a 20 refrigerator at -80°C until use.

(2) Binding to PGD₂ Receptor

To a binding-reaction solution (50 mM Tris/HCl, pH 7.4, 5 mM MgCl₂) (0.2 ml) were added human platelet membrane fraction (0.1 mg) and 5 nM [³H]PGD₂ (115Ci/mmol), and reacted at 25 4°C for 90 min. After the reaction completed, the reaction mixture was filtered through the glass fiber filter paper, washed several times with cooled saline, and measured



radioactivity retained on the filter paper. The specific binding was calculated by subtracting the non-specific binding (the binding in the presence of 10 μ M PGD₂) from the total binding. The binding-inhibitory activity of each compound was expressed as concentration required for 50 % inhibition (IC₅₀), which was determined by depicting a substitution curve by plotting the binding ratio (%) in the presence of each compound, where the binding ratio in the absence of a test compound is 100 %. The results are shown in Table below.

Compound number	Activity (μ M)	compound number	activity (μ M)
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

Experiment 2 Evaluation of Antagonistic Activity Against PGD₂ Receptor Using Human Platelet

Peripheral blood was obtained from a healthy volunteer using a syringe in which 1/9 volume of citric acid/dextrose solution has been previously added. The syringe was subjected to centrifugation at 180 g for 10 min to obtain the supernatant (PRP: platelet rich plasma). The resultant RRP was washed 3 times with a washing buffer and the number of platelet was counted with a micro cell counter. A suspension adjusted to contain platelet at a final concentration of 5 x



10⁸/ml was warmed at 37°C, and then subjected to the pre-treatment with 3-isobutyl-1-methylxanthine (0.5mM) for 5 min. To the suspension was added a test compound diluted at various concentration. Ten-minute later, the reaction was induced by the addition of 0.1 -2.0 μ M PGD₂ and, 15-minute later, stopped by the addition of HCl. The platelet was destroyed with an ultrasonic homogenizer. After centrifugation, the cAMP in the supernatant was determined by radioassay. PGD₂ receptor antagonism of a drug was evaluated as follows. The inhibition rate regarding cAMP increased by the addition of PGD₂ was determined at individual concentration, and then the concentration of the drug required for 50 % inhibition (IC₅₀) was calculated. The results are shown in Table below.

15	Compound number	Inhibition of Increase of Human Platelet cAMP (IC ₅₀) (μ M)
	3a-16	0.37
	1a-12	12.11
	1a-28	0.30
20	1a-47	2.09
	2a-2	0.77
	2a-4	0.94
	2a-35	1.52
25	2a-75	0.71

Experiment 3 Experiment Using Nasal Occlusion Model

The method used for measuring the nasal cavity resistance and evaluating the anti-nasal occlusion using a guinea pig are described below.



A 1% ovalbumin (OVA) solution was treated with ultrasonic nebulizer to obtain an aerosol. Hartley male guinea pig was sensitized by inhaling twice the aerosol for 10 min at one-week interval. Seven-day after the sensitization, the

5 guinea pig was exposed to an antigen to initiate the reaction. Then the trachea was incised under the anesthesia with pentobarbital (30 mg/kg, i.p.) and cannulas were inserted into the trachea at the pulmonary and nasal cavity sides. The canal inserted at the pulmonary side was connected with an artificial

10 respirator that provides 4 ml air 60 times/min. After arresting the spontaneous respiration of a guinea pig with Garamin (2 mg/kg, i.v.), air was supplied to the snout side with an artificial respirator at the frequency of 70 times/min, and the flow rate of 4 ml air/time, and the atmospheric

15 pressure required for the aeration was measured by the use of a transducer fitted at the branch. The measurement was used as a parameter of the nasal cavity resistance. The exposure of an antigen was carried out by generating aerosol of 3 % OVA solution for 3 min between the respirator and nasal cavity

20 cannula. The test drug was injected intravenously 10 min before the antigen exposure. The nasal resistance between 0 to 30 min was measured continuously and the effect was expressed as inhibition rate to that obtained for vehicle using the AUC for 30 min (on the vertical axis, nasal cavity resistance (cm

25 H₂O), and on the horizontal axis, time (0 - 30 min)) as an indication. The result is shown below.



	Compound number	Inhibition Rate (%) 1 mg/kg (i.v.)	Remarks
	1a-28	44	
	1a-98	69	
5	1a-100	50	
	1a-115	66	
	1a-116	48	
	1a-120	58	3mg/kg (i.v.)
	1a-2	82	
10	1a-162	80	
	1a-176	60	
	1a-267	62	
	2a-4	60	
	2a-21	52	
15	2a-28	54	
	2a-95	77	
	2a-96	77	10mg/kg (p.o.)
	2a-109	73	
	2a-110	66	10mg/kg (p.o.)
20	22a-194	79	

Formulation 1 Preparation of Tablets

Tablets each containing 40 mg of active ingredient
 25 were prepared in a conventional manner. The ingredients for 40 mg tablet are as follows:

Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-5-heptenoate dihydrate 40.0 mg
 30 Hydroxypropyl cellulose 3.6 mg
 Magnesium stearate 0.4mg
 Cornstarch 18.0 mg
 Lactose 58.0 mg
 Total 120.0 mg



Ingredients:

Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-

5	benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-	
	5-heptenoate dihydrate	100.0 mg
	Hydroxypropyl cellulose	30.0 mg
	Carmellose Calcium	30.0 mg
	Talc	10.0 mg
10	Poloxamer 188	20.0 mg
	Crystalline cellulose	70.0 mg
	Cornstarch	300.0 mg
	Lactose	<u>440.0 mg</u>
	Total	1000.0 mg

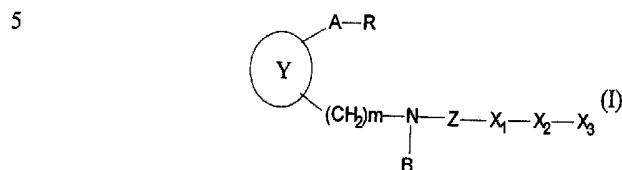
Throughout this specification and the claims which follow, unless the context requires otherwise, the word "comprise", and variations such as "comprises" and "comprising", will be understood to imply the inclusion of a stated integer or step or group of integers or steps but not the exclusion of any other integer or step or group of integers or steps.



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THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:



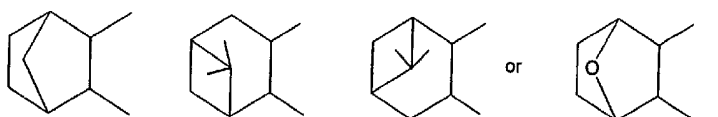
wherein

10



is

15



A is alkylene which optionally:



20

- (i) is intervened by hetero atom or phenylene,
- (ii) contains a carbonyl group, and/or
- (iii) has one or more double- or triple- bonds at any one or more positions on the chain;



25

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR₁, CH₂OR₂ or CON(R₃)R₄;

R₁ is hydrogen or alkyl;

R₂ is hydrogen or alkyl;

R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

30

X₁ is a single bond, phenylene, naphthylene, thiophenediyl,



indolediyl, or oxazolediyl; -241-

X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-,
-C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -
(CH₂)_n-, ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -
N(R₅₃)CON(R₅₄)-, -CON(R₅₅)-, -SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-,

5 oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group,
cycloalkyl, cycloalkenyl, thiazolinyldenemethyl,
thiazolidinyldenemethyl, -CH=NR₆ or -N=C(R₇)R₈;

10 R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R₆ is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy,
thiocarbamoyloxy, ureido or thioureido;

R₇ and R₈ each are independently alkyl, alkoxy, or aryl;

n is 1 or 2;

15 Z is -SO₂- or -CO-; and

m is 0 or 1;

wherein a cyclic substituent may has one to three substituents

selected from the group consisting of nitro, alkoxy, sulfamoyl,

20 substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy,

halogen, alkyl, alkynyl, carboxy, alkoxy-carbonyl,

aralkoxy-carbonyl, aryloxy-carbonyl, mesyloxy, cyano, alkenyloxy,

hydroxyalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo,

hydroxyimino, alkoxyimino, phenyl and alkylenedioxy, when used as a PGD₂ antagonist.

25

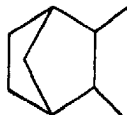
2. The compound of claim 1 wherein



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is

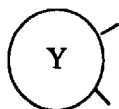


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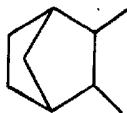
m is 0; Z is SO₂, both X₁ and X₂ are a single bond; X₁ is alkyl, phenyl, naphthyl, styryl, quinolyl or thienyl; and a
 5 cyclic substituent among these substituents optionally has one to three substituents selected from a group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or its salt or hydrate thereof.

3. The compound of claim 1 wherein

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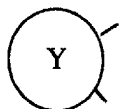
is



;

when m is 1, both X₁ and X₂ are a single bond; and X₁ is phenyl
 15 optionally substituted with halogen, or its salt or hydrate thereof.

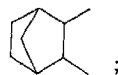
4. The compound of claim 1 wherein



20 is



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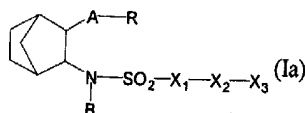
when m is 1, X_1 is phenylene, X_2 is $-CH_2-$ or $-N=N-$ and X_3 is phenyl, or its salt or hydrate thereof.

5

5. The compound of claim 1 which is the active ingredient in a drug for treating nasal occlusion.

6. A compound of the formula (Ia):

10



wherein A is alkylene which optionally:

- 15
- (i) is intervened by hetero atom or phenylene,
 - (ii) contains a carbonyl group, and/or
 - (iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is $COOR_1$, CH_2OR_2 or $CON(R_3)R_4$;

20 R_1 is hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

25 X_1 is a single bond, phenylene, naphthylene, thiophenediyl, indolediyl, or oxazolediyl;

X_2 is a single bond, $-N=N-$, $-N=CH-$, $-CH=N-$, $-CH=N-N-$, $-CH=N-O-$, $-C=NNHCSNH-$, $-C=NNHCONH-$, $-CH=CH-$, $-CH(OH)-$, $-C(Cl)=C(Cl)-$, $-(CH_2)_n-$, ethynylene, $-N(R_5)-$, $-N(R_{51})CO-$, $-N(R_{52})SO_2-$, $-N(R_{53})CON(R_{54})-$, $-CON(R_{55})-$, $-SO_2N(R_{56})-$, $-O-$, $-S-$, $-SO-$, $-SO_2-$, $-CO-$

30

, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;



X_1 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolynylidenemethyl, thiazolydinyldidenemethyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;

R_5 , R_{51} , R_{52} , R_{53} , R_{54} , R_{55} and R_{56} each are hydrogen or alkyl;

5 R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R_7 and R_8 each are independently alkyl, alkoxy or aryl; and

n is 1 or 2;

wherein a cyclic substituent may has one to three substituents

10 selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxy carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, $-N=PPh_3$, oxo, thioxo, hydroxyimino, 15 alkoxyimino, phenyl and alkylenedioxy, or its salt or hydrate thereof, provided that those wherein (1) X_1 and X_2 are a single bond, and X_3 is substituted- or unsubstituted-phenyl, or naphthyl; (2) A is 5-heptenylene, R is $COOR_1$ (R_1 is hydrogen or methyl), X_1 is 1,4-phenylene, X_2 is a single bond, and X_3 is unsubstituted phenyl; 20 (3) X_1 and X_2 are a single bond, X_3 is methyl, n-hexyl, 2-cyclohexylethyl, benzyl, phenethyl, or substituted- or unsubstituted-alkenyl; and (4) X_1 and X_2 are a single bond, and X_3 is pyridyl are excluded.

7. The compound of claim 6, its salt or hydrate

25 thereof, wherein X_1 and X_2 are a single bond, X_3 is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxynyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl,



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dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl,
dihydrobenzothiepinyl, or dibenzopyranyl.

8. The compound of claim 6, its salt or hydrate
5 thereof,

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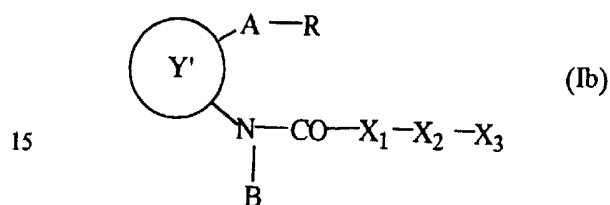


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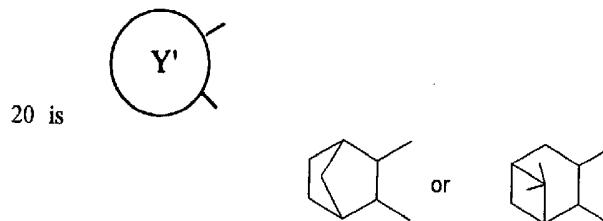
wherein X_2 is a single bond, X_1 is phenylene, X_3 is alkenyl, alkynyl, $-\text{CH}=\text{NR}_6$ or $-\text{N}=\text{C}(\text{R}_7)\text{R}_8$.

9. The compound of claim 6, its salt or hydrate thereof, wherein R is COOR_1 , X_1 is phenylene, thiophenediyl or indolediyl, X_2 is a single bond, $-\text{N}=\text{N}-$, $-\text{CH}=\text{CH}-$, $-\text{CONH}-$, $-\text{NHCO}-$, ethynylene, $-\text{N}=\text{CH}-$, $-(\text{CH}_2)_n-$, $-\text{N}(\text{R}_5)-$, $-\text{O}-$, $-\text{S}-$, $-\text{SO}_2-$, $-\text{CO}-$, oxadiazolediyl or tetrazolediyl; and X_3 is phenyl, thiazolinyldenemethyl, thiazolidinyldenemethyl, thienyl, cyclohexyl, 1-cyclohexenyl, n-hexyl, indolyl or benzoxazolyl.

10. A compound of the formula (Ib):



wherein



wherein A is alkylene which optionally:

- 25
- (i) is intervened by hetero atom or phenylene,
 - (ii) contains a carbonyl group, and/or
 - (iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR_1 , CH_2OR_2 or $\text{CON}(\text{R}_3)\text{R}_4$;



R_1 is hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

5 X_1 is a single bond, phenylene, naphthylene, thiophenediyl, indolediyl, or oxazolediyl;

X_2 is a single bond, $-N=N-$, $-N=CH-$, $-CH=N-$, $-CH=N-N-$, $-CH=N-O-$, $-C=NNHCSNH-$, $-C=NNHCONH-$, $-CH=CH-$, $-CH(OH)-$, $-C(Cl)=C(Cl)-$, $-(CH_2)_n-$, ethynylene, $-N(R_5)-$, $-N(R_{51})CO-$, $-N(R_{52})SO_2-$, $-$

10 $N(R_{53})CON(R_{54})-$, $-CON(R_{55})-$, $-SO_2N(R_{56})-$, $-O-$, $-S-$, $-SO-$, $-SO_2-$, $-CO-$, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X_3 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinyliidenemethyl, thiazolidinyliidenemethyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;

15 R_5 , R_{51} , R_{52} , R_{53} , R_{54} , R_{55} and R_{56} each are hydrogen or alkyl;

R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R_7 and R_8 each are independently alkyl, alkoxy or aryl; and

n is 1 or 2;

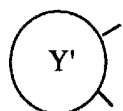
20 wherein a cyclic substituent may have one to three substituents selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy-carbonyl, aralkoxy-carbonyl, aryloxy-carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, $-N=PPh_3$, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy, or its salt or hydrate thereof, provided that those wherein X_1 and X_2 are a single bond, and X_3 is unsubstituted phenyl, and wherein X_1 is a single bond, X_2



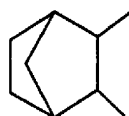
is -O-, and X, is benzyl are excluded.

11. The compound of claim 10, its salt or hydrate thereof,
wherein





is

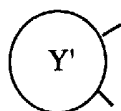


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12. The compound of claim 11, its salt or hydrate thereof, wherein R is COOR₁.

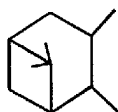
13. The compound of claim 11, its salt or hydrate thereof, wherein X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=N-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R₅₅)-
10 -N(R₅₁)CO- and X₃ is phenyl or thienyl.

14. The compound of claim 10, its salt or hydrate thereof, wherein



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is



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15. The compound of claim 14, its salt or hydrate thereof, wherein B is hydrogen, both X₁ and X₂ are a single bond, X₃ is thienyl, thiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl,



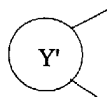
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pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl.

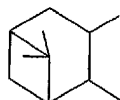
16. The compound of claim 14, its salt or hydrate thereof, wherein X_1 is phenylene, thiophenediyl, indolediyl or oxazolediyl, X_2 is a single bond, $-N=N-$, $-CH=CH-$, ethynylene, $-S-$, or $-O-$, and X_3 is aryl or heterocyclic group.

17. The compound of claim 10, its salt or hydrate thereof, wherein

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is



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A is alkylene which optionally: (i) contains a carbonyl group and/or (ii) has one or more double- or triple- bonds at any one or more positions on the chain; B is hydrogen; R is COOH or CH_2OH ; X_1 is a single bond; X_2 is a single bond; and X_3 is substituted- or unsubstituted-benzothienyl.

20

18. A compound according to claim 1 substantially as hereinbefore described with reference to any one of the Examples.

19. A compound according to claim 6 substantially as hereinbefore described with reference to any one of the Examples.



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20. A method of treating diseases involving mast cell dysfunction due to excessive production of PGD_2 including the step of administering to a subject in need thereof an effective amount of a compound according to claim 1.

5 21. Use of a compound according to claim 1 in the preparation of a medicament for the treatment of a disease involving mast cell dysfunction due to excessive production of PGD_2 .

DATED this 28TH day of SEPTEMBER, 1999

SHIONOGI & CO., LTD.

by DAVIES COLLISON CAVE
Patent Attorneys for the Applicant

