

Title (en)  
HIV INTEGRASE INHIBITORS

Title (de)  
HIV-INTEGRASEINHIBITOREN

Title (fr)  
INHIBITEURS DE VIH INTEGRASE

Publication  
**EP 1082121 A4 20030205 (EN)**

Application  
**EP 99926094 A 19990601**

Priority  
• GB 9815175 A 19980713  
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• US 8782098 P 19980603

Abstract (en)  
[origin: WO9962520A1] Certain six-membered aromatic and heteroaromatic-dioxo-butyric acid derivatives are described as inhibitors of HIV integrase and inhibitors of HIV replication. These compounds are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described.

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**A61K 31/535**; C07D 263/58; C07D 265/36; C07D 317/60; C07D 319/18; C07D 321/10; C07D 209/10; C07D 209/08; C07D 213/64; A61K 31/42; A61K 31/36; A61K 31/40; A61K 31/44; C07C 59/84

IPC 8 full level  
**C07C 59/84** (2006.01); **C07C 59/86** (2006.01); **C07C 59/88** (2006.01); **C07C 59/90** (2006.01); **C07C 69/738** (2006.01); **C07C 217/60** (2006.01); **C07C 229/34** (2006.01); **C07C 229/42** (2006.01); **C07C 233/63** (2006.01); **C07C 235/60** (2006.01); **C07C 255/41** (2006.01); **C07C 271/16** (2006.01); **C07C 271/28** (2006.01); **C07C 323/62** (2006.01); **C07D 209/12** (2006.01); **C07D 213/64** (2006.01); **C07D 241/12** (2006.01); **C07D 253/08** (2006.01); **C07D 263/58** (2006.01); **C07D 295/092** (2006.01); **C07D 295/155** (2006.01); **C07D 295/192** (2006.01); **C07D 333/22** (2006.01)

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Citation (search report)

- [A] US 5618830 A 19970408 - SELNICK HAROLD G [US], et al
- [A] WO 9717316 A1 19970515 - PHARMACIA & UPJOHN SPA [IT], et al
- [X] WO 9513262 A1 19950518 - RHONE-POULENC RORER LTD [GB], et al
- [AP] EP 1069111 A1 20010117 - SHIONOGI & CO [JP]
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MATSUTANI, SHIGERU ET AL: "4-Oxoalkanoic acid derivatives", XP002221339, retrieved from STN Database accession no. 105:225805 & JP S61134346 A 19860621 - SHIONOGI & CO
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; BEGLEY, MICHAEL J. ET AL: "Cyclization of arylpropionyl chloro-oxalyl anhydrides: the chemistry of aryl(chloro)methylenetetrahydrofuran-2,4,5-triones and the x-ray crystal structure of a 3,4-methylenedioxybenzylidene representative", XP002221340, retrieved from STN Database accession no. 86:189624 & J. CHEM. SOC., PERKIN TRANS. 1 (1977), (2), 138-45
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; YANBORISOV, T. N. ET AL: "Synthesis and pharmacological activity of heteropyruvic acids and their derivatives", XP002221341, retrieved from STN Database accession no. 130:153601 & KHIMIKO-FARMATSEVTICHESKII ZHURNAL (1998), 32(9), 26-28
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; PALOMO COLL, ALBERTO: "Process for preparation of new synthetic intermediates for preparation of fluoroquinolones", XP002221342, retrieved from STN Database accession no. 120:216980 & ES 2039301 A1 19930916 - GENESIS PARA LA INVESTIGACION [ES]
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; GOMBAR, VIJAY K. ET AL: "Computer-assisted structure-activity relationship analysis: pattern recognition studies on hypolipidemic arylpropionic acid derivatives", XP002221343, retrieved from STN Database accession no. 110:225000 & QUANTITATIVE STRUCTURE-ACTIVITY RELATIONSHIPS (1988), 7(4), 225-34
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; KOVAC, SPOMENKA ET AL: "Synthesis and properties of p-hydroxyacetophenone derivatives", XP002221344, retrieved from STN Database accession no. 104:206847 & CROATICA CHEMICA ACTA (1985), 58(1), 87-90
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; SWEENEY, J. S. ET AL: "Synthesis of anthocyanidins. III. Total synthesis of apigeninidin and luteolinidin chlorides", XP002221345, retrieved from STN Database accession no. 95:132622 & TETRAHEDRON (1981), 37(8), 1481-3
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; COOKE, RAYMOND G. ET AL: "Coloring matters of Australian plants. XXIII. A new synthesis of arylphenalenones and naphthoxanthones", XP002221346, retrieved from STN Database accession no. 94:208597 & AUST. J. CHEM. (1980), 33(10), 2317-24
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; ANDREICHKOV, YU. S. ET AL: "Chemistry of oxalyl derivatives of methyl ketones. XII. Transfer of the effect of substituents by the H-chelating ring in beta-dicarbonyl compounds", XP002221347, retrieved from STN Database accession no. 88:169443 & ZH. ORG. KHIM. (1978), 14(2), 371-3
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; FISON LTD., UK: "Chromonecarboxylic acid derivatives", XP002221348, retrieved from STN Database accession no. 88:22627 & JP S51138683 A 19761130 - FISON LTD
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MURATA, TADAKAZU ET AL: "Structure-activity relations of 3-(substituted benzoyl)propionic acids, a new class of antispasmodics for biliary smooth muscle", XP002221349, retrieved from STN Database accession no. 87:47924 & EUR. J. MED. CHEM. - CHIM. THER. (1977), 12(1), 17-20

- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; IWANAMI, YASUO ET AL: "Heterocycles structurally influenced by a side chain. II. 7-Phenacylxanthopterins and 6-phenacyliso-xanthopterins", XP002221350, retrieved from STN Database accession no. 78:29723 & BULL. CHEM. SOC. JAP. (1972), 45(9), 2829-34
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; BREGANT, N. ET AL: "Polyoxo compounds. XV. Oxidation of aroylpyruvic acids into dihydrofuran derivatives by iodosobenzene diacetate", XP002221351, retrieved from STN Database accession no. 78:4047 & BULL. SCI., CONS. ACAD. SCI. ARTS RSF YUGOSLAVIE, SECT. A (1972), 17(5-6), 148-50
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; BENASSI, CARLO A.: "Derivatives of o-aminobenzoylpyruvic acid", XP002221352, retrieved from STN Database accession no. 56:79242 & GAZZ. CHIM. ITAL. (1961), 91, 1097-1104
- [X] DATABASE CA [online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; JANCULEV, J. ET AL: "Condensation products of 5-acetylnaphthalene and 2-acetylfluorene with oxalic ester", XP002221353, retrieved from STN Database accession no. 56:38353 & GODISEN ZBORNIK FIL. FAK. UNIV. SKOPJE, PRIROD. MAT. ODDEL. (1948), 11(NO. 4), 39-43
- See references of WO 9962520A1

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