

Title (en)

HIV-1 PROTEASE INHIBITORS, AND METHODS OF MAKING AND USING THEM

Title (de)

INHIBITOREN DER HIV-1-PROTEASE UND VERFAHREN ZU IHRER HERSTELLUNG UND VERWENDUNG

Title (fr)

INHIBITEURS DE LA PROTEASE DU VIH-1, ET LEURS PROCEDES DE FABRICATION ET D'UTILISATION

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Application

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Abstract (en)

[origin: WO2007002173A1] One aspect of the invention relates to the design, synthesis and biological activity of novel HIV-1 protease inhibitors incorporating N-phenyloxazolidine-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold as P2 ligands. For example, the present invention relates to inhibitors with variations at the P2 phenyloxazolidine and the P2' phenylsulfonamide moieties. Remarkably, compounds with an (S)-enantiomer of substituted phenyloxazolidines at P2 show highly potent inhibitory activities against wild-type HIV-1 protease. In certain embodiments, the inhibitors of the invention have Ki values in low picomolar (pM) range. In certain embodiments, the inhibitors of the invention were shown to be active against a variety of multi-drug resistant (MDR) HIV-1 proteases, each representing different paradigm of drug resistance.

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