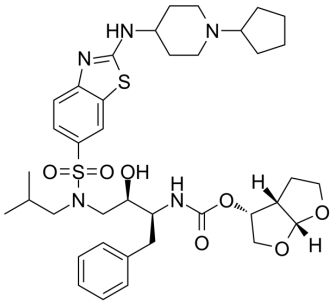
TMC310911

Cat. No.:	HY-107123
CAS No.:	1000287-05-7
Molecular Formula:	$C_{38}H_{53}N_5O_7S_2$
Molecular Weight:	755.99
Target:	HIV Protease
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (132.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3228 mL	6.6138 mL	13.2277 mL
	5 mM	0.2646 mL	1.3228 mL	2.6455 mL
	10 mM	0.1323 mL	0.6614 mL	1.3228 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

TMC310911 is a potent and orally active HIV type-1 (HIV-1) protease inhibitor with EC_{50} values ranged from 2.2 nM to 14.2 nM for wild-type HIV-1. TMC310911 has potent activity against a wide spectrum of recombinant HIV-1 isolates. TMC310911 has strong antiviral activity[1][2].

IC_{50} & Target

EC50: 2.2-14.2 nM (Wild-type HIV-1)[1]

In Vitro

For a panel of 2,011 recombinant isolates with decreased susceptibility to at least one of the currently approved protease inhibitors (PIs), the FC in TMC310911 EC_{50} is ≤4 for 82% of isolates and ≤10 for 96% of isolates. The fold change (FC) in TMC310911 EC_{50} is ≤4 and ≤10 for 72% and 94% of isolates with decreased susceptibility to Darunavir, respectively. In vitro resistance selection (IVRS) performed with r13025, a multiple-PI-resistant recombinant isolate, and TMC310911 selected for mutations L10F, I47V, and L90M (FC in TMC310911 EC_{50} = 16)[1].

The TMC310911 EC_{50} values against HIV-1/LAI and HIV-2/ROD in MT4 cells with EC_{50} values of 14.2 nM and 2 nM, respectively, and HIV-1/LAI and HIV-1/SF2 in PBMCs with EC_{50} values of 2.2 nM and 2.3 nM, respectively, and HIV-1/BaL in M/M cells with an EC_{50} value of 13.9 nM. And the corresponding EC_{90} values ranged from 5.0 to 94.7 nM. The TMC310911 CC_{50} for MT4 cells is 9.9 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Dierynck I, et al. TMC310911, a novel human immunodeficiency virus type 1 protease inhibitor, shows in vitro an improved resistance profile and higher genetic barrier to resistance compared with current protease inhibitors. Antimicrob Agents Chemother. 2011 Dec;55(12):5723-31.

[2]. Stellbrink HJ, et al. Antiviral activity, pharmacokinetics, and safety of the HIV-1 protease inhibitor TMC310911, coadministered with ritonavir, in treatment-naive HIV-1-infected patients. J Acquir Immune Defic Syndr. 2014 Mar 1;65(3):283-9.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA