

BI 224436

Chemical Properties

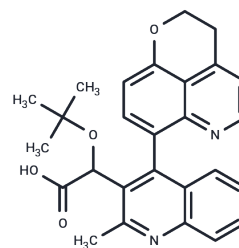
CAS No. : 1155419-89-8

Formula: C₂₇H₂₆N₂O₄

Molecular Weight: 442.51

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	BI 224436 is an inhibitor of HIV-1 noncatalytic site integrase. With EC ₅₀ values of less than 15 nM against different HIV-1 laboratory strains.
Targets(IC ₅₀)	HIV Protease
In vitro	BI 224436 exhibits over 90 μM cellular cytotoxicity and retains its antiviral efficacy with a minimal 2.1-fold reduction in the presence of 50% human serum. It possesses favorable in vitro drug-like absorption, distribution, metabolism, and excretion (ADME) characteristics, such as Caco-2 cell permeability, solubility, and minimal cytochrome P450 inhibition[1]. Moreover, BI 224436 maintains complete antiviral functionality against recombinant viruses bearing integrase strand transfer inhibitor (INSTI) resistance mutations, namely N155S, Q148H, and E92Q. Additionally, it demonstrates an additive effect when used alongside most approved antiretrovirals, including INSTIs.
In vivo	BI 224436 demonstrates favorable pharmacokinetic properties across multiple species, including rats, monkeys, and dogs. Specifically, in rats, the compound has a clearance rate of 0.7% as a percentage of hepatic flow and a bioavailability of 54%. In monkeys, the clearance rate is 23% with an 82% bioavailability, while in dogs, the clearance is 8%, with bioavailability reaching 81%[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (112.99 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2598 mL	11.2992 mL	22.5984 mL
5 mM	0.452 mL	2.2598 mL	4.5197 mL
10 mM	0.226 mL	1.1299 mL	2.2598 mL
50 mM	0.0452 mL	0.226 mL	0.452 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Fenwick C, et al. Preclinical profile of BI 224436, a novel HIV-1 non-catalytic-site integrase inhibitor. Antimicrob Agents Chemother. 2014 Jun;58(6):3233-44.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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