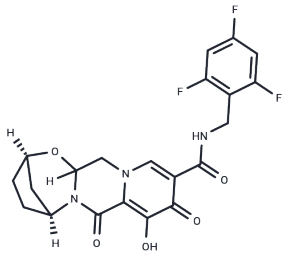
Data Sheet (Cat.No.T4493)

TargetMol

Bictegravir

Chemical Properties



CAS No. : 1611493-60-7

Formula: C21H18F3N3O5

Molecular Weight: 449.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Bictegravir (GS-9883) is a potent inhibitor of HIV-1 integrase with an IC50 value of 7.5 nM.
Targets(IC50)	HIV Protease
In vitro	Bictegravir potently inhibits HIV-1 replication in both MT-2 and MT-4 cells with EC50s of 1.5 and 2.4 nM, respectively. Bictegravir inhibits the strand transfer activity with an IC50 of 7.5 nM. Relative to its inhibition of strand transfer activity, Bictegravir is a much weaker inhibitor of the 3′-processing activity of HIV-1 IN, with an IC50 of 241 nM. Bictegravir enhances the accumulation of 2-LTR circles ~5-fold relative to the mock-treated control and reduces the number of authentic integration products in infected cells by 100-fold. Bictegravir exhibits potent antiviral effects in both primary CD4+ T lymphocytes and monocyte-derived macrophages, with EC50s of 1.5 nM and 6.6 nM, respectively, which are comparable to values obtained in T-cell lines[1].
Cell Research	MT-2 cells are infected in bulk culture with HIV-1 IIIb at a cell density of 2×10^6 cells/mL for 3 h at 37°C. Infected MT-2 cells receive either DMSO (mock-treated control) or Bictegravir at a final concentration greater than or equal to 20 times their respective antiviral EC50. These plates are incubated at 37°C for either 12 h (for late reverse transcription product quantification) or 24 h (for 2-LTR circle and Alu-LTR product quantification), after which time the cells are harvested for total DNA isolation. DNA is extracted from each well using the DNA minikit and collected as a 100-μL eluate. TaqMan real-time PCR-quantified 2-LTR junctions, late reverse transcription products, and integration junctions (Alu-LTR) are normalized to the level of host globin gene in each sample[1].

Solubility Information

Solubility	DMSO: 252.5 mg/mL (561.89 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.45 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2253 mL	11.1264 mL	22.2529 mL
5 mM	0.4451 mL	2.2253 mL	4.4506 mL
10 mM	0.2225 mL	1.1126 mL	2.2253 mL
50 mM	0.0445 mL	0.2225 mL	0.4451 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Tsiang M, et al. Antiviral Activity of Bictegravir (GS-9883), a Novel Potent HIV-1 Integrase Strand Transfer Inhibitor with an Improved Resistance Profile. Antimicrob Agents Chemother. 2016 Nov 21;60(12):7086-7097.