Bictegravir

Cat. No.: HY-17605

CAS No.: 1611493-60-7

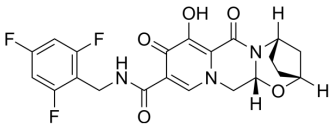
Molecular Formula: $C_{21}H_{18}F_3N_3O_5$

Molecular Weight: 449.38

Target: HIV; HIV Integrase

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.3 mg/mL (185.37 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bictegravir (GS-9883) is a potent inhibitor of HIV-1 integrase with an IC_{50} of 7.5 nM.

IC_{50} & Target

HIV-1

In Vitro

Bictegravir (BIC) inhibits the strand transfer activity with an IC_{50} of 7.5± 0.3 nM. Relative to its inhibition of strand transfer activity, Bictegravir is a much weaker inhibitor of 3′-processing activity of HIV-1 IN, with an IC_{50} of 241±51 nM. Bictegravir

enhances the accumulation of 2-LTR circles ~5-fold relative to the mock-treated control and reduces the amount of authentic integration products in infected cells by 100-fold. Bictegravir potently inhibits HIV-1 replication in both MT-2 and MT-4 cells with EC_{50}s of 1.5 and 2.4 nM, respectively. Bictegravir exhibits potent antiviral effects in both primary $CD4^+$ T lymphocytes and monocyte-derived macrophages, with EC_{50}s of 1.5±0.3 nM and 6.6±4.1 nM, respectively, which are comparable to values obtained in T-cell lines[1].

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

PROTOCOL

Cell Assay [1]

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 (BIC) at a final concentration greater than or equal to 20 times their respective antiviral 50% effective concentration (EC_{50}). 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 (2-LTR circles), late reverse transcription products, and integration junctions (Alu-LTR) are normalized to the level of host globin gene in each sample[1].

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

CUSTOMER VALIDATION

- Science. 2020 Feb 14;367(6479):806-810.
- J Infect Dis. 2022 Sep 19;jiac386.
- Pharmaceutics. 2022, 14(9), 1761.
- Antimicrob Agents Chemother. 2019 Dec 20;64(1):e01717-19.
- University of British Columbia. 2024 Apr 18.

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REFERENCES

[1]. 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.

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