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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
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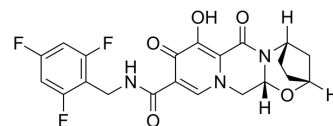
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Bictegravir

Cat. No.:	HY-17605
CAS No.:	1611493-60-7
Molecular Formula:	C ₂₁ H ₁₈ F ₃ N ₃ O 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	<div><div>Solvent</div><div>Concentration</div></div>	Mass	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 EC₅₀s of 1.5 and 2.4 nM, respectively. Bictegravir exhibits potent antiviral effects in both primary CD4⁺ T lymphocytes and monocyte-derived macrophages, with EC₅₀s 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 2×10⁶ 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 (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 (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;jjac386.
- Pharmaceutics. 2022, 14(9), 1761.
- Antimicrob Agents Chemother. 2019 Dec 20;64(1):e01717-19.
- Farmaceutická fakulta v Hradci Králové. 2020 Jun.

See more customer validations on www.MedChemExpress.com

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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