

Product Datasheet

Bictegravir (orb1226059)

Catalog Number	orb1226059
Category	Small Molecules
Description	<p>Bictegravir (GS 9883) is a novel potent HIV-1 integrase (IN) that specifically targets IN strand transfer activity with IC₅₀ of 7.5 nM; exhibits potent and selective in vitro antiretroviral activity in both T-cell lines and primary human T lymphocytes with EC₅₀ of 1.5-2.4 nM, with minimal cytotoxicity; exhibits synergistic in vitro antiviral effects in pairwise combinations with tenofovir alafenamide, emtricitabine, or darunavir and maintains potent antiviral activity against HIV-1 variants. HIV Infection Phase 3 Clinical (In Vitro): Bictegravir (BIC) inhibits the strand transfer activity with an IC₅₀ 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 IC₅₀ 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.</p>
Target	HIV
Purity	>98% (HPLC)
MW	449.39
Target Areas	HIV-1 integrase
Solubility (25°C)	DMSO: 80 mg/mL □ 1 mg/ml refers to the product slightly soluble or insoluble)
CAS Number	1611493-60-7
Formula	C ₂₁ H ₁₈ F ₃ N ₃ O ₅

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SMILES	<chem>C1CC2CC1N3C(O2)CN4C=C(C(=O)C(=C4C3=O)O)C(=O)NCC5=C(C=C(C=C5F)F)F</chem>
Chemical Name	(2R,5S,13aR)-8-hydroxy-7,9-dioxo-N-(2,4,6-trifluorobenzyl)-2,3,4,5,7,9,13,13a-octahydro-2,5-methanopyrido[1',2':4,5]pyrazino[2,1-b][1,3]oxazepine-10-carboxamide
Storage	Storage temperature: -20°C. Stability: ≥ 2 years
Note	For research use only
Expiration Date	12 months from date of receipt.

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