Datasheet

Bictegravir

Product Name	Bictegravir
Catalogue Number	BSV-S5911
Chemical Formula	$C_{21}H_{18}F_3N_3O_5$
Function	Integrase inhibitor
CAS No.:	1611493-60-7

Description:

Bictegravir is a novel, potent, once-daily, unboosted inhibitor of **HIV-1 integrase**.

Product Details:

Target: HIV-1 integrase

Chemical name: 2,5-Methanopyrido[1',2':4,5]pyrazino[2,1-b][1,3]oxazepine-10-carboxamide, 2,3,4,5,7,9,13,13a-octahydro-8-hydroxy-7,9-dioxo-N-[(2,4,6-trifluorophenyl)methyl]-, (2R,5S, 13aR)-

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

Molecular weight: 449.38

Purity: 99.93 %

Solubility: 90 mg/mL (DMSO)

Storage: 3 years -20°C powder, 2 years -80°C in solvent

Preparing stock solutions

Concentration / 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

50 mM	0.0445 mL	0.2225 mL	0.4451 mL

Biological Activity:

In vitro:
Bictegravir exhibits potent and selective in vitro antiretroviral activity in both T-cell lines and primary human T lymphocytes, with 50% effective concentrations ranging from 1.5 to 2.4 nM and selectivity indices up to 8,700 relative to cytotoxicity. Bictegravir 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 integrase, with an IC50 of 241 ± 51 nM. Bictegravir potently inhibits HIV-1 replication in both MT-2 and MT-4 cells with EC50s of 1.5 and 2.4 nM, respectively, and selectivity indices (50% cytotoxic concentration [CC50]/EC50) of ~6,800 in MT-2 cells and ~1,500 in MT-4 cells[1].

References:
[1] Tsiang M, et al. Antimicrob Agents Chemother. 2016, 60(12):7086-7097.