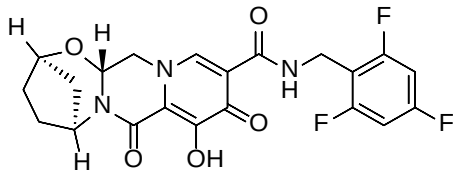
Product data sheet

MedKoo Cat#: 326842 Name: Bictegravir CAS#: 1611493-60-7 (free acid) Chemical Formula: $C_{21}H_{18}F_3N_3O_5$ Exact Mass: 449.1199 Molecular Weight: 449.39	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Bictegravir, also known as GS-9883, is a potent, unboosted, once-Daily HIV-1 Integrase Strand Transfer Inhibitor (INSTI) (IC50 - 1.6 nM) with improved pharmacokinetics and in vitro resistance profile. Bictegravir, as part of a fixed-dose combination product containing bictegravir/emtricitabine/tenofovir alafenamide (BIC/FTC/TAF), is in Phase III development.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	86.65	192.48

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.23 mL	11.13 mL	22.25 mL
5 mM	0.45 mL	2.23 mL	4.45 mL
10 mM	0.22 mL	1.11 mL	2.23 mL
50 mM	0.04 mL	0.22 mL	0.45 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Tsiang M, Jones GS, Goldsmith J, Mulato A, Hansen D, Kan E, Tsai L, Bam RA, Stepan G, Stray KM, Niedziela-Majka A, Yant SR, Yu H, Kukolj G, Cihlar T, Lazerwith SE, White KL, Jin H. 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. doi: 10.1128/AAC.01474-16. PMID: 27645238; PMCID: PMC5118987.

In vivo study

TBD

7. Bioactivity
Biological target: Bictegravir (GS-9883) is a potent inhibitor of HIV-1 integrase with an IC50 of 7.5 nM.

In vitro activity

Bictegravir (BIC; GS-9883), a novel, potent, once-daily, unboosted inhibitor of HIV-1 integrase (IN), specifically targets IN strand transfer activity (50% inhibitory concentration [IC50] of 7.5 ± 0.3 nM) and HIV-1 integration in cells. BIC exhibits potent and selective in vitro antiretroviral activity in both T-cell lines and primary human T lymphocytes, with 50% effective concentrations

Product data sheet

ranging from 1.5 to 2.4 nM and selectivity indices up to 8,700 relative to cytotoxicity. A high barrier to in vitro resistance emergence for BIC was also observed in viral breakthrough studies in the presence of constant clinically relevant drug concentrations.

Reference: Antimicrob Agents Chemother. 2016 Nov 21;60(12):7086-7097.
https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5118987/

In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.