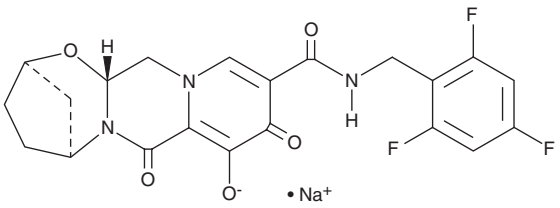
PRODUCT INFORMATION

Bictegravir (sodium salt)

Item No. 37488

CAS Registry No.: 1807988-02-8
Formal Name: 2,3,4,5,7,9,13,13a-octahydro-8-hydroxy-7,9-dioxo-N-[(2,4,6-trifluorophenyl)methyl]-2,5-methanopyrido[1′,2′:4,5]pyrazino[2,1-b][1,3]oxazepine-10-carboxamide, monosodium salt
Synonym: GS-9883
MF: $C_{21}H_{17}F_3N_3O_5 \bullet Na$
FW: 471.4
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bictegravir (sodium salt) is supplied as a solid. A stock solution may be made by dissolving the bictegravir (sodium salt) in the solvent of choice, which should be purged with an inert gas. Bictegravir (sodium salt) is soluble in the organic solvent methanol.

Description

Bictegravir is an inhibitor of HIV-1 integrase (IC_{50} = 7.5 nM for strand transfer activity).[1] It has antiviral activity against clinical isolates of HIV-1 in human peripheral blood mononuclear cells (PBMCs; EC_{50}s = 0.04-1.7 nM). Bictegravir also inhibits HIV-1 viral infection in MT-2 and MT-4 cells, $CD4^+$ T cells, and macrophages (EC_{50}s = 1.5, 2.5, 1.5, and 6.6 nM, respectively) without exhibiting cytotoxicity (CC_{50}s = 10.3, 3.7, 13, and 29.8 µM, respectively). Formulations containing bictegravir in combination with emtricitabine and tenofovir alafenamide have been used in the treatment of HIV-1 infections.

Reference

1. Tsiang, M., Jones, G.S., Goldsmith, J., *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.* **60(12)**, 7086-7097 (2016).

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