Bictegravir-d_5

Cat. No.: HY-17605S1

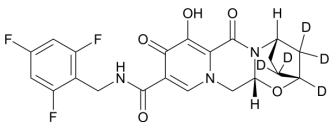
Molecular Formula: $C_{21}H_{13}D_5F_3N_3O_5$

Molecular Weight: 454.41

Target: HIV; HIV Integrase; Isotope-Labeled Compounds

Pathway: Anti-infection; Metabolic Enzyme/Protease; Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Bictegravir-d_5 is deuterated labeled Bictegravir (HY-17605). Bictegravir (GS-9883) is a potent inhibitor of HIV-1 integrase with an IC_{50} of 7.5 nM.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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 EC_{50}s of 1.5 and 2.4 nM, respectively. Bictegravir exhibits potent antiviral effects in both primary $CD4^+$ T lymphocytes and monocyte-derived macrophages, with EC_{50}s of 1.5±0.3 nM and 6.6±4.1 nM, respectively, which are comparable to values obtained in T-cell lines[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[2]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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