HIV-1 integrase inhibitor 10

Cat. No.: HY-150079

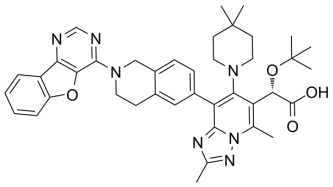
Molecular Formula: $C_{40}H_{45}N_7O_4$

Molecular Weight: 687.83

Target: HIV Integrase

Pathway: Metabolic Enzyme/Protease

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



BIOLOGICAL ACTIVITY

Description

HIV-1 integrase inhibitor 10 is an orally active HIV-1 allosteric integrase inhibitor (ALLINI). HIV-1 integrase inhibitor 10 can inhibit viral outgrowth of the NLRepRluc virus in MT-2 cells with EC_{50} values of 3-5 nM. HIV-1 integrase inhibitor 10 can be used for the research of Human immunodeficiency virus-1 (HIV-1)[1].

IC_{50} & Target

EC50 for NLRepRluc virus: 3.9 nM (T/T); 4.5 nM (N/A); 5.2 nM (A/A)[1].

In Vitro

HIV-1 integrase inhibitor 10 (compound 11) has excellent antiviral potency for viruses containing the T/T, N/A and A/A polymorphs with EC_{50} values of 3.9 nM, 4.5 nM and 5.2 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

HIV-1 integrase inhibitor 10 (compound 11) (1 mg/kg, iv. and 5 mg/kg, po., as solutions in 90:10 PEG-400:EtOH for IV dosing and 90:5:5 PEG-400:EtOH:TPGS for PO dosing) exhibits improved rat PK properties[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	1 mg/kg, 5 mg/kg
Administration:	1 mg/kg, iv. and 5 mg/kg, po., as solutions in 90:10 PEG-400:EtOH for IV dosing and 90:5:5 PEG-400:EtOH:TPGS for PO dosing.

Result:

Compound	Rat Cl (mL/min/kg)	Rat $AUC_{0-\infty}$ (nMhr)	Rat %F	PAMPA permeability (nM/sec) at pH 5.5/7.4
HIV-1 integrase inhibitor 10 (Compound 11)	2.8	36,305	83%	1009/752

REFERENCES

[1]. Kyle Parcella, et al. Scaffold modifications to the 4-(4,4-dimethylpiperidinyl) 2,6-dimethylpyridinyl class of HIV-1 allosteric integrase inhibitors. Bioorg Med Chem. 2022 Aug 1;67:116833.

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