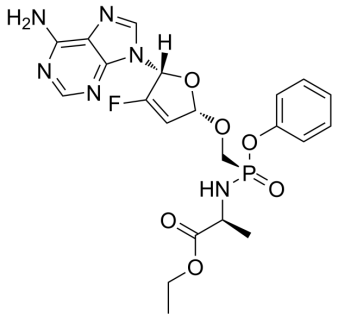
Rovafovir etalafenamide

Cat. No.:	HY-19851
CAS No.:	912809-27-9
Molecular Formula:	$C_{21}H_{24}FN_6O_6P$
Molecular Weight:	506.42
Target:	Reverse Transcriptase; HIV
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Rovafovir etalafenamide (GS-9131), a proagent of the adenosine nucleotide analogue GS-9148, is an orally active nucleoside reverse transcriptase inhibitor (NRTI). Rovafovir etalafenamide is potent and active against a variety of NRTI mutants, and shows potent anti-HIV-1 activity[1][2].		
IC_{50} & Target	HIV-2	HIV-1	reverse transcriptase
In Vitro	Rovafovir etalafenamide (GS-9131) shows anti-HIV-1 activity in PBMCs (EC_{50}=3.7 nM) and MT-2 cells (EC_{50}=150 nM). Rovafovir etalafenamide is also a potent HIV-1 inhibitor in a single-cycle infection assay with primary $CD4^+$ T lymphocytes (EC_{50}=24 nM). Rovafovir etalafenamide inhibits different subtypes of HIV-1 (UG-92-031 subtype A, B940374 subtype B, LJM subtype B, BR-92-025 subtype C, and UG-92-024 subtype D) clinical isolates in PBMCs with EC_{50}s ranging from 23 to 68 nM. Rovafovir etalafenamide inhibits HIV-2 isolated in MT-2 cells (CDD77618 subtype A, CDD310248 subtype A, and CDD310319 subtype B) with EC_{50}s ranging from 39 to 650 nM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	Rovafovir etalafenamide (GS-9131) is given orally to male beagle dogs at 3 mg/kg, it is rapidly absorbed, generating a maximum serum drug concentration (C_{max}) of 2.5 μM, and is subsequently eliminated from plasma with an apparent terminal half-life ($t_{1/2}$) of less than 20 min. As determined following i.v. administration, the systemic clearance of Rovafovir etalafenamide is approximately 1.4 liters/h/kg[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

REFERENCES

[1]. Mackman RL, et al. Discovery of GS-9131: Design, synthesis and optimization of amidate prodrugs of the novel nucleoside phosphonate HIV reverse transcriptase (RT) inhibitor GS-9148. Bioorg Med Chem. 2010;18(10):3606-3617.

[2]. Rai MA, Pannek S, Fichtenbaum CJ. Emerging reverse transcriptase inhibitors for HIV-1 infection. Expert Opin Emerg Drugs. 2018;23(2):149-157.

[3]. Cihlar T, et al. Design and profiling of GS-9148, a novel nucleotide analog active against nucleoside-resistant variants of human immunodeficiency virus type 1, and its orally bioavailable phosphonoamidate prodrug, GS-9131. Antimicrob Agents Chemother. 2008;52(2):655-665.

Caution: Product has not been fully validated for medical applications. For research use only.

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