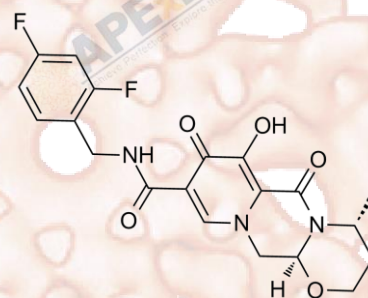


Product Data Sheet

S/GSK1349572

Cat. No.:	A4074
CAS No.:	1051375-16-6
Formula:	C ₂₀ H ₁₉ F ₂ N ₃ O ₅
M.Wt:	419.4
Synonyms:	S/GSK1349572, GSK-1349572
Target:	Proteases
Pathway:	HIV Integrase
Storage:	Store at -20°C



Solvent & Solubility

≥41.9 mg/mL in DMSO; insoluble in H₂O; insoluble in EtOH

In Vitro

	Solvent	Mass		
		1mg	5mg	10mg
Preparing Stock Solutions	Concentration			
	1 mM	2.3844 mL	11.9218 mL	23.8436 mL
	5 mM	0.4769 mL	2.3844 mL	4.7687 mL
	10 mM	0.2384 mL	1.1922 mL	2.3844 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

HIV integrase inhibitor, novel and potent

IC₅₀ & Target

2.7 nM (HIV integrase)

In Vitro

Cell Viability Assay

Cell Line:	MT-4 cells infected with HIV-1 strain IIIB; PBMCs.
Preparation method:	Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.16, 0.8, 4, 20 nM; 4 or 5 days.

	Applications:	In MT-4 cells, S/GSK1349572 inhibits HIV-1 with EC50 value of 0.71 nM. Also, S/GSK1349572 inhibits HIV-1 in PBMCs and in the PHIV assay with EC50 values of 0.51 and 2.2 nM, respectively. In proliferating IM-9, U-937, MT-4, and Molt-4 cells, S/GSK1349572 exhibits 50% cytotoxic concentrations (CC50) of 4.8, 7.0, 14 and 15 µM, respectively. In MT-4 cells infected with HIV-1 NL432, S/GSK1349572 inhibits viral replication.
In Vivo	Animal experiment	
	Animal models:	C57BL/6 mice
	Dosage form:	2.7 mg/kg/day; two weeks; administrated orally.
	Applications:	In C57BL/6 mice, S/GSK1349572 significantly increases serum creatinine, which is consistent with integrase inhibitors competitively inhibiting creatinine secretion.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

- [1]. Kobayashi M, Yoshinaga T, Seki T, et al. In Vitro antiretroviral properties of S/GSK1349572, a next-generation HIV integrase inhibitor. Antimicrob Agents Chemother, 2011, 55(2): 813-821.
- [2]. Eadon MT, Zhang H, Skaar TC, et al. A two-week regimen of high-dose integrase inhibitors does not cause nephrotoxicity in mice. Antivir Chem Chemother, 2015.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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