

Dolutegravir sodium

Chemical Properties

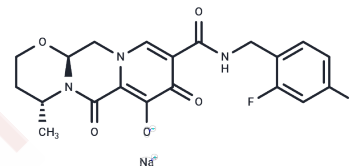
CAS No. : 1051375-19-9

Formula: C₂₀H₁₈F₂N₃NaO₅

Molecular Weight: 441.36

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Dolutegravir sodium (GSK-1349572A) salt(DTG; GSK1349572) is an HIV integrase inhibitor(IC ₅₀ : 2.7 nM), modest activity against raltegravir-resistant signature mutants Y143R, Q148K, N155H, and G140S/Q148H.
Targets(IC ₅₀)	HIV Protease
In vitro	Dolutegravir(S/GSK1349572) inhibits HIV-1 integrase-catalyzed strand transfer with a 50% inhibitory concentration (IC ₅₀) of 2.7 nM. S/GSK1349572 inhibits both the HIV integration reaction strand transfer step in vitro and HIV replication in cells with similar potencies. The inhibitor has no effect on total viral DNA synthesis in infected cells but blocks the integration of viral DNA into host DNA with the same potency as its antiviral effect[1].
In vivo	The bioavailability of dolutegravir was high when administered as a solution, but was limited by dissolution rate or solubility when administered as a suspension. Dolutegravir is the major circulating component in mice, rats, and monkeys, with direct ether glucuronidation shown to be the primary biotransformation pathway. Dolutegravir is primarily eliminated via the feces either unabsorbed or by hydrolysis of the glucuronide or glucose conjugate[2].
Cell Research	In vitro growth inhibition (cytotoxicity) studies are conducted with S/GSK1349572 in proliferating human leukemic and lymphomic cell lines (IM-9, U-937, MT-4, and Molt-4) as well as in stimulated and unstimulated human PBMCs. ATP levels are quantified by using the CellTiter-Glo luciferase reagent to measure the ability of a compound to inhibit cell growth as an indicator of the compound's potential for cytotoxicity.(Only for Reference)

Solubility Information

Solubility	DMSO: 4.41 mg/mL (9.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2657 mL	11.3286 mL	22.6572 mL
5 mM	0.4531 mL	2.2657 mL	4.5314 mL
10 mM	0.2266 mL	1.1329 mL	2.2657 mL
50 mM	0.0453 mL	0.2266 mL	0.4531 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Kobayashi M, et al. Antimicrob Agents Chemother. 2011, 55(2):813-21.

Moss L, et al. Xenobiotica. 2015, 45(1):60-70.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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