Dolutegravir Sodium

Catalog No.C10304 Batch:C1030403

Product Datasheet

Technical Data

Formula	$C_{20}H_{19}F_2N_3O_5.Na$					
Molecular Weight	441.36	**CAS No.**	1051375-19-9			
Solubility (25°C)*	In vitro	DMSO	5 mg/mL (11.32 mM)			
		Water	Insoluble			
		Ethanol	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
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	-	-	-

Biological Activity

Description	Dolutegravir Sodium (GSK-1349572A) is a **HIV integrase** inhibitor with **IC50** of 2.7 nM.
Targets	HIV integrase [1] (Cell-free assay) 2.7 nM
In vitro	Dolutegravir(S/GSK1349572) inhibits HIV-1 integrase-catalyzed strand transfer with a 50% inhibitory concentration (IC50) 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].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  MT-4 cells
- **Concentrations**
  0.16, 0.8, 4, 20 nM
- **Incubation Time**
  6 h or 18 h
- **Method**
  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.

Animal Study:[2]

- **Animal Models**
  male Crl:CD (SD) rats, cynomolgus monkeys,
- **Dosages**
  5 mg/kg for i.v.; 5, 50, 100, and 250 mg/kg(rats, oral); 3, 10, and 50 mg/kg(monkeys, oral)
- **Administration**
  i.v./oral administration

References

https://pubmed.ncbi.nlm.nih.gov/21115794/ https://pubmed.ncbi.nlm.nih.gov/25034010/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

EU Headquarters
Gajeva ulica 28, HR-10000 Zagreb, Croatia

US Headquarters
12222 Merit Dr STE 130 Dallas, Texas, 75251

UK Headquarters
121 ABILITY HOUSE, BROOKER ROAD, EN9 1JH, ESSEX

Orders: Sales@capsidbio.com
Enquiries: info@capsidbio.com
www.capsidbio.com