Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Dolutegravir

Catalog No: tcsc0454

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
1051375-16-6

Formula:
$C_{20}H_{19}F_2N_3O_5$

Pathway:
Metabolic Enzyme/Protease;Anti-infection

Target:
HIV Integrase;HIV

Purity / Grade:
>98%

Solubility:
DMSO : 10 mg/mL (23.84 mM; Need ultrasonic and warming)

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Alternative Names:
S/GSK1349572;GSK1349572

Observed Molecular Weight:
419.38

Product Description

Dolutegravir is an inhibitor of **HIV-1** integrase-catalyzed strand transfer with **IC_{50}** of 2.7 nM.

IC50 & Target: IC50: 2.7 nM (HIV-1 integrase)[1]

In Vitro: The EC_{50} of Dolutegravir (S/GSK1349572) against HIV-1 is 0.51 nM in PBMCs, 0.71 nM in MT-4 cells, and 2.2 nM in the PHIV assay, which uses a pseudotyped self-inactivating virus. The 50% cytotoxic concentrations (CC_{50}) for Dolutegravir in proliferating IM-9, U-937, MT-4, and Molt-4 cells are 4.8, 7.0, 14, and 15 μM, respectively. In unstimulated and stimulated PBMCs, the CC_{50} are 189 μM and 52 μM, respectively. Based on the EC_{50} of Dolutegravir against HIV-1 in PBMCs (i.e., 0.51 nM), this translates to a cell-based therapeutic index of at least 9,400[1].

In Vivo: Following a single intravenous (IV) administration of Dolutegravir, the plasma clearance is low in rats (0.23 mL/min/kg) and monkeys (2.12 mL/min/kg). The half-lives in the rat and monkey are similar, approximately 6 h, and the steady-state volume of distribution (V_{SS}) is low. Following oral administration, Dolutegravir is rapidly absorbed with a high oral bioavailability when administered as a solution to fasted male rats and a single monkey (75.6 and 87.0%, respectively). Dolutegravir exposure (C_{max} and AUC) increased with increasing dose following oral administration of a suspension to non-fasted rats up to 250 mg/kg and non-fasted monkeys up to 50 mg/kg, although the increase is less than proportional[2].