

Product Specification Sheet

Product Name: GSK1349572 (Dolutegravir)

Catalog Number: C4134

Technical information:

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

CAS #: 1051375-16-6

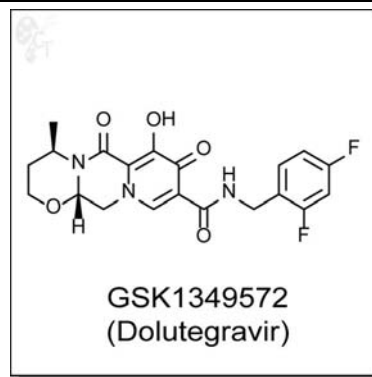
Molecular Weight: 419.38

Purity: > 98%

Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 2H-Pyrido[1',2':4,5]pyrazino[2,1-b][1,3]oxazine-9-carboxamide, N-[(2,4-difluorophenyl)methyl]-3,4,6,8,12,12a-hexahydro-7-hydroxy-4-methyl-6,8-dioxo-, (4R,12aS)



Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

- Handling:**
- To make 10 mM stock solution, add 0.238mL of DMSO for each mg of GSK1349572 (Dolutegravir).
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: GSK1349572, a novel tricyclic-core containing inhibitor, has an IC₅₀ of 2.7 nM against HIV-1 with a corresponding EC₅₀s of 0.51 nM, 0.71 nM, and 2.2 nM in PBMCs, MT-4 cells, and PHIV assays, respectively. [1] Cytotoxic concentration (CC₅₀) in unstimulated and stimulated PBMCs was 189 μM and 52 μM, which results in a selectivity index of 9400. Though similar in potency to first-generation integrase inhibitors, Raltegravir and Elvitegravir, GSK1349572 possesses and resistance profile that is markedly different. In cross-resistance profiling experiments, GSK1349572 showed efficacy against five non-nucleoside- and nucleoside- reverse transcriptase inhibitor-resistant viruses equal to that of wild-type virus (EC₅₀ = 1.3 to 2.1 nM). Similarly, GSK1349572 was efficacious against two protease inhibitor-resistant viruses, again equivalent to activity against wild-type virus (EC₅₀ = 0.36 and 0.37 nM).

In studies of clinical isolates from HIV-2-infected patients, the median EC₅₀ value for GSK1349572 was found to be 0.8 nM. [2]

- Reference:**
1. Kobayashi et al., In Vitro Antiretroviral Properties of S/GSK1349572, a Next-Generation HIV Integrase Inhibitor. *Antimicrob. Agents. Chemother.* 2011, 55(2), 813-821. Pubmed ID: 21115794
 2. Charpentier et al., In vitro phenotypic susceptibility of HIV-2 clinical isolates to the integrase inhibitor S/GSK1349572. *AIDS*, 2010, 24, 2753-2755. Pubmed ID: 20827161

To reorder: <http://www.cellagentech.com/GSK1349572-Dolutegravir/>

For Technical Support: technical@cellagentech.com

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