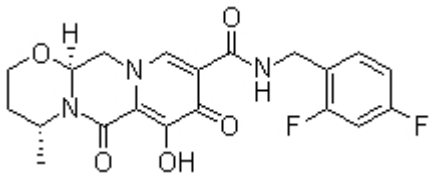
# Product Introduction

## Dolutegravir (GSK1349572)

S/GSK1349572 (GSK1349572) is a two-metal-binding HIV **integrase** inhibitor with **IC50** of 2.7 nM, modest activity against raltegravir-resistant signature mutants Y143R, Q148K, N155H, and G140S/Q148H. Phase 3.

### Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 419.38 |  |
| **Formula:** | $C_{20}H_{19}F_2N_3O_5$ | |
| **Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:** | DMSO 84 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol <1 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃Powder | |
| | 6 months-80℃in DMSO | |
| **CAS No.:** | 1051375-16-6 | |

### Biological Activity

S/GSK1349572 shows the potent inhibitory effect on nine clinical isolates from integrase inhibitor-na?ve HIV-2-infected patients with EC50 ranging from 0.2 nM -1.4 nM. [1] In vitro, S/GSK1349572 inhibits recombinant HIV-1 integrase-catalyzed strand transfer with IC50 of 2.7 nM. Furthermore, S/GSK1349572 potently inhibits HIV replication in cells such as peripheral blood mononuclear cells (PBMCs), MT-4 cells

and CIP4 cells infected with a self-inactivating PHIV lentiviral vector with EC50 of 0,51 nM, 0.71 nM and 2.2 nM, respectively. [2] In vitro, S/GSK1349572 exhibits potent activity against five different nonnucleoside reverse transcription inhibitor--resistant or nucleoside reverse transcription inhibitor--resistant viruses with EC50 ranging from 1.3 nM -2.1 nM. Similarly to that against wild-type virus, S/GSK1349572 shows equivalent activity against two protease inhibitor-resistant viruses with EC50 of 0.36 nM and 0.37 nM, respectively. [2]

A next-generation and two-metal-binding HIV integrase strand transfer inhibitor.

## References

[1] Vézinet F, et al. AIDS. 2010, 24(17), 2753-275

[2] Kobayashi M, et al. Antimicrob Agents Chemother. 2011, 55(2), 813-821.