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

Raltegravir

Catalog No: tcsc2396

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
518048-05-0

Formula:
$C_{20}H_{21}FN_6O_5$

Pathway:
Metabolic Enzyme/Protease;Anti-infection

Target:
HIV Integrase;HIV

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (225.01 mM)

Alternative Names:
MK-0518

Observed Molecular Weight:
444.42

Product Description

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Raltegravir is a potent **integrase (IN)** inhibitor, used to treat HIV infection.

In Vitro: PFV IN carrying the S217H substitution is 10-fold less susceptible to Raltegravir with IC_{50} of 900 nM. PFV IN displays 10% of WT activity and is inhibited by Raltegravir with an IC_{50} of 200 nM, indicating a appr twofold decrease in susceptibility to the IN strand transfer inhibitor (INSTI) compared with WT IN. S217Q PFV IN is as sensitive to Raltegravir as the WT enzyme[1]. Raltegravir is metabolized by glucuronidation, not hepatically. Raltegravir has potent in vitro activity against HIV-1, with a 95% inhibitory concentration of 31±20 nM, in human T lymphoid cell cultures. Raltegravir is also active against HIV-2 when Raltegravir is tested in CEMx174 cells, with an $IC_{95}$of 6 nM. Raltegravir metabolism occurs primarily through glucuronidation. Drugs that are strong inducers of the glucuronidation enzyme, UGT1A1, significantly reduce Raltegravir concentrations and should not be used. Raltegravir exhibits weak inhibitory effects on hepatic cytochrome P450 activity. Raltegravir does not induce CYP3A4 RNA expression or CYP3A4-dependent testosterone 6-β-hydroxylase activity[2]. Raltegravir cellular permeativity is reduced in the presence of magnesium and calcium[3]. Raltegravir and related HIV-1 integrase (IN) strand transfer inhibitors (INSTIs efficiently block viral replication[4]. In acutely infected human lymphoid $CD4^+$ T-cell lines MT-4 and CEMx174, SIVmac251 replication is efficiently inhibited by Raltegravir, which shows an EC_{90} in the low nanomolar range[5].

In Vivo: Raltegravir induces viro-immunological improvement of nonhuman primates with progressing SIVmac251 infection. One non-human primate shows an undetectable viral load following Raltegravir monotherapy[5].