

# Raltegravir (potassium salt)

Catalog No: tcsc3263



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

871038-72-1

**Formula:**

$C_{20}H_{20}FKN_6O_5$

**Pathway:**

Metabolic Enzyme/Protease;Anti-infection

**Target:**

HIV Integrase;HIV

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 6 mg/mL (12.43 mM; Need ultrasonic); H<sub>2</sub>O : 25 mg/mL (51.81 mM; Need ultrasonic)

**Alternative Names:**

MK 0518 potassium salt

**Observed Molecular Weight:**

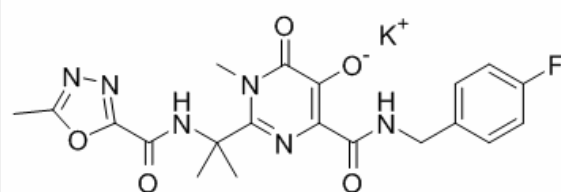
482.51

## Product Description

Raltegravir (potassium salt) 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 approx 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<sup>[1]</sup>. Raltegravir is metabolized by glucuronidation, not hepatically. Raltegravir has potent in vitro activity against HIV-1, with a 95% inhibitory concentration of  $31 \pm 20$  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- $\beta$ -hydroxylase activity<sup>[2]</sup>. Raltegravir cellular permeability is reduced in the presence of magnesium and calcium<sup>[3]</sup>. Raltegravir and related HIV-1 integrase (IN) strand transfer inhibitors (INSTIs) efficiently block viral replication<sup>[4]</sup>. In acutely infected human lymphoid CD4<sup>+</sup> T-cell lines MT-4 and CEMx174, SIVmac251 replication is efficiently inhibited by Raltegravir, which shows an  $EC_{90}$  in the low nanomolar range<sup>[5]</sup>.

**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<sup>[5]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!