

Product Specification Sheet

Product Name: MK-0518 (Raltegravir)

Catalog Number: C6051

Technical information:

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

CAS #: 871038-72-1

Molecular Weight: 444.42

Purity: > 98%

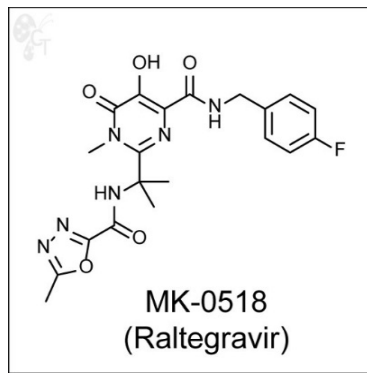
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: N-(4-fluorobenzyl)-5-hydroxy-1-methyl-2-(2-(2-methyl-1,3,4-oxadiazole-5-carboxamido)propan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide

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 0.225mL of DMSO for each mg of MK-0518 (Raltegravir)
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: Raltegravir (Isentress) is a first-in-class, orally-available, oxadiazole-based inhibitor of HIV-1 integrase, inhibiting strand transfer in vitro with an IC₅₀ of 2-7 nM. [1] It is >1000-fold more selective for HIV-1 integrase when compared to other phosphoryltransferases, such as the polymerase and RNase H activities of HIV-1 reverse transcriptase and the human polymerases alpha, beta, and gamma.

Raltegravir has an IC₉₅ in human T lymphoid cell cultures of 31 nM and was also active against HIV-2 when tested in CEMx174 cells, with an IC₉₅ of 6nM. [2]

Raltegravir has been shown to be a potent and selective against Xenotropic murine leukemia-related retrovirus (XMRV) at submicromolar concentrations in MCF-7 breast cancer (EC₅₀ = 5 nM, EC₉₀ = 3.5 μM) and LNCaP prostate cancer (EC₅₀ = 30 nM, EC₉₀ 0.46 μM) cell lines. [3]

- Reference:**
1. Temesgen et al., Raltegravir: first in class HIV integrase inhibitor. *Ther. Clin. Risk Management*, 2008, 4(2), 493-500. Pubmed ID: 18728839
 2. Hicks et al., Raltegravir: the first HIV type 1 integrase inhibitor. *Clin. Infect. Dis.* 2009, 48(7), 931-939. Pubmed ID: 19231980
 3. Singh et al., Raltegravir is a potent inhibitor of XMRV, a virus implicated in prostate cancer and chronic fatigue syndrome. *PLoS ONE* 2010, 4(4), e9948. Pubmed ID: 20376347

To reorder: <http://www.cellagentech.com/MK-0518-Raltegravir/>
For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.