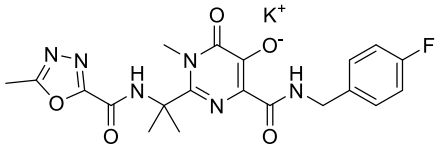
Product data sheet

MedKoo Cat#: 300210 Name: Raltegravir potassium CAS#: 871038-72-1 (potassium) Chemical Formula: $C_{20}H_{20}FKN_6O_5$ Exact Mass: 444.15575 Molecular Weight: 482.51	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Raltegravir, also known as MK-0518, is an antiretroviral drug used to treat HIV infection. Raltegravir is a human immunodeficiency virus (HIV) integrase strand transfer inhibitor (HIV-1 INSTI) with HIV-1 antiviral activity. Raltegravir binds to and inhibits integrase, an HIV enzyme that inserts viral genetic material into the genetic material of the infected human cell. Inhibition of integrase prevents insertion of HIV DNA into the human DNA genome, thus blocking HIV replication. It received approval by the U.S. Food and Drug Administration (FDA) in October 2007, the first of a new class of HIV drugs, the integrase inhibitors, to receive such approval.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	2.0	4.1

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.07 mL	10.36 mL	20.72 mL
5 mM	0.41 mL	2.07 mL	4.14 mL
10 mM	0.21 mL	1.04 mL	2.07 mL
50 mM	0.04 mL	0.21 mL	0.41 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Alburquerque-González B, Bernabé-García Á, Bernabé-García M, Ruiz-Sanz J, López-Calderón FF, Gonnelli L, Banci L, Peña-García J, Luque I, Nicolás FJ, Cayuela-Fuentes ML, Luchinat E, Pérez-Sánchez H, Montoro-García S, Conesa-Zamora P. The FDA-Approved Antiviral Raltegravir Inhibits Fascin1-Dependent Invasion of Colorectal Tumor Cells In Vitro and In Vivo. Cancers (Basel). 2021 Feb 18;13(4):861. doi: 10.3390/cancers13040861. PMID: 33670655; PMCID: PMC7921938.
2. Pennington MR, Voorhees IEH, Callaway HM, Dehghanpir SD, Baines JD, Parrish CR, Van de Walle GR. The HIV Integrase Inhibitor Raltegravir Inhibits Felid Alphaherpesvirus 1 Replication by Targeting both DNA Replication and Late Gene Expression. J Virol. 2018 Sep 26;92(20):e00994-18. doi: 10.1128/JVI.00994-18. PMID: 30045987; PMCID: PMC6158441.

In vivo study

1. Zhang X, Huang H, Zhang G, Li D, Wang H, Jiang W. Raltegravir Attenuates Experimental Pulmonary Fibrosis In Vitro and In Vivo. Front Pharmacol. 2019 Aug 20;10:903. doi: 10.3389/fphar.2019.00903. PMID: 31481891; PMCID: PMC6710384.
2. Kovarova M, Swanson MD, Sanchez RI, Baker CE, Steve J, Spagnuolo RA, Howell BJ, Hazuda DJ, Garcia JV. A long-acting formulation of the integrase inhibitor raltegravir protects humanized BLT mice from repeated high-dose vaginal HIV challenges. J

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Antimicrob Chemother. 2016 Jun;71(6):1586-96. doi: 10.1093/jac/dkw042. Epub 2016 Mar 21. PMID: 27002074; PMCID: PMC4867102.

7. Bioactivity

Biological target:

Raltegravir potassium salt (MK 0518 potassium salt) is a potent integrase (IN) inhibitor, used to treat HIV infection.

In vitro activity

With the aim of verifying the properties of Fascin1 inhibitors on actin-based membrane protrusions involved in cell migration, an in vitro wound-healing scratch assay was carried out with cells treated with MGS and RAL (Raltegravir). Figure 6 shows that RAL induces a considerable inhibition of HCT-116 DLD-1 cell migration when compared to control conditions ($p < 0.05$). To further verify the inhibitory role of RAL on Fascin1 activity, this study used Fascin1 overexpressed DLD-1 cells and tested their invasion properties. Accordingly, 30 μM RAL strongly diminished migration and invasion in Fascin1 overexpressed DLD-1 cells ($p < 0.01$) (Appendix A Figure A5A). As shown in Appendix A Figure A5B, RAL inhibit tumor-cell invasion of HCT-116, such as another tested inhibitor, G2 compound.

Reference: Cancers (Basel). 2021 Feb; 13(4): 861. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7921938/

In vivo activity

Lung tissues were semiquantitatively assessed, and this study found no inflammatory or fibrotic changes in the normal tissue (Figure 2A1). In addition, the pathology score in RAV-treated rats significantly decreased relative to the BLM-induced rats (Figures 2A2, A3). Pulmonary coefficient is a measure of pulmonary fibrosis. During pulmonary fibrosis, the lung coefficient significantly increases. The weight of the rats was recorded before the rats were sacrificed, and the weight of the lung tissues was recorded when the lung was isolated from the donor mice, which was used to calculate the lung coefficient. The lung coefficient of the control and RAV-treated groups decreased compared to the BLM model group (Figure 2C).

Reference: Front Pharmacol. 2019; 10: 903. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6710384/