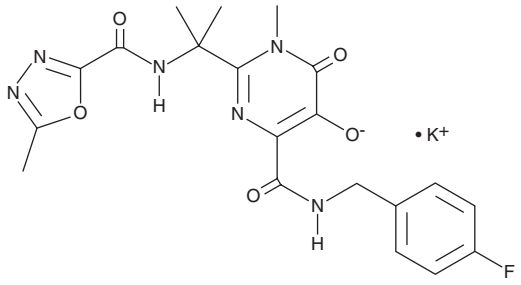
PRODUCT INFORMATION

Raltegravir (potassium salt)

Item No. 16071

CAS Registry No.: 871038-72-1
Formal Name: N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-1-methyl-2-[1-methyl-1-[[(5-methyl-1,3,4-oxadiazol-2-yl)carbonyl]amino]ethyl]-6-oxo-4-pyrimidinecarboxamide, monopotassium salt
Synonym: MK-0518
MF: $C_{20}H_{20}FN_6O_5 \bullet K$
FW: 482.5
Purity: ≥98%
UV/Vis.: λ_{max}: 210, 312 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Raltegravir (potassium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the raltegravir (potassium salt) in the solvent of choice. Raltegravir (potassium salt) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of raltegravir (potassium salt) in these solvents is approximately 2 and 1 mg/ml, respectively.

Raltegravir (potassium salt) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, raltegravir (potassium salt) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Raltegravir (potassium salt) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Raltegravir is an orally bioavailable inhibitor of HIV-1 integrase (IC_{50} = 15 nM in a strand transfer assay).[1] It inhibits the spread of HIV-1IIIb infection in MT-4 cell culture with 95% cell culture inhibitory concentration (CIC_{95}) values of 19 and 31 nM in medium containing 10% heat-inactivated fetal bovine serum (FBS) or 50% normal human serum, respectively. Formulations containing raltegravir have been used in combination therapy in the treatment of HIV-1 infection.

Reference

1. Summa, V., Petrocchi, A., Bonelli, F., *et al.* Discovery of raltegravir, a potent, selective orally bioavailable HIV-integrase inhibitor for the treatment of HIV-AIDS infection. *J. Med. Chem.* **1(18),** 5843-5855 (2008).

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