Product Datasheet

Technical Data

Formula	$C_{20}H_{20}FN_6O_5.K$					
Molecular Weight	482.51	**CAS No.**	871038-72-1			
Solubility (25°C)*	In vitro	DMSO	96 mg/mL (198.95 mM)			
		Ethanol	10 mg/mL (20.72 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.
		Injection	Clear solution	5%DMSO [1]→ 40%PEG300 [2]→ 5%Tween80 [3]→ 50%ddH2O Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	4.8mg/ml (9.95mM)	Taking the 1 mL working solution as an example, add 50 μL of 96 mg/ml clarified DMSO stock solution to 400 μL of PEG300, mix evenly to clarify it; add 50 μL of Tween80 to the above system, mix evenly to clarify; then continue to add 500 μL of ddH2O to adjust the volume to 1 mL. The mixed solution should be used immediately for optimal results.
			Clear solution	5% DMSO [1]→ 95% Corn oil Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	0.69mg/ml (1.43mM)	Taking the 1 mL working solution as an example, add 50 μL of 13.8 mg/ml clear DMSO stock solution to 950 μL of corn oil and mix evenly. The mixed solution should be used immediately for optimal results.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Biological Activity

Description	Raltegravir Potassium(MK-0518 potassium) is the orally bioavailable potassium salt of raltegravir, the first approved **human immunodeficiency virus type 1 (HIV-1) integrase** inhibitor.
Targets	HIV integrase [2]
In vitro	PFV IN carrying the S217H substitution is 10-fold less susceptible to Raltegravir with IC50 of 900 nM. PFV IN displays 10% of WT activity and is inhibited by Raltegravir with an IC50 of 200 nM, indicating a ~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?0 nM, in human T lymphoid cell cultures. Raltegravir is also active against HIV-2 when Raltegravir is tested in CEMx174 cells, with an IC95 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 EC90 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]

Protocol (from reference)

Cell Assay:[5]

- **Cell lines**
  Human MT-4 cells
- **Concentrations**
  0.0001-1 μM
- **Incubation Time**
  5 days
- **Method**
  Human MT-4 cells are infected for 2 hours with the SIVmac251, HIV-1 (IIIB) and HIV-2 (CDC 77618) stocks at a multiplicity of infection of, approximately, 0.1. Cells are then washed three times in phosphate buffered saline, and suspended at 5 × 10^5/mL in fresh culture medium (to primary cells 50 units/mL of IL-2 are added) in 96-well plates, in the presence or absence of a range of triplicate raltegravir concentrations (0.0001 μM -1 μM). Untreated infected and mock-infected controls are prepared too, in order to allow comparison of the data derived from the different treatments. Viral cytopathogeniciy in MT-4 cells is quantitated by the methyl tetrazolium (MTT) method (MT-4/MTT assay) when extensive cell death in control virus-infected cell cultures is detectable microscopically as lack of capacity to re-cluster. The capability of MT-4 cells to form clusters after infection. Briefly, clusters are disrupted by pipetting; and, after 2 hours of incubation at 37 ℃, the formation of new clusters is assessed by light microscopy (100 × magnification). Cell culture supernatants are collected for HIV-1 p24 and HIV-2/SIVmac251 p27 core antigen measurement by ELISA. In CEMx174-infected cell cultures, which show a propensity to form syncytia induced by the virus envelope glycoproteins, syncytia are counted, in blinded fashion, by light microscopy for each well at 5 days following infection.

Animal Study:[5]

- **Animal Models**
  Indian Rhesus macaques
- **Dosages**
  50 mg/kg or 100 mg/kg
- **Administration**
  Oral administration

References

https://pubmed.ncbi.nlm.nih.gov/21030679/ https://pubmed.ncbi.nlm.nih.gov/19231980/ https://pubmed.ncbi.nlm.nih.gov/22450971/

+ Expand

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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