Atazanavir (BMS-232632) Sulfate

Catalog No.C07812 Batch:C0781203

Product Datasheet

Technical Data

Formula	$C_{38}H_{52}N_6O_7.H_2SO_4$					
Molecular Weight	802.93	**CAS No.**	229975-97-7			
Solubility (25°C)*	In vitro	DMSO	100 mg/mL (124.54 mM)			
		Water	Insoluble			
		Ethanol	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	30%PEG400 [1]→ 0.5%Tween80 [2]→ 5%propylene glycol Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	30.000mg/ml (37.36mM)	Taking the 1 mL working solution as an example, add 300 μL of 100 mg/ml clarified PEG400 stock solution to 5 μL of Tween80, mix evenly to clarify it; add 50 μL Propylene glycol to the above system, mix evenly to clarify it; then continue to add 645 μL ddH2O to adjust the volume. to 1 mL. 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

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.2454 mL	6.2272 mL	12.4544 mL
5 mM	0.2491 mL	1.2454 mL	2.4909 mL
10 mM	0.1245 mL	0.6227 mL	1.2454 mL
50 mM	0.0249 mL	0.1245 mL	0.2491 mL

Biological Activity

Description	Atazanavir Sulfate (BMS-232632-05, Reyataz) is a **HIV protease** inhibitor with K_i of 2.66 nM in a cell-free assay.
Targets	HIV protease [1] (Cell-free assay) 2.66 nM(Ki)
In vitro	Atazanavir inhibits the proteolytic cleavage of the viral gag precursor p55 polyprotein with IC50 of ~47 nM in virus-infected H9 cells. Atazanavir exhibits potent antiviral activity with EC50 of 3.89 nM in RF/MT-2 strains. [1]. Atazanavir is shown to be an inhibitor of bilirubin glucuronidation with IC50 of 2.4 μM. Atazanavir inhibits recombinant UGT1A1 with Ki of 1.9 μM. [2] Atazanavir inhibits cell growth in U251, T98G, and LN229 glioblastoma cell lines, with strikingly increased GRP78 and CHOP protein levels. Atazanavir causes a prominent increase of polyubiquitinated proteins of various different sizes in U251 glioblastoma cells. [3] Atazanavir also inhibits human 20S proteasome with IC50 of 26 μM. Atazanavir (30 μM) changes the magnitudes of ER stress and UPR gene expression in HepG2 cells. [4] Atazanavir (30 mM) causes a 2.5-fold increase in immunoreactive P-gp expression with decreased intracellular Rh123 in LS180V cells. [5]
Features	Atazanavir is generally more potent than other HIV-1 Prt inhibitors, including IDV, SQV, RTV, NFV, and APV.

Protocol (from reference)

Kinase Assay:[1]

- **Protease assays**

  To determine the inhibition constants (Ki) for each Prt inhibitor, purified HIV-1 RF wild-type Prt (2.5 nM) is incubated at 37 °C with 1 μM to 15 μM fluorogenic substrate in reaction buffer (1 M NaCl, 1 mM EDTA, 0.1 M sodium acetate [pH 5.5], 0.1% polyethylene glycol 8000) in the presence or absence of Atazanavir. Cleavage of the substrate is quantified by measuring an increase in fluorescent emission at 490 nM after excitation at 340 nM using a Cytofluor 4000. Reactions are carried out using 1.36 μM, 1.66 μM, 2.1 μM, 3.0 μM, 5.0 μM, or 15 μM substrate in the presence of five concentrations of Atazanavir (1.25 nM to 25 nM). Substrate cleavage is monitored at 5-min intervals for 30 min. Cleavage rates are then determined for each sample at early time points in the reaction, and Ki values are determined from the slopes of the resulting Michaelis-Menten plots.

Cell Assay:[1]

- **Cell lines**

  RF/MT-2 strains
- **Concentrations**

  15 nM
- **Incubation Time**

  6 days
- **Method**

To determine cytotoxicity, host cells are incubated in the presence of serially diluted Atazanavir for 6 days and cell viability is quantitated using an XTT[2,3-bis(2-methoxy-4-nitro-5-sulfophenyl-2H-tetrazolium-5-carboxanilide] assay to calculate the 50% cytotoxic concentrations (CC50s). To assess the effect of human serum proteins on antiviral activity, the 10% fetal calf serum normally used for assays is replaced with 40% adult human serum or 1 mg of α1-acid glycoprotein/mL.

References

https://pubmed.ncbi.nlm.nih.gov/10898681/ https://pubmed.ncbi.nlm.nih.gov/16118329/ https://pubmed.ncbi.nlm.nih.gov/18006837/

+ Expand

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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.

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