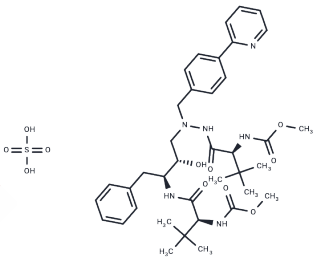
Data Sheet (Cat.No.T0100)

TargetMol

Atazanavir sulfate

Chemical Properties



CAS No. : 229975-97-7

Formula: C38H52N6O7·H2SO4

Molecular Weight: 802.93

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Atazanavir sulfate (BMS-232632 sulfate) is an azapeptide and HIV-protease inhibitor used in the treatment of HIV infections and AIDS in combination with other anti-HIV agents.
Targets(IC50)	HIV Protease,Endogenous Metabolite,Cytochromes P450,P-gp,SARS-CoV,TLR
In vivo	Atazanavir (30 mM) treatment of LS180V cells resulted in a 2.5-fold increase in the expression of P-gp associated with immune responses and a decrease in intracellular Rh123. In glioblastoma cell lines U251, T98G, and LN229, Atazanavir inhibited cell growth and significantly elevated levels of GRP78 and CHOP proteins. Additionally, Atazanavir inhibited the human 20S proteasome. In U251 glioma cells, Atazanavir exposure led to a marked increase in polyubiquitinated proteins of various sizes. When applied to H9 cells infected with a virus, Atazanavir obstructed the proteolytic cleavage of the viral gag precursor p55 polyprotein, with an IC50 of approximately 47 nM. Atazanavir demonstrated potent antiviral activity against the RF/MT-2 strain, with an EC50 of 3.89 nM. Finally, at 30 μM, Atazanavir altered the magnitude of endoplasmic reticulum stress and the expression of UPR genes in HepG2 cells.
Kinase Assay	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 ℃ 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 Research	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.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 55 mg/mL (68.5 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (2.49 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Robinson BS, et al. Antimicrob Agents Chemother, 2000, 44(8), 2093-2099.
Zhang D, et al. Drug Metab Dispos, 2005, 33(11), 1729-1739.
Pyrko P, et al. Cancer Res, 2007, 67(22), 10920-10928.
Parker RA, et al. Mol Pharmacol, 2005, 67(6), 1909-1919.
Perloff ES, et al. Drug Metab Dispos, 2005, 33(6), 764-770.

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