

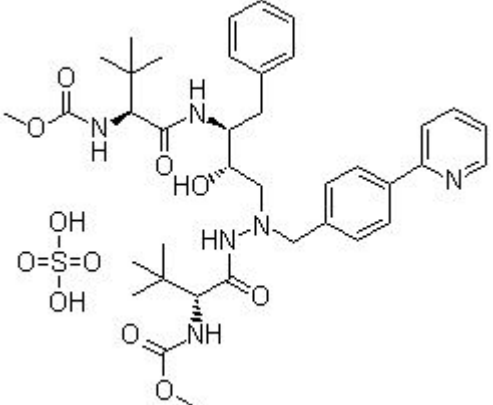


Product Introduction

Atazanavir Sulfate

Atazanavir is a HIV protease inhibitor with K_i of 2.66 nM.

Technical Data:

Molecular Weight (MW):	802.93	
Formula:	$C_{38}H_{52}N_6O_7 \cdot H_2SO_4$	
Solubility (25°C)	DMSO 104 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	229975-97-7	

Biological Activity

Atazanavir inhibits the proteolytic cleavage of the viral gag precursor p55 polyprotein with IC_{50} of ~47 nM in virus-infected H9 cells. Atazanavir exhibits potent antiviral activity with EC_{50} of 3.89 nM in RF/MT-2 strains. ^[1] Atazanavir is shown to be an inhibitor of bilirubin glucuronidation with IC_{50} of 2.4 μ M. Atazanavir inhibits recombinant UGT1A1 with K_i of 1.9 μ M. ^[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 IC_{50} of 26 μ M. Atazanavir (30 μ M) changes the magnitudes of ER stress and UPR gene expression in HepG2 cells. ^[4] Atazanavir (30 mM)

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causes a 2.5-fold increase in immunoreactive P-gp expression with decreased intracellular Rh123 in LS180V cells. [5]

Atazanavir is generally more potent than other HIV-1 Prt inhibitors, including IDV, SQV, RTV, NFV, and APV.

References

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



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