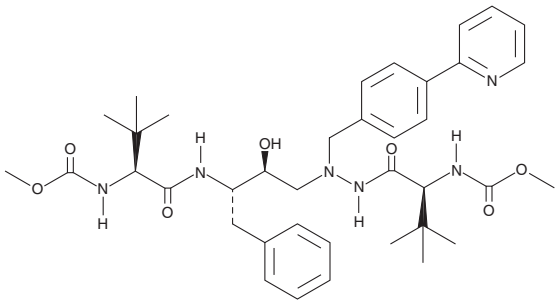
PRODUCT INFORMATION

Atazanavir

Item No. 11733

CAS Registry No.: 198904-31-3
Formal Name: 3S,12S-*bis*(1,1-dimethylethyl)-8S-hydroxy-4,11-dioxo-9S-(phenylmethyl)-6-[[4-(2-pyridinyl)phenyl]methyl]-2,5,6,10,13-pentaazatetradecanedioic acid, 1,14-dimethyl ester
Synonyms: BMS 232632, CGP 73547
MF: $C_{38}H_{52}N_6O_7$
FW: 704.9
Purity: ≥95%
UV/Vis.: λ_{max}: 251, 280 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Atazanavir is supplied as a crystalline solid. A stock solution may be made by dissolving the atazanavir in the solvent of choice. Atazanavir is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of atazanavir in these solvents is approximately 2, 16, and 25 mg/ml, respectively.

Atazanavir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, atazanavir should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Atazanavir has a solubility of approximately 0.1 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Atazanavir is an azapeptide inhibitor of HIV-1 protease (K_i = 2.66 nM).[1] It has antiviral activity against a variety of HIV-1 strains in several cell types with EC_{50} values ranging from 2.62 to 5.28 nM. Atazanavir exhibits a minor synergistic effect when used in combination with the reverse transcriptase inhibitor zidovudine (Item No. 15492) in HIV-1-infected human peripheral blood mononuclear cells (PBMCs) and an additive effect when used in combination with several additional reverse transcriptase or HIV-1 protease inhibitors. Atazanavir also inhibits UDP-glucuronyltransferase 1A1 (UGT1A1), which is involved in bilirubin clearance.[2] Formulations containing atazanavir have been used in combination therapy for the treatment of HIV-1 infection.

References

1. Robinson, B.S., Riccardi, K.A., Gong, Y.-F., *et al.* BMS-232632, a highly potent human immunodeficiency virus protease inhibitor that can be used in combination with other available antiretroviral agents. *Antimicrob. Agents Chemother.* **44(8)**, 2093-2099 (2000).
3. Michaud, V., Bar-Magen, T., Turgeon, J., *et al.* The dual role of pharmacogenetics in HIV treatment: Mutations and polymorphisms regulating antiretroviral drug resistance and disposition. *Pharmacol. Rev.* **64(3)**, 803-833 (2012).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM