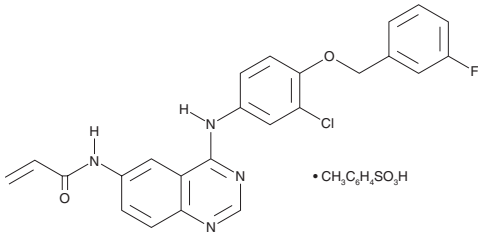
PRODUCT INFORMATION

AST-1306 (tosylate)

Item No. 29708

CAS Registry No.: 1050500-29-2
Formal Name: N-[4-[[3-chloro-4-[(3-fluorophenyl) methoxy]phenyl]amino]-6-quinazolinyl]-2-propenamide, 4-methylbenzenesulfonate
Synonym: Allitinib
MF: $C_{24}H_{18}ClFN_4O_2 \bullet C_7H_8O_3S$
FW: 621.1
Purity: ≥98%
UV/Vis.: λ_{max}: 252, 307, 367 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

AST-1306 (tosylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the AST-1306 (tosylate) in the solvent of choice, which should be purged with an inert gas. AST-1306 (tosylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AST-1306 (tosylate) in these solvents is approximately 1, 20, and 25 mg/ml, respectively.

AST-1306 (tosylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AST-1306 (tosylate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AST-1306 (tosylate) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AST-1306 is an irreversible inhibitor of EGFR, HER2, and HER4 (IC_{50}s = 0.5, 3, and 0.8 nM, respectively).[1] It is selective for EGFR, HER2, and HER4 over a panel of 23 additional kinases (IC_{50}s = >10 µM). AST-1306 also inhibits $EGFR^{T790M/L858R}$ with an IC_{50} value of 12 nM in a cell-free assay. It decreases EGFR, ERK1/2, and Akt phosphorylation in Calu-3, A549, and SKOV3 cancer cells when used at concentrations ranging from 0.001 to 1 µM. AST-1306 inhibits proliferation of Calu-3, BT474, MDA-MB-468, A549, SKOV3, NCI H23, and MCF-7 cells (IC_{50}s = 0.23-16 µM). It reduces tumor growth in Calu-3, A549, SKOV3, and HO8910 mouse xenograft models when administered at doses of 25, 50, and 100 mg/kg per day.

Reference

1. Xie, H., Lin, L., Tong, L., *et al.* AST1306, a novel irreversible inhibitor of the epidermal growth factor receptor 1 and 2, exhibits antitumor activity both in vitro and in vivo. *PLoS One* **6(7)**, e21487 (2011).

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