

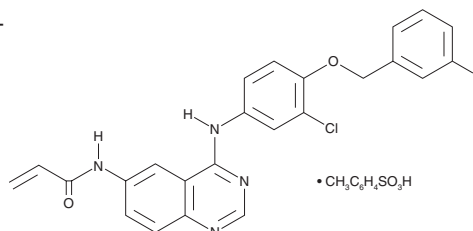
PRODUCT INFORMATION



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-quinazoliny]-2-propenamide, 4-methylbenzenesulfonate
Synonym: Allitinib
MF: C₂₄H₁₈ClFN₄O₂ • C₇H₈O₃S
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 (IC₅₀s = 0.5, 3, and 0.8 nM, respectively).¹ It is selective for EGFR, HER2, and HER4 over a panel of 23 additional kinases (IC₅₀s = >10 μM). AST-1306 also inhibits EGFR^{T790M/L858R} with an IC₅₀ 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 (IC₅₀s = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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