

Product Data Sheet

Product Name: AST-1306

Cat. No.: GC11691

Chemical Properties

Cas. No. 897383-62-9

Chemical Name N-[4-[3-chloro-4-[(3-fluorophenyl)methoxy]anilino]quinazolin-6-yl]prop-2-enamide

SMILES C=CC(=O)NC1=CC2=C(C=C1)N=CN=C2NC3=CC(=C(C=C3)OCC4=CC(=CC=C4)F)Cl

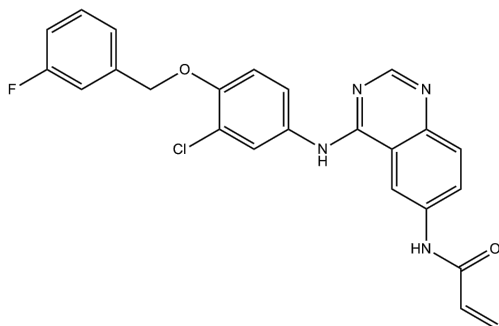
Formula $C_{24}H_{18}ClFN_4O_2$ M.Wt 448.88

Solubility $\geq 22.45\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment: Cells are seeded into 96-well plates and grown for 24 h. The cells are then treated with increasing concentrations of Allitinib (AST1306) and grown for a further 72 h. Cell proliferation is evaluated using the SRB (Sulforhodamine B) assay[1].

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: Mice: Mice are administered Allitinib (AST1306) at dosage of 100, 50 and 25 mg/kg twice daily and treated with lapatinib (50 mg/kg) as comparison. Tumors are measured twice a week in two dimensions, using a caliper, and the tumor volume is calculated according to the formula $L \times W \times W/2$ [1].

References:

[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. 2011;6(7):e21487.

Background

AST1306 is a novel inhibitor of EGFR and HER2 (IC₅₀ = 0.5 nM and 3 nM respectively)

EGFR (epidermal growth factor receptor) is a cell-surface receptor tyrosine kinase. The receptor activation leads to dimerization and tyrosine autophosphorylation. It induces a cascade of downstream cellular responses such as modification in gene expression, cell proliferation and cytoskeletal rearrangement etc. HER2 is a member of the epidermal growth factor and is associated with breast and ovarian cancers.

AST1306 selectively blocked EGFR and HER2 kinase activities in a cell-free assay. The tyrosine kinase activity of EGFR mutant T790M/L858R was also inhibited by AST1306 in intact cell and cell-free assays. In addition, AST1306 attenuated the EGFR and HER2 phosphorylation and downstream substrates. [1]

In ErbB2-overexpressing xenograft and FVB-2/Nneu transgenic mouse model, AST1306 potently inhibited tumor growth. In SK-OV-3 xenograft model, AST1306 caused a quick and long-lasting (≥ 24 h) inhibition of EGFR and HER2. [1]

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. 2011;6(7):e21487.

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