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	≥ 22.45mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	AST-1306		

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].

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×W×W/2[1].

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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 (≥24h) 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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