

Allitinib tosylate

Catalog No: tcsc1209

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:

1050500-29-2

Formula:

 $C_{31}H_{26}CIFN_4O_5S$

Pathway: JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:

EGFR;EGFR

Purity / Grade:

Solubility:

10 mM in DMSO

Alternative Names:

AST-1306 (TsOH)

Observed Molecular Weight:

621.08

Product Description

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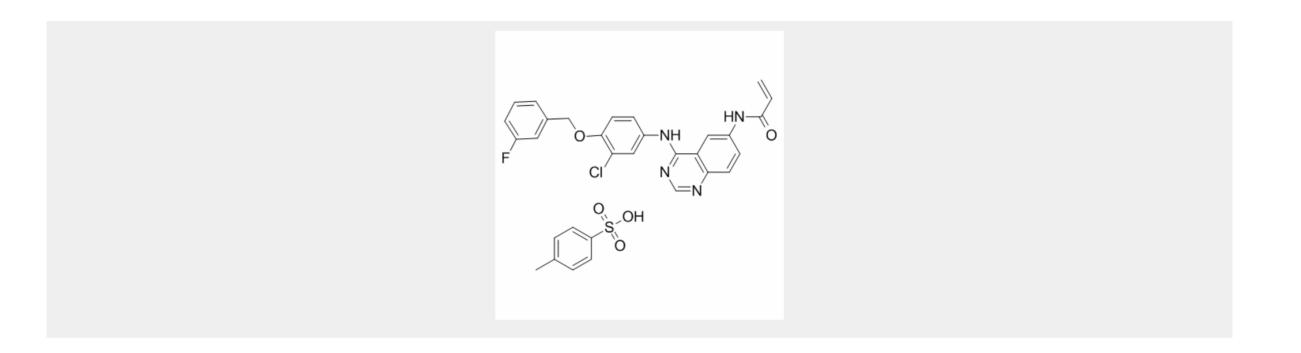


Allitinib tosylate (AST-1306 TsOH) is a novel irreversible inhibitor of EGFR and ErbB2 with IC50 of 0.5 nM and 3 nM, also effective in mutation EGFR T790M/L858R, more potent to ErbB2-overexpressing cells, 3000-fold selective for ErbB family than other kinases.

IC50 value: 0.5/3 nM (EGFR/Erb2)[1]

Target: EGFR/Erb2;Mutant EGFR T790M/L858R

Allitinib functions as an irreversible inhibitor, most likely through covalent interaction with Cys797 and Cys805 in the catalytic domains of EGFR and ErbB2, respectively. Further studies showed that Allitinib inactivated pathways downstream of these receptors and thereby inhibited the proliferation of a panel of cancer cell lines. Allitinib is a potent(pM) and selective inhibitor of EGFR and ErbB4 (IC50 = 500pM and 800 pM, respectively). AST-1306 blocks phosphorylation of EGFR and also prevents downstream pathways. Allitinib also dose-dependently inhibits EGF-induced EGFR phosphorylation in the A549 cancer cell line.



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