Allitinib

Cat. No.: HY-15375

CAS No.: 897383-62-9

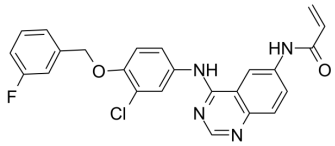
Molecular Formula: $C_{24}H_{18}ClFN_4O_2$

Molecular Weight: 448.88

Target: EGFR

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Allitinib (AST-1306) is an orally active and irreversible EGFR and ErbB2 inhibitor with IC_{50}s of 0.5 and 3 nM, respectively. Allitinib also inhibits ErbB4 with an IC_{50} of 0.8 nM. Allitinib is an anilino-quinazoline compound and has anti-cancer activity[1].

IC_{50} & Target

EGFR	$EGFR^{L858R/T790M}$	ErbB2	ErbB4
0.5 nM (IC_{50})	12 nM (IC_{50})	3 nM (IC_{50})	0.8 nM (IC_{50})

In Vitro

AST1306 (AST-1306; 0.19-6.25 μM; 72 hours) induces a significant, concentration-dependent inhibition of the growth of HIH3T3-EGFR T790M/L858R cells[1].

AST1306 inhibits the activation of tyrosine kinases and downstream signaling pathways in A549 cells, Calu-3 cells and SK-OV-3 cells. AST1306 dose-dependently and markedly inhibits EGF-induced EGFR phosphorylation in A549 cells[1].

AST1306 (0.1, 0.5, 1.0, 5.0 μM) can dramatically inhibit the growth of both tumor cells on soft agar, and SK-OV-3 cells exhibited much more sensitivity than that of A549 cells[1].

AST1306 (0.001-1.0 μM; 4 hours) is more than 3000-fold selective for ErbB family kinases over other kinase families[1].

AST1306 potently inhibits the EGFR T790M/L858R double mutant, exhibiting an IC_{50} value of 12 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	NIH3T3 parental cells and NIH3T3 cells
Concentration:	0.19, 0.39, 0.78, 1.56, 3.13, 6.25 μM
Incubation Time:	72 hours
Result:	Induced a significant, concentration-dependent inhibition of the growth of HIH3T3-EGFR T790M/L858R cells.

Western Blot Analysis[1]

Cell Line:	A549 cells , Calu-3 cells and SK-OV-3 cells
Concentration:	0.001, 0.01, 0.1, 1.0 μM
Incubation Time:	4 hours

Result:	Inhibits the activation of tyrosine kinases and downstream signaling pathways.

In Vivo

AST1306 (AST-1306; p.o.; 25-100 mg/kg; twice daily; for 28 days) causes a dramatic suppression of tumor growth in SK-OV-3 and Calu-3 xenograft models[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice with SK-OV-3 and Calu-3 tumors[1]
Dosage:	25, 50, 100 mg/kg
Administration:	p.o; twice daily; for 28 days
Result:	Caused a dramatic suppression of tumor growth.

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.

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