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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Allitinib tosylate

Cat. No.: HY-13427

CAS No.: 1050500-29-2

Molecular Formula: $C_{31}H_{26}ClFN_4O_5S$

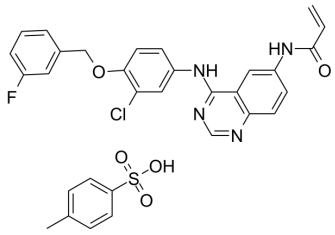
Molecular Weight: 621.08

Target: EGFR

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

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (80.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6101 mL	8.0505 mL	16.1010 mL
	5 mM	0.3220 mL	1.6101 mL	3.2202 mL
	10 mM	0.1610 mL	0.8050 mL	1.6101 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

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

In Vitro

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

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

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

AST1306 tosylate potently inhibits the EGFR T790M/L858R double mutant, exhibiting an IC_{50} value of 12±2 nmol/L[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 tosylate (AST-1306 (TsOH); 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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