SZABO SCANDIC

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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

Cat. No.: HY-15375

CAS No.: 897383-62-9

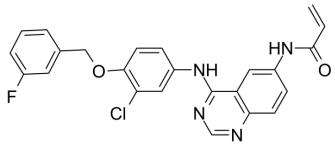
Molecular Formula: $C_{24}H_{18}ClFN_4O_2$

Molecular Weight: 448.88

Target: EGFR

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

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (44.56 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	2.2278 mL	11.1388 mL	22.2777 mL
	5 mM	0.4456 mL	2.2278 mL	4.4555 mL
	10 mM	0.2228 mL	1.1139 mL	2.2278 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 mg/mL (4.46 mM); Clear solution

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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