Tuxobertinib

Cat. No.: HY-136789

CAS No.: 2414572-47-5

Molecular Formula: $C_{29}H_{29}ClN_6O_4$

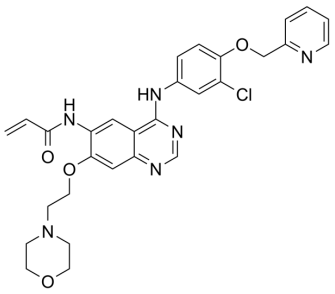
Molecular Weight: 561.03

Target: EGFR

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (74.27 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7824 mL	8.9122 mL	17.8244 mL
5 mM	0.3565 mL	1.7824 mL	3.5649 mL
10 mM	0.1782 mL	0.8912 mL	1.7824 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: 2.08 mg/mL (3.71 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tuxobertinib (BDTX-189) is a potent, orally active and selective inhibitor of allosteric EGFR and HER2 oncogenic mutations, including EGFR/HER2 exon 20 insertion mutants. Tuxobertinib shows K_Ds of 0.2, 0.76, 13 and 1.2 nM for EGFR, HER2, BLK and RIPK2, reapectively. Anticancer activity[1].

IC_{50} & Target

EGFR	HER2	RIPK2	BLK
0.2 nM (Kd)	0.76 nM (Kd)	1.2 nM (Kd)	13 nM (Kd)

In Vitro	Tuxobertinib is a masterKey inhibitor of the ERBB allosteric mutant oncogene family with antiproliferative activity (IC_{50}<100 nM for ERBB allosteric mutant oncogene family)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tuxobertinib (0-100 mg/kg; p.o.; daily for 15 dyas) shows dose-dependent tumor growth inhibition and regression in in athymic nude mice bearing HER2 S310F Ba/F3 allograft tumors[1]. Tuxobertinib (1-50 mg/kg.p.o.; daily for 15 days) shows dose-dependent tumor growth inhibition and regression in athymic nude mice bearing CUTO-14 PDX tumors that express the EGFR mutation EGFR ASV[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Elizabeth Buck, et al. BDTX-189, a Potent and Selective Inhibitor of Allosteric EGFR and HER2 Oncogenic Mutations.

Caution: Product has not been fully validated for medical applications. For research use only.

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