E7090 succinate

Cat. No.: HY-101466A

CAS No.: 1879965-80-6

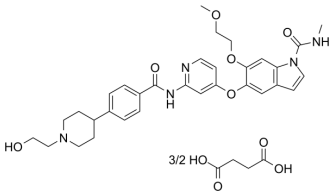
Molecular Formula: $C_{32}H_{37}N_5O_6 \cdot 3/_2C_4H_6O_4$

Molecular Weight: 764.82

Target: FGFR

Pathway: 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 : 100 mg/mL (130.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3075 mL	6.5375 mL	13.0750 mL
	5 mM	0.2615 mL	1.3075 mL	2.6150 mL
	10 mM	0.1307 mL	0.6537 mL	1.3075 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 (3.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

E7090 succinate is an orally available, selective and potent inhibitor of FGFR1, FGFR2 and FGFR3 tyrosine kinase activities, with IC_{50} values of 0.71 nM, 0.50 nM, 1.2 nM, and 120 nM for FGFR1/2/3/4, respectively[1].

IC_{50} & Target				
	FGFR1	FGFR2	FGFR3	FGFR4
	0.71 nM (IC_{50})	0.50 nM (IC_{50})	1.2 nM (IC_{50})	120 nM (IC_{50})

In Vitro

E7090 also inhibited the growth of SNU-16, human gastric cancer cell line harboring FGFR2 amplification with an IC_{50} value of 3 nM[1].

E7090 succinate inhibited SNU-16 cell proliferation with an IC_{50} value of 5.7 nM[2].

E7090 inhibits proliferation of human cancer cell lines harboring various types of FGFRs gene abnormalities such as amplification, mutation, or translocation in vitro, which are confirmed by the inhibition of FGFR signaling[1].
E7090 succinate has interaction kinetics with FGFR1 kinases intermediate between those of the two representative inhibitors, and the residence time of E7090 succinate is 19 minutes[1].

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

Western Blot Analysis[1]

Cell Line:	SNU-16 cells.
Concentration:	0.4-100 nM.
Incubation Time:	4 h.
Result:	Inhibited FGFR phosphorylation with an IC_{50} value of 1.2 nmol/L.Inhibited the phosphorylation of FRS2a, ERK1/2, and AKT, molecules downstream of FGFRs, in a dose-dependent manner.

In Vivo

Pharmacodynamics analysis reveals that E7090 inhibits phosphorylation of FGFRs in SNU-16 xenograft tumors in a dose-dependent manner. Overall, the in vitro and in vivo studies confirm that E7090 is a potent and selective FGFRs inhibitor, showing promising antitumor activities with wider therapeutic windows in preclinical cancer models harboring FGFRs gene abnormalities[1]. E7090 (6.25-50 mg/kg, orally, once daily) treatment prolongs survival in a 4T1 mouse lung metastasis model[2].

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

Animal Model:	Mouse xenograft model of SNU-16 human gastric cancer[2].
Dosage:	6.25 to 50 mg/kg.
Administration:	Orally, once daily for 14 days.
Result:	Inhibited tumor growth in a dose-dependent manner.

REFERENCES

[1]. Saori Watanabe Miyano, et al. E7090: A potent and selective FGFR inhibitor with activity in multiple FGFR-driven cancer models with distinct mechanisms of activation. AACR 106th Annual Meeting 2015; April 18-22, 2015; Philadelphia, PA.

[2]. Saori Watanabe Miyano, et al. E7090, a Novel Selective Inhibitor of Fibroblast Growth Factor Receptors, Displays Potent Antitumor Activity and Prolongs Survival in Preclinical Models. Mol Cancer Ther. 2016 Nov;15(11):2630-2639.

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