Zanzalintinib

Cat. No.: HY-138696

CAS No.: 2367004-54-2

Molecular Formula: $C_{29}H_{25}FN_4O_5$

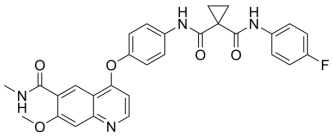
Molecular Weight: 528.53

Target: TAM Receptor; c-Met/HGFR; VEGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (31.54 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8920 mL	9.4602 mL	18.9204 mL
	5 mM	0.3784 mL	1.8920 mL	3.7841 mL
	10 mM	0.1892 mL	0.9460 mL	1.8920 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 (4.73 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 (3.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zanzalintinib (XL092) is an orally active, ATP-competitive inhibitor of multiple receptor tyrosine kinases (RTKs) including MET, VEGFR2, AXL and MER, with IC_{50}s in cell-based assays of 15 nM, 1.6 nM, 3.4 nM, 7.2 nM respectively. Zanzalintinib exhibits anti-tumor activity. Zanzalintinib has the potential for kinase-dependent diseases and conditions research[1][2].

IC_{50} & Target

VEGFR2	AXL	MER
1.6 nM (IC_{50})	3.4 nM (IC_{50})	7.2 nM (IC_{50})

In Vivo

Zanzalintinib (10 mg/kg/day; oral; for 14 days) causes substantial tumor growth inhibition in xenograft studies. Zanzalintinib shows 82% and 96% inhibition on p-MET and p-VEGFR2, respectively[1].

Zanzalintinib (compound 8; 3 mg/kg; iv) has a $T_{1/2}$ of 5.4 hours, a CL of 43 mL/hr?kg. Zanzalintinib (3 mg/kg; po) has a $T_{1/2}$ of 7.1 hours and a C_{max} of 11.4 μM for rats[2].

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

Animal Model:	Rat[1]
Dosage:	3 mg/kg (Pharmacokinetic Analysis)
Administration:	IV
Result:	Had a $T_{1/2}$ of 5.4 hours, a CL of 43 mL/hr•kg.

CUSTOMER VALIDATION

- Rapid Commun Mass Spectrom. 2021 Nov 30;206:114390.

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REFERENCES

[1]. Lynne Canne Bannen, et al. Compounds for the treatment of kinase-dependent disorders. WO2019148044A1.

[2]. J. Hsu, et al. XL092, a multi-targeted inhibitor of MET, VEGFR2, AXL and MER with an optimized pharmacokinetic profile. European Journal of Cancer, Volume 138, Supplement 2, October 2020, Page S16.

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