Lenvatinib mesylate

Cat. No.: HY-10981A

CAS No.: 857890-39-2

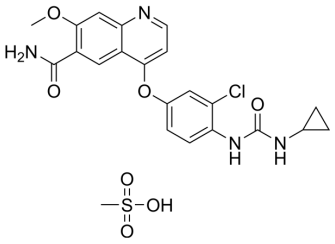
Molecular Formula: $C_{22}H_{23}ClN_4O_7S$

Molecular Weight: 522.96

Target: VEGFR; FGFR; PDGFR; RET; c-Kit

Pathway: 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 : 31.25 mg/mL (59.76 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9122 mL	9.5610 mL	19.1219 mL
	5 mM	0.3824 mL	1.9122 mL	3.8244 mL
	10 mM	0.1912 mL	0.9561 mL	1.9122 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 8.33 mg/mL (15.93 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lenvatinib mesylate (E7080 mesylate), an oral, multi-targeted tyrosine kinase inhibitor that inhibits VEGFR1-3, FGFR1-4, PDGFR, KIT, and RET, shows potent antitumor activities[1][2].

IC_{50} & Target				
	VEGFR1 22 nM (IC_{50})	VEGFR2 4 nM (IC_{50})	VEGFR3 5.2 nM (IC_{50})	FGFR1 46 nM (IC_{50})

	FGFR2	FGFR3	FGFR4	PDGFRα 51 nM (IC_{50})
	PDGFRβ 39 nM (IC_{50})	c-Kit 100 nM (IC_{50})	RET	
In Vitro	Lenvatinib mesylate (E7080 mesylate) has IC_{50}s of 4, 5.2, 22 nM for VEGFR2(KDR), VEGFR3(Flt-4), and VEGFR1/Flt-1, respectively. Lenvatinib inhibits PDGFRα, PDGFRβ, FGFR1, and KIT with IC_{50}s of 51, 39, 46, 100 nM, respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Lenvatinib mesylate (E7080 mesylate) (100 mg/kg, p.o.) is administeredand bevacizumab significantly inhibits local tumor growth at the m.f.p., and at the end of treatment, Lenvatinib mesylate also significantly inhibits metastasis to both regional lymph nodes and distant lung[3]. Lenvatinib mesylate (E7080 mesylate) inhibits the growth of H146 tumor at 30 and 100 mg/kg (BID, QDx21) in a dose-dependent manner and causes tumor regression at 100 mg/kg in H146 xenograft model. IHC analysis with anti-CD31 antibody shows that lenvatinib at 100 mg/kg decreases microvessel density more than anti-VEGF antibody and imatinib treatment[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

CUSTOMER VALIDATION

- Drug Resist Updat. 2023 Jul;69:100976.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Mol Ther. 2023 May 4;S1525-0016(23)00253-8.
- EMBO J. 2021 Apr 28;e106771.
- MedComm. 26 August 2022.

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REFERENCES

[1]. Kudo M, et al. Lenvatinib versus sorafenib in first-line treatment of patients with unresectable hepatocellularcarcinoma: a randomised phase 3 non-inferiority trial. Lancet. 2018 Mar 24;391(10126):1163-1173.

[2]. Suyama K, et al. Lenvatinib: A Promising Molecular Targeted Agent for Multiple Cancers. Cancer Control. 2018 Jan-Dec;25(1):1073274818789361.

[3]. 3.Matsui J, et al. Multi-kinase inhibitor E7080 suppresses lymph node and lung metastases of human mammary breast tumor MDA-MB-231 via inhibition of vascular endothelial growth factor-receptor (VEGF-R) 2 and VEGF-R3 kinase. Clin Cancer Res. 2008, 14(17),545.

[4]. Matsui J, et al. E7080, a novel inhibitor that targets multiple kinases, has potent antitumor activities against stem cell factor producing human small cell lung cancer H146, based on angiogenesis inhibition. Int J Cancer. 2008, 122(3), 664-671.

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