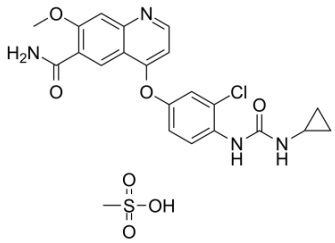
Lenvatinib mesylate

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 8.33 mg/mL (**15.93 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / 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.

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].

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].

CUSTOMER VALIDATION

- **Sci Transl Med**. 2018 Jul 18;10(450). pii: eaaq1093.
- **Acta Pharmacol Sin**. 2020 May 12.
- **Exp Cell Res**. 2020 May 3:112054.
- **Med Oncol**. 2020 Mar 12;37(4):24.
- **J Mol Neurosci**. 2020 May 8.

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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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