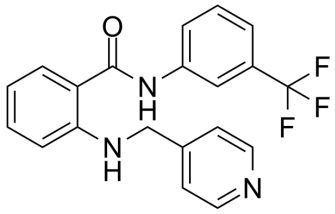
AAL993

Cat. No.:	HY-19986
CAS No.:	269390-77-4
Molecular Formula:	$C_{20}H_{16}F_3N_3O$
Molecular Weight:	371.36
Target:	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 : 125 mg/mL (336.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6928 mL	13.4640 mL	26.9281 mL
	5 mM	0.5386 mL	2.6928 mL	5.3856 mL
	10 mM	0.2693 mL	1.3464 mL	2.6928 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 (5.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

IC_{50}s of 130 nM, 23 nM, and 18 nM for VEGFR1, VEGFR2, and VEGFR3, respectively. AAL993 shows less potently inhibits other tyrosine kinases. AAL993 possesses potent antiangiogenic and antitumor properties[1].

IC_{50} & Target

VEGFR1	VEGFR2	VEGFR3
130 nM (IC_{50})	23 nM (IC_{50})	18 nM (IC_{50})

In Vitro

AAL993 suppresses HIF-1α expression through ERK inhibition without affecting Akt phosphorylation[2].

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

In Vivo

AAL993 (compound 5) potently inhibits VEGF-induced angiogenesis in an implant model, with an ED_{50} value of 7 mg/kg[1].
In B16 melanoma xenograft model, AAL993 (24-100 mg/kg; p.o.; daily; for 14days) inhibits both the growth of the primary

	tumor as well as the formation of spontaneous peripheral metastases[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Paul W Manley , et al. Anthranilic acid amides: a novel class of antiangiogenic VEGF receptor kinase inhibitors. J Med Chem. 2002 Dec 19;45(26):5687-93.

[2]. Hyun Seung Ban, et al. Suppression of hypoxia-induced HIF-1alpha accumulation by VEGFR inhibitors: Different profiles of AAL993 versus SU5416 and KRN633. Cancer Lett. 2010 Oct 1;296(1):17-26.

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