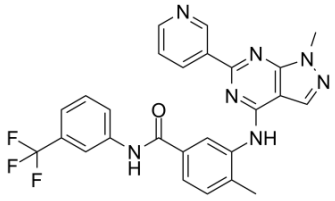
NVP-BHG712

Cat. No.:	HY-13258A
CAS No.:	940310-85-0
Molecular Formula:	$C_{26}H_{20}F_3N_7O$
Molecular Weight:	503.48
Target:	Ephrin Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (62.07 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9862 mL	9.9309 mL	19.8618 mL
5 mM	0.3972 mL	1.9862 mL	3.9724 mL
10 mM	0.1986 mL	0.9931 mL	1.9862 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 (4.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NVP-BHG712 is an oral active EphB4 kinase autophosphorylation inhibitor, with IC_{50} values of 3.3 nM and 3.0 nM for EphA2 and EphB4, respectively[1][2].

IC_{50} & Target

IC50: 3.3 nM (EphA2), 3 nM (EphB4)[2].

In Vitro

NVP-BHG712 inhibits VEGF driven vessel formation, while it has only little effects on VEGF receptor (VEGFR) activity. The data suggests a close cross talk between the VEGFR and EphR signaling during vessel formation[2].

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

In Vivo

NVP-BHG712 (3, 10 and 30 mg/kg, p.o., daily) inhibits VEGF driven tissue growth and angiogenesis[2].

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Animal Model:	Mice arrying chambers[1].
Dosage:	3, 10 and 30 mg/kg.
Administration:	P.O. daily for 4 days.
Result:	Significantly inhibited VEGF stimulated tissue formation and vascularization at doses of daily 3 mg/kg. Administration of 10 mg/kg/kg p.o. was sufficient to reverse VEGF enhanced tissue formation and vessel growth.

CUSTOMER VALIDATION

- Cell Death Dis. 2020 Aug 14;11(8):632.
- Pharmacol Res. 2020 Aug;158:104868.
- Phytomedicine. 2021, 153503.

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REFERENCES

[1]. Tröster A, et al. NVP-BHG712: Effects of Regioisomers on the Affinity and Selectivity toward the EPHrin Family. ChemMedChem. 2018 Aug 20;13(16):1629-1633.

[2]. Martiny-Baron G, et al. The small molecule specific EphB4 kinase inhibitor NVP-BHG712 inhibits VEGF driven angiogenesis. Angiogenesis. 2010 Sep;13(3):259-67.

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