BMS-605541

Cat. No.: HY-120640

CAS No.: 639858-32-5

Molecular Formula: $C_{19}H_{17}F_2N_5OS$

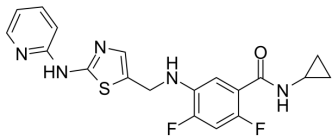
Molecular Weight: 401.43

Target: VEGFR; PDGFR

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 : 33.33 mg/mL (83.03 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4911 mL	12.4555 mL	24.9109 mL
	5 mM	0.4982 mL	2.4911 mL	4.9822 mL
	10 mM	0.2491 mL	1.2455 mL	2.4911 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 (5.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-605541 is a selective and orally active inhibitor of VEGFR-2 kinase with an IC_{50} value of 23 nM and K_i value of 49 nM. BMS-605541 inhibits the activity of Flk-1, VEGFR-1 and PDGFR-β with IC_{50} values of 40 nM, 400 nM and 200 nM, respectively. BMS-605541 can be used for cancer research[1].

IC_{50} & Target

VEGFR-2 23 nM (IC_{50})	VEGFR-2 49 nM (Ki)	Flk-1 40 nM (IC_{50})	VEGFR-1 400 nM (IC_{50})
PDGFR-β 200 nM (IC_{50})			

In Vitro

BMS-605541 (Compound 14) inhibits the growth of HUVECs through VEGF with an IC_{50} value of 25 nM[1].

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

In Vivo

BMS-605541 (12.5-180 mg/kg; once a day or twice a day for 14 days) has anti-tumor activity in thymic mice subcutaneously implanted with L2987 and HCT-116 xenografts[1].

Pharmacokinetic (PK) parameters of BMS-605541[1]

Species	Administration manner	Dose (mg/kg)	C_{max} (μM)	T_{max} (h)	AUC (μM•h)	$T_{1/2}$ (h)	MRT (h)	Cl (mL/min•kg)	V_{ss} (L/kg)	F_{po} (%)
Mouse	Oral gavage	90	148	0.5	649 (0-24 h)	1.7	3.4			100
Mouse	Intravenous injection	10						11.8	1.7	100
Rat	Oral gavage	50	44.0	2.0	202	2.2	3.5			100
Rat	Intravenous injection	10						13.6	1.1	100
Cyno	Oral gavage	5	8.0	0.75	28.5	7.1	7.9			52
Cyno	Intravenous injection	1						3.9	1.6	52

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

REFERENCES

[1]. Borzilleri RM, et al. Discovery and evaluation of N-cyclopropyl- 2,4-difluoro-5-((2-(pyridin-2-ylamino)thiazol-5- ylmethyl)amino)benzamide (BMS-605541), a selective and orally efficacious inhibitor of vascular endothelial growth factor receptor-2. J Med Chem. 2006 Jun 29;49(13):3766-9.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA