Irpagratinib

Cat. No.: HY-156618

CAS No.: 2230974-62-4

Molecular Formula: $C_{28}H_{32}F_2N_6O_5$

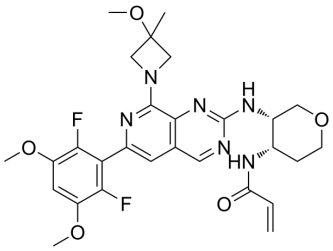
Molecular Weight: 570.59

Target: FGFR

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 : 100 mg/mL (175.26 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7526 mL	8.7629 mL	17.5257 mL
	5 mM	0.3505 mL	1.7526 mL	3.5051 mL
	10 mM	0.1753 mL	0.8763 mL	1.7526 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: 2.5 mg/mL (4.38 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.38 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Irpagratinib (ABSK011) is an orally active inhibitor of fibroblast growth factor receptor (FGFR) tyrosine kinase, targeting to FGFR4 (IC50<10 nM). Irpagratinib inhibits the auto-phosphorylation of FGFR4 and blocks signal transduction from FGFR4 to downstream pathway activation. Irpagratinib exhibits high exposure in PK study in mouse, rat and dog, and also shows antineoplastic/anti-tumor activity in subcutaneous xenograft tumor models[1].

IC_{50} & Target

FGFR4[1]

REFERENCES

[1]. Chen Z. Abstract LB-272: Discovery and characterization of a novel FGFR4 Inhibitor for the treatment of hepatocellular carcinoma[J]. Cancer Research, 2018, 78(13_Supplement): LB-272-LB-272.

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