Ruserontinib

Cat. No.: HY-120590

CAS No.: 1350544-93-2

Molecular Formula: $C_{24}H_{29}N_9$

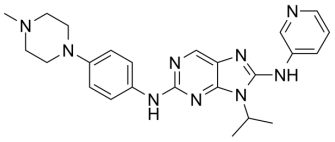
Molecular Weight: 443.55

Target: EGFR; FLT3; Bcr-Abl

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (563.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2545 mL	11.2727 mL	22.5454 mL
	5 mM	0.4509 mL	2.2545 mL	4.5091 mL
	10 mM	0.2255 mL	1.1273 mL	2.2545 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Ruserontinib (SKLB1028) is an orally active multikinase inhibitor of EGFR, FLT3 and Abl, with an IC_{50} value of 55 nM for human FLT3, and has antitumor activity[1].

In Vitro

Ruserontinib (SKLB1028) can significantly inhibit the growth of mf4-11 cells expressing FLT3-ITD with IC_{50} value of 0.002 μM, inhibit the proliferation of RS4-11 cells expressing wt-FLT3 with IC_{50} value of 0.790 μM, and inhibit Ba The IC_{50} value for the growth of /F3 cells is 0.01 μM, and the IC_{50} value for inhibiting the growth of K562 cells expressing the Bcr-Abl mutant is 0.190 μM[1].

Ruserontinib (SKLB1028) (0-100 nM, 20 h) causes a dose-dependent decrease in the level of pro-caspase-3 in MV4-11 cells, while a dose-dependent increase in the level of the cleaved caspase-3 fragment, and can be dose-dependent Inhibits phosphorylation of STAT5 and Erk1/2 in a dependent manner[1].

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

In Vivo

Ruserontinib (SKLB1028) (5-70 mg/kg, orally once daily, 18 days) have anti-tumor effect in MV4-11 and K562 xenograft NOD-SCID models[1].

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

Animal Model:	MV4-11 and K562 xenograft NOD-SCID models[1]
Dosage:	5, 10, 20 mg/kg,70 mg/kg
Administration:	orally once daily, 18 days
Result:	Prevented tumor growth at a dose of 5 mg/kg, and caused rapid and complete tumor regression in both groups of mice at a dose of 10 or 20 mg/kg. Significantly inhibited the proliferation and induced apoptosis of MV4-11 and K562 cells at a dose of 70 mg/kg.

REFERENCES

[1]. Z-X Cao, et al. SKLB1028, a novel oral multikinase inhibitor of EGFR, FLT3 and Abl, displays exceptional activity in models of FLT3-driven AML and considerable potency in models of CML harboring Abl mutants. Leukemia. 2012 Aug;26(8):1892-5.

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