Famitinib

Cat. No.: HY-108713

CAS No.: 1044040-56-3

Molecular Formula: $C_{23}H_{27}FN_4O_2$

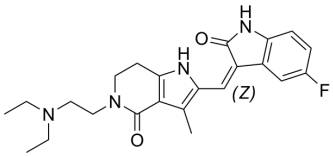
Molecular Weight: 410.48

Target: VEGFR; PDGFR; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

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 : 4.17 mg/mL (10.16 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4362 mL	12.1809 mL	24.3617 mL
	5 mM	0.4872 mL	2.4362 mL	4.8723 mL
	10 mM	0.2436 mL	1.2181 mL	2.4362 mL

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

BIOLOGICAL ACTIVITY

Description

Famitinib (SHR1020), an orally active multi-targeted kinase inhibitor, inhibits the activity of c-kit, VEGFR-2 and PDGFRβ with IC_{50} values of 2.3 nM, 4.7 nM and 6.6 nM, respectively[1]. Famitinib exerts powerful antitumor activity in human gastric cancer cells and xenografts. Famitinib triggers apoptosis[2].

IC_{50} & Target

VEGFR-2	PDGFRβ	c-kit
4.2 nM (IC_{50})	6.6 nM (IC_{50})	2.3 nM (IC_{50})

In Vitro

Famitinib inhibits the VEGF-induced proliferation, migration and tubule formation of human umbilical vein endothelial cells, and micro-vessel spouting from matrigel-embedded rat aortic rings[1].

Famitinib (1.8 and 3.6 μM; 48 h) inhibits cell proliferation by inducing cell cycle arrest at the G2/M phase and causes cell apoptosis in a dose-dependent manner in gastric cancer cell lines[2].

Famitinib (0.6-20.0 μM; 24-72 h) inhibits gastric cancer cell growth in a dose-dependent manner[2].

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

Cell Proliferation Assay[2]

Cell Line:	Human gastric cancer cells BGC-823 and MGC-803
Concentration:	0, 0.6, 1.25, 2.5, 5.0, 10.0 and 20.0 μM
Incubation Time:	24, 48 and 72 hours
Result:	Inhibited cell growth in a dose-dependent manner with IC_{50} values of 3.6 and 3.1 μM for BGC-823 and MGC-803 cells, respectively.

In Vivo

Famitinib exhibits broad and potent anti-tumor activity, leading to regression or growth arrest of various established xenografts derived from human tumor cell lines [1].
Famitinib (50 and 100 mg/kg; p.o. once daily for 3 weeks) reduces tumor growth in vivo via inhibition of angiogenesis[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	18-20 g female BALB/c athymic nu/nu mice (age, 6–8 weeks) bearing BGC-823 xenografts[2]
Dosage:	50 and 100 mg/kg
Administration:	Oral gavage; 50 and 100 mg/kg; once daily for 3 weeks
Result:	Inhibited BGC-823 xenograft growth (tumor volume, 395.2 vs. 2,690.5 mm^3), and animal weights were similar between groups (21.6 vs. 18.7 g).

REFERENCES

[1]. Liguang Lou, et al. Abstract 3604: Preclinical antitumor study of famitinib, an orally available multi-targeted kinase inhibitor of VEGFR/PDGFR/c-Kit in phase I clinical trials.

[2]. Sai Ge, et al. Famitinib exerted powerful antitumor activity in human gastric cancer cells and xenografts. Oncol Lett. 2016 Sep;12(3):1763-1768.

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