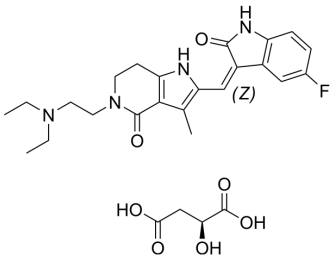
Famitinib malate

Cat. No.:	HY-108713A
CAS No.:	1256377-67-9
Molecular Formula:	$C_{27}H_{33}FN_4O_7$
Molecular Weight:	544.57
Target:	PDGFR; VEGFR; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Famitinib (SHR1020) malate, 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. Famitinib malate induces cell apoptosis. Famitinib malate exerts powerful antitumor activity in human gastric cancer cells and xenografts, it can be used for the research of cancer[1][2].

IC_{50} & Target

VEGFR2	PDGFRβ	c-kit
4.2 nM (IC_{50})	6.6 nM (IC_{50})	2.3 nM (IC_{50})

In Vitro

Famitinib malate 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 malate (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 malate (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 malate 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 malate (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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