

Product Data Sheet

Product Name: Fruquintinib(HMPL-013)

Cat. No.: GC10355

Chemical Properties

Cas. No. 1194506-26-7

Chemical Name (Z)-6-((6,7-dimethoxyquinazolin-4-yl)oxy)-N,2-dimethylbenzofuran-3-carbimidic acid

SMILES CC(O1)=C(/C(O)=N/C)C2=C1C=C(OC3=NC=NC4=CC(OC)=C(OC)C=C43)C=C2

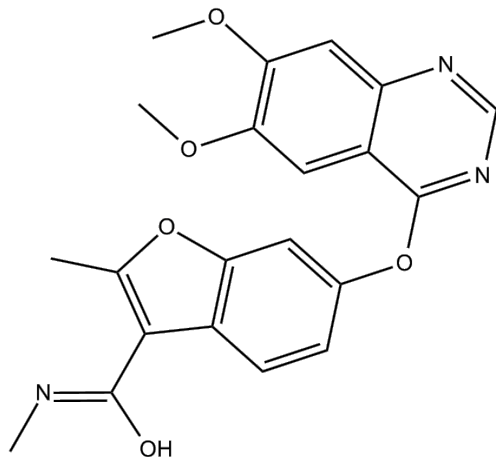
Formula $C_{21}H_{19}N_3O_5$ M.Wt 393.39

Solubility $\geq 6.35\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines HCT-116 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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HCT-116 cells were grown in DMEM media supplemented with 10% fetal bovine serum in 5% CO₂ at 37°C. The exponentially growing HCT-116 cells were seeded into 96-well microplates at a density of 5×10^3 cells/well for 24h. Afterwards, equal volumes of medium containing various concentrations of Fruquintinib (0, 5, 10, 50, 100, 150, and 200µM) were added to each well. At the end of the incubation period of 72h at 37°C, cell viability was analyzed.

Preparation Method

Reaction
Conditions

0, 5, 10, 50, 100, 150, and 200µM; 72h

Applications

Fruquintinib treatment significantly reduced the cell viability of HCT-116 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Female C57BL/6J mice

Preparation Method

Female C57BL/6J mice (6 weeks old) were maintained under 12-h light and 12-h dark cycle at a temperature of $24 \pm 1^\circ\text{C}$ and humidity of $50\% \pm 10\%$, with free water and diet. 1×10^6 MC38 cells were resuspended in 200ul of serum-free RPMI-1640 medium and injected subcutaneously into the right flank of C57BL/6J mice. Six days after MC38 cell inoculation, the tumor-bearing mice were randomly assigned to four or six groups (n=6 per group) according to mean tumor volume. Tumor volumes were monitored every three days, and the body weight of mice was monitored every three days. Fruquintinib was used for oral gavage (10mg/kg/day; total 21 days). The treatment was began at day 6 after tumor cell inoculation.

Dosage form 10mg/kg/day for 21 days; p.o.

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Applications Fruquintinib treatment inhibited tumor growth without affecting body weight in mice bearing MC38 tumors.

References:

- [1] Song Q, Wu H, Jin Y, et al. Fruquintinib inhibits the migration and invasion of colorectal cancer cells by modulating epithelial-mesenchymal transition via TGF- β /Smad signaling pathway[J]. *Frontiers in Oncology*, 2025, 15: 1503133.
- [2] Li Q, Cheng X, Zhou C, et al. Fruquintinib enhances the antitumor immune responses of anti-programmed death receptor-1 in colorectal cancer[J]. *Frontiers in oncology*, 2022, 12: 841977.

Background

Fruquintinib(HMPL-013) is a highly potent, oral active and selective VEGFR 1, 2, 3 inhibitor, with IC₅₀ values of 33, 0.35, and 35nM, respectively [1]. Fruquintinib inhibits VEGFR-2 phosphorylation and tumor angiogenesis, normalizes tumor vasculature, and promotes the infiltration of effector immune T cells in the tumor microenvironment [2]. Fruquintinib has been widely used to inhibit endothelial cell migration and the metastasis of colorectal cancer[3].

In vitro, Fruquintinib treatment for 72 hours significantly inhibited the proliferation of LOVO cells and HCT116 cells, with IC₅₀ values of 173.1 μ M and 111.1 μ M, respectively [4]. Under the condition of ionizing radiation, treatment with 7.040 μ M Fruquintinib for 24 hours significantly inhibited the viability of HCT-15 cells, induced cell cycle arrest, and significantly increased the accumulation of the DNA double-strand break marker γ H2A.X[5].

In vivo, Fruquintinib treatment via oral administration at a dose of 20mg/kg daily for 12 months improved cognitive impairment and brain blood flow in a mouse model of cerebral amyloid angiopathy (CAA), and reduced A β deposition, inflammation and neuronal death[6]. A single intravitreal injection of 5 μ g dose of Fruquintinib can alleviate laser-induced choroidal neovascularization in mice within 5 days, and reduce the M1 polarization of macrophages[7]. Oral administration of 10mg/kg of Fruquintinib daily for 3 weeks significantly inhibited the growth of MC38 allograft tumors in mice and prolonged the survival time[8].

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- [1] Sun Q, Zhou J, Zhang Z, et al. Discovery of fruquintinib, a potent and highly selective small molecule inhibitor of VEGFR 1, 2, 3 tyrosine kinases for cancer therapy[J]. Cancer Biology & Therapy, 2014, 15(12): 1635-1645.
- [2] Zhao S, Wang W, Li J, et al. Clinical research progress of fruquintinib in the treatment of malignant tumors[J]. Investigational New Drugs, 2024, 42(6): 612-622.
- [3] Zhang Y, Zou J Y, Wang Z, et al. Fruquintinib: a novel antivasculature endothelial growth factor receptor tyrosine kinase inhibitor for the treatment of metastatic colorectal cancer[J]. Cancer Management and Research, 2019: 7787-7803.
- [4] Song Q, Wu H, Jin Y, et al. Fruquintinib inhibits the migration and invasion of colorectal cancer cells by modulating epithelial-mesenchymal transition via TGF- β /Smad signaling pathway[J]. Frontiers in Oncology, 2025, 15: 1503133.
- [5] Shen Q, Wang D, Zhao Y, et al. Fruquintinib potentiates the radiosensitivity of colorectal cancer by exacerbating DNA damage[J]. European Journal of Medical Research, 2026, 31(1): 491.
- [6] Zhou G, Xiang T, Xu Y, et al. Fruquintinib/HMPL-013 ameliorates cognitive impairments and pathology in a mouse model of cerebral amyloid angiopathy (CAA)[J]. European Journal of Pharmacology, 2023, 939: 175446.
- [7] Liu X, Guo A, Tu Y, et al. Fruquintinib inhibits VEGF/VEGFR2 axis of choroidal endothelial cells and M1-type macrophages to protect against mouse laser-induced choroidal neovascularization[J]. Cell Death & Disease, 2020, 11(11): 1016.
- [8] Li Q, Cheng X, Zhou C, et al. Fruquintinib enhances the antitumor immune responses of anti-programmed death receptor-1 in colorectal cancer[J]. Frontiers in oncology, 2022, 12: 841977.

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