

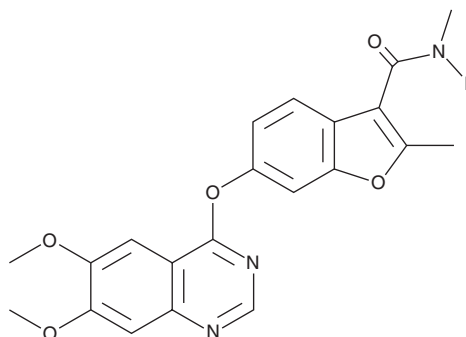
PRODUCT INFORMATION



Fruquintinib

Item No. 29425

CAS Registry No.: 1194506-26-7
Formal Name: 6-[(6,7-dimethoxy-4-quinazolinyloxy]-N,2-dimethyl-3-benzofurancarboxamide
Synonym: HMPL-013
MF: C₂₁H₁₉N₃O₅
FW: 393.4
Purity: ≥98%
UV/Vis.: λ_{max}: 237 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Fruquintinib is supplied as a solid. A stock solution may be made by dissolving the fruquintinib in the solvent of choice, which should be purged with an inert gas. Fruquintinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of fruquintinib in these solvents is approximately 2 and 5 mg/ml, respectively.

Fruquintinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fruquintinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Fruquintinib has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fruquintinib is a VEGFR inhibitor (IC₅₀s = 33, 35, and 0.5 nM for VEGFR1, -2, and -3, respectively).¹ It also inhibits RET, FGFR1, and c-Kit (IC₅₀s = 128, 181, and 458 nM, respectively) in a panel of 253 kinases. Fruquintinib inhibits VEGF-A-induced proliferation of human umbilical vein endothelial cells (HUVECs) and VEGF-C-induced proliferation of human lymphatic endothelial cells (HLECs; IC₅₀s = 1.7 and 4.2 nM, respectively). It decreases tube formation by HUVECs by 74 and 94% when used at concentrations of 30 and 300 nM, respectively. Fruquintinib (0.5-20 mg/kg per day for 21 days) reduces tumor growth in BGC-823, HT-29, Caki-1, and NCI H460 mouse xenograft models.

Reference

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. *Cancer Biol. Ther.* **15(12)**, 1635-1645 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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