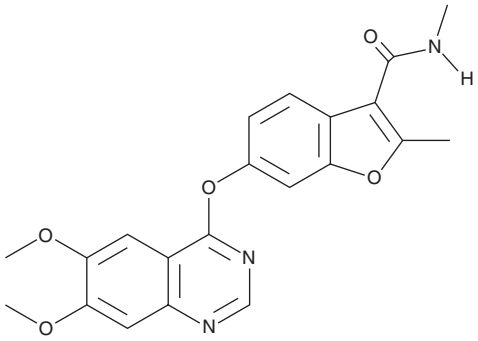
PRODUCT INFORMATION

Fruquintinib

Item No. 29425

CAS Registry No.:	1194506-26-7
Formal Name:	6-[(6,7-dimethoxy-4-quinazolinyl) oxy]-N,2-dimethyl-3-benzofurancarboxamide
Synonym:	HMPL-013
MF:	$C_{21}H_{19}N_3O_5$
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 (IC_{50}s = 33, 35, and 0.5 nM for VEGFR1, -2, and -3, respectively).[1] It also inhibits RET, FGFR1, and c-Kit (IC_{50}s = 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; IC_{50}s = 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM