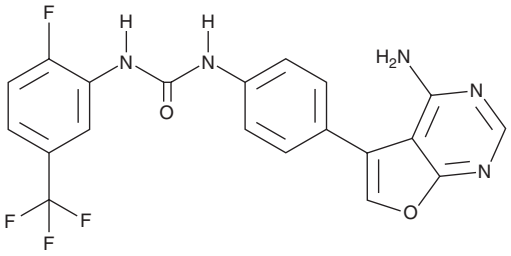
PRODUCT INFORMATION

Tie2/VEGFR2 Kinase-IN-2

Item No. 42544

CAS Registry No.: 501693-48-7
Formal Name: N-[4-(4-aminofuro[2,3-d]pyrimidin-5-yl) phenyl]-N′-[2-fluoro-5-(trifluoromethyl) phenyl]-urea
Synonyms: Tie2/VEGFR2 Kinase Inhibitor 2, Tie2/Vascular Endothelial Growth Factor Receptor 2 Kinase-IN-2, Tie2/Vascular Endothelial Growth Factor Receptor 2 Kinase Inhibitor 2, Tunica Interna Endothelial Cell Kinase 2/Vascular Endothelial Growth Factor Receptor 2 Kinase-IN-2, Tunica Interna Endothelial Cell Kinase 2/Vascular Endothelial Growth Factor Receptor 2 Kinase Inhibitor 2, Tunica Interna Endothelial Cell Kinase 2/VEGFR2-IN-2, Tunica Interna Endothelial Cell Kinase 2/VEGFR2 Inhibitor 2
MF: $C_{20}H_{13}F_4N_5O_2$
FW: 431.3
Purity: ≥98%
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

Tie2/VEGFR2 kinase-IN-2 is supplied as a solid. A stock solution may be made by dissolving the Tie2/VEGFR2 kinase-IN-2 in the solvent of choice, which should be purged with an inert gas. Tie2/VEGFR2 kinase-IN-2 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Description

Tie2/VEGFR2 kinase-IN-2 is an inhibitor of tunica interna endothelial cell kinase 2 (Tie2) and VEGFR2 (IC_{50}s = 13.49 and 7.76 nM, respectively).[1] It is selective for Tie2 and VEGFR2 over Akt3, cyclin-dependent kinase 2 (Cdk2), EGFR, and glycogen synthase kinase 3 (GSK3; IC_{50}s = >10,000, >10,000, 10,000, and 2,187 nM, respectively) but does inhibit VEGFR1 and VEGFR3 (IC_{50}s = 8.51 and 7.59 nM, respectively). Tie2/VEGFR2 kinase-IN-2 inhibits VEGF-induced increases in the proliferation of human umbilical vein endothelial cells (HUVECs) and GM-CSF-induced increases in Tie2 autophosphorylation in NIH3T3 fibroblasts expressing chimeric CSF-1 receptor tyrosine kinase (FMS) and Tie2 receptors (IC_{50}s = 2.6 and 18.3 nM, respectively). It reduces tumor volume and intratumoral vessel density in an HT-29 colon cancer mouse xenograft model when administered at doses of 3, 10, or 30 mg/kg per day. Tie2/VEGFR2 kinase-IN-2 (20 mg/kg) prevents decreases in body temperature in a mouse model of Tnf-α-induced lethal shock.[2]

References

1. Miyazaki, Y., Tang, J., Maeda, Y., *et al.* Orally active 4-amino-5-diarylurea-furo[2,3-d]pyrimidine derivatives as anti-angiogenic agent inhibiting VEGFR2 and Tie-2. *Bioorg. Med. Chem. Lett.* **17(6)**, 1773-1778 (2007).
2. Harris, P.A., Bandyopadhyay, D., Berger, S.B., *et al.* Discovery of small molecule RIP1 kinase inhibitors for the treatment of pathologies associated with necroptosis. *ACS Med. Chem. Lett.* **4(12)**, 1238-1243 (2013).

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