

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: $C_{20}H_{13}F_4N_5O_2$

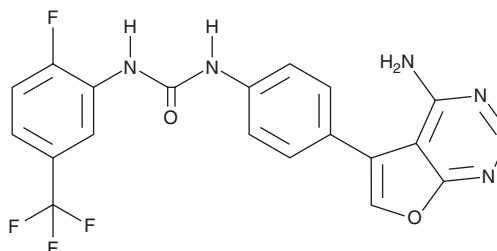
FW: 431.3

Purity: $\geq 98\%$

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).

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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