

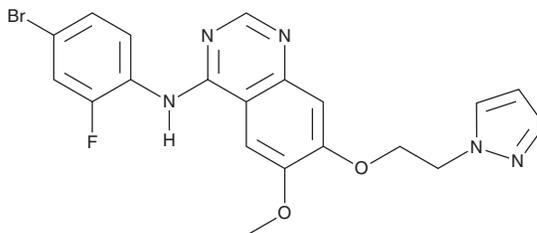
PRODUCT INFORMATION



ZD 4190

Item No. 42248

CAS Registry No.: 413599-62-9
Formal Name: N-(4-bromo-2-fluorophenyl)-6-methoxy-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]-4-quinazolinamine
MF: C₁₉H₁₆BrFN₆O₂
FW: 459.3
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

ZD 4190 is supplied as a solid. A stock solution may be made by dissolving the ZD 4190 in the solvent of choice, which should be purged with an inert gas. ZD 4190 is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

ZD 4190 is an inhibitor of VEGFR1, VEGFR2, and EGFR (IC₅₀s = 0.7, 0.03, and 0.4 μM, respectively).^{1,2} It is selective for these kinases over PDGFRβ, FGFR1, and HER2 (IC₅₀s = 3.4, >100, and >100 μM, respectively) and MEK, cyclin-dependent kinase 2 (Cdk2), insulin-like growth factor 1 receptor (IGF-1R), Akt, and tunica interna endothelial cell kinase 2 (Tie2; IC₅₀s = >10, >10, >20, >20, and >100 μM, respectively). ZD 4190 selectively inhibits VEGF- or EGF-induced proliferation of HUVECs over FGF-induced proliferation of HUVECs (IC₅₀s = 0.05, 0.05, and 1.5 μM, respectively). *In vivo*, ZD 4190 (100 mg/kg) reduces tumor volume in a Calu-6 non-small cell lung cancer (NSCLC) mouse xenograft model.¹ It inhibits training-induced increases in collateral blood flow and white gastrocnemius muscle capillarity in exercise-trained rats.³

References

1. Hennequin, L.F., Thomas, A.P., Johnstone, C., *et al.* Design and structure-activity relationship of a new class of potent VEGF receptor tyrosine kinase inhibitors. *J. Med. Chem.* **42(26)**, 5369-5389 (1999).
2. Hennequin, L.F., Stokes, E.S.E., Thomas, A.P., *et al.* Novel 4-anilinoquinazolines with C-7 basic side chains: Design and structure activity relationship of a series of potent, orally active, VEGF receptor tyrosine kinase inhibitors. *J. Med. Chem.* **45(6)**, 1300-1312 (2002).
3. Lloyd, P.G., Prior, B.M., Li, H., *et al.* VEGF receptor antagonism blocks arteriogenesis, but only partially inhibits angiogenesis, in skeletal muscle of exercise-trained rats. *Am. J. Physiol. Heart Circ. Physiol.* **288(2)**, H759-H768 (2005).

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.

WARRANTY AND LIMITATION OF REMEDY

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