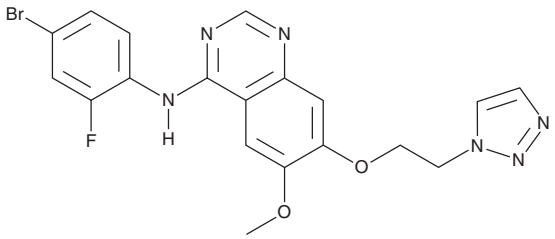
PRODUCT INFORMATION

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:	$C_{19}H_{16}BrFN_6O_2$
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 (IC_{50}s = 0.7, 0.03, and 0.4 µM, respectively).[1,2] It is selective for these kinases over PDGFRβ, FGFR1, and HER2 (IC_{50}s = 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; IC_{50}s = >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 (IC_{50}s = 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).

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