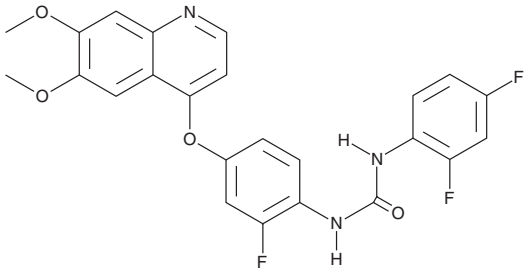
PRODUCT INFORMATION

Ki8751

Item No. 18004

CAS Registry No.:	228559-41-9
Formal Name:	N-(2,4-difluorophenyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2-fluorophenyl]-urea
MF:	$C_{24}H_{18}F_3N_3O_4$
FW:	469.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 243, 282, 308, 322 nm
Supplied as:	A crystalline 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

Ki8751 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ki8751 in the solvent of choice, which should be purged with an inert gas. Ki8751 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of Ki8751 in these solvents is approximately 20 and 25 mg/ml, respectively.

Ki8751 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Ki8751 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ki8751 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

Vascular endothelial growth factor receptor 2 (VEGFR2, also known as KDR and FLK1) is a receptor tyrosine kinase that regulates angiogenesis, vascular development, and embryonic hematopoiesis in response to VEGF isoforms A, C, and D. Ki8751 is a potent, orally available inhibitor of the kinase activity of VEGFR2 (IC_{50} = 0.9 nM).[1] It less potently inhibits c-Kit, PDGRFα, and FGFR2 (IC_{50}s = 40-170 nM) and has no significant effect against several other receptor tyrosine kinases. Ki8751 suppresses the growth of VEGF-stimulated human umbilical vein endothelial cells at nanomolar concentrations.[1] It shows significant anti-tumor activity against assorted human tumor xenografts in nude mice.[1] Ki8751 also induces cellular senescence in colorectal cancer cells.[2]

References

1. Kubo, K., Shimizu, T., Ohyama, S., *et al.* Novel potent orally active selective VEGFR-2 tyrosine kinase inhibitors: Synthesis, structure-activity relationships, and antitumor activities of N-phenyl-N'-{4-(4-quinolyloxy)phenyl}ureas. *J. Med. Chem.* **48(5)**, 1359-1366 (2005).
2. Hasan, M.R., Ho, S.H.Y., Owen, D.A., *et al.* Inhibition of VEGF induces cellular senescence in colorectal cancer cells. *Int. J. Cancer* **129(9)**, 2115-2123 (2011).

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