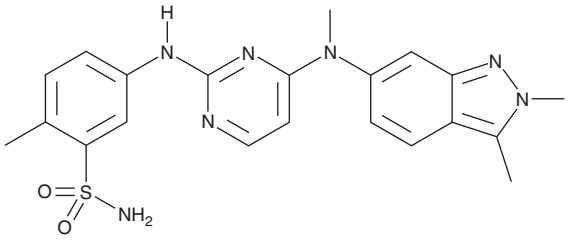
PRODUCT INFORMATION

Pazopanib

Item No. 12097

CAS Registry No.:	444731-52-6
Formal Name:	5-[[4-[(2,3-dimethyl-2H-indazol-6-yl) methylamino]-2-pyrimidinyl]amino]-2-methyl-benzenesulfonamide
Synonyms:	GSK-VEG10003, GW786034B
MF:	$C_{21}H_{23}N_7O_2S$
FW:	437.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 214, 271, 308 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

Pazopanib is supplied as a crystalline solid. A stock solution may be made by dissolving the pazopanib in the solvent of choice, which should be purged with an inert gas. Pazopanib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of pazopanib in these solvents is approximately 16.6 mg/ml.

Pazopanib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pazopanib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Pazopanib has a solubility of approximately 0.17 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Pazopanib is a multi-kinase inhibitor that inhibits the VEGF receptors VEGFR1, VEGFR2, and VEGFR3 (IC_{50}s = 10, 30, and 47 nM, respectively, in a cell-free enzyme assay).[1] It also inhibits PDGFRα, PDGFRβ, and c-Kit (IC_{50}s = 71, 84, and 74 nM, respectively, in a cell-free enzyme assay) as well as additional receptor tyrosine kinases. Pazopanib inhibits upregulation of the surface adhesion proteins ICAM-1 and VCAM-1 induced by VEGF in multiple myeloma cells cocultured with human umbilical vein endothelial cells (HUVECs) and decreases multiple myeloma cell adhesion to HUVECs.[2] It also inhibits proliferation of multiple myeloma cells cocultured with HUVECs. Pazopanib (30 and 100 mg/kg) reduces tumor growth, induces apoptosis, decreases angiogenesis, and increases survival in a multiple myeloma mouse xenograft model. Formulations containing pazopanib have been used in the treatment of cancer.

References

1. Kumar, R., Knick, V.B., Rudolph, S.K., *et al.* Pharmacokinetic-pharmacodynamic correlation from mouse to human with pazopanib, a multikinase angiogenesis inhibitor with potent antitumor and antiangiogenic activity. *Mol. Cancer Ther.* **6(7)**, 2012-2021 (2007).
2. Podar, K., Tonon, G., Satler, M., *et al.* The small-molecule VEGF receptor inhibitor pazopanib (GW786034B) targets both tumor and endothelial cells in multiple myeloma. *Proc. Natl. Acad. Sci. USA* **103(5)**, 19478-19483 (2006).

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