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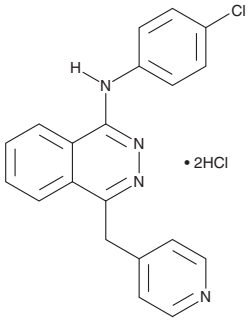
PRODUCT INFORMATION

Vatalanib (hydrochloride)

Item No. 14868



CAS Registry No.:	212141-51-0
Formal Name:	N-(4-chlorophenyl)-4-(4-pyridinylmethyl)-1-phthalazinamine, dihydrochloride
Synonyms:	CGP 79787, PTK787, PTK/ZK
MF:	$C_{20}H_{15}ClN_4 \bullet 2HCl$
FW:	419.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 320 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly

Laboratory Procedures

Vatalanib (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the vatalanib (hydrochloride) in the solvent of choice. Vatalanib (hydrochloride) is soluble in organic solvents such as ethanol and DMSO. The solubility of vatalanib (hydrochloride) in these solvents is approximately 0.3 and 25 mg/ml, respectively.

Vatalanib (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Vatalanib (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Vatalanib (hydrochloride) has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Vatalanib is an antagonist of the VEGF receptors, inhibiting the receptor tyrosine kinase activities of VEGFR1 (FLT1), VEGFR2 (KDR), and VEGFR3 (FLT4) with IC_{50} values of 77, 37, and 190 nM, respectively.[1,2] It less potently inhibits PDGF and c-Kit (IC_{50} = 600 and 700 nM) and has no effect on a large panel of additional kinases.[1-3] Vatalanib completely blocks retinal neovascularization in oxygen-induced ischemic retinopathy in mice, suggesting its use in diabetic retinopathy and other diseases featuring aberrant vascular development.[4,5]

References

1. Bold, G., Altmann, K.-H., Frei, J., *et al. J. Med. Chem.* **43(16)**, 3200 (2000).
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3. Furet, P., Bold, G., Hofmann, F., *et al. Bioorg. Med. Chem. Lett.* **13(18)**, 2967-2971 (2003).
4. Ozaki, H., Seo, M.-S., Ozaki, K., *et al. Am. J. Pathol.* **156(2)**, 697-707 (2000).
5. Giatromanolaki, A., Koukourakis, M., Sivridis, E., *et al. Br. J. Cancer* **107(7)**, 1044-1050 (2012).

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