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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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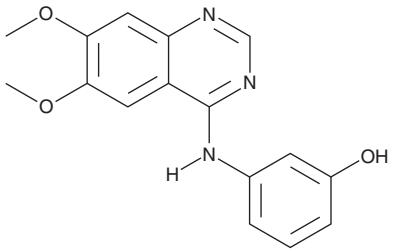
PRODUCT INFORMATION

WHI-P180

Item No. 23452

CAS Registry No.:	211555-08-7
Formal Name:	3-[(6,7-dimethoxy-4-quinazolinyl)amino]-phenol
Synonym:	Janex 3
MF:	$C_{16}H_{15}N_3O_3$
FW:	297.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 228, 252, 335 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

WHI-P180 is supplied as a crystalline solid. A stock solution may be made by dissolving the WHI-P180 in the solvent of choice. WHI-P180 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of WHI-P180 in these solvents is approximately 15 and 25 mg/ml, respectively.

WHI-P180 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WHI-P180 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. WHI-P180 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

WHI-P180 is a multi-kinase inhibitor with IC_{50} values of 4.5 and 66 nM for the human proto-oncogene RET and kinase insert domain receptor (KDR), respectively.[1] It also inhibits EGFR (IC_{50} = 4 µM) and binds to tau-tubulin kinase 1 (TTBK1; K_ds = 0.46 and 0.24 µM for phosphorylated and non-phosphorylated TTBK1, respectively).[2,3] WHI-P180 inhibits JAK3 and JAK3-driven graft *versus* host disease responses in mice receiving allogenic bone marrow and splenocyte grafts.[2,4] WHI-P180 (25 mg/kg, i.p) inhibits IgE-induced vascular hyperpermeability in a mouse model of passive anaphylaxis.[5]

References

1. Newton, R., Bowler, K.A., Burns, E.M., *et al.* The discovery of 2-substituted phenol quinazolines as potent RET kinase inhibitors with improved KDR selectivity. *Eur. J. Med. Chem.* **13**, 20-32 (2016).
2. Ghosh, S., Jennissen, J.D., Liu, X.P., *et al.* 4-[3-Bromo-4-hydroxyphenyl)amino]-6,7-dimethoxyquinazolin-1-ium chloride methanol solvate and 4-[(3-hydroxyphenyl)amino]-6,7-dimethoxy-1-quinazolinium chloride. *Acta. Crystallogr. C.* **57(Pt 1)**, 76-78 (2001).
3. Xue, Y., Wan, P.T., Hillertz, P., *et al.* X-ray structural analysis of tau-tubulin kinase 1 and its interactions with small molecular inhibitors. *ChemMedChem* **8(11)**, 1846-1854 (2013).
4. Cetkovic-Cvrlje, M., Roers, B.A., Schonhoff, D., *et al.* Treatment of post-bone marrow transplant acute graft-versus-host disease with a rationally designed JAK3 inhibitor. *Leuk. Lymphoma.* **43(7)**, 1447-1453 (2002).
5. Chen, C.-L., Malaviya, R., Navara, C., *et al.* Pharmacokinetics and biologic activity of the novel mast cell inhibitor, 4-(3-hydroxyphenyl)-amino-6,7-dimethoxyquinazoline in mice. *Pharm. Res.* **16(1)**, 117-122 (1999).

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