

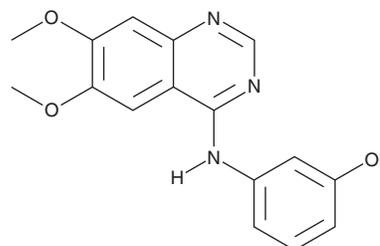
PRODUCT INFORMATION



WHI-P180

Item No. 23452

CAS Registry No.: 211555-08-7
Formal Name: 3-[(6,7-dimethoxy-4-quinazoliny)amino]-phenol
Synonym: Janex 3
MF: C₁₆H₁₅N₃O₃
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 IC₅₀ 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 (IC₅₀ = 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 allogeneic 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM