PRODUCT INFORMATION



WHI-P154

Item No. 16178

CAS Registry No.: 211555-04-3

Formal Name: 2-bromo-4-[(6,7-dimethoxy-4-

quinazolinyl)amino]-phenol

Synonyms: JAK3 Inhibitor II,

Janus-Associated Kinase 3

Inhibitor II

MF: $C_{16}H_{14}BrN_3O_3$

FW: 376.2 **Purity:** ≥98%

 λ_{max} : 228, 247, 335 nm UV/Vis.: A crystalline solid Supplied as:

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

WHI-P154 is supplied as a crystalline solid. A stock solution may be made by dissolving the WHI-P154 in the solvent of choice, which should be purged with an inert gas. WHI-P154 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of WHI-P154 in these solvents is approximately 15 and 25 mg/ml, respectively.

WHI-P154 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WHI-P154 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. WHI-P154 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-P154 is a quinazoline derivative that exhibits immunosuppressive effects by selectively inhibiting JAK3 (IC₅₀ = 1.8 μ M versus IC₅₀s > 10 μ M for JAK1 and JAK2).¹ It has been reported to inhibit additional kinases including EGFR ($IC_{50} = 4$ nM) and VEGFR as well as the non-receptor tyrosine kinases, Abl, Lck, and Src.{26468} WHI-P154 is cytotoxic to human glioblastoma cells (IC₅₀ = 813 nM) and has been used to induce the differentiation of neuronal precursor cells.²⁻⁴

References

- 1. Changelian, P.S., Moshinsky, D., Kuhn, C.F., et al. The specificity of JAK3 kinase inhibitors. Blood 111(4), 2155-2157 (2008).
- 2. Narla, R.K., Liu, X.-P., Myers, D.E., et al. 4-(3'-Bromo-4'hydroxylphenyl)-amino-6,7-dimethoxyquinazoline: A novel quinazoline derivative with potent cytotoxic activity against human glioblastoma cells. Clin. Cancer Res. 4(6), 1405-1414 (1998).
- 3. Narla, R.K., Liu, X.-P., Klis, D., et al. Inhibition of human glioblastoma cell adhesion and invasion by 4-(4'-hydroxylphenyl)-amino-6,7-dimethoxyquinazoline (WHI-P131) and 4-(3'-bromo-4'-hydroxylphenyl)amino-6,7-dimethoxyquinazoline (WHI-P154). Clin. Cancer Res. 4(10), 2463-2471 (1998).
- Kim, Y.H., Chung, J.-I., Woo, H.G., et al. Differential regulation of proliferation and differentiation in neural precursor cells by the Jak pathway. Stem Cells 28(10), 1816-1828 (2010).

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

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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