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Print Date: Jan 15th 2016

Certificate of Analysis

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Product Name: **WHI-P 154** **Catalog No.: 3115** **Batch No.: 1**

CAS Number: 211555-04-3

IUPAC Name: 2-Bromo-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenol

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{16}H_{14}BrN_3O_3$

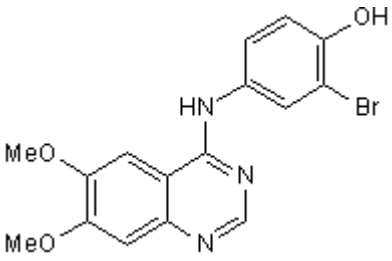
Batch Molecular Weight: 376.2

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.19 (Dichloromethane:Methanol [95:5])

HPLC: Shows 99.3% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	51.08	3.75	11.17
Found	51.02	3.8	10.95

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
Tel: (800) 343 7475

China
info.cn@bio-techne.com
Tel: +86 (21) 52380373

Europe Middle East Africa
Tel: +44 (0)1235 529449

Rest of World
www.tocris.com/distributors
Tel:+1 612 379 2956

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Description:

JAK3 inhibitor (IC_{50} = 1.8 µM) that displays no activity at JAK1 or JAK2. Inhibits STAT1 activation, iNOS expression and NO production in macrophages in vitro. Also inhibits other common kinases including EGFR (IC_{50} = 4 nM), Src, Abl, VEGFR, MAPK and PI 3-K and induces apoptosis in human glioblastoma cell lines (IC_{50} = 158 µM). Induces differentiation of neural progenitor cells.

Physical and Chemical Properties:

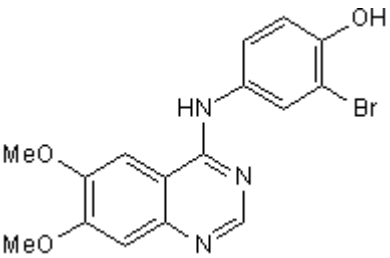
Batch Molecular Formula: $C_{16}H_{14}BrN_3O_3$

Batch Molecular Weight: 376.2

Physical Appearance: White solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Narla *et al* (1998) 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*** 1405. PMID: 9626456.

Sareila *et al* (2008) Janus kinase 3 inhibitor WHI-P154 in macrophages activated by bacterial endotoxin: differential effects on the expression of iNOS, COX-2 and TNF-α. Int.Immunopharmacol. ***8*** 100. PMID: 18068105.

Changelian *et al* (2008) The specificity of JAK3 kinase inhibitors. Blood ***111*** 2155. PMID: 18094329.

Kim *et al* (2010) Differential regulation of proliferation and differentiation in neural precursor cells by the Jak pathway. Stem Cells. ***28*** 1816. PMID: 20979137.