Small Molecules

WHI-P154

JAK/STAT pathway inhibitor; Inhibits JAK3

Catalog # 73552

10 mg

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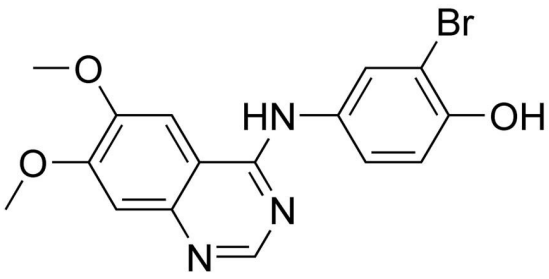
Product Description

WHI-P154 is an inhibitor of Janus kinase 3 (JAK3) with IC_{50} values of 28 and 128 µM against human and mouse protein, respectively (Sudbeck et al.). It has also been reported to show significant inhibition of other kinases, including epidermal growth factor receptor (EGFR) in the nanomolar range (Changelian et al.; Uckun et al.). No significant inhibition of JAK1 or JAK2 has been observed (Sudbeck et al.).

Molecular Name:	WHI-P154
Alternative Names:	JAK3 Inhibitor II, Janus-Associated Kinase 3 Inhibitor II
CAS Number:	211555-04-3
Chemical Formula:	$C_{16}H_{14}BrN_3O_3$
Molecular Weight:	376.2 g/mol
Purity:	≥ 98%
Chemical Name:	2-bromo-4-[(6,7-dimethoxyquinazolin-4-yl)amino]phenol
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 35 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.66 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION
· Promotes differentiation of mouse neuronal precursor cells to neurons and oligodendrocytes, but blocks astrocyte differentiation (Kim et al.).
· Abolishes PDGF-induced increases in neurite outgrowth from human neural progenitor cells (Richards et al.).
IMMUNOLOGY
· Inhibits lipopolysaccharide (LPS)-induced nitric oxide synthase expression and nitric oxide production in macrophages and human epithelial cells (Sareila et al.).
CANCER RESEARCH
· Induces apoptosis and cell death in human glioblastoma cell lines U373 and U87. When coupled to EGF, inhibits tumor growth in mouse xenograft models (Narla et al.).

References

Changelian PS et al. (2008) The specificity of JAK3 kinase inhibitors. Blood 111(4): 2155–7.
Kim YH et al. (2010) Differential regulation of proliferation and differentiation in neural precursor cells by the Jak pathway. Stem Cells 28(10): 1816–28.
Narla RK 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(6): 1405–14.
Richards GR et al. (2006) The JAK3 inhibitor WHI-P154 prevents PDGF-evoked process outgrowth in human neural precursor cells. J Neurochem 97(1): 201–10.
Sareila O 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-alpha. Int Immunopharmacol 8(1): 100–8.
Sudbeck EA et al. (1999) Structure-based design of specific inhibitors of Janus kinase 3 as apoptosis-inducing antileukemic agents. Clin Cancer Res 5(6): 1569–82.
Uckun FM et al. (2001) Structure-based design of novel anticancer agents. Curr Cancer Drug Targets 1(1): 59–71.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).