

Small Molecules

WHI-P154

JAK/STAT pathway inhibitor; Inhibits JAK3

Catalog # 73552

10 mg



Scientists Helping Scientists™ | WWW.STEMCELL.COM

TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713

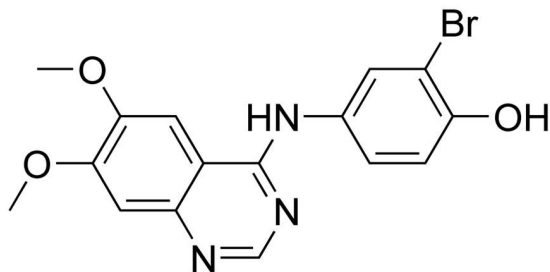
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM

FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

Product Description

WHI-P154 is an inhibitor of Janus kinase 3 (JAK3) with IC₅₀ 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 ₃ O 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. Stable as supplied for 12 months from date of receipt.
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-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'-hydroxyphenyl)-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

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

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

STEMCELL TECHNOLOGIES INC.'S QUALITY MANAGEMENT SYSTEM IS CERTIFIED TO ISO 13485. PRODUCTS ARE FOR RESEARCH USE ONLY AND NOT INTENDED FOR HUMAN OR ANIMAL DIAGNOSTIC OR THERAPEUTIC USES UNLESS OTHERWISE STATED.

Copyright © 2018 by STEMCELL Technologies Inc. All rights reserved including graphics and images. STEMCELL Technologies & Design, STEMCELL Shield Design, and Scientists Helping Scientists are trademarks of STEMCELL Technologies Canada Inc. All other trademarks are the property of their respective holders. While STEMCELL has made all reasonable efforts to ensure that the information provided by STEMCELL and its suppliers is correct, it makes no warranties or representations as to the accuracy or completeness of such information.