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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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WHI-P154

Cat. No.: HY-13895

CAS No.: 211555-04-3

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

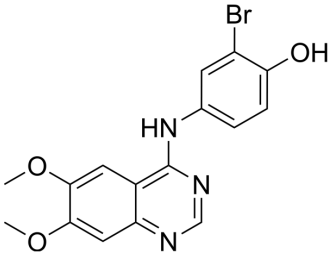
Molecular Weight: 376.2

Target: EGFR; JAK; Apoptosis

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Epigenetics; Stem Cell/Wnt; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (132.91 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6582 mL	13.2908 mL	26.5816 mL
5 mM	0.5316 mL	2.6582 mL	5.3163 mL
10 mM	0.2658 mL	1.3291 mL	2.6582 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.65 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC_{50}s of 4 nM and 1.8 μM, respectively.

IC_{50} & Target

EGFR	JAK3
4 nM (IC_{50})	1.8 μM (IC_{50})

In Vitro

WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC_{50}s of 4 nM and 1.8 μM, respectively[1]. WHI-P154 significantly enhances the sensitivity of ABCG2-overexpressing cells to its substrates. WHI-P154 (4 μM) moderately sensitizes ABCB1-overexpressing KB-C2 cells to its substrates whereas shows no sensitizing effect on ABCC1-, ABCC2 or ABCC10-mediated drug resistance. Moreover, WHI-P154 (4 μM) causes a significant increase in the intracellular accumulation of [^{3}H]-

mitoxantrone in ABCG2-overexpressing cells. WHI-P154 alters the expression levels rather than the localization of the ABCG2 protein in ABCG2-overexpressing cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- EMBO Rep. 2022 Apr 11;e53932.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Changelian PS, et al. The specificity of JAK3 kinase inhibitors. Blood. 2008 Feb 15;111(4):2155-7. Epub 2007 Dec 19.

[2]. Zhang H, et al. WHI-P154 enhances the chemotherapeutic effect of anticancer agents in ABCG2-overexpressing cells. Cancer Sci. 2014 Aug;105(8):1071-8.

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