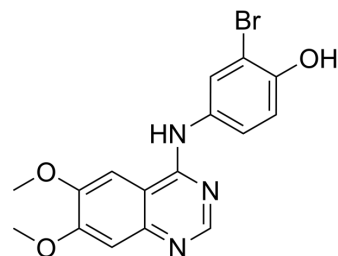


## WHI-P154

<b>Cat. No.:</b>	HY-13895												
<b>CAS No.:</b>	211555-04-3												
<b>Molecular Formula:</b>	C <sub>16</sub> H <sub>14</sub> BrN <sub>3</sub> O <sub>3</sub>												
<b>Molecular Weight:</b>	376.2												
<b>Target:</b>	EGFR; JAK; Apoptosis												
<b>Pathway:</b>	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Epigenetics; Stem Cell/Wnt; Apoptosis												
<b>Storage:</b>	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>2 years</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 year</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	2 years		-20°C	1 year
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	2 years											
	-20°C	1 year											



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 50 mg/mL (132.91 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	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.					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.5 mg/mL (6.65 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.65 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC <sub>50</sub> s of 4 nM and 1.8 μM, respectively.	
<b>IC<sub>50</sub> &amp; Target</b>	EGFR 4 nM (IC <sub>50</sub> )	JAK3 1.8 μM (IC <sub>50</sub> )
<b>In Vitro</b>	WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC <sub>50</sub> s of 4 nM and 1.8 μM, respectively <sup>[1]</sup> . 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 [ <sup>3</sup> H]-	

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

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

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## CUSTOMER VALIDATION

- EMBO Rep. 2022 Apr 11;e53932.

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## 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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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