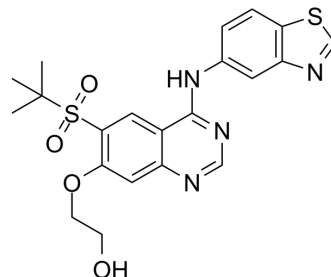


## GSK2983559 active metabolite

<b>Cat. No.:</b>	HY-19764		
<b>CAS No.:</b>	1423186-80-4		
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>22</sub> N <sub>4</sub> O <sub>4</sub> S <sub>2</sub>		
<b>Molecular Weight:</b>	458.55		
<b>Target:</b>	RIP kinase		
<b>Pathway:</b>	Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 25 mg/mL (54.52 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	2.1808 mL	10.9039 mL	21.8079 mL
		5 mM	0.4362 mL	2.1808 mL	4.3616 mL
10 mM		0.2181 mL	1.0904 mL	2.1808 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 (5.45 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	GSK2983559 active metabolite is an active metabolite of GSK2983559. GSK2983559 active metabolite is a receptor interacting protein-2 (RIP2) kinase inhibitor extracted from patent WO/2014043446 A1, compound example 1.
<b>IC<sub>50</sub> &amp; Target</b>	RIP2 Kinase <sup>[1]</sup>
<b>In Vitro</b>	GSK2983559 active metabolite is a novel prodrug of a quinazolinyl amine that inhibits RIP2 kinase. Receptor interacting protein-2 (RIP2) kinase, which is also referred to as CARD3, RICK, CARDIAK, or RIPK2, is a TKL family serine/threonine protein kinase involved in innate immune signaling. RIP2 kinase is composed of an N-terminal kinase domain and a C-terminal caspase-recruitment domain (CARD) linked via an intermediate (IM) region. The CARD domain of RIP2 kinase mediates interaction with other CARD-containing proteins, such as NOD1 and NOD2. NOD1 and NOD2 are cytoplasmic receptors which

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play a key role in innate immune surveillance. They recognize both gram positive and gram negative bacterial pathogens and are activated by specific peptidoglycan motifs, diaminopimelic acid (i.e., DAP) and muramyl dipeptide<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## PROTOCOL

### Animal

### Administration <sup>[1]</sup>

Rats<sup>[1]</sup>

Rats are orally pre-dosed with RIP2 kinase inhibitor 1, at a dose of 2 mg/kg (8 rats) and with Prednisolone (8 rats, used as a positive control), followed by dosing with L18-MDP (50 µg/rat) 0.25 h/min after pre-dosing. Combined cytokine levels (IL8, TNFα, IL6 and IL-1β) in whole blood samples taken from the rats in this study are measured using an antibody based detection. The combined cytokine response is calculated as the averaged response for the 4 cytokines measured relative to the response observed in the vehicle-treated mice, and are depicted as the mean±standard error of the mean (n=8 rats/group).

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

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## REFERENCES

[1]. Linda N. Casillas, et al. Prodrugs of amino quinazoline kinase inhibitor. PCT Int. Appl. (2014), WO 2014043446 A1 20140320.

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

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