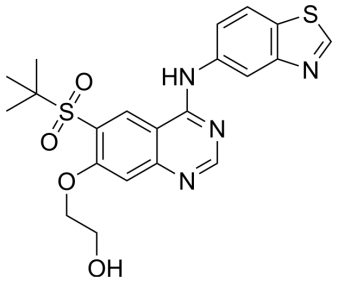
GSK2983559 active metabolite

Cat. No.:	HY-19764
CAS No.:	1423186-80-4
Molecular Formula:	$C_{21}H_{22}N_4O_4S_2$
Molecular Weight:	458.55
Target:	RIP kinase
Pathway:	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 : 25 mg/mL (54.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.45 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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.

IC_{50} & Target

RIPK2

In Vitro

GSK2983559 active metabolite is a novel prodrug of a quinazolyl 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 NODI and NOD2. NODI and NOD2 are cytoplasmic receptors which

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[1].

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

PROTOCOL

Animal Administration [1]

Rats[1]

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, TNFa, IL6 and IL-Iβ) 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.

CUSTOMER VALIDATION

- Rheumatology. 2022 Oct 29;keac621.

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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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