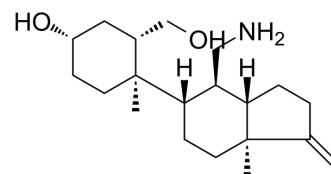


Rosiptor

Cat. No.:	HY-109011		
CAS No.:	782487-28-9		
Molecular Formula:	C ₂₀ H ₃₅ NO ₂		
Molecular Weight:	321.5		
Target:	Phosphatase		
Pathway:	Metabolic Enzyme/Protease		
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 : 150 mg/mL (466.56 mM; Need ultrasonic and warming)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
1 mM		3.1104 mL	15.5521 mL	31.1042 mL
5 mM		0.6221 mL	3.1104 mL	6.2208 mL
10 mM		0.3110 mL	1.5552 mL	3.1104 mL

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

BIOLOGICAL ACTIVITY

Description

Rosiptor (AQX-1125) is a selective and orally active phosphatase SHIP1 activator with anti-inflammatory effects. Rosiptor (AQX-1125) inhibits Akt phosphorylation, inflammatory mediator production and leukocyte chemotaxis in vitro^{[1][2]}.

IC₅₀ & Target

SHIP1^[1]

In Vitro

Rosiptor (0.1-10 μM; 30 minutes) inhibits Akt activation in MOLT-4, but not in Jurkat cells^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Western Blot Analysis^[1]

Cell Line:	MOLT-4 cells and SHIP1-deficient Jurkat cells (IGF-1 stimulation)
Concentration:	0.1, 1, 10 μM
Incubation Time:	30 minutes

Result:	Induced a concentration-dependent decrease in Akt phosphorylation in MOLT-4 cells, while it failed to affect Akt phosphorylation in Jurkat cells.
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In Vivo

Rosiptor (3-30 mg/kg; p.o.; daily for 3 days) significantly reduces the total number of BAL leukocytes in NSC-125066-challenged mice and reduces MPO activity^[2].
Rosiptor (10 mg/kg; p.o.) has the C_{max} value of 0.830 μM and the t_{1/2} value of 5.2 hours. AQX-1125 also exhibits >80% oral bioavailability^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 weeks old male CD-1 mice ^[1]
Dosage:	3, 10, 30 mg/kg
Administration:	p.o.; daily for 3 days
Result:	Significantly reduced the total number of BAL leukocytes in NSC-125066-challenged mice, up to a maximum of 60% at 7 days and 63% at 21 days at 30 mg/kg; Reduced MPO activity by 54% at Day 7 and by 74% at Day 21 at 30 mg/kg.

Animal Model:	Male Sprague-Dawley rats ^[1]
Dosage:	10 mg/kg (Pharmacokinetic Study)
Administration:	Oral administration
Result:	The C _{max} value is 0.830 μM and the t _{1/2} value is 5.2 hours.

CUSTOMER VALIDATION

- Antiviral Res. 2022 Sep 22;105424.
- Front Cell Dev Biol. 2022 Apr 4;10:826023.
- Cell Biol Int. 2020 Dec 15.

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REFERENCES

[1]. Stenton GR, et al. Characterization of AQX-1125, a small-molecule SHIP1 activator: Part 1. Effects on inflammatory cell activation and chemotaxis in vitro and pharmacokinetic characterization in vivo. Br J Pharmacol. 2013 Mar;168(6):1506-18.

[2]. Cross J, et al. AQX-1125, small molecule SHIP1 activator inhibits NSC-125066-induced pulmonary fibrosis. Br J Pharmacol. 2017 Sep;174(18):3045-3057.

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

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