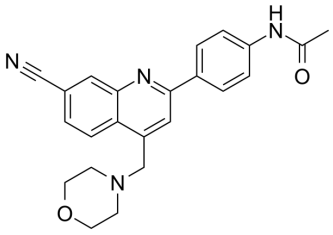
RAGE 229

Cat. No.:	HY-147329
CAS No.:	2143072-85-7
Molecular Formula:	$C_{23}H_{22}N_4O_2$
Molecular Weight:	386.45
Target:	Others
Pathway:	Others
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (258.77 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5877 mL	12.9383 mL	25.8766 mL
	5 mM	0.5175 mL	2.5877 mL	5.1753 mL
	10 mM	0.2588 mL	1.2938 mL	2.5877 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: 5 mg/mL (12.94 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

RAGE 229 is an orally active ctRAGE-DIAPH1 inhibitor. RAGE 229 can inhibit the intracellular RAGE signaling by inhibiting the interaction between the cytoplasmic tail of RAGE(ctRAGE) and Diaphanous-1(DIAPH1)[1].

IC_{50} & Target

KD: 2 nM (ctRAGE); IC50: 26 nM (SMC migration)[1]

In Vitro

RAGE229 has affinity for the ctRAGE with $K_D$value of 2 nM and inhibits SMC migration with an IC_{50} value of 26 nM[1].

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

Cell Migration Assay [1]

Cell Line:	SMCs
Concentration:	0.00006 -10 μM.
Incubation Time:	1.5 h

Result:	Inhibited SMC migration with an IC_{50} value of 26 nM.

In Vivo

RAGE229 (oral gavage, 5 mg/kg, twice daily, for 4 days) assuages short- and long-term complications of diabetes in mice[1].
RAGE229 (oral or iv.; 150, 50 and 15 ppm chow; 30, 10, and 3 mg/kg per day per mouse) (5 mg/kg, ip. , every 12 hours for four total doses) reduces plasma concentrations of TNF-α, IL-6, and CCL2/JE-MCP1 in diabetic mice, and reduces pathological and functional indices of diabetes-like kidney disease[1].

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

Animal Model:	female CF-1 mice and male mice with diabetes[1]
Dosage:	5 mg/kg
Administration:	oral gavage, 5 mg/kg, twice daily, for 4 days
Result:	Reduced inflammation score and infarct area in mice.

Animal Model:	C57BL/6J mice and BTBR ob/obmice[1]
Dosage:	30, 10, and 3 mg/kg; 5 mg/kg
Administration:	oral or iv.; 150, 50 and 15 ppm chow; 30, 10, and 3 mg/kg per day per mouse; 5 mg/kg, ip., every 12 hours for four total doses
Result:	Reduced the concentrations of CCL2, TNF-α and IL-6.

REFERENCES

[1]. Michaele B Manigrasso, et al. Small-molecule antagonism of the interaction of the RAGE cytoplasmic domain with DIAPH1 reduces diabetic complications in mice. Sci Transl Med. 2021 Nov 24;13(621):eabf7084.

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