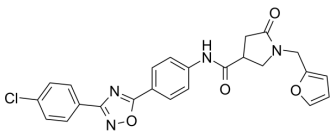
RLX-33

Cat. No.:	HY-150700
CAS No.:	2784577-71-3
Molecular Formula:	$C_{24}H_{19}ClN_4O_4$
Molecular Weight:	462.89
Target:	ERK
Pathway:	MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (270.04 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1603 mL	10.8017 mL	21.6034 mL
5 mM	0.4321 mL	2.1603 mL	4.3207 mL
10 mM	0.2160 mL	1.0802 mL	2.1603 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RLX-33 is a potent, selective and blood-brain barrier (BBB) penetrant relaxin family peptide 3 (RXFP3) antagonist, also blocks relaxin-3-induced ERK1/2 phosphorylation, with IC_{50} values of 2.36 μM for RXFP3, 7.82 and 13.86 μM for ERK1 and ERK2 phosphorylation, respectively. RLX-33 can block the stimulation of food intake induced by the RXFP3-selective agonist R3/I5 in rats. RLX-33 can be used for the research of metabolic syndrome[1].

IC_{50} & Target

IC_{50}: 2.36 μM (RXFP3), 7.82 μM (ERK1 phosphorylation), 13.86 μM (ERK2 phosphorylation)[1]

In Vivo

RLX-33 (10 mg/kg; IP, single dosage) attenuates the RXFP3-selective agonist R3/I5-induced increase in feeding in male Wistar rats[1].

RLX-33 (10 mg/kg; IP, single dosage) exhibits a good brain penetration and highly protein-bound in rats plasma[1].

Pharmacokinetic Parameters of RLX-33 in male Wistar rats (IP, 10 mg/kg)[1].

	plasma	brain
C_{max} (ng/mL)	1401	1552
t_{max} (h)	0.5	2.0
$t_{1/2}$ (h)	1.9	4.9
AUC_{inf} (ng/mL·h)	5352	12519
CL_F (mL/min/kg)	43.8	

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

Animal Model:	Male Wistar rats (intracerebroventricular administration of R3/I5 stimulated food intake[1]
Dosage:	10 mg/kg
Administration:	IP, single dosage
Result:	Attenuated the R3/I5-induced increase in food intake.

Animal Model:	Male Wistar rats[1]
Dosage:	10 mg/kg
Administration:	IP, single dosage (Pharmacokinetic Analysis)
Result:	Exhibited a good brain penetration and highly protein-bound, with protein binding of 99.8% in rat plasma.

REFERENCES

[1]. https://pubmed.ncbi.nlm.nih.gov/35594150/

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