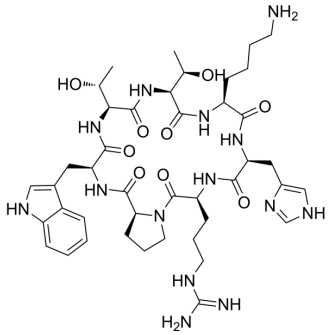
OK2

Cat. No.:	HY-P5314
Molecular Formula:	$C_{42}H_{62}N_{14}O_9$
Molecular Weight:	907.03
Sequence:	cyclo-(Thr-Lys-His-Arg-Pro-Trp-Thr)
Sequence Shortening:	cyclo-(TKHRPWT)
Target:	EGFR; STAT
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (110.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1025 mL	5.5125 mL	11.0250 mL
	5 mM	0.2205 mL	1.1025 mL	2.2050 mL
	10 mM	0.1102 mL	0.5512 mL	1.1025 mL

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

BIOLOGICAL ACTIVITY

Description

OK2, a specific inhibitor of the CCN2/EGFR interaction, efficiently blocks CCN2/EGFR interaction through binding to the CT domain of CCN2. OK2 can be used for kidney fibrosis and chronic kidney disease research[1].

IC_{50} & Target

p-STAT3

In Vitro

OK2 (0-100 μM; 24 hours) inhibits EGFR activation, STAT3 phosphorylation, and ECM protein synthesis in HK-2 cells[1].

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

Western Blot Analysis[1]

Cell Line:	HK-2 cells
Concentration:	0, 4, 20, 100 μM
Incubation Time:	24 hours

Result:	Blocked thevastmajority ofEGFR activation in therenalfibrosis cell model, thereby preventing EGFRmediated STAT3 phosphorylation andECMprotein synthesis. Inhibited EGFR-mediated ECM protein synthesis in a dose dependent manner and exhibited a significant blocking effect beginning at 20 μM.

In Vivo

OK2 (50 μg/kg, i.p., for 14 days) blocks CCN2-induced EGFR/STAT3 activation and impede kidney fibrosis in the unilateral ureteric obstruction (UUO) mice model[1].

OK2 (1 mg/kg, s.c.) shows a $T_{1/2}$ of 0.9 h and C_{max} of 1057.8 ng/mL in male Sprague Dawley rats[1].

Pharmacokinetic parameters for OK2 in male Sprague Dawley rats [1]

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Route	Dose (mg/kg)	C_{max} (ng/mL)	T_{max} (h)	$T_{1/2}$ (h)	AUC_{0-t} (h•ng/mL)
s.c.	1	1057.8	1.0	0.9	3032.0

Animal Model:	Unilateral ureteric obstruction (UUO)-induced renal fibrosis [1]
Dosage:	50 μg/kg
Administration:	Intraperitoneal injection (i.p.) for 14 days
Result:	Alleviated renal tubular injury and inflammatory infiltration, as well as suppressed collagen formation in the left kidney. Inhibited the phosphorylation of EGFR and STAT3 and reduced the vast majority of fibronectin and type I collagen synthesis.

Animal Model:	Male Sprague Dawley rats(Pharmacokinetic assay)[1]
Dosage:	1 mg/kg
Administration:	Subcutaneous injection (s.c.)
Result:	Showed a $T_{1/2}$ of 0.9 h and C_{max} of 1057.8 ng/mL in male Sprague Dawley rats[1].

REFERENCES

[1]. Dong J, et.al. Discovery and Design of Novel Cyclic Peptides as Specific Inhibitors Targeting CCN2 and Disrupting CCN2/EGFR Interaction for Kidney Fibrosis Treatment. J Med Chem. 2023 Jun 22;66(12):8251-8266.

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