KYP-2047

Cat. No.: HY-100475

CAS No.: 796874-99-2

Molecular Formula: $C_{20}H_{25}N_3O_2$

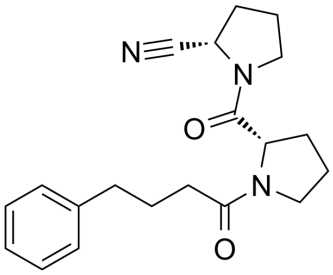
Molecular Weight: 339.43

Target: Apoptosis; MDM-2/p53; Prolyl Endopeptidase (PREP)

Pathway: Apoptosis; Metabolic Enzyme/Protease

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (294.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9461 mL	14.7306 mL	29.4612 mL
	5 mM	0.5892 mL	2.9461 mL	5.8922 mL
	10 mM	0.2946 mL	1.4731 mL	2.9461 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 (7.37 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.37 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.37 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

KYP-2047 is a potent and BBB-penetrating prolyl-oligopeptidase (POP) inhibitor, with an K_i value of 0.023 nM. KYP-2047 reduces glioblastoma proliferation through angiogenesis and apoptosis modulation[1][2].

In Vitro

KYP-2047 (0-100 μM) decreases U-87, U-138 and A-172 cell viability in a concentration-dependent manner[2].
KYP-2047 (0-100 μM) increases the pro-apoptotic protein Bax, p53 and caspase-3 expression whereas reduces Bcl-2 expression, and reduced significantly TGF-β expression[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay	
Cell Line:	U-87, U-138 and A-172 cell[2]
Concentration:	0.01 μM, 0.1 μM, 0.5 μM, 1 μM, 10 μM, 30 μM, 50 μM and 100 μM
Incubation Time:	24 h
Result:	Decreased U-87, U-138 and A-172 cell viability in a concentration-dependent manner.
Western Blot Analysis	
Cell Line:	U-87 cell[2]
Concentration:	0, 50, 100 μM
Incubation Time:	24 h
Result:	Increased the pro-apoptotic protein Bax, p53 and cleaved-caspase-3 expression, reduced significantly Bcl2 expression, reduced Ang1 and Ang2 expression, and decreased Ki-67 expression.

In Vivo

KYP-2047 (1 or 5 mg/kg, 30 min before daily testing) dose-dependently improved the escape performance (i.e. latency to find the hidden platform and swimming path length) of the young but not the old rats in the water maze[1].

KYP-2047 (9 or 27 μmol/kg; IP; once, 1 or 3 h before decapitation; two daily doses for 10 days) increases neurotensin concentration in the hypothalamus[1].

KYP-2047 (0-5 mg/kg) significantly reduces tumor mass and neutrophil infiltration[2].

KYP-2047 (0-5 mg/kg) significantly reduces vascular endothelial-growth-factor (VEGF), CD34, angiopoietins (Ang) and endothelial-nitric-oxide synthase (eNOS) expression[2].

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

REFERENCES

[1]. Jalkanen AJ, et al. Beneficial effect of prolyl oligopeptidase inhibition on spatial memory in young but not in old scopolamine-treated rats. Basic Clin Pharmacol Toxicol. 2007 Feb;100(2):132-8.

[2]. Scuderi SA, et al. KYP-2047, an Inhibitor of Prolyl-Oligopeptidase, Reduces GlioBlastoma Proliferation through Angiogenesis and Apoptosis Modulation. Cancers (Basel). 2021 Jul 9;13(14):3444.

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