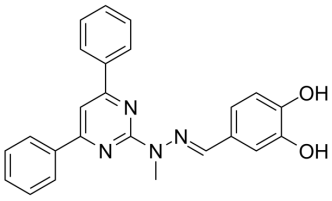
MK-28

Cat. No.:	HY-137207
CAS No.:	864388-65-8
Molecular Formula:	$C_{24}H_{20}N_4O_2$
Molecular Weight:	396.44
Target:	PERK
Pathway:	Cell Cycle/DNA Damage
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 : 12.5 mg/mL (31.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5224 mL	12.6122 mL	25.2245 mL
	5 mM	0.5045 mL	2.5224 mL	5.0449 mL
	10 mM	0.2522 mL	1.2612 mL	2.5224 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: 1.25 mg/mL (3.15 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MK-28 is a potent and selective PERK activator. MK-28 exhibits remarkable pharmacokinetic properties and high BBB penetration in mice[1].

In Vitro

MK-28 (0-100 μM) shows PERK selectivity in vitro on a 391-kinase panel and rescues cells (but not $PERK^{-/-}$ cells) from ER stress-induced apoptosis[1].
ATF4 protein levels are increased signifcantly, up to 2.5-fold, in $STHdhQ^{111/111}$ cells at high MK-28 concentration. CHOP and GADD34 mRNA levels show a signifcant increase in both cell types, up to 10- and 5-fold respectively[1].
MK-28 has little or no efect on EIF2AK1 (HRI) or EIF2AK2 (PKR), but it activats EIF2AK4 (GCN2)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1].

Cell Line:	STHdhQ$^{111/111}$ cells.
Concentration:	0-100 μM.
Incubation Time:	48 h.
Result:	Rescued cells from ER stress-induced apoptosis.

In Vivo

MK-28 (10 mg/kg, IP, single dose) shows a maximum concentration (C_{max}) of 105ng/ml and 30min half-life in plasma, 40 min afer the IP injection[1].

MK-28 (1 mg/kg, IP, daily for 28 days) improves systemic function and survival in R6/2 mice and induces increased levels of eIF2α-P in the mouse brain striatum[1].

MK-28 (Transient subcutaneous delivery) significantly improves motor and executive functions and delayed death onset in R6/2 mice, showing no toxicity[1].

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

Animal Model:	R6/2 mice[1].
Dosage:	1 mg/kg.
Administration:	IP, daily for 28 days.
Result:	Incerased the survival.

CUSTOMER VALIDATION

- PLoS One. 2023 May 18;18(5):e0283943.
- bioRxiv. 2023 Mar 12.

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REFERENCES

[1]. Javier Ganz, et al. A novel specific PERK activator reduces toxicity and extends survival in Huntington's disease models. Sci Rep. 2020 Apr 23;10(1):6875.

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