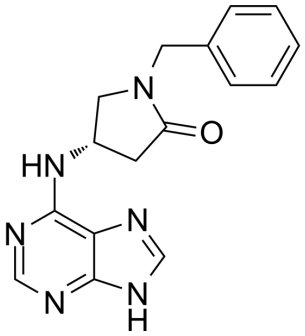
Benpyrine

Cat. No.:	HY-133807
CAS No.:	2550398-89-3
Molecular Formula:	$C_{16}H_{16}N_6O$
Molecular Weight:	308.34
Target:	TNF Receptor
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (40.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2432 mL	16.2159 mL	32.4317 mL
	5 mM	0.6486 mL	3.2432 mL	6.4863 mL
	10 mM	0.3243 mL	1.6216 mL	3.2432 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 (4.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (4.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (4.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Benpyrine is a highly specific and orally active TNF-α inhibitor with a K_D value of 82.1 μM. Benpyrine tightly binds to TNF-α and blocks its interaction with TNFR1, with an IC_{50} value of 0.109 μM. Benpyrine has the potential for TNF-α mediated inflammatory and autoimmune disease research[1].

IC_{50} & Target

KD: 82.1 μM (TNF-α)[1]

In Vitro

Benpyrine (5-20 μM; 14 hours; RAW264.7 cells) pretreatment results in a dose-dependent decrease in the phosphorylation of

IκBα in RAW264.7 cells (stimulated with 10 ng/mL TNF-α or 1 μg/mL LPS). Benpyrine abolishes the TNF-α-induced nuclear translocation of NF-κB/p65 in RAW264.7 cells[1].

?Benpyrine only blocks cell death induced by TNF-α^{WT} and $Y^{119}A$, and increases the cell survival rate up to 80%. Benpyrine does not obviously affect $L^{57}A$- and $Y^{59}L$-induced cytotoxicity in L929 cells[1].

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

Western Blot Analysis[1]

Cell Line:	RAW264.7 cells
Concentration:	5 μM, 10 μM, 20 μM
Incubation Time:	14 hours
Result:	Resulted in a dose-dependent decrease in the phosphorylation of IκBα.

In Vivo

Benpyrine (25-50 mg/kg; oral gavage; daily; for 2 weeks; Balb/c mice) treatment significantly relieves the symptoms of collagen-induced arthritis. Benpyrine dose-dependently decreases the levels of proinflammatory cytokines, such as IFN-γ, IL-1β and IL-6, and increases the concentration of the anti-inflammatory cytokine IL-10[1].

?Endotoxemia murine model shows that Benpyrine (25 mg/kg) could attenuate TNF-α-induced inflammation, thereby reducing liver and lung injury[1].

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

Animal Model:	Balb/c mice (18-20 g) injected with collagen[1]
Dosage:	25 mg/kg, 50 mg/kg
Administration:	Oral gavage; daily; for 2 weeks
Result:	Relieved the symptoms of collagen-induced arthritis in mice.

CUSTOMER VALIDATION

- Aging (Albany NY). 2021 Sep 7;13(17):21470-21482.

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REFERENCES

[1]. Weiguang Sun, et al. Discovery of an Orally Active Small Molecule TNF-α Inhibitor. J Med Chem. 2020 Jul 15.

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