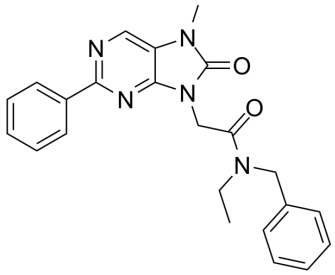
Emapunil

Cat. No.:	HY-15527		
CAS No.:	226954-04-7		
Molecular Formula:	$C_{23}H_{23}N_5O_2$		
Molecular Weight:	401.46		
Target:	Others		
Pathway:	Others		
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 : 33.33 mg/mL (83.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4909 mL	12.4545 mL	24.9091 mL
	5 mM	0.4982 mL	2.4909 mL	4.9818 mL
	10 mM	0.2491 mL	1.2455 mL	2.4909 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 (6.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Emapunil (AC-5216), an orally active and selective TSPO (a mitochondrial benzodiazepine receptor) ligand, produces anti-anxiety and antidepressant-like effects in various animal models[1][2].

In Vivo

Emapunil (AC-5216, 0.1-3, 0.003-0.01 and 0.01-0.3 mg/kg, p.o.) produces anti-anxiety effects in the Vogel-type conflict test in rats, and in the light/dark box and social interaction tests in mice[1].
Emapunil (AC-5216, 3-30 mg/kg, p.o.) reduces the immobility time, and this effect was blocked by PK11195[1].
Emapunil (AC-5216, 1-100 mg/kg, p.o.) produces no distinct change in the rat electroencephalogram[1].
Emapunil (AC-5216, 0.3 and 1 mg/kg, i.g.) causes significant suppression of the enhanced anxiety and contextual fear induced in post-TDS rats[3].
Emapunil (AC-5216, 0.3 and 1 mg/kg, i.g.) alleviates the enhanced anxiety and fear response in a time-dependent

sensitization (TDS) procedure, a rat PTSD animal model[3].
Emapunil (AC-5216, 0.3 and 1 mg/kg, i.g.) reverses the increased plasma glucose (PG) and decreased insulin (INS) in HFD-STZ rats[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[1].
Dosage:	0.1-3 mg/kg.
Administration:	P.O..
Result:	Significantly increased the number of shocks that rats received. Significantly increased the time spent in the light compartment but only slightly increased that time at 0.03 mg/kg, p.o. (P<0.1).

CUSTOMER VALIDATION

- J Transl Med. 2023 Feb 2;21(1):71.
- Sci Rep. 2016 Nov 25;6:37345.
- Cancer Biomark. 2016 Apr 1;17(1):11-6.

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REFERENCES

[1]. Atsuko Kita, et al. Antianxiety and antidepressant-like effects of AC-5216, a novel mitochondrial benzodiazepine receptor ligand. Br J Pharmacol. 2004 Aug;142(7):1059-72.

[2]. Marcus Karlstetter, et al. Translocator protein (18 kDa) (TSPO) is expressed in reactive retinal microglia and modulates microglial inflammation and phagocytosis. J Neuroinflammation. 2014 Jan 8;11:3.

[3]. Li-Ming Zhang, et al. Involvement of allopregnanolone in the anti-PTSD-like effects of AC-5216. J Psychopharmacol. 2016 May;30(5):474-81.

[4]. Zhi-Kun Qiu, et al. The antidepressant-like activity of AC-5216, a ligand for 18KDa translocator protein (TSPO), in an animal model of diabetes mellitus. Sci Rep. 2016 Nov 25;6:37345.

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