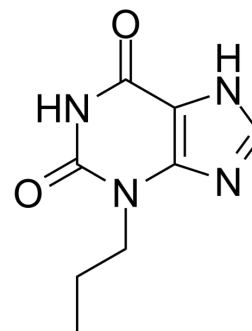


## Enprofylline

Cat. No.:	HY-14117
CAS No.:	41078-02-8
Molecular Formula:	C <sub>8</sub> H <sub>10</sub> N <sub>4</sub> O <sub>2</sub>
Molecular Weight:	194.19
Target:	Adenosine Receptor; Phosphodiesterase (PDE)
Pathway:	GPCR/G Protein; Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 62.5 mg/mL (321.85 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions		1 mg	5 mg	10 mg
		1 mM	5.1496 mL	25.7480 mL	51.4960 mL
		5 mM	1.0299 mL	5.1496 mL	10.2992 mL
	10 mM	0.5150 mL	2.5748 mL	5.1496 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (10.71 mM); Clear solution  2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (10.71 mM); Clear solution				

### BIOLOGICAL ACTIVITY

Description	Enprofylline acts as a selective and competitive A2B receptor antagonist with the K <sub>i</sub> of 7 μM. Enprofylline also acts as a phosphodiesterase inhibitor. Enprofylline can be used for the research of asthma, chronic obstructive pulmonary disease <sup>[1]</sup> <sup>[2]</sup> <sup>[3]</sup> .
IC <sub>50</sub> & Target	A2B receptor 7 μM (K <sub>i</sub> )
In Vitro	Enprofylline (300 μM) completely blocks the release of IL-8 by N-ethylcarboxamidoadenosine (NECA) <sup>[1]</sup> . Enprofylline (10 μM) inhibits NECA (10 μM) induced proliferation in a concentration-dependent manner <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay <sup>[2]</sup>

Cell Line:	Human retinal endothelial cells (HRECs)
Concentration:	10 $\mu$ M
Incubation Time:	24, 48, 72 hours
Result:	NECA (10 $\mu$ M) induced a time-dependent increase in HREC proliferation as measured by cell counts, achieving approximately 80% of the density of cells exposed to normal growth medium for 3 days. Enprofylline (10 $\mu$ M) completely blocked the proliferative effect of NECA when added concurrently with the analogue.

#### In Vivo

Enprofylline increases heart rate (HR). Injection of Enprofylline (7.5 and 30 mg/kg) increases HR in male WT mouse from 529 $\pm$ 23 to 590 $\pm$ 20 and 562 $\pm$ 20 after the low and high dose, respectively<sup>[3]</sup>.  
A high dose of Enprofylline (30 mg/kg) also decreases temperature compared with saline injection in female (but not in males) WT mice, but a low dose (7.5 mg/kg) has little effect on mouse temperature<sup>[3]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A1RKO mice (were cross-bred to C57BL/6 mice for six generations) and A2ARKO mice (were backcrossed to C57BL/6 mice for more than 10 generations) <sup>[3]</sup>
Dosage:	30 mg/kg
Administration:	Intraperitoneally injected (bolus) at 2-h intervals
Result:	Increased HR in male WT mouse from 529 $\pm$ 23 to 590 $\pm$ 20 and 562 $\pm$ 20 after the low (7.5 mg/kg) and high dose (30 mg/kg), respectively.

## REFERENCES

- [1]. I Feoktistov, et al. Adenosine A2b receptors evoke interleukin-8 secretion in human mast cells. An enprofylline-sensitive mechanism with implications for asthma. *J Clin Invest.* 1995 Oct;96(4):1979-86.
- [2]. M B Grant, et al. Proliferation, migration, and ERK activation in human retinal endothelial cells through A(2B) adenosine receptor stimulation. *Invest Ophthalmol Vis Sci.* 2001 Aug;42(9):2068-73.
- [3]. Jiang-Ning Yang, et al. Physiological roles of A1 and A2A adenosine receptors in regulating heart rate, body temperature, and locomotion as revealed using knockout mice and caffeine. *Am J Physiol Heart Circ Physiol.* 2009 Apr;296(4):H1141-9.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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