# PRODUCT INFORMATION



## **Thiorphan**

Item No. 15600

CAS Registry No.: 76721-89-6

Formal Name: N-[2-(mercaptomethyl)-1-oxo-3-

phenylpropyl]-glycine

Synonym: **DL-Thiorphan** MF:  $C_{12}H_{15}NO_3S$ 253.3 FW:

**Purity:** ≥95%

Supplied as: A crystalline solid

Storage: -20°C Stability: ≥4 vears

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### **Laboratory Procedures**

Thiorphan is supplied as a crystalline solid. A stock solution may be made by dissolving the thiorphan in the solvent of choice, which should be purged with an inert gas. Thiorphan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of thiorphan in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of thiorphan can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of thiorphan in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

#### Description

Thiorphan is an inhibitor of neprilysin (NEP;  $IC_{50}$  = 0.007  $\mu$ M).<sup>1</sup> It selectivity inhibits NEP over NEP2 ( $IC_{50}$  = 22  $\mu$ M), as well as angiotensin-converting enzyme (ACE) and endothelin-converting enzyme 1 (ECE1;  $K_i$ s = >0.1 and >10  $\mu$ M, respectively, in cell-free assays).<sup>1,2</sup> Thiorphan (10  $\mu$ M) increases bradykinin-induced relaxation of isolated porcine coronary artery rings precontracted with potassium chloride under hypoxic, but not normoxic, conditions.3 Thiorphan reduces increases in gastric acid output induced by pentagastrin (Item No. 28546) by 64% in rats when administered intracerebroventricularly at a dose of 50 µg, but not when administered intravenously at doses of 1.7 and 17 mg/kg.<sup>4</sup> Thiorphan (2 mg/ml, i.c.v.) increases cortical levels of insoluble amyloid- $\beta$  (1-40) (A $\beta$ 40) and decreases time spent in the platform quadrant in the Morris water maze, indicating impaired reference memory, in rats.<sup>5</sup>

## References

- 1. Whyteside, A.R. and Turner, A.J. FEBS Lett. 582(16), 2382-2386 (2008).
- 2. Inguimbert, N., Coric, P., Poras, H., et al. J. Med. Chem. 45(7), 1477-1486 (2002).
- 3. Krassói, I., Pataricza, J., and Papp, J.G. J. Pharm. Pharmacol. 55(3), 339-345 (2003).
- 4. Chicau-Chover, M., Dubrasquet, M., Chariot, J., et al. Eur. J. Pharmacol. 154(3), 247-254 (1998).
- 5. Mouri, A., Zou, L.B., Iwata, N., et al. Behav. Brain Res. 168(1), 83-91 (2006).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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