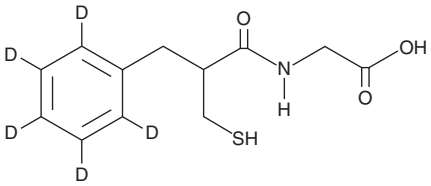
PRODUCT INFORMATION

Thiorphan-d_5

Item No. 28692

CAS Registry No.: 2183240-70-0
Formal Name: (3-mercapto-2-((phenyl-d_5)methyl)propanoyl)glycine
MF: $C_{12}H_{10}D_5NO_3S$
FW: 258.3
Chemical Purity: ≥95% (Thiorphan)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Thiorphan-d_5 is intended for use as an internal standard for the quantification of thiorphan (Item No. 15600) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Thiorphan-d_5 is supplied as a solid. A stock solution may be made by dissolving the thiorphan-d_5 in the solvent of choice, which should be purged with an inert gas. Thiorphan-d_5 is soluble in organic solvents such as methanol and DMSO.

Description

Thiorphan-d_5 is intended for use as an internal standard for the quantification of thiorphan (Item No. 15600) by GC- or LC-MS. Thiorphan is an inhibitor of neprilysin (NEP; IC_{50} = 0.007 µM).[1] It selectivity inhibits NEP over NEP2 (IC_{50} = 22 µM), as well as angiotensin-converting enzyme (ACE) and endothelin-converting enzyme 1 (ECE1; K_is = >0.1 and >10 µM, respectively, in cell-free assays).[1,2] Thiorphan (10 µM) 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.[4] Thiorphan (2 mg/ml, i.c.v.) increases cortical levels of insoluble amyloid-β (1-40) (Aβ40) and decreases time spent in the platform quadrant in the Morris water maze, indicating impaired reference memory, in rats.[5]

References

1. Whyteside, A.R. and Turner, A.J. Human neprilysin-2 (NEP2) and NEP display distinct subcellular localisations and substrate preferences. *FEBS Lett.* **582(16),** 2382-2386 (2008).
2. Inguimbert, N., Coric, P., Poras, H., *et al.* Toward an optimal joint recognition of the S1' subsites of endothelin converting enzyme-1 (ECE-1), angiotensin converting enzyme (ACE), and neutral endopeptidase (NEP). *J. Med. Chem.* **45(7),** 1477-1486 (2002).
3. Krassói, I., Pataricza, J., and Papp, J.G. Thiorphan enhances bradykinin-induced vascular relaxation in hypoxic/hyperkalaemic porcine coronary artery. *J. Pharm. Pharmacol.* **55(3),** 339-345 (2003).
4. Chicau-Chover, M., Dubrasquet, M., Chariot, J., *et al.* Thiorphan and acetorphan inhibit gastric secretion by a central, non-opioid mechanism in the rat. *Eur. J. Pharmacol.* **154(3),** 247-254 (1998).
5. Mouri, A., Zou, L.B., Iwata, N., *et al.* Inhibition of neprilysin by thiorphan (i.c.v.) causes an accumulation of amyloid β and impairment of learning and memory. *Behav. Brain Res.* **168(1),** 83-91 (2006).

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

SAFETY DATA
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.

WARRANTY AND LIMITATION OF REMEDY
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CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM