

Product Datasheet

DL-Thiorphan

Catalog No. **BP-02417** · CAS **76721-89-6** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-11**

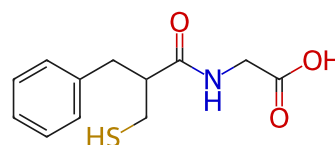
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Target Neprilysin	Research area Neurological Disease
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Molecular formula **C₁₂H₁₅NO₃S**

Molecular weight **253.3170**

STRUCTURE



DESCRIPTION

Thiorphan is a selective neprilysin (NEP) inhibitor with an IC₅₀ of 6.9 nM. Thiorphan competitively binds to NEP and blocks its activity, preventing the degradation of neuropeptides such as substance P (SP) and neurokinin NKA. In the field of neonatal brain injury research, Thiorphan can increase the levels of SP and NKA, activate NK1 and NK2 receptors and downstream transduction pathways, and inhibit excessive activation of NMDA receptors. Thus, Thiorphan can protect neocortical neurons from excitotoxic cell death. Thiorphan may also inhibit NEP from enhancing bronchoconstriction and can be used in the study of respiratory diseases .

BIOLOGICAL ACTIVITY

In Vitro

Thiorphan (5 μM; 12 h) protects neurons from excitotoxic stimulation and blocks the neurodegradation of substance P (10 μM) in primary neuronal cultures exposed to 300 μM NMDA.

In Vivo

Thiorphan (25 μg intracerebral or 50 mg/kg intraperitoneal; given as a single dose after ibotenic acid injection) reduces cortical damage and cortical caspase-3 cleavage in a mouse model of excitotoxic brain injury induced by ibotenic acid (HY-N2311), and this neuroprotective effect is still observed 12 hours after injury. This effect can be mimicked by substance P and neurokinin A, and is inhibited by NK1 and NK2 receptor blockers.

SOLUBILITY

Soluble in DMSO : 175 mg/mL

REFERENCES

[1].Whyteside AR, et al. Human neprilysin-2 (NEP2) and NEP display distinct subcellular localisations and substrate preferences. FEBS Lett. 2008 Jul 9;582(16):2382-6.

[2]. Cheung D, et al. The effect of an inhaled neutral endopeptidase inhibitor, thiorphan, on airway responses to neurokinin A in normal humans in vivo. *Am Rev Respir Dis.* 1992 Jun;145(6):1275-80.

[3]. Medja F, et al. Thiorphan, a neutral endopeptidase inhibitor used for diarrhoea, is neuroprotective in newborn mice. *Brain.* 2006 Dec;129(Pt 12):3209-23.

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Lot CoA and SDS are separate documents.