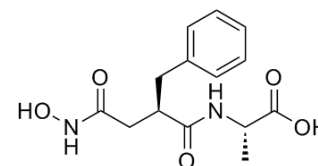


Kelatorphan

Cat. No.:	HY-10827
CAS No.:	92175-57-0
Molecular Formula:	C ₁₄ H ₁₈ N ₂ O ₅
Molecular Weight:	294.3
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Kelatorphan is a full inhibitor of enkephalin degrading enzymes.
IC₅₀ & Target	Enkephalin degrading enzyme ^[1] .
In Vivo	<p>The administration of Kelatorphan alone (50 µg) could result in a strong increase of intact [³H]enkephalin content corresponding to 80±11% of total recovered radioactivity^[2]. In normal awake rats, Kelatorphan (10±20 mg/kg i.v.) increases minute-volume. The increase in ventilation is due to a dose-dependent increase in breathing frequency. In arthritic rats Kelatorphan (20 mg/kg i.v.) increases ventilation and there is no significant difference between arthritic and non-arthritic rats. In pentobarbital-anesthetized rats, a slight (116%) but significant increase of respiration is also produced by Kelatorphan (20 mg/kg, n=6) 10±15 min after administration. The effects of Kelatorphan are not antagonized by a pretreatment with a small dose of naloxone (0.2 mg/kg i.v., 15 min before Kelatorphan), but a larger dose (1 mg/kg) significantly antagonized Kelatorphan (20 mg/kg) at 5 and 10 min in awake rats^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

- [1]. Waksman G, et al. Kelatorphan: a full inhibitor of enkephalin degrading enzymes. Biochemical and pharmacological properties, regional distribution of enkephalinase in rat brain by use of a tritiated derivative. *Neuropeptides*. 1985 Feb;5(4-6):529-32.
- [2]. Waksman G, et al. In vitro and in vivo effects of kelatorphan on enkephalin metabolism in rodent brain. *Eur J Pharmacol*. 1985 Nov 5;117(2):233-43.
- [3]. Boudinot E, et al. Effects of the potent analgesic enkephalin-catabolizing enzyme inhibitors RB101 and kelatorphan on respiration. *Pain*. 2001 Feb 1;90(1-2):7-13.

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

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