
Product Data Sheet

Product Name: Kelatorphan

Cat. No.: GC31151

Chemical Properties

Cas. No. 92175-57-0

SMILES O=C(C[C@H](C(N[C@@H](C)C(O)=O)=O)CC1=CC=CC=C1)NO

Formula $C_{14}H_{18}N_2O_5$ M.Wt 294.3

Solubility DMSO : 100 mg/mL (339.79 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

Kelatorphan is a full inhibitor of enkephalin degrading enzymes.

The administration of Kelatorphan alone (50 µg) could result in a strong increase of intact [3H]enkephalin content corresponding to $80 \pm 11\%$ 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].

[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].

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

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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.

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