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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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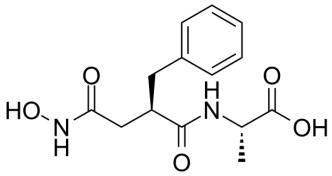
Kelatorphan

Cat. No.:	HY-10827
CAS No.:	92175-57-0
Molecular Formula:	$C_{14}H_{18}N_2O_5$
Molecular Weight:	294.3
Target:	Others
Pathway:	Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (339.79 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3979 mL	16.9895 mL	33.9789 mL
5 mM	0.6796 mL	3.3979 mL	6.7958 mL
10 mM	0.3398 mL	1.6989 mL	3.3979 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Kelatorphan is a full inhibitor of enkephalin degrading enzymes.

IC_{50} & Target

Enkephalin degrading enzyme[1].

In Vivo

The administration of Kelatorphan alone (50 μg) could result in a strong increase of intact [^{3}H]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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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