

Product Data Sheet

Dimemorfan phosphate

Cat. No.:HY-B2215CAS No.:36304-84-4Molecular Formula: $C_{18}H_{28}NO_4P$ Molecular Weight:353.39

Target: Sigma Receptor

Pathway: Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

 $\rm H_2O$: 10 mg/mL (28.30 mM; ultrasonic and warming and heat to 60°C)

DMSO: 1 mg/mL (2.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.8297 mL	14.1487 mL	28.2973 mL
	5 mM	0.5659 mL	2.8297 mL	5.6595 mL
	10 mM	0.2830 mL	1.4149 mL	2.8297 mL

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

In Vivo

1. Add each solvent one by one: PBS

Solubility: 16.67 mg/mL (47.17 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description	Dimemorfan phosphate is a sigma 1 receptor agonist, used as a potent antitussive.
In Vitro	Dimemorfan (5-20 μ M) inhibits both fMLP- and PMA-induced ROS production in a concentration-dependent manner and is relatively more potent in inhibiting fMLP-induced ROS production with an IC ₅₀ value of 7.0 μ M. Dimemorfan (10-50 μ M) does not display significant activity in scavenging free radicals by xanthine/xanthine oxidase system. Dimemorfan significantly suppressed Mac-1 upregulation both in PMA- and fMLP-activated groups. Dimemorfan (10-20 μ M) significantly suppresses LPS-induced ROS and NO production, and suppresses LPS-induced iNOS protein expression, and both the percentage of the positively stained population and the MCF intensities of MCP-1 and TNF- α in BV2 cytosol. Dimemorfan (20 μ M) significantly blocks the degradation of cytosolic I κ -B α and nuclear translocation of NF- κ B p65, as well as the transcriptional activity of NF- κ B ^[2] .
In Vivo	Dimemorfan (6.25 or 12.5 mg/kg, s.c.) significantly attenuates the BAY k-8644-induced convulsive behaviors, in a dose-

related manner (6.25 mg/kg dimemorfan+BAY k-8644 or 12.5 mg/kg dimemorfan+BAY k-8644 versus Saline+BAY k-8644, P<0.05 and P<0.01, respectively). Dimemorfan significantly attenuates BAY k-8644-induced increases in the c-fos and c-jun protein expression in a dose-dependent manner. Dimemorfan does not significantly affect locomotor activity or produce significant circling behavior in any locomotor pattern in mice^[1]. Dimemorfan (1 and 5 mg/kg, i.p.) surpresses the incarease of the plasma levels of TNF- α in mice. The infiltration of neutrophils into lung and liver as well as the production of oxidative stress (EB staining) in these tissues induced by LPS is markedly inhibited by the treatment with dimemorfan^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal
Administration [1]

C57BL/6 mice receive each morphinan (dextromethorphan, dextrorphan or dimemorfan) compound (20 or 40 mg/kg, i.p. per day) or PCP (2.5 or 5 mg/kg, i.p. per day) once a day for 7 days. Ten minutes after the last treatment with each drug, locomotor activity is measured for 30 min using an automated video-tracking system. Eight test boxes (40 cm×40 cm×30 cm high) are operated simultaneously by an IBM computer. Animals are studied individually during locomotion in each test box, where they are adapted for 10 min before starting the experiment. A printout for each session showed the pattern of the ambulatory movements of the test box. The distance traveled in cm by the animals in horizontal locomotor activity is analyzed. Data are collected and analyzed between 09:00 and 17:00 h.

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CUSTOMER VALIDATION

• EMBO Mol Med. 2022 May 25;e15373.

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REFERENCES

[1]. Shin EJ, et al. Dimemorfan prevents seizures induced by the L-type calcium channel activator BAY k-8644 in mice. Behav Brain Res. 2004 May 5;151(1-2):267-76.

[2]. Wang YH, et al. Anti-inflammatory effects of dimemorfan on inflammatory cells and LPS-induced endotoxin shock in mice. Br J Pharmacol. 2008 Jul;154(6):1327-38.

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

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