# Levodropropizine

**Cat. No.:** HY-B1895

**CAS No.:** 99291-25-5

**Molecular Formula:** $C_{13}H_{20}N_2O_2$

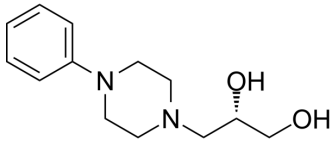
**Molecular Weight:** 236.31

**Target:** Histamine Receptor

**Pathway:** GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 50 mg/mL (211.59 mM)

$H_2O$ : 10 mg/mL (42.32 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 4.2317 mL | 21.1586 mL | 42.3173 mL |
| 5 mM | 0.8463 mL | 4.2317 mL | 8.4635 mL |
| 10 mM | 0.4232 mL | 2.1159 mL | 4.2317 mL |

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

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (211.59 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Levodropropizine (DF-526) is an orally active histamine receptor inhibitor, Levodropropizine is an effective and very well tolerated peripheral antitussive agent[1].

**In Vivo**

Levodropropizine (14 mg/kg, p.o., 2 weeks) attenuates the chronic cigarette smoke-exposure enhanced cough in guinea pigs [2].

Levodropropizine (20 and 40 mg/kg, i.p.) inhibits seizure activity in rats with Pentylenetetrazol (PTZ)-induced status epilepticus[3].

Levodropropizine (10-200 mg/kg, i.p.) reduces Capsaicin (HY-10448) and Substance P-induced plasma extravasation in the rat trachea[4].

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

| | |
|---|---|
| Animal Model: | Pentylenetetrazol (PTZ)-induced status epilepticus in rats[3] |
| Dosage: | 20 and 40 mg/kg |
| Administration: | i.p. |
| Result: | Decreased Mean electroencephalogram (EEG) spike wave percentage score from 76.8% (placebo) to 13.1% (lower dose) and 7.6% (higher dose).<br>Decreased Racine's Convulsion Scale (RCS) from a mean of 5.8 (placebo) to 1.83 (lower dose) and 1.16 (higher dose).<br>Increased time to first myoclonic jerk (TFMJ) from a mean of 65.1 s (placebo), to 247.3 s (lower dose) and 295.5 s (higher dose). |

## REFERENCES


[1]. Luo YL, et al. Effects of four antitussives on airway neurogenic inflammation in a guinea pig model of chronic cough induced by cigarette smoke exposure. Inflamm Res. 2013 Dec;62(12):1053-61.

[2]. Erdogan MA, et al. Levodropropizine suppresses seizure activity in rats with pentylenetetrazol-induced epilepsy. Epilepsy Res. 2019 Feb;150:32-37.

[3]. Yamawaki I, et al. Levodropropizine reduces capsaicin- and substance P-induced plasma extravasation in the rat trachea. Eur J Pharmacol. 1993 Oct 12;243(1):1-6.

[4]. Zanasi A, et al. Levodropropizine for treating cough in adult and children: a meta-analysis of published studies. Multidiscip Respir Med. 2015 May 31;10(1):19.





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