# Lemildipine

**Cat. No.:** HY-19663

**CAS No.:** 94739-29-4

**Molecular Formula:** $C_{20}H_{22}Cl_2N_2O_6$

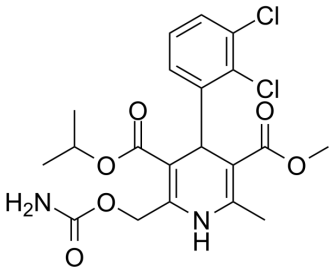
**Molecular Weight:** 457.3

**Target:** Calcium Channel

**Pathway:** Membrane Transporter/Ion Channel; Neuronal Signaling

**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 (218.67 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1867 mL | 10.9337 mL | 21.8675 mL |
| | 5 mM | 0.4373 mL | 2.1867 mL | 4.3735 mL |
| | 10 mM | 0.2187 mL | 1.0934 mL | 2.1867 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 (5.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.47 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Lemildipine is a new dihydropyridine calcium entry blocker.

**$IC_{50}$ & Target**

Calcium entry[1]

**In Vivo**

Gerbils are treated intraperitoneally with Lemildipine (0.1-3 mg/kg) just after release of the occlusion. Four days after the ischemia, they are fixed by perfusing 10% buffered-formalin, and the neuronal cell density (NCD, cell/mm) in the CA1 subfield is estimated under microscopy. The average NCD in the ischemic control group is 43±10.8 cells/mm, whereas Lemildipine (3 mg/kg) significantly ameliorates DND with an average NCD of 143±24.2 cells/mm (P<0.01). In addition, Lemildipine (3 mg/kg) significantly inhibits delayed neuronal death (DND) at 1, 2 and 4 weeks after transient ischemia: the average NCD of the Lemildipine and ischemic control groups are 80±9.4 (P<0.01) and 43±7.7 cells/mm, 92±13.7 (P<0.05) and

52±9.3 cells/mm, and 57±5.0 (P<0.01) and 43±12.4 cells/mm, respectively. In this experiment, Lemildipine (NB-818) exhibits a protective effect on DND in the hippocampal CA1 subfield after transient forebrain ischemia, and its effect persisted for up to 4 weeks[1]. In normal Wistar rats (NWR), Lemildipine (NPK-1886) in doses of 3-30 mg/kg, p.o., produces a mild lowering of blood pressure. The depressor effect of Lemildipine is much the same as that of Nifedipine. In contrast, Lemildipine produces a significant decrease in the blood pressure of spontaneously hypertensive rats (SHR). Oral administration of Lemildipine in doses of 3, 10, 30 mg/kg produces a significant decrease in systolic blood pressure dose-dependently. The maximum decrease is observed 1-3 hr after administration. Comparing the hypotensive potency of Lemildipine and Nifedipine, their dose-response curves at the maximum response during the observation (for 24 hr) are analyzed by the least squares method, and the dose of 30% decrease in blood pressure from the control level ($ED_{30}$) are used as a measure of their potency. Lemildipine is 1.4 times stronger than Nifedipine; the $ED_{30}$ values of Lemildipine and Nifedipine are 10.2 mg/kg and 14.3 mg/kg, respectively[2].

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

## PROTOCOL

**Animal Administration [1][2]**

Gerbils[1]

Male Mongolian gerbils, weighing 50-80g, are used in this experiment. Lemildipine (3 mg/kg) or vehicle is administered intraperitoneally just after the recirculation. At 1, 2 or 4 weeks after ischemia, the animals are sacrificed, and the protective effect of Lemildipine (NB-818) is examined.

Mice and Rats[2]

Normal Wistar rats (NWR) and hypertensive model rats (spontaneously hypertensive rats (SHR), renal hypertensive rats (RHR) and DOCA-saline-induced hypertensive rats (DOC-Na-R)) of the male sex, weighing from 250 to 350 g, are used. Oral administration of Lemildipine in doses of 3, 10, 30 mg/kg for normal Wistar rats (NWR). Lethal dose of Lemildipine and Nifedipine is determined by the Litchfield-Wilcoxon method from the data of experiments using healthy mice and rats. Mice (male and female) weighing 20±2 g and male rats weighing from 150 to 220 g are used. These two kinds of animals are fed on solid food (Crea, CA-1) and water ad lib. The animal room temperature and humidity are controlled at 22±2°C and 55±5%, respecttively. No food except water is administered 24 hr before starting the experiments for oral administration of drugs.

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

## REFERENCES

[1]. Kamei K, et al. Effect of a new calcium entry blocker, NB-818, on delayed neuronal death in the ischemic gerbil hippocampus. Jpn J Pharmacol. 1991 Jul;56(3):279-86.

[2]. Nagura J, et al. Cardiovascular effects of NPK-1886, a new dihydropyridine compound with calcium entry blocking activity. Jpn J Pharmacol. 1986 Mar;40(3):399-409.



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