YS-201

Cat. No.: HY-U00137

CAS No.: 108852-42-2

Molecular Formula: $C_{24}H_{31}N_3O_6$

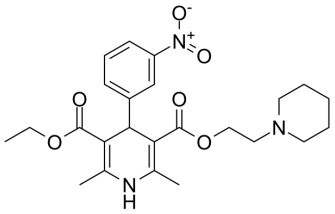
Molecular Weight: 457.52

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 (218.57 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1857 mL	10.9285 mL	21.8570 mL
5 mM	0.4371 mL	2.1857 mL	4.3714 mL
10 mM	0.2186 mL	1.0928 mL	2.1857 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 (5.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.46 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

YS-201 is a dihydropyridine-type calcium channel antagonist. YS-201 has the potential for angina pectoris and hypertension treatment.

In Vivo

YS-201 (Diperdipine) markedly reduces systemic vascular resistance and improves stroke index and left ventricular ejection fraction. Mean pulmonary artery and wedge pressures are slightly increased as a possible consequence of enhanced venous return, whereas right atrial and left ventricular end-diastolic pressures are not significantly changed. Nevertheless, an increase in preload is clearly indicated by an augmented left ventricular end-diastolic volume index after administration of diperdipine[1]. After intravenous and oral doses, absolute bioavailability is calculated to be 18.7%. Biliaryexcretion accounts for about 0.1% of the total clearance of diperdipine and does not contribute to the overall elimination of the drug. After intraportal administration, the bioavailable fraction of diperdipine is increasing up to 44.3% suggesting a prehepatic site of

	loss of the drug[2]. The single application of diperdipine to mice and rats by gavage causes intolerance reactions starting at the lowest tested dose level of 200 mg/kg b.w. p.o. (mice) and at 250 mg/kg b.w. p.o. (rats). In the rat, toxic effects occur from 15 mg diperdipine/kg b.w./day p.o. onwards[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Di Donato M, et al. Acute hemodynamic effects of intravenous diperdipine, a new dihydropyridine derivative, in coronary heart disease. Am Heart J. 1991 Mar;121(3 Pt 1):776-81.

[2]. Greiner PO, et al. Evaluation of first pass effect and biliary excretion of diperdipine in the dog. Eur J Drug Metab Pharmacokinet. 1990 Jul-Sep;15(3):185-90.

[3]. Herzog R, et al. Experimental studies on the toxicity of diperdipine following oral and parenteral application. Arzneimittelforschung. 1995 Mar;45(3):240-5.

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