Labetalol

Cat. No.: HY-121383

CAS No.: 36894-69-6

Molecular Formula: $C_{19}H_{24}N_2O_3$

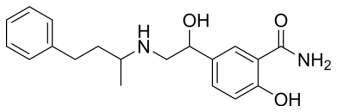
Molecular Weight: 328.41

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; 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 : 125 mg/mL (380.62 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0450 mL	15.2249 mL	30.4497 mL
	5 mM	0.6090 mL	3.0450 mL	6.0899 mL
	10 mM	0.3045 mL	1.5225 mL	3.0450 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Labetalol (AH5158) is an orally active selective α1- and non-selective β-adrenergic receptors competitive antagonist. Labetalol, an anti-hypertensive agent, can be used for the research of cardiovascular disease, such as hypertension in pregnancy[1][2][3].

IC_{50} & Target		
	α1-adrenergic receptor	β-adrenoceptor

In Vitro

Labetalol exhibits greater affinity for β-adrenergic sites on guinea pig heart and lung membranes (IC_{50}=0.8 and 4.0 μM respectively) [2].
Labetalol has affinity for α-adrenergic binding sites (IC_{50}=15 uM) on rabbit uterine membranes. Labctalol has 19 times greater binding affinity for β binding sites in heart membranca than α binding sites in uterine membranes[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Labetalol (10 mg/kg; i.h.) passes the blood-brain barrier, reaching a level of 2.1 ug/g tissue in the 10-day-old rat pups brain 90 min after injection[4]. Labetalol (5.0 mg/kg; i.p.) attenuates circulating IL-1β and IL-6 in tailshock stress rats[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2021 Mar 11;64(5):2725-2738.
- Eur J Pharmacol. 2023 Feb 15;941:175499.
- J Pharmaceut Biomed. 2020, 113870.
- Int J Clin Pract. 2021 Jun 12;e14509.

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REFERENCES

[1]. Brogden RN, et al. Labetalol: a review of its pharmacology and therapeutic use in hypertension. Drugs. 1978;15(4):251-270.

[2]. Greenslade FC, et al. Labetalol binding to specific alpha- and beta-adrenergic sites in vitro and its antagonism of adrenergic responses in vivo. J Mol Cell Cardiol. 1979 Aug;11(8):803-11.

[3]. Easterling T, et al. Oral antihypertensive regimens (nifedipine retard, labetalol, and methyldopa) for management of severe hypertension in pregnancy: an open-label, randomised controlled trial. Lancet. 2019 Sep 21;394(10203):1011-1021.

[4]. Erdtsieck-Ernste EB, et al. Changes in adrenoceptors and monoamine metabolism in neonatal and adult rat brain after postnatal exposure to the antihypertensive labetalol. Br J Pharmacol. 1992 Jan;105(1):37-44.

[5]. Johnson JD, et al. Catecholamines mediate stress-induced increases in peripheral and central inflammatory cytokines. Neuroscience. 2005;135(4):1295-307.

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