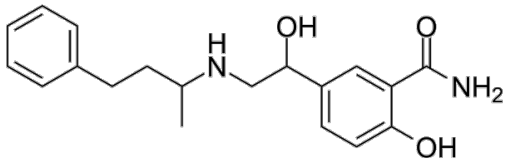
Product Data Sheet

Product Name: Labetalol
Cat. No.: GC64143

Chemical Properties

Cas. No.	36894-69-6		
Formula	C19H24N2O3	M.Wt	328.41
Solubility	DMSO : 125 mg/mL (380.62 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



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Background

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].

Labetalol exhibits greater affinity for β-adrenergic sites on guinea pig heart and lung membranes (IC50=0.8 and 4.0 μM respectively) [2].Labetalol has affinity for α-adrenergic binding sites (IC50=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].

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].

[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.

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