产品名称：(+)-顺-地尔硫卓盐酸盐
产品别名：盐酸地尔硫卓；Diltiazem hydrochloride

生物活性：

Description	Diltiazem hydrochloride is a Ca^{2+} influx inhibitor (slow channel blocker or calcium antagonist).
In Vitro	Benzothiazepine Ca^{2+} antagonist diltiazem hydrochloride interacts with transmembrane segments IIIS6 and IVS6 in the α1 subunit of L-type Ca^{2+} channels[1].. Diltiazem causes a dose-dependent inhibiton of contractions as well as Ca^{2+} influx stimulated by alpha adrenoceptor activation and high-K^+ depolarization. Diltiazem is roughly equally potent in inhibiting contractions induced by high-K^+ and a low concentration of norepinephrine (NE) [2].Diltiazem also inhibits the Na-dependent Ca-efflux from heart mitochondria. Both the (+)-optical isomers of the cis- and trans-forms of diltiazem inhibit Na-Ca exchange activity with comparable potency (IC_{50} of 10-20 μM) [3].
In Vivo	Diltiazem produces a noncompetitive inhibition of Ca^{2+}-induced contractions of depolarized rabbit aorta. Furthermore, there is a lack of parallelism between the smooth muscle effects of removal of $[Ca^{2+}]$ex and of addition of diltiazem[2]. Diltiazem improves the cardiac microcirculation and function in an experimental model of hyperthyroidism in rats. The treatment of hyperthyroid rats with losartan diltiazem (4.7±0.7%; P < 0.001) significantly reduces the percentage of fibrosis areas in the left ventricle [4]. In conscious spontaneously hypertensive rats (SHR), diltiazem dose-dependently decreases the blood pressure and increases the heart rate after intravenous administration (0.03--1 mg/kg). Oral administration of diltiazem (100 mg/kg) also reduces the blood pressure of SHR [5].
Solvent&Solubility	***In Vitro:*** **H_2O : 33.33 mg/mL (73.91 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2174 mL	11.0870 mL	22.1739 mL
	5 mM	0.4435 mL	2.2174 mL	4.4348 mL
	10 mM	0.2217 mL	1.1087 mL	2.2174 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Kraus RL, et al. Molecular mechanism of diltiazem interaction with L-type Ca2+ channels. J Biol Chem. 1998 Oct 16;273(42):27205-12.

[2]. van Breemen C, et al. The mechanism of inhibitory action of diltiazem on vascular smooth muscle contractility. J Pharmacol Exp Ther. 1981 Aug;218(2):459-63.

[3]. Chiesi M, et al. Stereospecific action of diltiazem on the mitochondrial Na-Ca exchange system and on sarcolemmal Ca-channels. Biochem Pharmacol. 1987 Sep 1;36(17):2735-40.

[4]. Freitas F, et al. Cardiac microvascular rarefaction in hyperthyroid rats is reversed by losartan, diltiazem, and propranolol. Fundam Clin Pharmacol. 2015 Feb;29(1):31-40.

[5]. Sato M, et al. Hypotensive effects of diltiazem hydrochloride in the normotensive, spontaneously hypertensive and renal hypertensive rats (author's transl). Nihon Yakurigaku Zasshi. 1979 Mar;75(2):99-106.