

产品名称：伊拉地平
产品别名：Isradipine; PN 200-110

生物活性：

Description

Isradipine(Dynacirc) is a calcium channel blocker with an IC50 of 34±8 µM. Target: Calcium Channel
Isradipine(Dynacirc) is a calcium channel blocker with an IC50 of 34±8 µM.It is usually prescribed for the treatment of high blood pressure in order to reduce the risk of stroke and heart attack[1]. Isradipine belongs to the dihydropyridine (DHP) class of calcium channel blockers (CCBs), the most widely used class of CCBs. It is structurally related to felodipine, nifedipine, and nimodipine and is the most potent calcium-channel blocking agent of the DHP class. Isradipine binds to calcium channels with high affinity and specificity and inhibits calcium flux into cardiac and arterial smooth muscle cells. It exhibits greater selectivity towards arterial smooth muscle cells owing to alternative splicing of the alpha-1 subunit of the channel and increased prevalence of inactive channels in smooth muscle cells. Isradipine may be used to treat mild to moderate essential hypertension [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (269.26 mM)

* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing	1 mM		2.6926 mL	13.4629 mL	26.9259 mL
Stock Solutions	5 mM		0.5385 mL	2.6926 mL	5.3852 mL
	10 mM		0.2693 mL	1.3463 mL	2.6926 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℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.73 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 µL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 µL PEG300 中，混合均匀；向上述体系中加入 50 µL Tween-80，混合均匀；然后继续加入 450 µL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.73 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 µL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 µL 20% 的 SBE-β-CD 生理盐水溶液中，混合均匀。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.73 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. <u>Berjukow, S. and S. Hering, Voltage-dependent acceleration of Ca(v)1.2 channel current decay by (+)- and (-)-isradipine. Br J Pharmacol, 2001. 133(7): p. 959-66.</u> [2]. <u>Tran, P.H., et al., Physical properties and in vivo bioavailability in human volunteers of isradipine using controlled release matrix tablet containing self-emulsifying solid dispersion. Int J Pharm, 2013. 450(1-2): p. 79-86.</u>



源叶生物