产品名称：甲磺酸多沙唑嗪
产品别名：Doxazosin mesylate； UK 33274 mesylate

生物活性：

Description	Doxazosin mesylate (UK 33274) is a quinazoline-derivative that selectively antagonizes postsynaptic α1-adrenergic receptors.
IC_{50} & Target	α 1-adrenergic receptor[1]
In Vitro	UK 33274 mesylate is the mesylate salt form of doxazosin, which is a long-lasting inhibitor of α1-adrenoceptors that is widely used to treat benign prostatic hyperplasia and lower urinary tract symptoms[1]. doxazosin may have a direct inhibitory effect on cholesterol synthesis independent of the LDL receptor. The inhibition of cholesterol synthesis by doxazosin may cause cells to compensate by upregulating the LDL receptor, thereby increasing the importation of lipoprotein cholesterol and reducing LDL cholesterol in the medium[2]. Doxazosin monotherapy was effective in eight of 12 patients (66.7%), and combined therapy with a beta-blocker was effective in 11 of 12 patients (91.7%). The mean pulse rate remained constant throughout therapy. Adverse reactions were minor and transient and occurred in only three patients. Urinary and plasma catecholamine levels tended to decrease or remained unchanged during doxazosin therapy[3].
Solvent&Solubility	***In Vitro:*** **DMSO： 33.33 mg/mL (60.87 mM;** Need ultrasonic) **H_2O : 1 mg/mL (1.83 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8262 mL	9.1311 mL	18.2622 mL
5 mM	0.3652 mL	1.8262 mL	3.6524 mL
10 mM	0.1826 mL	0.9131 mL	1.8262 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。

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 (4.57 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.57 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 (4.57 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (4.57 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 (4.57 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.57 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]. Sun, J.A., et al., Stereoselective binding of doxazosin enantiomers to plasma proteins from rats, dogs and humans in vitro. Acta Pharmacol Sin, 2013. 34(12): p. 1568-74. [2]. D'Eletto, R.D. and N.B. Javitt, Effect of doxazosin on cholesterol synthesis in cell culture. J Cardiovasc Pharmacol, 1989. 13 Suppl 2: p. S1-4; discussion S4. [3]. Miura, Y. and K. Yoshinaga, Doxazosin: a newly developed, selective alpha 1-inhibitor in the management of patients with pheochromocytoma. Am Heart J, 1988. 116(6 Pt 2): p. 1785-9.