上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Flecainide Acetate
产品别名：醋酸氟卡尼 ；R-818

生物活性：

Description	Flecainide acetate (R-818) is a class 1C antiarrhythmic drug especially used for the management of supraventricular arrhythmia; works by blocking the Nav1.5 sodium channel in the heart, causing prolongation of the cardiac action potential.
IC_{50} & Target	Nav1.5 channel
In Vitro	Flecainide is a class 1C antiarrhythmic drug especially used for the management of supraventricular arrhythmia. Flecainide works by blocking the Nav1.5 sodium channel in the heart, causing prolongation of the cardiac action potential. in vitro: Under the current-clamp condition, flecainide (1-100 microM) prolonged the action potential duration at both the early and the late phases of repolarization in a concentration-dependent manner without affecting the resting membrane potential [1]. At a holding potential (HP) of -120 mV, flecainide use-dependently blocked WT and G1306E I(Na) equally but was more potent on R1448C channels. For WT, the extent of block depended on a holding voltage more negative than the activation threshold, being greater at -90 mV as compared to -120 and -180 mV [2]. in vivo: Flecainide (80-130 mg/m(2) orally) resulted in termination of the tachycardia in all 8 patients. Acute pharmacological termination of arrhythmia occurred with oral flecainide loading in 1 and temporarily with intravenous esmolol loading in 1 patient. Adjuvant therapy in form of propranolol was used in 5 and digoxin in 2 [3].

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (105.40 mM; Need ultrasonic)

H_2O : 20 mg/mL (42.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1080 mL	10.5399 mL	21.0797 mL
	5 mM	0.4216 mL	2.1080 mL	4.2159 mL
	10 mM	0.2108 mL	1.0540 mL	2.1080 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 (5.27 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.27 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 (5.27 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.27 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 (5.27 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.27 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]. Yamashita T, Nakajima T, Hamada E, Flecainide inhibits the transient outward current in atrial myocytes isolated from the rabbit heart. J Pharmacol Exp Ther. 1995 Jul;274(1):315-21. [2]. Desaphy JF, De Luca A, Didonna MP, Different flecainide sensitivity of hNav1.4 channels and myotonic mutants explained by state-dependent block. J Physiol. 2004 Jan 15;554(Pt 2):321-34. [3]. Kohli V. Oral flecainide is effective in management of refractory tachycardia in infants. Indian Heart J. 2013 Mar-Apr;65(2):168-71.