碧云天网站 微信公众号
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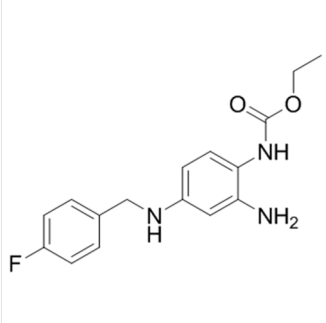
Retigabine (Potassium Channel开放剂)

产品编号	产品名称	包装
SF8993-10mM	Retigabine (Potassium Channel开放剂)	10mM×0.2ml
SF8993-5mg	Retigabine (Potassium Channel开放剂)	5mg
SF8993-25mg	Retigabine (Potassium Channel开放剂)	25mg

产品简介：

- **化学信息：**

化学名	ethyl N-[2-amino-4-[(4-fluorophenyl)methylamino]phenyl]carbamate
简称	Retigabine
别名	D-23129, Ezogabine, D23129, D 23129
中文名	瑞替加滨
化学式	$C_{16}H_{18}FN_3O_2$
分子量	303.33
CAS号	150812-12-7
纯度	98%
溶剂/溶解度	Water <1mg/ml; DMSO 4mg/ml; Ethanol <1mg/ml
溶液配制	5mg加入1.65ml DMSO，或每3.03mg加入1ml DMSO，配制成10mM溶液。SF8993-10mM用DMSO配制。



- **生物信息：**

产品描述	Retigabine (Ezogabine; D23129) is a Kv7.2-7.5 (KCNQ2-5) neuronal potassium channel opener witrh anticonvulsant activity.				
信号通路	Transmembrane Transporters				
靶点	Kv7.2-7.5	—	—	—	—
IC50	—	—	—	—	—
体外研究	Retigabine (D-23129) is a novel antiepileptic compound with broad spectrum and potent anticonvulsant properties, both in vitro and in vivo. The compound was shown to activate a K^+ current in neuronal cells. The pharmacology of the induced current displays concordance with the published pharmacology of the M-channel, which recently was correlated to the KCNQ2/3 K^+ channel heteromultimere. Retigabine is a novel anticonvulsant with an unknown mechanism of action. Application of 10μM retigabine to oocytes expressing the KCNQ2/3 heteromeric channel shifted both the activation threshold and voltage for half-activation by approximately 20mV in the hyperpolarizing direction, leading to an increase in current amplitude at test potentials between 80mV and +20mV. Retigabine also had a marked effect on KCNQ current kinetics, increasing the rate of channel activation but slowing deactivation at a given test potential. Retigabine shifted the voltage dependence of channel activation with an EC50value of 1.6±0.3μM (slope factor was 1.2±0.1, n=4 to 5 cells per concentration). Retigabine (0.1 to 10μM) also slowed the rate of channel deactivation, predominantly by increasing the contribution of a slowly deactivating tail current component. Administration of centrally acting M-channel opener retigabine (2.5 and 7.5mg/kg) can dose-dependently raise the head withdrawal threshold of mechanical allodynia, and this analgesic effect can be reversed by the specific KCNQ channel blocker XE991 (3mg/kg). Food intake was increased significantly by the administration of retigabine (2.5 and 7.5mg/kg), and this effect was reversed by XE991 (3mg/kg). Furthermore, intracerebralventricular injection of retigabine further confirmed the analgesic effect of central retigabine on inflammatory TMJ. Retigabine exerted both antiepileptogenic and antiictogenic effects under conditions of rapid kindling model.				
体内研究	N/A				
临床实验	N/A				
特征	N/A				

- **相关实验数据(此数据来自于公开文献，碧云天并不保证其有效性)：**

酶活性检测实验

方法	N/A

细胞实验	
细胞系	N/A
浓度	N/A
处理时间	N/A
方法	N/A

动物实验	
动物模型	N/A
配制	N/A
剂量	N/A
给药方式	N/A

- **参考文献：**

1.M.J. Brodie, H. Lerche,, A. Gil-Nagel, et al. Neurology. 2010 November 16, 75(20), 1817-1824 .
2.S Y M Yeung, M Schwake, et al. Br J Pharmacol. 2008 September, 155(1), 62-72.
3.Geraldine M Ferron, Alain Patat, Virginia Parks, et al. Br J Clin Pharmacol. 2003 July, 56(1), 39-45.

包装清单：

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SF8993-5mg	Retigabine (Potassium Channel开放剂)	5mg
SF8993-25mg	Retigabine (Potassium Channel开放剂)	25mg
—	说明书	1份

保存条件：

-20ºC保存，至少一年有效。5mg和25mg包装也可以室温保存，至少6个月有效。如果溶于非DMSO溶剂，建议分装后-80ºC保存，预计6个月有效。

注意事项：

- 本产品对人体有毒，操作时请特别小心，并注意有效防护以避免直接接触人体或吸入体内。
- 本产品仅限于专业人员的科学研究用，不得用于临床诊断或治疗，不得用于食品或药品，不得存放于普通住宅内。
- 为了您的安全和健康，请穿实验服并戴一次性手套操作。

使用说明：

1. 收到产品后请立即按照说明书推荐的条件保存。使用前可以在2,000-10,000g离心数秒，以使液体或粉末充分沉淀至管底后再开盖使用。
2. 对于10mM溶液，可直接稀释使用。对于固体，请根据本产品的溶解性及实验目的选择相应溶剂配制成高浓度的储备液(母液)后使用。
3. 具体的最佳工作浓度请参考本说明书中的体外、体内研究结果或其他相关文献，或者根据实验目的，以及所培养的特定细胞和组织，通过实验进行摸索和优化。
4. 不同实验动物依据体表面积的等效剂量转换表请参考如下网页：
   http://www.beyotime.com/support/animal-dose.htm

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