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：咪达那新
产品别名：Imidafenacin ； KRP-197; ONO-8025

生物活性：

Description

Imidafenacin(KRP-197; ONO-8025) is a potent and selective inhibitor of M3 receptors with Kb of 0.317 nM; less potent for M2 receptors(IC50=4.13 nM). IC50 value: 0.3 nM(M3) [1] in vitro: KRP-197 showed equipotent anti-M2 and anti-M3 activity and decreased subtype-selectivity [1]. in vivo: Intraduodenal administration of KRP-197 (0.04±0.30 mg/kg) inhibited bladder contraction dose-dependently, and the ED30 value was 0.11 mg/kg. The inhibitory action of KRP-197 on the bladder contraction was 19 times as potent as that of oxybutynin. KRP-197 showed preventive action against the decrease in bladder capacity induced by carbachol (ED50 0.074 mg/kg, intragastric administration), and the potency of the inhibitory action was 15-fold greater than that of oxybutynin [1]. The learning-inhibitory doses of intravenous oxybutynin hydrochloride and tolterodine tartrate were 0.3 and 3 mg/kg in sham-operated rats and 0.1 and 1 mg/kg in nbM-lesioned rats, respectively. Thus, the learning impairments by those antimuscarinics were more sensitive in nbM-lesioned rats than in sham-operated rats. On the other hand, intravenous administration of imidafenacin had no influence on learning in either case of the rats. In normal rats, however, intracerebroventricular administration of imidafenacin impaired learning to the same degree as that of oxybutynin hydrochloride [2].

Solvent&Solubility

In Vitro:

DMSO： 7.8 mg/mL (**24.42 mM;** Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1309 mL	15.6544 mL	31.3087 mL
5 mM	0.6262 mL	3.1309 mL	6.2617 mL
10 mM	0.3131 mL	1.5654 mL	3.1309 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]. Miyachi H, et al. Synthesis and antimuscarinic activity of a series of 4-(1-Imidazolyl)-2,2-diphenylbutyramides: discovery of potent and subtype-selective antimuscarinic agents. Bioorg Med Chem. 1999 Jun;7(6):1151-61.

[2]. Yamazaki T, et al. Imidafenacin has no influence on learning in nucleus basalis of Meynert-lesioned rats. Naunyn Schmiedebergs Arch Pharmacol. 2013 Dec;386(12):1095-102.