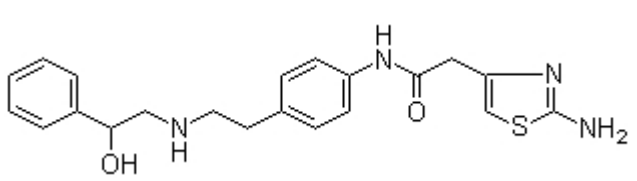


Product Introduction

Mirabegron

Mirabegron is a selective β_3 -adrenoceptor agonist with EC₅₀ of 22.4 nM.

Technical Data:

Molecular Weight (MW):	396.51	
Formula:	C ₂₁ H ₂₄ N ₄ O ₂ S	
Solubility (25°C)	DMSO 79 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol 8 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	223673-61-8	

Biological Activity

Mirabegron concentration-dependently increases the accumulation of cAMP in CHO cells expressing human β_3 -adrenoceptors (ARs) with I.A. of 0.8. Mirabegron has little agonistic effect on 1- and 2-ARs. Mirabegron concentration-dependently relaxes rat and Human bladder smooth muscle strips precontracted with 10⁻⁶ M or 10⁻⁷ M carbachol with EC₅₀ values of 5.1 μ M and 0.78 μ M, respectively. The maximal relaxant effects of Mirabegron are 94.0 % and 89.4% that of carbachol, respectively. [1] Mirabegron is a time-dependent inhibitor of CYP2D6 in the presence of NADPH as the IC₅₀ value in human liver microsomes decreased from 13 to 4.3 μ M after 30 min preincubation. Mirabegron acts partly as an

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irreversible or quasi-irreversible metabolism-dependent inhibitor of CYP2D6. [2]

Mirabegron produces a dose-dependent decrease in the frequency of rhythmic bladder contraction in anesthetized rats. 3 mg/kg i.v. Mirabegron suppresses the frequency to 2 counts/10 min. Mirabegron does not decrease the amplitude of rhythmic bladder contraction. [1] Mirabegron decreases primary bladder afferent activity and bladder microcontractions in rats. Mirabegron (0.3 and 1 mg/kg) inhibits mechanosensitive single-unit afferent activities (SAAs) of A δ fibers in response to bladder filling. SAAs of C-fibers decrease only at 1 mg/kg Mirabegron treatment. Mirabegron administration suppresses the mean bladder pressure and the number of microcontractions during an isovolumetric condition of the bladder. [3] Mirabegron is efficient on facilitation of bladder storage. Mirabegron dose-dependently decreases the resting intravesical pressure. Mirabegron dose dependently decreases the frequency of nonvoiding contractions, considered an index of abnormal response in bladder storage. Mirabegron exhibits no significant effects on the amplitude of nonvoiding contractions, micturition pressure, threshold pressure, voided volume, residual volume, or bladder capacity. [4]

References

- [1] Takasu T, et al. *J Pharmacol Exp Ther*, 2007, 321(2), 642-647.
- [2] Takusagawa S, et al. *Xenobiotica*, 2012, 42(12), 1187-1196.
- [3] Aizawa N, et al. *Eur Urol*, 2012, 62(6):1165-1173.
- [4] Hatanaka T, et al. *Naunyn Schmiedebergs Arch Pharmacol*, 2013, 386(1), 71-78.



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