Mirabegron

Cat. No.: HY-14773

CAS No.: 223673-61-8

Molecular Formula: $C_{21}H_{24}N_4O_2S$

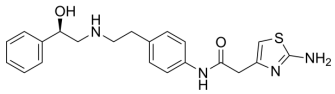
Molecular Weight: 396.51

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (252.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5220 mL	12.6100 mL	25.2200 mL
5 mM	0.5044 mL	2.5220 mL	5.0440 mL
10 mM	0.2522 mL	1.2610 mL	2.5220 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mirabegron is a selective β_3-adrenoceptor agonist with EC_{50} of 22.4 nM.

IC_{50} & Target

β adrenergic receptor

In Vitro

Mirabegron (YM178) increases cyclic AMP accumulation in Chinese hamster ovary (CHO) cells expressing human β_3-adrenoceptor (AR). EC_{50} value is 22.4 nM. EC_{50} values of Mirabegron for human β_1- and β_2-ARs are 10,000 nM or more, respectively. EC_{50} of Mirabegron in rat bladder strips precontracted with 10^{-6} M Carbachol (CCh) is 5.1 μM, whereas that in

	human bladder strips precontracted with 10^{-7} M CCh is 0.78 μM. Mirabegron concentration-dependently increases the accumulation of cAMP in CHO cells expressing human β_3-ARs, with an EC_{50} value and I.A. of 22.4 nM and 0.8, respectively. Mirabegron has little agonistic effect on β_1- and β_2-ARs. Compared by EC_{50} value, Mirabegron is approximately one third as potent as isoproterenol. The maximal relaxant effects of Mirabegron are 94±1%, that of CCh, indicating that Mirabegron acts a full agonist in the rat bladder. The maximal relaxant effects of Mirabegron is 89.4±2.3%[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mirabegron (YM178) produces a dose-dependent decrease in the frequency of rhythmic bladder contraction in anesthetized rats. In contrast, Mirabegron does not decrease the amplitude of rhythmic bladder contraction at up to 3 mg/kg i.v.. On the contrary, Oxybutynin significantly increases the frequency of rhythmic bladder contraction and decreased its amplitude at doses of 0.272 mg/kg i.v. or more[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	CHO cells (10^5) are seeded in each well of a 24-well culture plate and subcultured. Three days later, the medium is exchanged with 250 μL/well Hanks' balanced salt solution containing 0.1 mM 3-isobutyl-1-methylxanthine, pH 7.4. The cells are incubated with each compound (isoproterenol, Mirabegron, BRL37344, and CL316,243 at final concentrations of 10^{-10} to 10^{-4} M) for 10 min at 37°C, after which incubation is stopped by the addition of 250 μL of 0.2 M HCl. cAMP concentration in the reaction mixture is measured by radioimmunoassay using an ^{125}I-cAMP assay system using a gamma counter. Fifty microliters of reaction mixture is incubated with 50 μL of succinyl agent for 10 min at room temperature, after which the reaction is stopped by the addition of 400 μL of buffer solution. Fifty microliters of succinylated sample is incubated with 50 μL of ^{125}I-cAMP and 50 μL of anti-cAMP antibody for 24 h at 4°C. At the end of the incubation period, 250 μL of charcoal suspension is added and centrifuged for 10 min at 2800g at 4°C. Two hundred and fifty microliters of supernatant is transferred into a tube and counted for 1 min using a gamma counter. The intrinsic activity (I.A.) relative to isoproterenol for each β-adrenoceptor agonist is calculated using the maximal response of each compound[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats[1] Male (350 to 400 g) and female (225 to 290 g) Wistar rats are used. The free-form doses of 0.03, 0.1, 0.3, 1 and 3 mg/kg for Mirabegron and 0.0272, 0.0907, 0.272, 0.907, and 2.72 mg/kg for oxybutynin are used in this study. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2020 Aug 4;32(2):287-300.e7.
- Cardiovasc Drugs Ther. 2021 Jun 21.
- Patent. WO2015199097A1.

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REFERENCES

[1]. Takasu T, et al. Effect of (R)-2-(2-aminothiazol-4-yl)-4'-{2-[(2-hydroxy-2-phenylethyl)amino]ethyl} acetanilide (YM178), a novel selective beta3-adrenoceptor agonist, on bladder function. J Pharmacol Exp Ther. 2007 May;321(2):642-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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