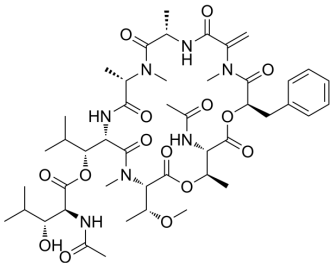
YM-254890

Cat. No.:	HY-111557
CAS No.:	568580-02-9
Molecular Formula:	$C_{46}H_{69}N_7O_{15}$
Molecular Weight:	960
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (52.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0417 mL	5.2083 mL	10.4167 mL
	5 mM	0.2083 mL	1.0417 mL	2.0833 mL
	10 mM	0.1042 mL	0.5208 mL	1.0417 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: ≥ 1.25 mg/mL (1.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (1.30 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (1.30 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

YM-254890 is a selective $G_{\alpha q/11}$ protein inhibitor isolated from Chromobacterium sp. YM-254890 shows no inhibition of other G protein subtypes. YM-254890 inhibits platelet aggregation induced by ADP by blocking the $P2Y_1$ signal transduction pathway, with an IC_{50} value below 0.6 μM[1][2].

IC_{50} & Target

P2Y1 Receptor

In Vitro

YM-254890 inhibits platelet aggregation induced by ADP (2, 5 and 20 μM) in human platelet-rich plasma with IC_{50} values of 0.37, 0.39 and 0.51 μM. ADP mediates platelet aggregation via two G protein-coupled receptors, $P2Y_1$ and $P2Y_{12}$. The effect of

YM-254890 on the $P2Y_1$ and $P2Y_{12}$ signal transduction pathways using C6-15 cells stably expressing the human $P2Y_1$ or $P2Y_{12}$ receptors is examined. Stimulation of $P2Y_1$-C6-15 cells by 2MeSADP leads to increases in intracellular calcium mobilization. In this assay, YM-254890 inhibits the increase in $[Ca^{2+}]_i$ with an IC_{50} value of 0.031 μM. In contrast, 2MeSADP-induced inhibition of forskolin-stimulated adenylyl cyclase activity in $P2Y_{12}$-C6-15 cells is unaffected by YM-254890 at 40 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2023 Nov 22;186(24):5347-5362.e24.
- Cell Host Microbe. 2023 Nov 8;31(11):1792-1803.e7.
- Cell Rep Med. 2024 Feb 20;5(2):101388.
- J Autoimmun. 2023 Mar 8;136:103012.
- Mucosal Immunol. 2020 Nov;13(6):931-945.

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REFERENCES

[1]. Taniguchi M, et al. YM-254890, a novel platelet aggregation inhibitor produced by Chromobacterium sp. QS3666. J Antibiot (Tokyo). 2003 Apr;56(4):358-63.

[2]. Zhang H, et al. Structure-activity relationship and conformational studies of the natural product cyclic depsipeptides YM-254890 and FR900359. Eur J Med Chem. 2018 Aug 5;156:847-860.

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