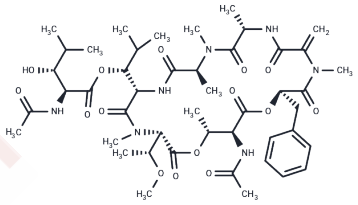
Data Sheet (Cat.No.T17273)

YM-254890

Chemical Properties



CAS No. : 568580-02-9

Formula: C46H69N7O15

Molecular Weight: 960.08

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	YM-254890 (YM) is a natural product and a Gαq/11 protein inhibitor (IC50 < 0.6 μM) with high selectivity and cell permeability. YM-254890 inhibits ADP-induced platelet aggregation by blocking the P2Y1 signaling pathway, and is widely used in research on thrombosis, pain, and uveal melanoma.
Targets(IC50)	P2Y Receptor
In vitro	**Methods**: Gαiq98,238 mutant protein and HEK293 cells were used, with single-molecule FRET, nucleotide exchange assays, and fluorescent reporter gene assays performed at YM-254890 concentrations of 0.02–200 μM, with incubation times of 20 min–18 h. **Results**: YM-254890 stabilized the closed conformation of the G protein domain, inhibited GDP release and nucleotide loading, reduced Gq-mediated reporter gene expression, and showed inhibitory effects on both mutant and wild-type proteins. [1] **Methods**: HEK293, HEK293 αq/11 KO, and HeLa cells were used, incubated with 1 μM YM-254890 for 1 h to 24 h, to perform immunofluorescence, pERK detection, dual-luciferase reporter, protein pull-down, and co-immunoprecipitation experiments. **Results**: YM-254890 induced translocation of αqQ209L from the cell membrane to the cytoplasm, inhibited its signal transduction, showed no inhibitory effect on membrane-localization-restricted αqQ209L mutants, and could bind to αq and regulate its protein interactions. [2]

Solubility Information

Solubility	DMSO: 30 mg/mL (31.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1.5 mg/mL (1.56 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0416 mL	5.2079 mL	10.4158 mL
5 mM	0.2083 mL	1.0416 mL	2.0832 mL
10 mM	0.1042 mL	0.5208 mL	1.0416 mL
50 mM	0.0208 mL	0.1042 mL	0.2083 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Todd, Tyson D et al. Stabilization of interdomain closure by a G protein inhibitor. Proceedings of the National Academy of Sciences of the United States of America vol. 121,36 (2024): e2311711121. .
Randolph, Clinita E et al. Enhanced membrane binding of oncogenic G protein αqQ209L confers resistance to inhibitor YM-254890. The Journal of biological chemistry vol. 298,11 (2022): 102538.