Product Data Sheet

Product Name: YM-254890
Cat. No.: GC46239

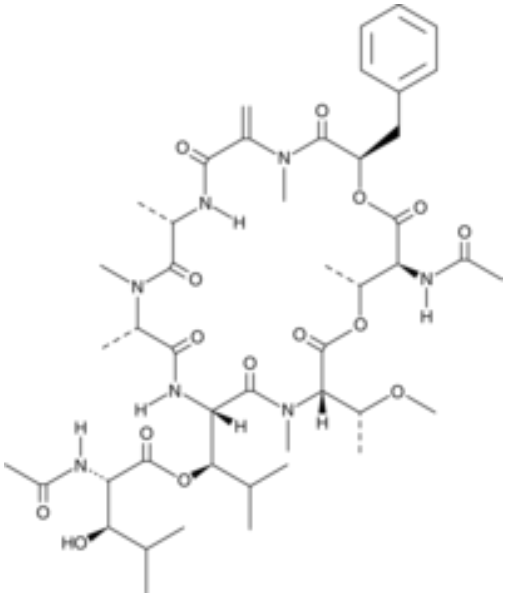
Chemical Properties

Cas. No.	568580-02-9
Chemical Name	N-acetyl-L-threonyl-(αR)-α-hydroxybenzenepropanoyl-2,3-didehydro-N-methylalanyl-L-alanyl-N-methyl-L-alanyl-(3R)-3-[[(2S,3R)-2-(acetylamino)-3-hydroxy-4-methyl-1-oxopentyl]oxy]-L-leucyl-N,O-dimethyl-L-threonine(7→1)-lactone
SMILES	O=C(N[C@@H](C)C1=O)C(N(C)C([C@H](OC([C@@H](NC(C)=O)[C@H](OC([C@@]([C@@H](C)OC)([H])N(C)C([C@@]([C@@H](C(C)C)OC([C@H]([C@H](O)C(C)C)NC(C)=O)=O)([H])NC([C@@H](N1C)C)=O)=O)=O)C)=O)CC2=CC=CC=C2)=O)=C

Formula	C46H69N7O15	M.Wt	960.1
Solubility	10mg/mL in DMSO	Storage	Store at -20°C

General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Product Data Sheet

YM-254890 is cyclic depsipeptide originally isolated from Chromobacterium and an inhibitor of Gαq/11.[1] It inhibits Gαq/11-mediated intracellular calcium mobilization induced by 2MeSADP or methacholine in C6-15 cells expressing the purinergic P2Y1 receptor (IC50 = 0.15 μM) and in CHO cells expressing M1 muscarinic acetylcholine receptors (IC50 = 0.15 μM), respectively, but has no effect on Gαi-mediated calcium mobilization induced by fMLP in HL-60 cells (IC50 = >10 μM). YM-254890 inhibits platelet aggregation induced by ADP, collagen, thrombin receptor agonist peptide (TRAP), arachidonic acid , or U-46619 in isolated human platelet-rich plasma (IC50s = 0.39, 0.15, 0.71, 0.25, and 0.34 μM, respectively).[2] It reduces shear stress-induced thrombus formation in isolated human whole blood. YM-254890 (1, 3, and 10 μg/kg) reduces platelet thrombus formation in a cynomolgus monkey model of femoral artery thrombosis.

Reference:

[1]. Takasaki, J., Saito, T., Taniguchi, M., et al. A Novel Gαq/11-selective Inhibitor. J. Biol. Chem. 279(46), 47438-47445 (2004).
[2]. Uemura, T., Kawasaki, T., Taniguchi, M., et al. Biological properties of a specific Gαq/11 inhibitor, YM-254890, on platelet functions and thrombus formation under high-shear stress. Br. J. Pharmacol. 148(1), 61-69 (2006).

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA