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DATASHEET

YM-254890

Product overview

Name	YM-254890
Cat No	HB6283
Biological action	Inhibitor
Purity	>98%
Description	Potent G _{q/11} signaling inhibitor. Reported as G _q -G-protein inhibitor and broad-spectrum inhibitor for G _q - and G _s -proteins.

Biological Data

Biological description	G _q -G-protein inhibitor which potently inhibits Gq/11 heterotrimeric G protein signaling by blocking GDP exchange of GTP on the α- subunit of the G _q complex. Recently reported to act as a broad-spectrum inhibitor for Gq- and Gs-proteins and exhibits a biased inhibition on Gi/o signaling, without affecting non-GPCR-mediated cellular signaling (Peng et al 2021). Shown to attenuate ADP-, collagen-, TRAP-, arachidonic acid- and U46619-induced platelet aggregation to show antithrombotic effects.
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Solubility & Handling

Storage instructions	-20 °C
Solubility overview	Soluble in DMSO (10mg/ml)
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use

Chemical Data

Chemical name	[(1 <i>R</i>)-1-[(3 <i>S</i> ,6 <i>S</i> ,9 <i>S</i> ,12 <i>S</i> ,18 <i>R</i> ,21 <i>S</i> ,22 <i>R</i>)-21-acetamido-18-benzyl-3-[(1 <i>R</i>)-1-methoxyethyl]-4,9,10,12,16,22-hexamethyl-15-methylidene-2,5,8,11,14,17,20-heptaoxo-1,19-dioxo-4,7,10,13,16-pentazacyclodocos-6-yl]-2-methylpropyl] (2 <i>S</i> ,3 <i>R</i>)-2-acetamido-3-hydroxy-4-methylpentanoate
Molecular Weight	960.1
Molecular Formula	C ₄₆ H ₆₉ N ₇ O ₁₅
CAS Number	568580-02-9
PubChem identifier	9919454
SMILES	<chem>C[C@@H]1[C@@H](C(=O)O[C@@H](C(=O)N(C(=C)C(=O)N[C@H](C(=O)N([C@H](C(=O)N[C@H](C(=O)N([C@H](C(=O)O1)[C@@H](C)OC)C)[C@@H](C(C)C)OC(=O)[C@H]([C@@H](C(C)C)O)N(C(=O)C)C)C)CC2=CC=CC=C2)NC(=O)C</chem>
Source	Chromobacterium sp.
InChi	InChi=1S/C46H69N7O15/c1-22(2)37(56)34(49-30(11)55)45(63)68-38(23(3)4)35-43(61)53(14)36(28(9)65-15)46(64)66-27(8)33(48-29(10)54)44(62)67-32(21-31-19-17-16-18-20-31)42(60)52(13)25(6)39(57)47-24(5)41(59)51(12)26(7)40(58)50-35/h16-20,22-24,26-28,32-38,56H,6,2
InChiKey	QVYLWCAYZGFGNF-WBWCVBGTSAN

References

[A novel Galphaq/11-selective inhibitor](#)

Takasaki et al (2004) J Biol Chem. 47438-45
PubMedID [15339913](#)

Functional evidence for biased inhibition of G protein signaling by YM-254890 in human coronary artery endothelial cells

Peng et al (2021) Eur J Pharmacol. 173706
PubMedID [33152337](#)

Effect of YM-254890, a specific Galphaq/11 inhibitor, on experimental peripheral arterial disease in rats

Uemura et al (2006) Eur J Pharmacol. 536(1-2)
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Biological properties of a specific Galpha q/11 inhibitor, YM-254890, on platelet functions and thrombus formation under high-shear stress

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Pharmacological properties of YM-254890, a specific G(alpha)q/11 inhibitor, on thrombosis and neointima formation in mice

Kawasaki et al (2005) Thromb Haemost. 94(1)
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Structural and Dynamical Basis of G Protein Inhibition by YM-254890 and FR900359: An Inhibitor in Action

Tietze et al (2019) J Chem Inf Model. 59(10)
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