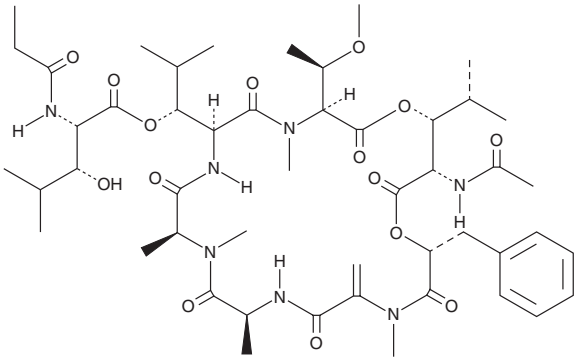
PRODUCT INFORMATION

FR900359

Item No. 33666

CAS Registry No.: 107530-18-7
Formal Name: (3R)-N-acetyl-3-hydroxy-L-leucyl-(αR)-α-hydroxybenzenepropanoyl-2,3-didehydro-N-methylalanyl-L-alanyl-N-methyl-L-alanyl-(3R)-3-[[(2S,3R)-3-hydroxy-4-methyl-1-oxo-2-[(1-oxopropyl)amino]pentyl]oxy]-L-leucyl-N,O-dimethyl-L-threonine, (7→1)-lactone
Synonym: UBO-QIC
MF: $C_{49}H_{75}N_7O_{15}$
FW: 1,002.2
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years
Item Origin: Bacteria



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

FR900359 is supplied as a crystalline solid. A stock solution may be made by dissolving the FR900359 in the solvent of choice, which should be purged with an inert gas. FR900359 is soluble in chloroform.

Description

FR900359 is a cyclic depsipeptide that has been found in *A. crenata* and is an inhibitor of $G\alpha_q$, $G\alpha_{11}$, and $G\alpha_{14}$ (IC_{50}s = 13.18, 10.47, and 10 nM, respectively).[1,2] It is selective for these Gα subunits over a panel of additional Gα subunits, including $G\alpha_s$ and $G\alpha_i$, in bioluminescence resonance energy transfer (BRET) assays at 1 µM.[3] FR900359 (1 µM) induces relaxation of precontracted isolated mouse tail arteries and inhibits platelet aggregation induced by U-46619 (Item No. 16450) in washed isolated human platelets cultured with aspirin (Item No. 70260) in a concentration-dependent manner.[3,4] It induces cell cycle arrest at the G_1 phase and reduces proliferation and serum-induced migration of B16 melanoma cells.[3] FR900359 (2.5 µg/animal) inhibits airway hyperresponsiveness in a mouse model of house dust mite-induced allergic asthma.[5]

References

1. Fujioka, M., Koda, S., Morimoto, Y., *et al.* Structure of FR900359, a cyclic depsipeptide from *Ardisia crenata sims. J. Org. Chem.* **53(12)**, 2820–2825 (1988).
2. Kukkonen, J.P. G-protein inhibition profile of the reported $G_{q/11}$ inhibitor UBO-QIC. *Biochem. Biophys. Res. Commun.* **469(1)**, 101-107 (2016).
3. Schrage, R., Schmitz, A.-L., Gaffal, E., *et al.* The experimental power of FR900359 to study Gq-regulated biological processes. *Nat. Commun.* **6**, 10156 (2015).
4. Inamdar, V., Patel, A., Manne, B.J., *et al.* Characterization of UBO-QIC as a $G\alpha_q$ inhibitor in platelets. *Platelets* **26(8)**, 771-778 (2015).
5. Matthey, M., Roberts, R., Seidinger, A., *et al.* Targeted inhibition of G_q signaling induces airway relaxation in mouse models of asthma. *Sci. Transl. Med.* **9(407)**, eaag2288 (2017).

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