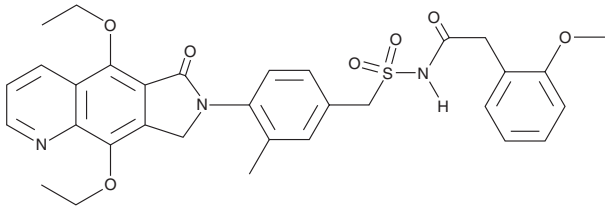
PRODUCT INFORMATION

MF498

Item No. 15973

CAS Registry No.:	915191-42-3
Formal Name:	N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]-2-methoxy-benzeneacetamide
MF:	$C_{32}H_{33}N_3O_7S$
FW:	603.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 204, 255 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

MF498 is supplied as a crystalline solid. A stock solution may be made by dissolving the MF498 in the solvent of choice, which should be purged with an inert gas. MF498 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MF498 in ethanol is approximately 20 mg/ml and approximately 10 mg/ml in DMSO and DMF.

MF498 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MF498 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. MF498 has a solubility of approximately 0.09 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Prostaglandin E_2 (PGE_2; Item No. 14010) activates four E prostanoid (EP) receptors, $EP_{1\text{-}4}$. EP_4 is a Gs protein-coupled receptor that, by elevating the second messenger cAMP, plays important roles in bone formation and resorption, inflammation, cancer, and atherosclerosis.[1-3] MF498 is a selective EP_4 receptor antagonist (K_i = 0.7 nM *versus* a K_i > 1 µM for other EP receptors).[4] In HEK293 cells expressing the human EP_4 receptor, MF498 inhibits EP ligand induced activity with an IC_{50} value of 1.7 nM.[4] In various animal models for arthritis, MF498 has been shown to inhibit inflammation without gastrointestinal toxicity (ED_{50} values as low as 0.02 mg/kg/day).[4]

References

1. Li, M., Thompson, D.D., and Paralkar, V.M. Prostaglandin E_2 receptors in bone formation. *Int. Orthop.* **31(6)**, 767-772 (2007).
2. Hawcroft, G., Ko, C.W.S., and Hull, M.A. Prostaglandin E_2-EP4 receptor signalling promotes tumorigenic behaviour of HT-29 human colorectal cancer cells. *Oncogene* **26(21)**, 3006-3019 (2007).
3. Babaev, V.R., Chew, J.D., Ding, L., *et al.* Macrophage EP4 deficiency increases apoptosis and suppresses early atherosclerosis. *Cell Metab.* **8(6)**, 492-501 (2008).
4. Clark, P., Rowland, S.E., Denis, D., *et al.* MF498 [N-{[4-(5,9-diethoxy-6-oxo-6,8-dihydro-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylbenzyl]sulfonyl}-2-(2-methoxyphenyl)acetamide], a selective E prostanoid receptor 4 antagonist, relieves joint inflammation and pain in rodent models of rheumatoid and osteoarthritis. *J. Pharmacol. Exp. Ther.* **325(2)**, 425-434 (2008).

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