

Product Name: MF498
Cat. No.: GC16800

Cas. No. 915191-42-3

Chemical 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

SMILES O=C1N(C2=C(C)C=C(CS(NC(CC3=CC=CC=C3OC)=O)(=O)=O)C=C2)CC4=C(OCC)C5=C(C=CC=N5)C(OCC)=C41

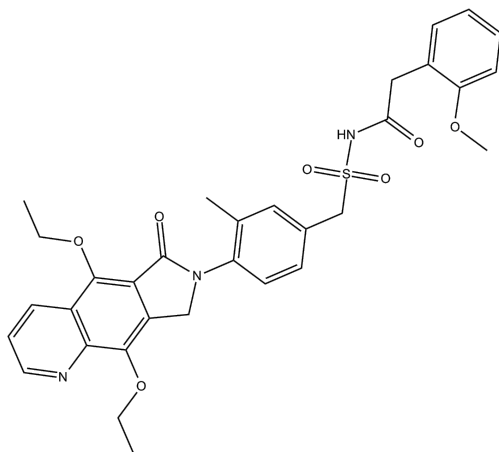
Formula	C ₃₂ H ₃₃ N ₃ O ₇ S	M.Wt	603.7
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Solubility	≤10mg/ml in DMSO;10mg/ml in dimethyl formamide	Storage	Store at -20°C
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General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
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Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
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Structure



MF498 is a novel and selective EP4 antagonist [1].

Prostaglandin E2 receptors (EP) are G-protein coupled receptors (GPCRs) and functions with the

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Product Data Sheet

binding of Prostaglandin (PG) E₂. The EPs exhibit differences in signal transduction, tissue localization, and regulation of expression. The EP₄ receptor is over-expressed in human prostate cancer tissue [2].

In vitro: MF498 was a selective EP₄ receptor antagonist with the K_i of 0.7 nM while the K_i > 1 μM for other EP receptors. In HEK293 cells expressing human EP₄ receptor, MF498 inhibited EP ligand-induced activity with an IC₅₀ value of 1.7 nM [1].

In vivo: In rodent models of rheumatoid and osteoarthritis, MF498 inhibited inflammation without gastrointestinal toxicity, the ED₅₀ values was as low as 0.02 mg/kg/day[1]. In adjuvant-induced arthritis (AIA) rat model for rheumatoid arthritis (RA), MF498 inhibited inflammation. In addition, MF498 was effective in relieving OA-like pain in guinea pigs. In rat models of gastrointestinal toxicity, MF498 was well tolerated, causing no mucosal leakage or erosions. In a furosemide-induced diuresis model, MF498 reduced furosemide-induced natriuresis by 50% [3].

References:

- [1] P. Clark, S. E. Rowland, D. Denis, 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. *Journal of Pharmacology and Experimental Therapeutics* 325(2), 425-434 (2008).
- [2] Sugimoto Y, Narumiya S. Prostaglandin E receptors[J]. *Journal of Biological Chemistry*, 2007, 282(16): 11613-11617.
- [3] 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]. *Journal of Pharmacology and Experimental Therapeutics*, 2008, 325(2): 425-434.

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