
Product Data Sheet

Product Name: N-Arachidonyl Maleimide

Cat. No.: GC10285

Chemical Properties

Cas. No. 876305-42-9

Chemical Name eicosa-5Z,8Z,11Z,14Z-tetraenyl-1-pyrrole-2,5-dione

SMILES CCCCC/C=C\C/C=C\C/C=C\C/C=C\C\CCCCN1C(=O)C=CC1=O

Formula $C_{24}H_{35}NO_2$ M.Wt 369.5

Solubility ≤ 30 mg/ml in DMSO; 30mg/ml in dimethyl formamide Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility , please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ 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

IC50: 140 nM

N-Arachidonyl Maleimide is a monoacylglycerol lipase (MGL) or MGL-like activity inhibitor.

2-Arachidonoyl glycerol (2-AG), an endogenous agonist of the central cannabinoid and peripheral cannabinoid receptors, is present with high levels in the central nervous system and is the most abundant molecular species of monoacylglycerol found brain. Monoacylglycerol lipase has been identified to hydrolyze 2-AG to arachidonic acid and glycerol, thereby terminating its biological actions.

In vitro: Previous study found that N-arachidonyl maleimide could increase the endogenous levels of 2-AG. Moreover, in agonist-stimulated guanosine 5'-O-(3-[(35)S]thio)triphosphate binding assay, N-arachidonyl maleimide was able to raise the potency of 2-AG, but not anandamide (AEA). In addition, unmasking of 2-AG effects of N-

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arachidonyl maleimide that were only partially reversed by SR141716A gave further support for the existence of non-CB(1), non-CB(2) cannabinoid receptors [1].

In vivo: Animal study showed that N-arachidonyl maleimide could unmask 2-AG activity in a tetrad of in vivo tests sensitive to the effects of cannabinoids in mice. The efficacy of 2-AG to produce hypothermia was reduced by the treatment of N-arachidonyl maleimide compared with Delta(9)-tetrahydrocannabinol. All tetrad effects were partially CB(1) receptor-mediated because they could be attenuated by CB(1) antagonist SR141716A and in CB(1)(-/-) mice [1].

Clinical trial: So far, no clinical study has been conducted.

Reference:

[1] Burston JJ et al. N-arachidonyl maleimide potentiates the pharmacological and biochemical effects of the endocannabinoid 2-arachidonylglycerol through inhibition of monoacylglycerol lipase. *J Pharmacol Exp Ther.* 2008 Nov;327(2):546-53.

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