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ProductInformation

β-Acetyl-γ-O-alkyl-L-α-phosphatidylcholine from bovine heart lecithin

Product Number **P 7568**
Storage Temperature -0 °C

Product Description

Molecular Weight: 523.7 (assuming the alkyl group is palmitic and a molecular formula of $C_{26}H_{54}NO_7P$).
NOTE: Since this product is derived from a natural product, the alkyl group is not exclusively palmityl. One reported composition of this material is approximately 56% palmityl (hexadecyl, C16), 10% stearyl (octadecyl, C18), and 11% pentadecyl (C15), with 13% unidentified.[2]
CAS Number: 53678-77-6
Synonyms: PAF; AGEPC; APRL; 1-O-Alkyl-2-acetyl-*sn*-glycero-3-phosphorylcholine; Anti-hypertensive polar renomedullary lipid
Appearance: Lyophilized powder

PAF is a phospholipid mediator known to activate a variety of cell types such as platelets, neutrophils, monocytes, some activated T cells, eosinophils, and basophils. It produces vascular effects such as hypotension, increased vascular permeability, and anaphylaxis. PAF is a mediator of embryo implantation, parturition. It is involved in stimulation of hepatic glycogenolysis.[3,4] PAF was first characterized structurally in 1979 as the active agent responsible for stimulation of platelet aggregation and secretion of granular constituents.[5-7] PAF is produced in response to specific stimuli by a variety of cell types, including neutrophils, basophils, platelets, and endothelial cells.[1] PAF causes platelets to aggregate, change shape, and release their granular contents at concentrations between 10^{-11} and 10^{-10} M.[8]

The synthesis and expression of PAF, its binding to a specific cell surface receptor, and its degradation are regulated events.[4,9-11] The initial formation and synthesis of PAF is initiated by an elevation of intracellular calcium concentrations. This can be initiated by binding of a ligand to its receptor on the cell surface with activation of G protein and its resulting actions on formation of inositol phosphates and their actions to elevate intracellular calcium.[3,10] Extensive structural-activity studies have identified the structural components essential for bioactivity.[12] The same stereochemistry as in other natural phospholipids is essential. High affinity recognition requires the *sn*-2 acetyl residue. The ether linkage at the *sn*-1 position is also critically important as is the choline part of the molecule. The fatty alcohol at the *sn*-1 position is typically saturated and 16 carbons in length. Some differences in saturation and chain length have only a modest effect on the potency of the PAF.[3,12]

Related PAF products include:
P 9525: β-Acetyl-γ-O-alkyl-L-α-phosphatidylcholine from bovine heart lecithin, chloroform solution.
P 4904: 1-O-hexadecyl-2-acetyl-*sn*-glycero-3-phosphocholine.
P 5029: 1-O-(cis-9-octadecenyl)-2-acetyl-*sn*-glycero-3-phosphocholine.
P 1402: 1-O-Palmityl-2-acetyl-*rac*-glycero-3-phosphocholine.
P 6537: 1-O-octadecyl-2-acetyl-*sn*-glycero-3-phosphocholine.
O 9262: 1-O-octadecyl-2-O-methyl-*sn*-glycero-3-phosphocholine.

Precautions and Disclaimer

For Laboratory Use Only. Not for drug, household or other uses.

Preparation Instructions

PAF is soluble in chloroform (50 mg/ml), yielding a clear, colorless solution. Solvents such as ethanol, methanol, and DMSO may be suitable with lower concentrations of PAF. A solution in chloroform (2 mg/ml) is supplied as Product No. P9525. Aqueous dispersions of PAF are prepared in 0.15 M NaCl containing 2.5 mg/ml of bovine serum albumin (BSA). BSA is required for dispersion of the PAF.[4]

Storage/Stability
This PAF is a fully saturated compound and would not be subject to oxidation of double bonds. One potential problem could be hydrolysis or transesterification of the acetyl group, particularly if not properly stored in a solution. This hydrolysis could be readily detected on assay by TLC on a silica gel plate using chloroform:methanol:water (65:25:4, v/v/v). The dried or powder material stored in a freezer should be stable for at least a year. A solution in chloroform (Prod No. P 9525) should be stored in a freezer.

Procedure
This product is prepared semisynthetically from L-α-phosphatidylcholine derived from bovine heart by hydrogenation, hydrolysis of esterified fatty acids with NaOH, and acylation of the β or *sn*-2 position on the glycerol backbone using acetic anhydride. It is based on a published method of preparation.[5] A method for separation of derivatized PAF by HPLC and quantitation using fluorescence detection has been published.[13]

References

1. The Merck Index, 12th Ed., Entry# 7682.
2. Jackson, E. M., et al., High performance liquid chromatography of platelet-activating factors. J. Lipid Res., **25(7)**, 753-757 (1984).
3. Whatley, R. E., et al., in Handbook of Lipid Research, Vol. 8, Bell, R. M., et al., ed., Plenum Press (New York, NY: 1996), pp. 239-276.
4. Kulikov, V.I. and Muzya, G.I., The bioregulatory role of platelet-activating factor in intracellular processes and cell-cell interactions. Biochemistry (Mosc.), **63(1)**, 47-54 (1998).
5. Demopoulos, C. A., et al., Platelet-activating factor. evidence for 1-O-alkyl-2-acetyl-*sn*-glyceryl-3-phosphorylcholine as the active component (a new class of lipid chemical mediators). J. Biol. Chem., **254(19)**, 9355-9358 (1979).
6. Benveniste J., et al., Semisynthese et structur proposes du facteur activant leg plaquettes (P.A.F.): PAF-acether, un alkyl ether analogue de la lysophosphatidylcholine,
7. C. R. Acad. Sci. (Paris), **289(14)**, 1037-1040 (1979).
8. Blank, M. L., et al., Antihypertensive activity of an alkyl ether analogue of phosphatidylcholine. Biochem. Biophys. Res. Commun., **90(4)**, 1194-1200 (1979).
9. Cusack, N.J., Platelet-activating factor. Nature, **285(5762)**, 193 (1980).
10. Baker, P. R., et al., Regulation of platelet-activating factor synthesis in human neutrophils by MAP kinases. Biochim. Biophys. Acta, **1592(2)**, 175-184 (2002).
11. Ishii, S., et al., Platelet-activating factor receptor. Prostaglandins Other Lipid Mediat., **68-69**, 599-609 (2002).
12. Karasawa, K., et al., Plasma platelet activating factor-acetylhydrolase (PAF-AH). Prog. Lipid Res., **42(2)**, 93-114 (2003).
13. Blank, M. L., et al., Structural features of platelet-activating factor (1-alkyl-2-acetyl-*sn*-glycero-3-phosphocholine) required for hypotensive and platelet serotonin responses. Res. Commun. Chem. Pathol. Pharmacol., **38(1)**, 3-20 (1982).
14. Mita, H., et el., Quantitation of platelet-activating factor by high-performance liquid chromatography with fluorescent detection. Anal. Biochem., **180(1)**, 131-135 (1989).

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