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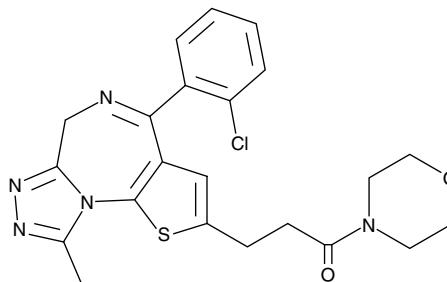
Product Information



Apafant

Item No. 14532

CAS Registry No.: 105219-56-5
Formal Name: 3-[4-(2-chlorophenyl)-9-methyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-2-yl]-1-(4-morpholinyl)-1-propanone
Synonym: WEB 2086
MF: C₂₂H₂₂ClN₅O₂S
FW: 456.0
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 204, 242 nm



Laboratory Procedures

For long term storage, we suggest that apafant be stored as supplied at -20°C. It should be stable for at least two years.

Apafant is supplied as a crystalline solid. A stock solution may be made by dissolving the apafant in the solvent of choice. Apafant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of apafant in these solvents is approximately 5, 16.6, and 14.3 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of apafant can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of apafant in PBS, pH 7.2, is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Platelet-activating factor (PAF) is a biologically active phospholipid that activates platelets, polymorphonuclear leukocytes, monocytes, and macrophages.¹ PAF also increases vascular permeability, decreases cardiac output, induces hypotension, stimulates uterine contraction, and has been implicated in pathological processes, such as inflammation and allergy.² Apafant is a water soluble, selective PAF receptor antagonist that inhibits PAF binding to human PAF receptors with a K_i value of 9.9 nM.³ Apafant displays anti-inflammatory, antiangiogenic, and anticancer activity.³⁻⁵

References

1. Prescott, S.M., Zimmerman, G.A., and McIntyre, T.M. Platelet-activating factor. *J. Biol. Chem.* **265**, 17381-17384 (1990).
2. Snyder, F. Platelet-activating factor and related acetylated lipids as potent biologically active cellular mediators. *Am. J. Physiol. Cell Physiol.* **259**, C697-C708 (1990).
3. Kato, M., Imoto, K., Miyake, H., *et al.* Apafant, a potent platelet-activating factor antagonist, blocks eosinophil activation and is effective in the chronic phase of experimental allergic conjunctivitis in guinea pigs. *J. Pharmacol. Sci.* **95**(4), 435-442 (2004).
4. Bielenberg, G.W., Wagener, G., and Beck, T. Infarct reduction by the platelet activating factor antagonist apafant in rats. *Stroke* **23**(1), 98-103 (1992).
5. Cellai, C., Laurenzana, A., Vannucchi, A.M., *et al.* Growth inhibition and differentiation of human breast cancer cells by the PAFR antagonist WEB-2086. *Br. J. Cancer* **94**, 1637-1642 (2006).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/14532

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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