

PRODUCT INFORMATION

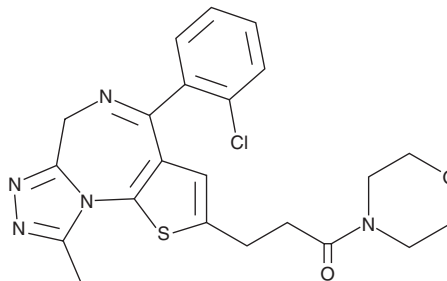


Apafant

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%
UV/Vis.: λ_{max}: 204, 242 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

Apafant is supplied as a crystalline solid. A stock solution may be made by dissolving the apafant in the solvent of choice, which should be purged with an inert gas. Apafant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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.

Description

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. *J. Biol. Chem.* **265**(29), 17381-17384 (1990).
2. Snyder, F. *Am. J. Physiol. Cell Physiol.* **259**(5 Pt 1), C697-C708 (1990).
3. Kato, M., Imoto, K., Miyake, H., et al. *J. Pharmacol. Sci.* **95**(4), 435-442 (2004).
4. Bielenberg, G.W., Wagener, G., and Beck, T. *Stroke* **23**(1), 98-103 (1992).
5. Cellai, C., Laurenzana, A., Vannucchi, A.M., et al. *Br. J. Cancer* **94**(11), 1637-1642 (2006).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM