

Product Data Sheet

Product Name: Okadaic acid

Cat. No.: GC16958

Chemical Properties

Cas. No. 78111-17-8

Chemical Name (6R)- α R,5R-dihydroxy-a,10-dimethyl-8S-[(2E)-1R-methyl-3-[(2R,4'aR,8'aS)-octahydro-8'R-hydroxy-6'S-[1S-hydroxy-3S-[(6S)-3R-methyl-1,7-dioxaspiro[5.5]undec-2S-yl]butyl]-7'-methylenespiro[furan-2(3H),2'(3'H)-pyrano[3,2-b]pyran]-5R-yl]-2S-propen-1-yl]-1,7-dioxaspiro[5.5]undec-10-ene-2S-propanoic acid

SMILES CC1CCC2(CCCCO2)OC1C(C)CC(C3C(=C)C(C4C(O3)CCC5(O4)CCC(O5)C=CC(C)C6CC(=CC7(O6)C(CCC(O7)CC(C)(C(=O)O)O)O)C)O)O

Formula C₄₄H₆₈O₁₃ M.Wt 805.01

Solubility DMSO: soluble; Ethanol: soluble; Methanol: soluble Storage Desiccate at -20°C

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.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

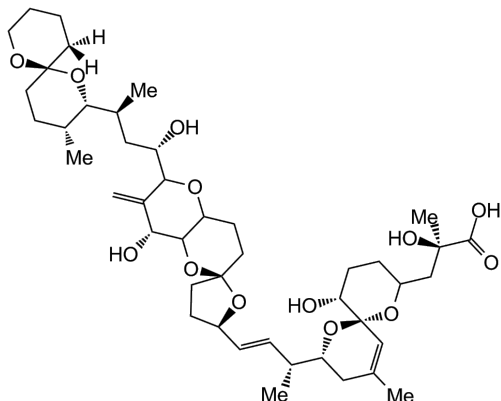
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines Rabbit lens epithelial cells, N/N1003A cells

Preparation method The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 10-100 nM, 0-24 h,

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Applications	In confluent rabbit lens epithelial cells (RLECs), okadaic acid (100 nM) within 3 to 24 h significantly induced cell apoptosis. Also, okadaic acid induced the expression of p53 and bax, which were necessary for the apoptotic programs. In N/N1003A cells, okadaic acid (10 nM) decreased total phosphatase activity by 20% and mainly inhibited PP-2A activity, while okadaic acid (100 nM) reduced 81% total phosphatase activity and inhibited PP-1 and PP-2A activity.
Animal experiment [2]:	
Animal models	Adult male Wistar rats
Dosage form	0-10 mg/kg, 30 min, injection cannula
Application	Intrastriatal infusion of okadaic acid (0.005, 0.05 and 0.5 nmol) increased CREB and Elk-1 phosphorylation and c-Fos immunoreactivity in the injected dorsal striatum in a dose-dependent manner. Okadaic acid (0.05 and 0.5 nM) increased c-fos mRNA expression in the dorsal striatum in a dose-dependent manner. Okadaic acid (0.05 and 0.5 nmol) at a survival time of 30 min significantly increased c-fos mRNA hybridization signals in the striatum in a dose-dependent manner. Okadaic acid at 0.05 nmol significantly increased pCREB and pElk-1. Okadaic acid (10 nM) inhibited PP-2A activity and okadaic acid (100 nM) inhibited both PP-2A and PP-1 activity.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

- [1]. Li DW, Fass U, Huizar I, et al. Okadaic acid-induced lens epithelial cell apoptosis requires inhibition of phosphatase-1 and is associated with induction of gene expression including p53 and bax. Eur J Biochem, 1998, 257(2): 351-361.
- [2]. Choe ES, Parelkar NK, Kim JY, et al. The protein phosphatase 1/2A inhibitor okadaic acid increases CREB and Elk-1 phosphorylation and c-fos expression in the rat striatum in vivo. J Neurochem, 2004, 89(2): 383-390.

Background

Okadaic acid is a marine sponge toxin which potently inhibits certain serine/threonine protein phosphatases. This cell permeable inhibitor targets the multiple isoforms of PP1 (IC₅₀ = 10-50 nM), both isoforms of PP2A (IC₅₀ = 0.5 nM) and PP3 (IC₅₀ = 4 nM).[1],[2],[3] It is a very weak inhibitor of PP2B (IC₅₀ > 2 μM) and does not inhibit PP2C or other phosphatases.[1],[3] Presumably through these actions, okadaic acid is a potent carcinogen and induces tau phosphorylation.[4],[5] In sponge, okadaic acid plays a role in defense, inducing apoptosis in symbiotic or parasitic annelids.[6]

Reference:

- [1]. Bialojan, C., and Takai, A. Inhibitory effect of a marine-sponge toxin, okadaic acid, on protein phosphatases. Specificity and kinetics. Biochemistry Journal 256, 283-290 (1988).
- [2]. Gupta, V., Ogawa, A.K., Du, X., et al. A model for binding of structurally diverse natural product inhibitors of protein phosphatases PP1 and PP2A. Journal of Medicinal Chemistry 40, 3199-3206 (1997).
- [3]. McCluskey, A., Sim, A.T.R., and Sakoff, J.A. Serine-threonine protein phosphatase inhibitors: Development of potential therapeutic strategies. Journal of Medicinal Chemistry 45(6), 1151-1175 (2002).
- [4]. Suganuma, M., Fujiki, H., Suguri, H., et al. Okadaic acid: An additional non-phorbol-12-tetradecanoate-13-acetate-type tumor promoter. Proceedings of the National Academy of Sciences of the United States of America 85, 1768-1771 (1988).
- [5]. Zhang, Z., and Simpkins, J.W. Okadaic acid induces tau phosphorylation in SH-SY5Y cells in an estrogen-preventable manner. Brain Research 1345, 176-181 (2010).
- [6]. Schröder, H.C., Breter, H.J., Fattorusso, E., et al. Okadaic acid, an apoptogenic toxin for

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symbiotic/parasitic annelids in the demosponge *Suberites domuncula*. *Applied and Environmental Microbiology* 72(7), 4907-4916 (2006).

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