

Product Data Sheet

Product Name: Calyculin A

Cat. No.: GC18106

Chemical Properties

Cas. No. 101932-71-2

Chemical Name N-[(3S)-[4-(1E)-3-[(2R,3R,4R,7S,8S,9R)-2-[(1S,3S,4S,5R,7E,9E,11E,13Z)-14-Cyano-3,5-dihydroxy-1-methoxy-4,6,8,9,13-pentamethyl-7,9,11,13-tetradecatetraenyl]-9-hydroxy-4,4,8-trimethyl-3-(phosphonooxy)-1,6-dioxaspiro[4.5]dec-7-yl]-1-propenyl]-2-oxazolyl]butyl

SMILES CC1C(CC2(C(C(C(O2)C(CC(C(C)C(C(C)C=C(C)C(=CC=CC(=CC#N)C)C)O)O)OC)OP(=O)(O)O)(C)C)OC1CC=CC3=COC(=N3)C(C)CCNC(=O)C(C(C(COC)N(C)C)O)O)O

Formula C₅₀H₈₁N₄O₁₅P

M.Wt 1009.18

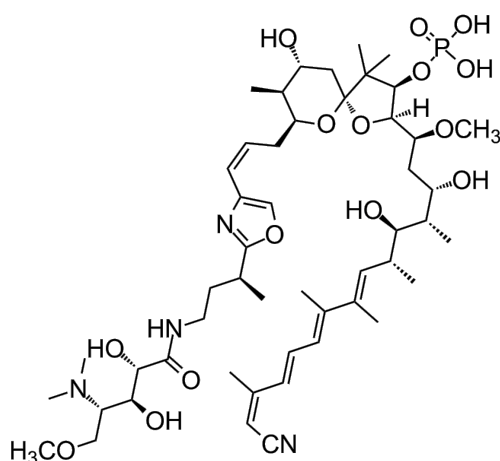
Solubility DMSO: Soluble, Ethanol: Soluble

Storage Store at -20°C, protect from light

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.

Structure



Protocol

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Cell experiment [1]:

Cell lines MCF7 cells

Preparation Method MCF7 cells were treated with 10nM Calyculin A for 6h. Then the soluble fraction of cell extracts was collected and used to measure protein phosphatases 1 (PP1) and 2A (PP2A) activity.

Reaction Conditions 10nM; 6h

Applications Calyculin A inhibits the activities of PP1 and PP2A in MCF7 cells.

Animal experiment [2]:

Animal models Sprague-Dawley Rat

Preparation Method Rats were anesthetized then isolate the right common carotid artery and the left jugular vein. Insert a calibrated Millar pressure-volume catheter into the left ventricle via the right common carotid artery. Calyculin A was injected through the left jugular vein while recording the left ventricular pressure-volume loop (P-V loop), aortic pressure, and vascular hemodynamic parameters.

Dosage form 0.8μg/kg; i.v.

Applications Calyculin A administered intravenously significantly enhanced the positive inotropy and improved the diastolic function of left ventricle.

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References:

- [1]. Favre B, Turowski P, Hemmings BA. Differential inhibition and posttranslational modification of protein phosphatase 1 and 2A in MCF7 cells treated with calyculin-A, okadaic acid, and tautomycin. *J Biol Chem*. 1997 May 23;272(21):13856-63. doi: 10.1074/jbc.272.21.13856. PMID: 9153244.
- [2]. HUANG Hui-li, XIE Ming, GAO Li, ZHANG Wen-hui, CHEN Ke-su, LIU Fu-ming, CHEN Long. Studies on hemodynamic effects of Calyculin A of protein phosphatase 1 and 2A inhibitor and its underlying mechanism in rats. *Chinese Pharmacological Bulletin*, 2018, 34(12): 1697-1702.

Background

Calyculin A is a potent inhibitors of protein phosphatases 1 (PP1) and phosphatases 2A (PP2A), with IC₅₀ values of 2nM and 0.5–1.0nM, respectively^[1]. Calyculin A is a major cytotoxic compound isolated from the Japanese marine sponge *Discodermia calyx*^[2]. Ascribed to the specific and potent inhibition of PP1 and PP2A, Calyculin A is widely used for evaluating intracellular signal transduction^[3] and cancer research^[4].

Calyculin A (10nM; 6h) treatment can induce inhibition of PP1 and PP2A activities and changes in immunoreactivity of PP1c and PP2Ac in MCF7 cells^[5]. Calyculin A (1nM; 1h) stimulated TNF- α transcriptional activity and increased the expression of TNF- α in MC3T3-E1 cells^[6].

Calyculin A (0.8 μ g/kg) significantly enhanced the positive inotropy and improved the diastolic function of left ventricle by increasing stroke work, cardiac output, stroke volume, ejection fraction, the slope of the left ventricular end-systolic P-V relationship (Ees) and by decreasing the slope of the left ventricular end- diastolic P-V relationship (Eed) in rats^[7].

References:

- [1]. Ishihara H, Martin BL, Brautigan DL, et al. Calyculin A and okadaic acid: inhibitors of protein phosphatase activity. *Biochem Biophys Res Commun*. 1989 Mar 31;159(3):871-7. doi: 10.1016/0006-291x(89)92189-x. PMID: 2539153.
- [2]. Wakimoto T, Egami Y, Abe I. Calyculin: Nature's way of making the sponge-derived cytotoxin. *Nat Prod Rep*. 2016 Jun 2;33(6):751-60. doi: 10.1039/c5np00123d. PMID: 26923942.
- [3]. Antaraki A, Ang KL, Antoni FA. Involvement of calyculin A inhibitable protein phosphatases in the cyclic AMP signal transduction pathway of mouse corticotroph tumour (AtT20) cells. *Br J Pharmacol*.

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1997 Jul;121(5):991-9. doi: 10.1038/sj.bjp.0701228. PMID: 9222558; PMCID: PMC1564779.

[4]. Edelson JR, Brautigan DL. The Discodermia calyx toxin calyculin A enhances cyclin D1 phosphorylation and degradation, and arrests cell cycle progression in human breast cancer cells. Toxins (Basel). 2011 Jan;3(1):105-19. doi: 10.3390/toxins3010105. Epub 2011 Jan 24. PMID: 22069692; PMCID: PMC3210456.

[5]. Favre B, Turowski P, Hemmings BA. Differential inhibition and posttranslational modification of protein phosphatase 1 and 2A in MCF7 cells treated with calyculin-A, okadaic acid, and tautomycin. J Biol Chem. 1997 May 23;272(21):13856-63. doi: 10.1074/jbc.272.21.13856. PMID: 9153244.

[6]. Qiu L, Yoshida K, Amorim BR, et al. Calyculin A stimulates the expression of TNF-alpha mRNA via phosphorylation of Akt in mouse osteoblastic MC3T3-E1 cells. Mol Cell Endocrinol. 2007 Jun 15;271(1-2):38-44. doi: 10.1016/j.mce.2007.03.005. Epub 2007 Apr 4. PMID: 17482757.

[7]. HUANG Hui-li, XIE Ming, GAO Li, et al. Studies on hemodynamic effects of Calyculin A of protein phosphatase 1 and 2A inhibitor and its underlying mechanism in rats. Chinese Pharmacological Bulletin, 2018, 34(12): 1697-1702.

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