Product Data Sheet

Product Name: Phomopsin A
Cat. No.: GC15514

Chemical Properties

Cas. No.	64925-80-0
Chemical Name	(2E)-(βS)-3-chloro-β,5-dihydroxy-N-methyl-L-tyrosyl-3,4-didehydro-L-valyl-3-hydroxy-L-isoleucyl-3,4-didehydro-L-prolyl-(2E)-2,3-didehydroisoleucyl-2,3-didehydro-aspartic acid, cyclic (1^5→3)-ether
SMILES	OC(/C(NC(/C(NC([C@H]1N(C([C@@H]2NC([C@H](C(C)=C)NC([C@@H](NC)[C@H](C3=CC(O[C@@]2(CC)C)=C(O)C(Cl)=C3)O)=O)=O)=O)CC=C1)=O)=C(CC)/C)=O)=C\C(O)=O)=O
Formula	$C_{36}H_{45}ClN_6O_{12}$
M.Wt	789.2
Solubility	DMF: Soluble,DMSO: Soluble,Ethanol: Soluble,Methanol: Soluble
Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Phomopsin A

Background

Phomopsin A is a cyclic hexapeptide mycotoxin that inhibits β-tubulin.

Phomopsins are a family of mycotoxins produced by the fungus Phomopsis leptostomiformis grows on lupins, which cause lupinosis, a severe liver disease of grazing animals [1][2].

Microtubules are one of the major components of the cytoskeleton that are essential in several cellular functions such as cell division and morphogenesis. α- and β-tubulins polymerize into microtubules.

Phomopsin A is a cyclic hexapeptide mycotoxin that binds β-tubulin in a vinca domain, partly overlapping with the site targeted by vinblastine and other tubulin inhibitors [2][3]. Phomopsin A noncompetitively inhibited the binding of radiolabeled vinblastine to tubulin with IC50 and Ki values of 0.8 μM and 2.8 μM, respectively. Phomopsin A potently inhibited tubulin-dependent GTP hydrolysis and nucleotide exchange on tubulin [2]. Phomopsin A, a vinca domain antimitotic peptide, also inhibited microtubule assembly [3][4]. Phomopsin A inhibited microtubule growth, modulated the dynamics of microtubules, and induced the self-association of tubulin dimers into single-walled rings and spirals [4].

References:
[1]. Hamel E. Natural products which interact with tubulin in the vinca domain: maytansine, rhizoxin, phomopsin A, dolastatins 10 and 15 and halichondrin B. Pharmacol Ther. 1992;55(1):31-51.
[2]. Cormier A, Marchand M, Ravelli RB, et al. Structural insight into the inhibition of tubulin by vinca domain peptide ligands. EMBO Rep. 2008 Nov;9(11):1101-6.
[3]. Li Y, Kobayashi H, Hashimoto Y, et al. Binding selectivity of rhizoxin, phomopsin A, vinblastine, and

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ansamitocin P-3 to fungal tubulins: differential interactions of these antimitotic agents with brain and fungal tubulins. Biochem Biophys Res Commun. 1992 Sep 16;187(2):722-9.
[4]. Mitra A, Sept D. Localization of the antimitotic peptide and depsipeptide binding site on beta-tubulin. Biochemistry. 2004 Nov 9;43(44):13955-62.

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