Product Data Sheet

Product Name: Luotonin A
Cat. No.: GC15612

Chemical Properties

Cas. No.	205989-12-4		
Chemical Name	quino[2',3':3,4]pyrrolo[2,1-b]quinazolin-11(13H)-one		
SMILES	O=C1N2C(C(N=C(C=CC=C3)C3=C4)=C4C2)=NC5=CC=CC=C51		
Formula	$C_{18}H_{11}N_3O$	M.Wt	285.3
Solubility	≤3mg/ml in DMSO;3mg/ml in dimethyl formamide	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	Luotonin A		

Background

IC50: 1.8 μg/mL for P-388 cell line

Luotonin A binds to and stabilizes the topoisomerase I-DNA binary complex.

Topoisomerase DNA complexes have been reported as the primary target of several important antitumor agents. These agents have been shown to be able to increase the number of topoisomerase-associated DNA strand breaks in cells, by stabilizing the covalent enzyme-DNA complex and therefore diminishing the resealing of the DNA phosphodiester linkages

In vitro: Luotonin A was isolated as a pyrroloquinazolinoquinoline alkaloid from the Chinese medicinal plant Peganum nigellastrum. Luotonin A could stabilize the human DNA topoisomerase I-DNA covalent binary complex and mediate topoisomerase I-dependent cytotoxicity in intact cells. Similar to camptothecin, luotonin A was able to effect concentration-dependent stabilization of the enzyme-DNA binary complex.

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However, neither camptothecin nor luotonin A had any measurable effect on DNA in the absence of topoisomerase I. In addition, luotonin A was evaluated in a strain of Saccharomyces cereVisiae lacking yeast topoisomerase I, but having a plasmid having the human topoisomerase I gene under the control of a galactose promoter. Results showed that luotonin A at 1 μM concentration could produce 36% inhibition of growth in the presence of galactose. Moreover, in replicate experiments, lutonin A exhibited IC50 values from 5.7 to 12.6 μM in the presence of galactose, while the comparable values for camptothecin were from 0.74 to 0.86 μM [1].

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Cagir, A. ,Jones, S.H.,Gao, R., et al. Luotonin A. A naturally occurring human DNA topoisomerase I poison. Journal of the American Chemical Society 125(45), 13628-13629 (2003).

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