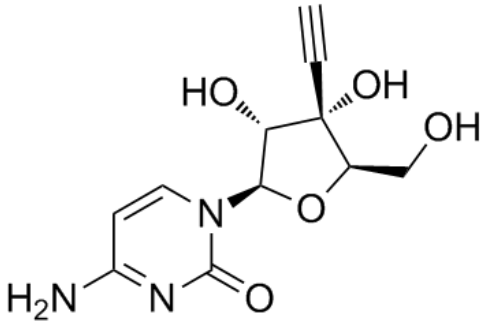
Product Data Sheet

Product Name: Ethynylcytidine (ECyD)
Cat. No.: GC34112

Chemical Properties

Cas. No.	180300-43-0		
SMILES	OC[C@@H]1[C@@]([C@H]([C@H](N2C(N=C(C=C2)N)=O)O1)O)(C#C)O		
Formula	$C_{11}H_{13}N_3O_5$	M.Wt	267.24
Solubility	DMSO : 83.3 mg/mL (311.70 mM)	Storage	Store 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.		

Structure



Protocol

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Cell experiment: MIAPaCa-2 cells are maintained in Dulbecco's modified Eagle's medium supplemented with 10% FCS and 2.5% horse serum. Cells in the exponential growth phase are seeded onto 6-well plates (5×104 cells/1.8 mL/well) on day 0. Twenty-four hours after seeding, on day 1, Ethynylcytidine (TAS-106) (6 concentrations range from 0 to 100 μM) is added to cultured cells (3 wells at each concentration) at a volume of 0.2 mL/well. After 4 and 24 h, on the 4 and 24 h Ethynylcytidine exposure schedules, the drug-containing medium is removed, and the cells are washed twice with Dulbecco's phosphate buffered saline and subsequently cultured in drug-free medium until day 4. On the 72 h exposure schedule, after adding the Ethynylcytidine, cells are cultured continuously until day 4. On day 4, cell numbers are determined and converted to values related to the cell numbers on day 1. The concentration of Ethynylcytidine which inhibits cell growth by 50% (IC50) is calculated from this relative cell growth. Two or three individual experiments are conducted on each cell line to confirm reproducibility[1].

Animal experiment: Nude rats s.c. transplanted with LX-1 human lung tumors are given a single i.v. dose of [3H]Ethynylcytidine (TAS-106) (6 mg/kg, 3.7 MBq/kg). For analysis of the distribution of radioactivity and intratumoral Ethynylcytidine metabolism, serum and various tissues (tumor, skin, lung, liver, kidney, spleen, small intestine, large intestine, testis, brain, and bone marrow cells) are sampled from 3 rats at each of the following 6 time points: 0.5, 1, 2, 4, 8 and 24 h after i.v. administration. At each point in time, bone marrow cells are collected from the 3 rats and combined into a cell pellet. All samples of serum, bone marrow cell pellets, and tissues are immediately frozen on dry ice and stored at -30°C until used[1].

References:

[1]. Shimamoto Y, et al. Antitumor activity and pharmacokinetics of TAS-106, 1-(3-C-ethynyl-beta-D-ribo-pentofuranosyl)cytosine. Jpn J Cancer Res. 2001 Mar;92(3):343-51.

Background

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Ethynylcytidine is a new nucleoside antimetabolite.

The IC50 values of Ethynylcytidine in the five human tumors with 4, 24 and 72 h exposure range from 0.114 to 1.032 μM, 0.015 to 0.067 μM, and 0.008 to 0.058 μM, respectively. These results suggest that the cytotoxicity of Ethynylcytidine tends to become stronger as the exposure time becomes longer. The differences in IC50 values between the 24 and 72 h exposure times are not large, and Ethynylcytidine appeares to show sufficiently potent cytotoxicity at the 24 h exposure time in all 5 human tumors. Even at the 4 h exposure time, Ethynylcytidine clearly shows potent cytotoxicity with IC50 values at submicromolar concentrations in 4 of the 5 human tumors[1].

In both OCUM-2MD3 and LX-1 xenografts, tumor regression is noted and a very potent antitumor effect with an tumor growth inhibition rate (IR) on day 15 of approximately 90% or even higher is observed at the minimum toxic doses of Ethynylcytidine (TAS-106) on all three administration schedules. In particular, administration of Ethynylcytidine at 6 mg/kg once weekly exhibits a marked tumor shrinking effect with an IR of 98% against the LX-1 tumor. While Ethynylcytidine treatment on an either 3 or 5 times weekly schedule has a potent antitumor effect with an IR of approximately 85%, the IR of Ethynylcytidine once weekly is less than 60% and its antitumor effect is rather weak[1].

[1]. Shimamoto Y, et al. Antitumor activity and pharmacokinetics of TAS-106, 1-(3-C-ethynyl-beta-D-ribo-pentofuranosyl)cytosine. Jpn J Cancer Res. 2001 Mar;92(3):343-51.

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