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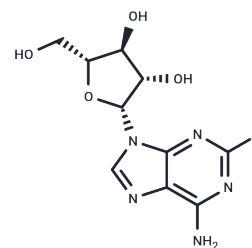
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Fludarabine

Chemical Properties

CAS No. :	21679-14-1
Formula:	C ₁₀ H ₁₂ FN ₅ O ₄
Molecular Weight:	285.23
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Fludarabine (Fludarabinum) is a fluorinated purine analog, an inhibitor of nucleic acid synthesis and an inhibitor of STAT1 activation. Fludarabine has antitumor activity and can be used for the treatment of leukemia and lymphoma.
Targets(IC50)	Apoptosis,Nucleoside Antimetabolite/Analog,DNA/RNA Synthesis,STAT
In vitro	<p>METHODS: Multiple myeloma cells RPMI8226, MM.1S and MM.1R were treated with Fludarabine (0-64 µg/mL) for 24-48 h. Cell viability was measured by MTT Assay.</p> <p>RESULTS: Fludarabine dose-time-dependently inhibited the proliferation of RPMI8226 cells with an IC₅₀ of 1.54 µg/mL at 24 h. At 48 h, the IC₅₀ of Fludarabine on MM.1S and MM.1R cells was 13.48 µg/mL and 33.79 µg/mL, respectively. [1]</p> <p>METHODS: Rat aortic VSMCs were treated with Fludarabine (50 µM) and FBS for 30 min, and the expression levels of target proteins were detected by Western Blot.</p> <p>RESULTS: FBS stimulation produced progressive JAK2 and STAT-1 activation, and Fludarabine induced a significant reduction in STAT-1 phosphorylation, while it did not alter JAK2 activation. [2]</p>
In vivo	<p>METHODS: To assay antitumor activity in vivo, Fludarabine (8-40 mg/kg) was injected intraperitoneally into SCID mice bearing multiple myeloma RPMI8226 once daily for three days.</p> <p>RESULTS: The antitumor activity of Fludarabine in vivo was demonstrated by a less than 5-fold increase in tumors treated with 40 mg/kg of Fludarabine over 25 days compared to an approximately 10-fold increase in control tumors. [1]</p> <p>METHODS: To study the effect on graft-versus-host disease (GVHD), Fludarabine (0.8 mg/kg) was administered intraperitoneally to (BALB/c x C57BL/6) F1 mice harboring B-cell leukemia (BCL-1) every two weeks for five days in two cycles, followed by intraperitoneal injection of cyclophosphamide (400 mg/kg).</p> <p>RESULTS: Mice treated with a Fludarabine-containing regimen prior to transplantation also had much less GVHD clinically and at necropsy, while graft-versus-leukemia appeared to be increased in the same animals. [3]</p>
Cell Research	VSMCs were isolated from the aorta of male Wistar rats weighing ~ 350-500 g, as previously described. For cell culture experiments, 2 × 10 ⁵ rat VSMCs were plated in Dulbecco's modified Eagle's medium (DMEM) with 10% fetal bovine serum (FBS). Semiconfluent VSMCs were starved by incubation in 0.5% FBS/DMEM for 36-48 h and then serum-stimulated with normal growth medium (i.e., DMEM containing 10% FBS) in the presence or absence of fludarabine (50 µM) [2].

Animal Research	The animals in this study were handled according to the animal welfare regulation of the Magna Graecia University of Catanzaro, and the protocol was approved by the animal use committee of this institution. Fifty Wistar rats weighing 340 ± 40 g were anesthetized with an intramuscular injection of 100 mg/kg ketamine and 5 mg/kg xylazine. Angioplasty of the common carotid artery was performed using a balloon embolectomy catheter, as previously described and well validated in our laboratory. Fludarabine was dissolved in 30% pluronic F127 gel to the final concentrations of 2.5, 5, 15, or 25 mg/ml. At the time of balloon injury, gel containing fludarabine or vehicle was applied around the middle segment (2 cm in length) of the right injured carotid artery (0.1 ml per 1-cm length of the artery segment, equivalent to 0.5, 1, 3, or 5 mg of total fludarabine locally delivered), as previously described. As a control experiment, 200 μ l of fludarabine/gel solution (25 mg/ml) were applied around the sham-operated carotid artery. To study the fludarabine toxicity, laboratory studies were performed at baseline and 2 wk after drug local delivery (25 mg/ml). Arterial pressure and heart rate were measured indirectly by a tail-cuff plethysmographic technique [2].
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Solubility Information

Solubility	DMSO: 55 mg/mL (192.83 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5059 mL	17.5297 mL	35.0594 mL
5 mM	0.7012 mL	3.5059 mL	7.0119 mL
10 mM	0.3506 mL	1.753 mL	3.5059 mL
50 mM	0.0701 mL	0.3506 mL	0.7012 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Meng H, et al. Antitumor activity of fludarabine against human multiple myeloma in vitro and in vivo. Eur J Haematol. 2007 Dec;79(6):486-93.
Yang L, Li N, Yang D, et al. CCL2 regulation of MST1-mTOR-STAT1 signaling

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