Fludarabine

Cat. No.: HY-B0069

CAS No.: 21679-14-1

Molecular Formula: $C_{10}H_{12}FN_5O_4$

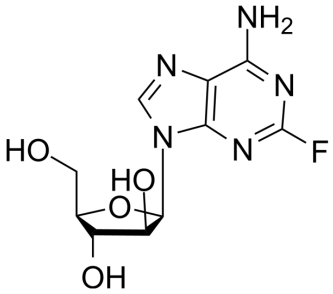
Molecular Weight: 285.23

Target: Nucleoside Antimetabolite/Analog; DNA/RNA Synthesis; STAT; Apoptosis

Pathway: Cell Cycle/DNA Damage; JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (87.65 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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	1.7530 mL	3.5059 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (17.53 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.76 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fludarabine (NSC 118218) is a DNA synthesis inhibitor and a fluorinated purine analogue with antineoplastic activity in lymphoproliferative malignancies. Fludarabine inhibits the cytokine-induced activation of STAT1 and STAT1-dependent gene transcription in normal resting or activated lymphocytes[1][2][3][4].

In Vitro

Fludarabine (5 μM; 48 hours) induces a decrease in p27kip1 expression[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[4]

Cell Line:	B-CLL cells
Concentration:	5 μM
Incubation Time:	24 hours
Result:	Induces a decrease in p27kip1 expression. The decrease in p27kip1 expression was significantly correlated to the extent of in vitro apoptosis.

In Vivo

Fludarabine (0.8 mg/kg; i.p.; two cycles for 5 days every 2 weeks) in combination with Cyclophosphamide (400 mg/kg; i.p.; 2 weeks) decreases incidence of GVHD[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	F-1 mice received 105 BCL-1 leukemia cells[5]
Dosage:	0.8 mg/kg
Administration:	Intraperitoneal injection; two cycles for 5 days every 2 weeks
Result:	Combination with Cyclophosphamide decreased incidence of graft-versus-host disease (GVHD).

CUSTOMER VALIDATION

- J Infect. 2019 Sep;79(3):262-276.
- Nat Neurosci. 2023 Jul;26(7):1170-1184.
- Cell Mol Immunol. 2022 Nov;19(11):1263-1278.
- Nat Commun. 2023 Apr 13;14(1):2109.
- Nat Commun. 2021 Mar 29;12(1):1940.

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REFERENCES

[1]. Tournilhac O, et al. Impact of frontline fludarabine and cyclophosphamide combined treatment on peripheral blood stem cell mobilization in B-cell chronic lymphocytic leukemia. Blood. 2004 Jan 1;103(1):363-5. Epub 2003 Sep 11.

[2]. Liang YB, et al. Downregulated SOCS1 expression activates the JAK1/STAT1 pathway and promotes polarization of macrophages into M1 type. Mol Med Rep. 2017 Nov;16(5):6405-6411.

[3]. Sanhes L, et al. Fludarabine-induced apoptosis of B chronic lymphocytic leukemia cells includes early cleavage of p27kip1 by caspases. Leukemia. 2003 Jun;17(6):1104-11.

[4]. Weiss L, et al. Fludarabine in combination with cyclophosphamide decreases incidence of GVHD and maintainseffective graft-versus-leukemia effect after allogeneic stem cell transplantation in murinelymphocytic leukemia. Bone Marrow Transplant. 2003 Jan;31(1):11-5.

[5]. Frank DA,et al. Fludarabine-induced immunosuppression is associated with inhibition of STAT1 signaling. Nat Med. 1999 Apr;5(4):444-7.

[6]. Frank DA, et al. Fludarabine-induced immunosuppression is associated with inhibition of STAT1 signaling. Nat Med. 1999;5(4):444-447.

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