Clofarabine

Cat. No.: HY-A0005

CAS No.: 123318-82-1

Molecular Formula: $C_{10}H_{11}ClFN_5O_3$

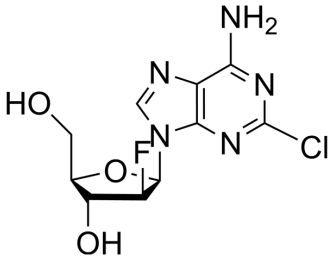
Molecular Weight: 303.68

Target: Nucleoside Antimetabolite/Analog; Autophagy; Apoptosis

Pathway: Cell Cycle/DNA Damage; Autophagy; Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (164.65 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2929 mL	16.4647 mL	32.9294 mL
	5 mM	0.6586 mL	3.2929 mL	6.5859 mL
	10 mM	0.3293 mL	1.6465 mL	3.2929 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Clofarabine, a nucleoside analogue for research of cancer, is a potent inhibitor of ribonucleotide reductase (IC_{50}=65 nM) by binding to the allosteric site on the regulatory subunit[1].

In Vitro

Clofarabine potently inhibits DNA synthesis. Clofarabine demonstrates strong in vitro growth inhibition and cytotoxic activity (IC_{50} values=0.028-0.29 μM) in a wide variety of leukaemia and solid tumour cell lines[1].
?Clofarabine (0.01-0.1 μM) inhibits proliferation of NB4 cells, which may be related with the down-regulation of Bcl-2 and

induction of apoptosis[2].

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

Cell Viability Assay[2]

Cell Line:	NB4 cells
Concentration:	0.01-0.1 μM
Incubation Time:	48 hours
Result:	Inhibited proliferation of NB4 cells in a concentration-depended manner.

Apoptosis Analysis[2]

Cell Line:	NB4 cells
Concentration:	0.01-0.1 μM
Incubation Time:	24 hours
Result:	Apoptosis rate increased obviously.

Western Blot Analysis[2]

Cell Line:	NB4 cells
Concentration:	0.01-0.1 μM
Incubation Time:	24 hours
Result:	Bcl-2 expression decreased obviously.

In Vivo

Clofarabine (330 mg/kg, after a 7-day treatment) causes the death of mice. Higher mortality rates were observed in daytime treatment groups, while more animals survived in night treatment groups. Significant differences of LD_{50} are found at various time points especially at 12:00 noon and 12:00 midnight[3].

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

Animal Model:	Kunming mice (18-22 g, with equal numbers of male and female mice)[3]
Dosage:	600, 480, 384, 307, 246 mg/kg
Administration:	Injected intraperitoneally at 8:00 am, 12:00 noon, 8:00 pm and 12:00 midnight; 7 days continuous administration
Result:	LD_{50}s of 8:00 am, 12:00 noon, 8:00 pm, 12:00 midnight were 333.59, 319.73, 362.58 and 366.92 mg/kg, respectively.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Oncotarget. 2020 Nov 3;11(44):3921-3932.

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REFERENCES

[1]. Peter L Bonate, et al. Discovery and development of clofarabine: a nucleoside analogue for treating cancer. Nat Rev Drug Discov. 2006 Oct;5(10):855-63.

[2]. Hai-Bo Liu, et al. [Effect of clofarabine on proliferation and Bcl-2 expression of NB4 cells]. Zhongguo Shi Yan Xue Ye Xue Za Zhi. 2012 Jun;20(3):571-3.

[3]. Jia-Jie Luan, et al. Dosing-time contributes to chronotoxicity of clofarabine in mice via means other than pharmacokinetics. Kaohsiung J Med Sci. 2016 May;32(5):227-34.

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