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Zuschläge

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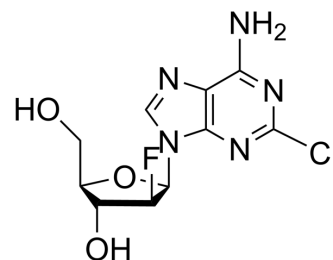
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Clofarabine

Cat. No.:	HY-A0005
CAS No.:	123318-82-1
Molecular Formula:	C ₁₀ H ₁₁ ClFN ₅ O 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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 (IC₅₀=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 (IC₅₀ 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 μ M
Incubation Time:	48 hours
Result:	Inhibited proliferation of NB4 cells in a concentration-dependence manner.

Apoptosis Analysis^[2]

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

Western Blot Analysis^[2]

Cell Line:	NB4 cells
Concentration:	0.01-0.1 μ M
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 LD₅₀ 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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Caution: Product has not been fully validated for medical applications. For research use only.

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