Peldesine

Cat. No.: HY-106934

CAS No.: 133432-71-0

Molecular Formula: $C_{12}H_{11}N_5O$

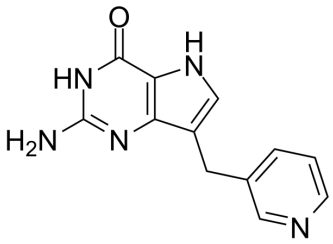
Molecular Weight: 241.25

Target: Nucleoside Antimetabolite/Analog; HIV

Pathway: Cell Cycle/DNA Damage; Anti-infection

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 : 200 mg/mL (829.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1451 mL	20.7254 mL	41.4508 mL
	5 mM	0.8290 mL	4.1451 mL	8.2902 mL
	10 mM	0.4145 mL	2.0725 mL	4.1451 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: ≥ 5 mg/mL (20.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (20.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (20.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Peldesine (BCX 34) is a potent, competitive, reversible and orally active purine nucleoside phosphorylase (PNP) inhibitor with IC_{50}s of 36 nM, 5 nM, and 32 nM for human, rat, and mouse red blood cell (RBC) PNP, respectively. Peldesine is also a T-cell proliferation inhibitor with an IC_{50} of 800 nM. Peldesine has the potential for cutaneous T-cell lymphoma, psoriasis and HIV infection research[1][2][3][4].

IC_{50} & Target

IC50: 36 nM (Human RBC PNP), 5 nM (Rat RBC PNP), 32 nM (Mouse RBC PNP), and 800 nM (Human T-cell proliferation)[3]
Ki: 23 nM (Human RBC PNP)[3]

	HIV[4]
In Vitro	Peldesine (BCX 34; 0-50 μM; 72 hours; Jurkat cells) could inhibit the T-cell proliferation completely at a concentration of less than 10 μM, in the presence of dGuo (10 μM). In contrast, the B-cell proliferation is not affected by Peldesine[1]. Peldesine (BCX 34) suppresses T-cell immune reaction in an IL-2-independent manner, and this means that Peldesine might affect a late phase rather than an early stage in T-cell activation[1]. Peldesine also, in the presence but not in the absence of deoxyguanosine, inhibits human leukemia CCRF-CEM T-cell proliferation with an IC_{50} of 0.57 μM but not rat or mouse T-cell proliferation up to 30 μM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay[1]

Cell Line:	Jurkat cells
Concentration:	0 μM, 10 μM, 20 μM, 30 μM, 40 μM, 50 μM
Incubation Time:	72 hours
Result:	In the presence of 10 μM dCuo, had a complete inhibitory effect for T-cell lines.

In Vivo	Oral bioavailability of Peldesine in rats is 76%. Peldesine is orally active in elevating plasma inosine in rats (2-fold at 30 mg/kg), in suppressing ex vivo RBC PNP activity in rats (98% at 3 h. 100 mg/kg), and in suppressing ex vivo skin PNP in mice (39% at 3 h, 100 mg/kg)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wada Y, et al. BCX-34: a novel T-cell selective immunosuppressant: purine nucleoside phosphorylase (PNP) inhibitor. Artif Organs. 1996 Aug;20(8):849-52.

[2]. Duvic M, et al. A phase III, randomized, double-blind, placebo-controlled study of peldesine (BCX-34) cream as topical therapy for cutaneous T-cell lymphoma. J Am Acad Dermatol. 2001 Jun;44(6):940-7.

[3]. Bantia S, et al. In vivo and in vitro pharmacologic activity of the purine nucleoside phosphorylase inhibitor BCX-34: the role of GTP and dGTP. Immunopharmacology. 1996 Oct;35(1):53-63.

[4]. New AIDS study suppresses T cells to stop viral growth. AIDS Alert. 1997 Jul;12(7):77-8.

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