Forodesine hydrochloride

Cat. No.: HY-16209

CAS No.: 284490-13-7

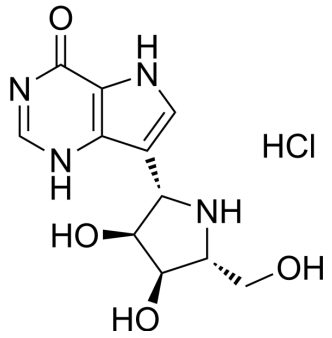
Molecular Formula: $C_{11}H_{15}ClN_4O_4$

Molecular Weight: 302.71

Target: Nucleoside Antimetabolite/Analog; Apoptosis

Pathway: Cell Cycle/DNA Damage; Apoptosis

Storage: -20°C, stored under nitrogen
* In solvent : -80°C, 1 years; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (330.35 mM; Need ultrasonic)
DMSO : 10 mg/mL (33.03 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3035 mL	16.5175 mL	33.0349 mL
5 mM	0.6607 mL	3.3035 mL	6.6070 mL
10 mM	0.3303 mL	1.6517 mL	3.3035 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 36.67 mg/mL (121.14 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 0.5 mg/mL (1.65 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (1.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Forodesine hydrochloride (BCX-1777 hydrochloride) is a highly potent and orally active purine nucleoside phosphorylase (PNP) inhibitor with IC_{50} values ranging from 0.48 to 1.57 nM for human, mouse, rat, monkey and dog PNP. Forodesine hydrochloride is a potent human lymphocyte proliferation inhibitor. Forodesine hydrochloride could induce apoptosis in leukemic cells by increasing the dGTP levels[1][2].

IC_{50} & Target

IC50: 1.19 nM (Human PNP), 0.48 nM (Mouse PNP), 1.24 nM (Rat PNP), 0.66 nM (Monkey PNP) and 1.57 nM (Dog PNP)[2]

In Vitro

Forodesine (10-30 μM; 24 and 48 hours; RPMI-8226, MOLT-4 and 5T33MM cells) treatment is partially inhibition of

proliferation[1].
Forodesine (10-30 μM; 24 and 48 hours; RPMI-8226, MOLT-4 and 5T33MM cells) has no effect on the MM cells at 24 hours, while it could reduce the percentage of living cells in the MOLT-4 cells with 40%[1].
Forodesine (BCX-1777), in the presence of 2'-deoxyguanosine (dGuo, 3-10 μM), inhibits human lymphocyte proliferation activated by various agents such as interleukin-2 (IL-2), mixed lymphocyte reaction (MLR) and phytohemagglutinin (PHA) (IC $_{50}$ values < 0.1-0.38 μM)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Human RPMI-8226, human MOLT-4 (T-ALL) cells, 5T33MM (Multiple myeloma, MM).
Concentration:	10 μM, 20 μM, 30 μM
Incubation Time:	24 and 48 hours
Result:	At the effects at 48 hours, a complete block in proliferation in the MOLT-4 cells and 15% reduction in the 5T33MM cells.

Apoptosis Analysis[1]

Cell Line:	Human RPMI-8226, human MOLT-4 (T-ALL) cells, 5T33MM (Multiple myeloma, MM).
Concentration:	10 μM, 20 μM, 30 μM
Incubation Time:	24 and 48 hours
Result:	A limited induction of apoptosis.

In Vivo

Forodesine (BCX-1777) has excellent oral bioavailability (63%) in mice[2].
At a single dose of 10 mg/kg in mice, Forodesine elevates dGuo to approximately 5 μM[2].
n the human peripheral blood lymphocyte severe combined immunodeficiency (hu-PBL-SCID) mouse model, Forodesine is effective in prolonging the life span 2-fold or more[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2019 Oct 31;179(4):864-879.e19.
- Nat Commun. 2017 Aug 14;8(1):241.
- Nucleic Acids Res. 2020 Sep 25;48(17):e101.
- Biosens Bioelectron. 2020 Dec 1;169:112616.
- Int J Biol Sci. 2023; 19(3):772-788.

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REFERENCES

[1]. Bieghs L, et al. The effects of forodesine in murine and human multiple myeloma cells. Adv Hematol. 2010;2010:131895.

[2]. Bantia S, et al. Purine nucleoside phosphorylase inhibitor BCX-1777 (Immucillin-H)--a novel potent and orally active immunosuppressive agent. Int Immunopharmacol. 2001 Jun;1(6):1199-210.

Caution: Product has not been fully validated for medical applications. For research use only.

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