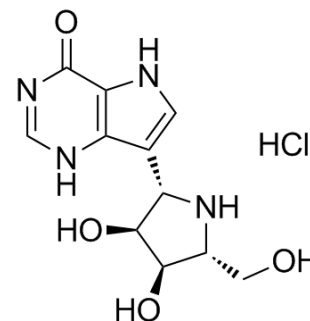


Data Sheet

Product Name:	Forodesine (hydrochloride)
Cat. No.:	HY-16209
CAS No.:	284490-13-7
Molecular Formula:	C ₁₁ H ₁₅ N ₄ O ₄
Molecular Weight:	302.71
Target:	Nucleoside Antimetabolite/Analog
Pathway:	Cell Cycle/DNA Damage
Solubility:	H ₂ O: ≥ 74.1 mg/mL



BIOLOGICAL ACTIVITY:

Forodesine HCl (BCX-1777 freebase; Immucillin-H) is an orally bioavailable PNP inhibitor with picomolar potency; induces apoptosis, mainly in T cells.

IC50 value:

Target: PNP inhibitor

Forodesine and ara-G cytotoxicities were higher in T-cell acute lymphoblastic leukemia (T-ALL) samples than in B-cell precursor (BCP)-ALL and acute myeloid leukemia (AML) samples. Resistance to forodesine did not preclude ara-G sensitivity and vice versa, indicating that both drugs rely on different resistance mechanisms [1]. BCX1777 was well tolerated at doses up to 300 mg once daily and showed preliminary evidence of activity in relapsed or refractory peripheral T/natural killer-cell malignancies, warranting further investigation [2]. After 48 hours of treatment with forodesine there was a slight dGTP increase in 5T33MM and RPMI-8226 MM cells associated with partial inhibition of proliferation and a limited induction of apoptosis [3]. In the presence of 10 μM deoxyguanosine, forodesine effectively inhibited the growth of CEM cells but not that of CEM/ara-G cells [4].

References:

- [1]. Homminga I, et al. In vitro efficacy of forodesine and nelarabine (ara-G) in pediatric leukemia. *Blood*. 2011 Aug 25;118(8):2184-90.
- [2]. Ogura M, et al. Phase I study of BCX1777 (forodesine) in patients with relapsed or refractory peripheral T/natural killer-cell malignancies. *Cancer Sci*. 2012 Jul;103(7):1290-5.
- [3]. Bieghs L, et al. The effects of forodesine in murine and human multiple myeloma cells. *Adv Hematol*. 2010;2010:131895.
- [4]. Yamauchi T, et al. A nelarabine-resistant T-lymphoblastic leukemia CCRF-CEM variant cell line is cross-resistant to the purine nucleoside phosphorylase inhibitor forodesine. *Anticancer Res*. 2014 Sep;34(9):4885-92.

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

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