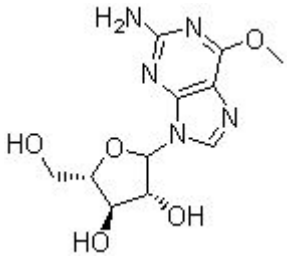
Product Introduction

Nelarabine

Nelarabine is a purine nucleoside analog and **DNA** synthesis inhibitor with **IC50** from 0.067-2.15 μM in tumor cells.

Technical Data:

Molecular Weight (MW):	297.27	
Formula:	C11H15N5O5	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 60 mg/mL	
	Water 3 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	121032-29-9	

Biological Activity

The IC50 of Nelarabine is 25-fold and 113-fold higher than ARAC in T- and B-lineage, respectively. T-ALL cells are eightfold more sensitive to Nelarabine than B-lineage but there is considerable overlap. The efficacy of NEL in T-lineage and B-lineage cell lines is 25-fold and 113-fold less than ARAC, respectively. [1] Nelarabine acts by inhibiting DNA synthesis and inducing apoptosis in susceptible cells. [2]Nelarabine demonstrated significant antineoplastic activity with acceptable toxicity. [3]

The Nelarabine plasma AUC is 2.82 mM minutes and the ara-G plasma AUC is 20 mM minutes. The terminal half-life of Nelarabine in plasma is 25 min, clearance is 42 mL/minutes/kg, and central volume of distribution is 1.1 L/kg. The terminal half-life of ara-G in plasma is 182 minutes and the central volume of distribution is 1.4 L/kg. In CSF the terminal half-life of Nelarabine is 77 minutes and of ara-G is 232 minutes. The AUCcsf:AUCplasma is 29 % for Nelarabine and 23 % for ara-G. Nelarabine and ara-G do not accumulate with daily infusions because of their relatively short half-lives. [4]

Nelarabine is rapidly converted into ara-G through demethoxylation by adenosine deaminase.

References

[1] Beesley AH, et al. Br J Haematol. 2007, 137(2), 109-116.
[2] Kline J, et al. Expert Opin Pharmacother. 2006, 7(13), 1791-1799.
[3] DeAngelo DJ, et al. Blood. 2007, 109(12), 5136-5142.
[4] Berg SL, et al. Cancer Chemother Pharmacol. 2007, 59(6), 743-747.

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