Adaphostin

Cat. No.: HY-103275

CAS No.: 241127-58-2

Molecular Formula: $C_{24}H_{27}NO_4$

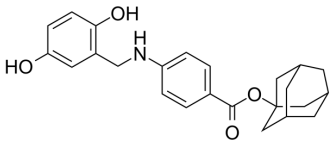
Molecular Weight: 393.48

Target: Bcr-Abl; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

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 : 50 mg/mL (127.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5414 mL	12.7071 mL	25.4143 mL
	5 mM	0.5083 mL	2.5414 mL	5.0829 mL
	10 mM	0.2541 mL	1.2707 mL	2.5414 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: ≥ 2.5 mg/mL (6.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Adaphostin (NSC 680410), the adamantyl ester of AG957, is a potent $p210^{bcr/abl}$ inhibitor (IC_{50}=14 μM). Adaphostin induces apoptosis in T-lymphoblastic human leukemia cell lines (IC_{50} ranging from 17 to 216 nM). Adaphostin has significant and selective activity against chronic and acute myeloid leukemia cells. Adaphostin increased the level of reactive oxygen species (ROS) within CLL B cells[1][2][3].

In Vitro

Adaphostin down-regulates $p210^{bcr/abl}$ in K562 cells and inhibits granulocyte colony formation in chronic myelogenous leukemia (CML) specimens at lower concentrations without enhanced toxicity in normal progenitors[1].
Adaphostin-induced p53 up-regulation, DNA strand breaks, and ROS production in ML-1 cells is inhibited by N-acetylcysteine (NAC)[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Avramis IA, et al. In vitro and in vivo evaluations of the tyrosine kinase inhibitor NSC 680410 against human leukemia and glioblastoma cell lines. Cancer Chemother Pharmacol. 2002;50(6):479-489.

[2]. Orsolic N, et al. Adaphostin has significant and selective activity against chronic and acute myeloid leukemia cells. Cancer Sci. 2006;97(9):952-960.

[3]. Chandra J, et al. Involvement of reactive oxygen species in adaphostin-induced cytotoxicity in human leukemia cells. Blood. 2003;102(13):4512-4519.

[4]. Svingen PA, et al. Effects of the bcr/abl kinase inhibitors AG957 and NSC 680410 on chronic myelogenous leukemia cells in vitro. Clin Cancer Res. 2000;6(1):237-249.

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