Anagrelide hydrochloride

Cat. No.: HY-B0523A

CAS No.: 58579-51-4

Molecular Formula: $C_{10}H_8Cl_3N_3O$

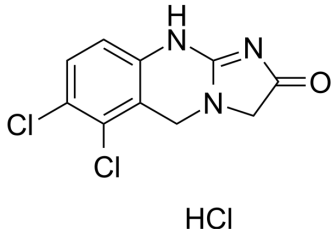
Molecular Weight: 292.55

Target: Phosphodiesterase (PDE); Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 7.69 mg/mL (26.29 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4182 mL	17.0911 mL	34.1822 mL
5 mM	0.6836 mL	3.4182 mL	6.8364 mL
10 mM	0.3418 mL	1.7091 mL	3.4182 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: 0.77 mg/mL (2.63 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.77 mg/mL (2.63 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.77 mg/mL (2.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Anagrelide hydrochloride (BL4162A) is a potent inhibitor of phosphodiesterase type III (PDE3) (IC_{50}=36 nM). Anagrelide hydrochloride, an imidazoquinazoline derivative, acts as an inhibitor of platelet aggregation. Anagrelide hydrochloride inhibits bone marrow megakaryocytopoiesis. Anagrelide hydrochloride decreases gastrointestinal stromal tumor (GIST) cell proliferation and promotes their apoptosis in vitro. Anagrelide hydrochloride is a platelet-lowering agent and plays in the antithrombopoietic action[1][2][3].

In Vitro

Anagrelide hydrochloride (BL4162A) potently inhibits the development of marrow megakaryocytes (IC_{50}=26 nM)[1].
Anagrelide (0.05, 0.3, 1 μM; 12-day) hydrochloride inhibits only megakaryocytic cell growth not non-megakaryocytic cells[2].

Anagrelide (0.1-10000 nM) hydrochloride induces a cytotoxic effect in the GIST882 cell line[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	Megakaryocytic and non-megakaryocytic cells
Concentration:	0.05, 0.3, 1 μM
Incubation Time:	12-day
Result:	Inhibited only megakaryocytic cell growth at every concentration tested.

Cell Cytotoxicity Assay[3]

Cell Line:	GIST882 and GIST48 cell line
Concentration:	0.1, 1, 10, 100, 1000, 10000 nM
Incubation Time:	
Result:	Induced a cytotoxic effect in the GIST882 cell line (IC_{50}= 16 nM), but was only weakly active in the GIST48 cell line.

In Vivo

Anagrelide hydrochloride (BL4162A; 5 mg/kg/bid; for 10 days) hydrochloride inhibits or reduces tumor growth in GIST2B, GIST9, GIST882 model models[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult female athymic mice bearing GIST2B, GIST3, GIST9, GIST882 model[3]
Dosage:	5 mg/kg
Administration:	Twice daily; for 10 days
Result:	Inhibited or reduced tumor growth in three (GIST2B, GIST9, GIST882) of these four models.

CUSTOMER VALIDATION

- Cell Metab. 2022 Feb 7;34(3):424-440.e7.

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REFERENCES

[1]. Pescatore, S.L. and C. Lindley, Anagrelide: a novel agent for the treatment of myeloproliferative disorders. Expert Opin Pharmacother, 2000. 1(3): p. 537-46.

[2]. Petrides, P.E., Anagrelide: what was new in 2004 and 2005? Semin Thromb Hemost, 2006. 32(4 Pt 2): p. 399-408.

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

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