Anagrelide

Cat. No.: HY-B0523

CAS No.: 68475-42-3

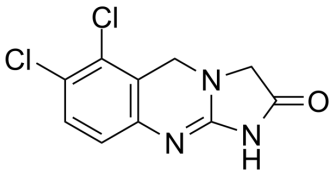
Molecular Formula: $C_{10}H_7Cl_2N_3O$

Molecular Weight: 256.09

Target: Phosphodiesterase (PDE); Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

PDEIII[1]

In Vitro

Anagrelide potently inhibits the development of marrow megakaryocytes (IC_{50}=26 nM)[1].
Anagrelide (0.05, 0.3, 1 μM; 12-day) inhibits only megakaryocytic cell growth not non-megakaryocytic cells[2].
Anagrelide (0.1-10000 nM) 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 Proliferation 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 (5 mg/kg/bid; for 10 days) 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]. Guosu Wang, et al. Comparison of the biological activities of Anagrelide and its major metabolites in haematopoietic cell cultures. Br J Pharmacol. 2005 Oct;146(3):324-32.

[2]. Y Hong, et al. Comparison between Anagrelide and hydroxycarbamide in their activities against haematopoietic progenitor cell growth and differentiation: selectivity of Anagrelide for the megakaryocytic lineage. Leukemia. 2006 Jun;20(6):1117-22.

[3]. Olli-Pekka Pulkka, et al. Anagrelide for Gastrointestinal Stromal Tumor. Clin Cancer Res. 2019 Mar 1;25(5):1676-1687.

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