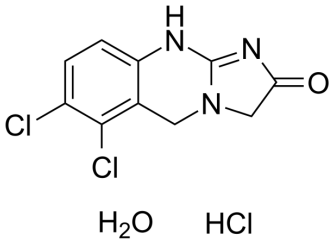
Anagrelide hydrochloride monohydrate

Cat. No.:	HY-B0523B
CAS No.:	823178-43-4
Molecular Formula:	$C_{10}H_{10}Cl_3N_3O_2$
Molecular Weight:	310.56
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 hydrochloride monohydrate is a potent inhibitor of phosphodiesterase type III (PDE3) (IC_{50}=36 nM). Anagrelide hydrochloride monohydrate, an imidazoquinazoline derivative, acts as an inhibitor of platelet aggregation. Anagrelide hydrochloride monohydrate inhibits bone marrow megakaryocytopoiesis. Anagrelide hydrochloride monohydrate decreases gastrointestinal stromal tumor (GIST) cell proliferation and promotes their apoptosis in vitro. Anagrelide hydrochloride monohydrate is a platelet-lowering agent and plays in the antithrombopoietic action[1][2][3].
IC_{50} & Target	PDE3

In Vitro

Anagrelide hydrochloride monohydrate potently inhibits the development of marrow megakaryocytes (IC_{50}=26 nM)[1].
Anagrelide (0.05, 0.3, 1 μM; 12-day) hydrochloride monohydrate inhibits only megakaryocytic cell growth not non-megakaryocytic cells[2].
Anagrelide (0.1-10000 nM) hydrochloride monohydrate 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[3]
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) hydrochloride monohydrate 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 SL, et al. Anagrelide: a novel agent for the treatment of myeloproliferative disorders. Expert Opin Pharmacother. 2000 Mar;1(3):537-46.

[2]. Mahajan R, et al. Phosphodiesterase inhibitors and their role in therapeutics[J]. Journal of Research in Medical Education & Ethics, 2013, 3(2): 115-123.

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