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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

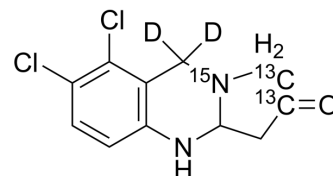
mail@szabo-scandic.com

www.szabo-scandic.com

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Anagrelide-¹³C₂,¹⁵N,²D₂

Cat. No.:	HY-B0523S
Molecular Formula:	C ₉ ¹³ C ₂ H ₈ D ₂ Cl ₂ N ¹⁵ NO
Molecular Weight:	262.11
Target:	Apoptosis; Phosphodiesterase (PDE); Isotope-Labeled Compounds
Pathway:	Apoptosis; Metabolic Enzyme/Protease; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Anagrelide- ¹³ C ₂ , ¹⁵ N, ² D ₂ is ¹⁵ N and deuterated labeled Anagrelide (HY-B0523). 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]} .
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . Anagrelide potently inhibits the development of marrow megakaryocytes (IC ₅₀ =267nM) ^[2] . Anagrelide (0.05, 0.3, 1 μM; 12-day) inhibits only megakaryocytic cell growth not non-megakaryocytic cells ^[3] . Anagrelide (0.1-10000 nM) induces a cytotoxic effect in the GIST882 cell line ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Anagrelide (5 mg/kg/bid; for 10 days) inhibits or reduces tumor growth in GIST2B, GIST9, GIST882 model models ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Guosui 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.
- [4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA