Pyridostatin hydrochloride

Cat. No.: HY-15176A

CAS No.: 1781882-65-2

Molecular Formula: $C_{31}H_{37}Cl_5N_8O_5$

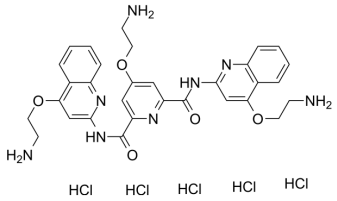
Molecular Weight: 778.94

Target: G-quadruplex

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (64.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2838 mL	6.4190 mL	12.8380 mL
	5 mM	0.2568 mL	1.2838 mL	2.5676 mL
	10 mM	0.1284 mL	0.6419 mL	1.2838 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (128.38 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Pyridostatin (RR82) hydrochloride is a G-quadruplex DNA stabilizing agent (K_d=490 nM) and can target DNA and RNA G4s in cells. Pyridostatin hydrochloride promotes growth arrest in human cancer cells by inducing replication- and transcription-dependent DNA damage. Pyridostatin hydrochloride targets the proto-oncogene Src. Pyridostatin hydrochloride reduced SRC protein levels and SRC-dependent cellular motility in human breast cancer cells[1][2].

IC_{50} & Target

Kd: 490 nM (G-quadruplexe)[1]

In Vitro

Pyridostatin (RR82) hydrochloride (10 μM; 48 hours) induces cell cycle arrest[1].
Pyridostatin hydrochloride is a very selective G-quadruplex DNA-binding small molecule designed to form a complex with and stabilize G-quadruplex structure. Pyridostatin hydrochloride causes neurite retraction, synaptic loss, and dose-dependent neuronal death. In cultured primary neurons, Pyridostatin hydrochloride induces the formation of DNA DSBs. Remarkably, Pyridostatin hydrochloride (1-5 μM, overnight) downregulates the BRCA1 protein, a protein that guards and repairs the neuronal genome, at the transcriptional level[3].

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

Cell Viability Assay[1]

Cell Line:	Over 60 different cancer cell lines
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Predominantly accumulated in the G2 phase of the cell cycle over 60 different cancer cell lines.

CUSTOMER VALIDATION

- J Hepatol. 2020 Aug;73(2):371-382.
- Mol Cell. 2024 Jun 6;84(11):2070-2086.e20.
- Nat Commun. 2022 Sep 16;13(1):5456.
- Nat Commun. 2022 Mar 17;13(1):1444.
- J Am Chem Soc. 2021 Dec 15;143(49):20779-20791.

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REFERENCES

[1]. Zhang X, et al. Chemical profiling of DNA G-quadruplex-interacting proteins in live cells. Nat Chem. 2021 Jul;13(7):626-633.

[2]. Rodriguez R, et al. Small-molecule-induced DNA damage identifies alternative DNA structures in human genes. Nat Chem Biol. 2012;8(3):301-310. Published 2012 Feb 5.

[3]. Koirala D, et al. A single-molecule platform for investigation of interactions between G-quadruplexes and small-molecule ligands. Nat Chem. 2011;3(10):782-787. Published 2011 Aug 28.

[4]. Moruno-Manchon JF, et al. The G-quadruplex DNA stabilizing drug pyridostatin promotes DNA damage and downregulates transcription of Brca1 in neurons. Aging (Albany NY). 2017;9(9):1957-1970.

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