

Product Data Sheet

Product Name: Pyridostatin

Cat. No.: GC15162

Chemical Properties

Cas. No. 1085412-37-8

Chemical Name 4-(2-aminoethoxy)-2-N,6-N-bis[4-(2-aminoethoxy)quinolin-2-yl]pyridine-2,6-dicarboxamide

SMILES C1=CC=C2C(=C1)C(=CC(=N2)NC(=O)C3=CC(=CC(=N3)C(=O)NC4=NC5=CC=CC=C5C(=C4)OCCN)OCCN)OCCN

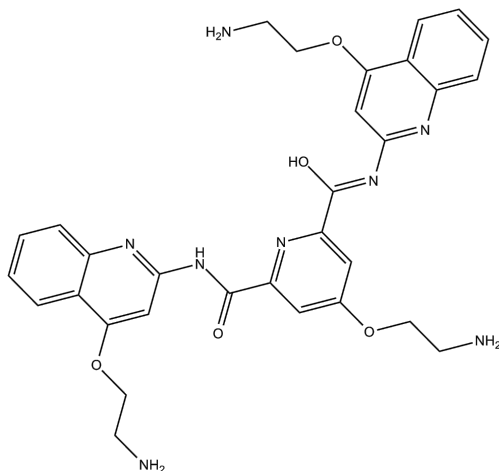
Formula $C_{31}H_{32}N_8O_5$ M.Wt 596.64

Solubility ≥ 20.85 mg/mL in DMSO, ≥ 30.87 mg/mL in EtOH with gentle warming, ≥ 9.66 mg/mL in Water with ultrasonic and warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

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

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Cell experiment [1]:

Cell lines MRC-5 SV40 cells

Preparation Method Cells were treated with 2 μ M Pyridostatin for 24 h.

Reaction Conditions 2 μ M Pyridostatin; 24 h

Applications Pyridostatin induced DNA damage and checkpoint-dependent cell-cycle arrest.

References:

[1]. Rodriguez R, Miller KM, et.al. Small-molecule-induced DNA damage identifies alternative DNA structures in human genes. Nat Chem Biol. 2012 Feb 5;8(3):301-10. doi: 10.1038/nchembio.780. PMID: 22306580; PMCID: PMC3433707.

Background

Pyridostatin is a highly selective G-quadruplex-binding small molecule that was designed to target polymorphic G-quadruplex structures regardless of sequence variability. As a highly selective G-quadruplex DNA stabilizer, K_d is 490 nM. Pyridostatin has anti-proliferative effects and induces telomere dysfunction^[1-4].

Pyridostatin (2 μ M pyridostatin; 24 h) induced DNA damage and checkpoint-dependent cell-cycle arrest in MRC-5 SV40 cells^[5]. Pyridostatin (0.01-5 μ M pyridostatin; 24-96 h) induced neurotoxicity and promoted the formation of DNA double-strand breaks (DSBs) in cultured neurons^[6]. Pyridostatin (10 μ M pyridostatin; 24 h) significantly downregulated 22 proteins but upregulated 16 proteins in HeLa cancer cells, of which the genes both contain a number of G4 potential sequences. Pyridostatin regulation on gene expression is far more complicated than inducing/stabilizing G4 structures^[7].

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- [2]. Patel DJ, Phan AT, et.al. Human telomere, oncogenic promoter and 5'-UTR G-quadruplexes: diverse higher order DNA and RNA targets for cancer therapeutics. Nucleic Acids Res. 2007;35(22):7429-55. doi: 10.1093/nar/gkm711. Epub 2007 Oct 2. PMID: 17913750; PMCID: PMC2190718.
- [3]. Müller S, Kumari S, et.al. Small-molecule-mediated G-quadruplex isolation from human cells. Nat Chem. 2010 Dec;2(12):1095-8. doi: 10.1038/nchem.842. Epub 2010 Oct 10. PMID: 21107376; PMCID: PMC3119466.
- [4]. Koirala D, Dhakal S, et.al. A single-molecule platform for investigation of interactions between G-quadruplexes and small-molecule ligands. Nat Chem. 2011 Aug 28;3(10):782-7. doi: 10.1038/nchem.1126. PMID: 21941250; PMCID: PMC3277938.
- [5]. Rodriguez R, Miller KM, et.al. Small-molecule-induced DNA damage identifies alternative DNA structures in human genes. Nat Chem Biol. 2012 Feb 5;8(3):301-10. doi: 10.1038/nchembio.780. PMID: 22306580; PMCID: PMC3433707.
- [6]. 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 Sep 12;9(9):1957-1970. doi: 10.18632/aging.101282. PMID: 28904242; PMCID: PMC5636668.
- [7]. Hou Y, Gan T, et.al. G-quadruplex inducer/stabilizer pyridostatin targets SUB1 to promote cytotoxicity of a transplatinum complex. Nucleic Acids Res. 2022 Apr 8;50(6):3070-3082. doi: 10.1093/nar/gkac151. PMID: 35258624; PMCID: PMC8989551.

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