

Product Data Sheet

Product Name: Guadecitabine sodium

Cat. No.: GC36196

Chemical Properties

Cas. No. 929904-85-8

SMILES O=C1C2=C(N([C@H]3C[C@H](O)[C@@H](COP(O[C@@H]4[C@@H](CO)O[C@@H](N5C=NC(N)=NC5=O)C4)([O-])=O)O3)C=N2)NC(N)=N1.[Na+]

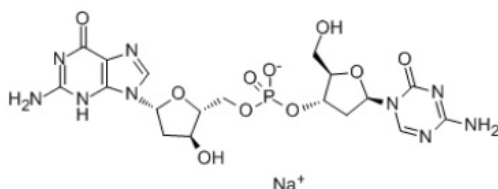
Formula $C_{18}H_{23}N_9NaO_{10}P$ M.Wt 579.39

Solubility DMSO: 50 mg/mL (86.30 mM);
Water 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

Cell experiment [1]:

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Cell lines	22Rv1 cells
Preparation Method	22Rv1 cells were cultured in RPMI 1640 medium, supplemented with 10% fetal bovine serum (FBS), and 1% penicillin/streptomycin at 37°C in an incubator with 5% CO ₂ . Cells were seeded in a 96-well plate at a density of 5×10^4 cells/well overnight. Cells were treated with different concentrations of Guadecitabine sodium (0, 5, 10, 15, 20, and 25µM) for 72h. Subsequently, the cell viability was detected.
Reaction Conditions	0, 5, 10, 15, 20, and 25µM; 72h
Applications	Guadecitabine sodium treatment reduced the cell viability of 22Rv1 cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	C57BL/6J mice
Preparation Method	C57BL/6J mice (8-week-old) were maintained on a 12:12h light-dark schedule in a temperature-controlled, specific pathogen-free facility and fed standard laboratory mouse chow. Mice were shaved and injected s.c. in the right flank with 10^5 B16F10 cells (>90% viable) in a volume of 0.1ml serum-free medium. Guadecitabine sodium was diluted in PBS and given to mice by i.p. (1mg/kg) from day 3 to 16, daily, post injection of B16F10cells. Tumor volume was calculated daily.
Dosage form	1mg/kg/day; 13 days; i.p.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Applications	Guadecitabine sodium treatment inhibited tumor growth in mice with B16F10 xenografts.
References: [1] Karan D, Singh M, Dubey S, et al. DNA methyltransferase 1 targeting using guadecitabine inhibits prostate cancer growth by an apoptosis-independent pathway[J]. Cancers, 2023, 15(10): 2763. [2] Amaro A, Reggiani F, Fenoglio D, et al. Guadecitabine increases response to combined anti-CTLA-4 and anti-PD-1 treatment in mouse melanoma in vivo by controlling T-cells, myeloid derived suppressor and NK cells[J]. Journal of Experimental & Clinical Cancer Research, 2023, 42(1): 67.	

Background

Guadecitabine sodium is a dinucleotide of decitabine (the active metabolite) and deoxyguanosine, resistant to cytidine deaminase^[1]. Gradual release of decitabine from Guadecitabine sodium after subcutaneous injection results in more sustained levels of decitabine, prolonging the exposure window, which allows more incorporation into the DNA of leukemia cells during the synthesis phase of the cell cycle, inducing DNA demethylation and causing cytotoxicity^[2]. Guadecitabine sodium has been widely used in tumor research to overcome drug resistance and inhibit the growth of various cancer cells^[3].

In vitro, Guadecitabine sodium treatment for 5 days significantly inhibited the viability of SK-UT1 and SK-LMS cells, with IC₅₀ values of 0.3μM and 9.6μM, respectively^[4]. Guadecitabine sodium treatment (1μM) for 72 hours markedly reduced the proliferation of HepG2 and Huh-7 cells, and led to a decrease in the methylation levels of CDKN2A, DLEC1, and RUNX3^[5]. Treatment with 10μM Guadecitabine sodium for 5 days reduced the protein level of DNMT1 in DU145 cells and increased the protein levels of H3K4me1 and H3K4me2^[6].

In vivo, Guadecitabine sodium treatment via intraperitoneal injection at a dose of 50μg/day for 4 days led to a reduction in tumor volume and a decrease in myeloid cells within 4T1 tumor-bearing Balb/cJ

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

mice^[7]. Daily intraperitoneal injection of Guadecitabine sodium (1mg/kg) was administered for 13 days, which inhibited the tumor growth in the B16F10 xenograft mouse model and reduced the DNA methylation level in the tumors^[8].

References:

- [1] Sébert M, Renneville A, Bally C, et al. A phase II study of guadecitabine in higher-risk myelodysplastic syndrome and low blast count acute myeloid leukemia after azacitidine failure[J]. Haematologica, 2019, 104(8): 1565.
- [2] Roboz G J, Sanz G, Griffiths E A, et al. Guadecitabine vs TC in relapsed/refractory AML after intensive chemotherapy: a randomized phase 3 ASTRAL-2 trial[J]. Blood advances, 2024, 8(8): 2020-2029.
- [3] Gruber E, Franich R L, Shortt J, et al. Distinct and overlapping mechanisms of resistance to azacytidine and guadecitabine in acute myeloid leukemia: Acute myeloid leukemia[J]. Leukemia, 2020, 34(12): 3388-3392.
- [4] Fischer C D C, Hu Y, Singh J, et al. Abstract A35: Treatment with demethylating drugs inhibits tumor growth in leiomyosarcoma cell lines and xenograft models[J]. Clinical Cancer Research, 2018, 24(2_Supplement): A35-A35.
- [5] Jueliger S, Lyons J, Cannito S, et al. Efficacy and epigenetic interactions of novel DNA hypomethylating agent guadecitabine (SGI-110) in preclinical models of hepatocellular carcinoma[J]. Epigenetics, 2016, 11(10): 709-720.
- [6] Karan D, Singh M, Dubey S, et al. DNA methyltransferase 1 targeting using guadecitabine inhibits prostate cancer growth by an apoptosis-independent pathway[J]. Cancers, 2023, 15(10): 2763.
- [7] Luker A J, Graham L J, Smith Jr T M, et al. The DNA methyltransferase inhibitor, guadecitabine, targets tumor-induced myelopoiesis and recovers T cell activity to slow tumor growth in combination with adoptive immunotherapy in a mouse model of breast cancer[J]. BMC immunology, 2020, 21(1): 8.
- [8] Amaro A, Reggiani F, Fenoglio D, et al. Guadecitabine increases response to combined anti-CTLA-4 and anti-PD-1 treatment in mouse melanoma in vivo by controlling T-cells, myeloid derived suppressor and NK cells[J]. Journal of Experimental & Clinical Cancer Research, 2023, 42(1): 67.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA