

Product Data Sheet

Product Name: NSC232003

Cat. No.: GC32721

Chemical Properties

Cas. No. 1905453-18-0

SMILES O=C1NC(/C(C=N1)=C(NO)/C)=O

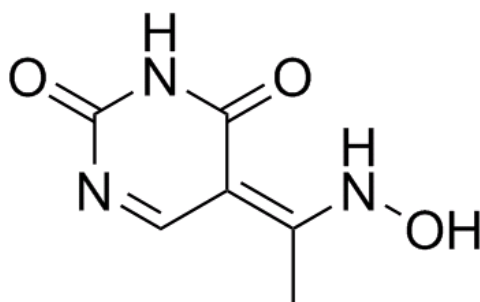
Formula C6H7N3O3 M.Wt 169.14

Solubility Water : 17 mg/mL (100.51 mM); DMSO : < 1 mg/mL (insoluble or slightly soluble) 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]:

Cell lines NRK-49F cells

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

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Preparation Method NRK-49F cells were starved overnight in DMEM containing 0% FBS prior to any stimulation. Pre-incubation of 50 μ M NSC232003 was carried out 1h before TGF- β 1 treatment. The dosage levels and times of TGF- β 1 were adopted as indicated. Cells were free from mycoplasma contamination.

Reaction Conditions 50 μ M; 1h

Applications NSC232003 significantly reduced TGF- β 1-induced KLF15 hypermethylation, while also decreasing the expression of α -SMA and fibronectin.

Animal experiment [2]:

Animal models KYSE450 cells xenograft mice tumor model

Preparation Method KYSE450 cells were implanted into BALB/c nude mice. One week later, the mice were randomly divided into four groups, receiving either the vector, NSC232003 (5mg/kg), SGI-1027 (5mg/kg), or a combination of NSC232003 and SGI-1027, respectively. The inhibitor was administered intraperitoneally for 3 weeks. Tumor size was measured every 3-4 days, and the tumor was resected 3 weeks after implantation.

Dosage form 5mg/kg; ip; once in 3 weeks

Applications NSC232003 significantly inhibited UHRF1 activity. When used in combination with the DNMT inhibitor SGI-1027, it can more effectively downregulate NKX2-5/LHX1 expression, block the UHRF1-DNMT positive feedback loop, and inhibit the growth of esophageal squamous cell carcinoma.

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References:

- [1]. Gu Y, Lv S, Huang X, et al. Inhibition of epigenetic regulator UHRF1 attenuates renal fibrosis and retains transcription factor Krüppel-like factor 15 expression[J]. Cell Death Discovery, 2025, 11(1): 270.
- [2]. Li X, Fan D, Li Y, et al. NKX2-5/LHX1 and UHRF1 Establishing a Positive Feedback Regulatory Circuitry Drives Esophageal Squamous Cell Carcinoma through Epigenetic Dysregulation[J]. Advanced Science, 2025, 12(20): 2413508.

Background

NSC232003 is a small molecule inhibitor targeting UHRF1 [1]. NSC232003 binds to the 5-methylcytosine recognition pocket of the SRA domain of UHRF1, thereby interfering with the interaction between UHRF1 and DNMT1, leading to a decrease in intracellular DNA methylation levels [2-3]. NSC232003 is primarily focused on tumor and epigenetic interventions [4].

In NRK-49F cells, NSC232003 (50µM; 1h) significantly reduced TGF-β1-induced KLF15 hypermethylation, while also decreasing the expression of α-SMA and fibronectin [5]. In fibroblasts, NSC232003 (5µM; 4h) exerts a significant anti-fibrotic effect by inhibiting the protein expression of fibrosis markers [6].

In KYSE450 cells xenograft mouse model, NSC232003 (5 mg/kg; ip; 3 weeks) significantly inhibited UHRF1 activity, and its combination with the DNMT inhibitor SGI-1027 more effectively suppressed NKX2-5/LHX1 expression and tumor growth by disrupting the UHRF1-DNMT positive feedback loop [7].

References:

- [1]. Myrianthopoulos V, Cartron P F, Liutkevičiūtė Z, et al. Tandem virtual screening targeting the SRA domain of UHRF1 identifies a novel chemical tool modulating DNA methylation[J]. European journal of medicinal chemistry, 2016, 114: 390-396.
- [2]. Patnaik D, Estève P O, Pradhan S. Targeting the SET and RING-associated (SRA) domain of ubiquitin-like, PHD and ring finger-containing 1 (UHRF1) for anti-cancer drug development[J]. Oncotarget, 2018, 9(40): 26243.
- [3]. Song Y, Liu H, Xian Q, et al. Mechanistic insights into UHRF1-mediated DNA methylation by

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structure-based functional clarification of UHRF1 domains[J]. Oncology Letters, 2023, 26(6): 542.

[4]. Kim A, Benavente C A. Oncogenic roles of UHRF1 in cancer[J]. Epigenomes, 2024, 8(3): 26.

[5]. Gu Y, Lv S, Huang X, et al. Inhibition of epigenetic regulator UHRF1 attenuates renal fibrosis and retains transcription factor Krüppel-like factor 15 expression[J]. Cell Death Discovery, 2025, 11(1): 270.

[6]. Cheng D, Wang Y, Li Z, et al. Liposomal UHRF1 siRNA shows lung fibrosis treatment potential through regulation of fibroblast activation[J]. JCI insight, 2022, 7(22): e162831.

[7]. Li X, Fan D, Li Y, et al. NKX2-5/LHX1 and UHRF1 Establishing a Positive Feedback Regulatory Circuitry Drives Esophageal Squamous Cell Carcinoma through Epigenetic Dysregulation[J]. Advanced Science, 2025, 12(20): 2413508.

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