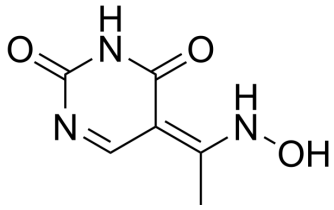
NSC232003

Cat. No.:	HY-103236
CAS No.:	1905453-18-0
Molecular Formula:	$C_6H_7N_3O_3$
Molecular Weight:	169.14
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 2 mg/mL (11.82 mM; Need ultrasonic)
DMSO : < 1 mg/mL (insoluble or slightly soluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	5.9123 mL	29.5613 mL	59.1226 mL
	5 mM	1.1825 mL	5.9123 mL	11.8245 mL
	10 mM	0.5912 mL	2.9561 mL	5.9123 mL

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

In Vivo

1. Add each solvent one by one: PBS
Solubility: 4.76 mg/mL (28.14 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

NSC232003 is a highly potent and cell-permeable UHRF1 inhibitor, which inhibits DNA methylation in vitro and disrupts DNMT1/UHRF1 interactions at a cellular level.

IC_{50} & Target

UHRF1[1]

In Vitro

NSC232003, a uracil derivative freely available by the NCI/DTP repository, provides a versatile lead for developing highly potent and cell-permeable UHRF1 inhibitors that will enable dissection of DNA methylation inheritance. NSC232003 is indeed an effective DNA methylation inhibitor and indicate that this particular nucleotide scaffold could provide a versatile basis for the design of potent UHRF1 inhibitors. NSC232003 is predicted to be partially deprotonated at pH 7, as the pK_a of the more acidic imide nitrogen of the pyrimidine ring is a value of 7.6 in NSC232003. The DNMT1/UHRF1 interactions are significantly reduced after 4 h of incubation of U251 glioma cells with the most potent compound NSC232003, showing a

50% interaction inhibition at 15 μM as well as induction of global DNA cytosine demethylation as measured by ELISA[1].

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

CUSTOMER VALIDATION

- JCI Insight. 2022 Sep 27;e162831.
- EBioMedicine. 2022 May;79:103985.

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REFERENCES

[1]. Myrianthopoulos V, et al. Tandem virtual screening targeting the SRA domain of UHRF1 identifies a novel chemical tool modulating DNA methylation. Eur J Med Chem. 2016 May 23;114:390-6.

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