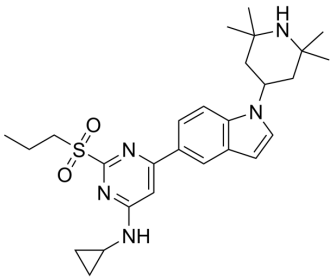
NVS-CECR2-1

Cat. No.:	HY-110374
CAS No.:	1992047-61-6
Molecular Formula:	$C_{27}H_{37}N_5O_2S$
Molecular Weight:	495.68
Target:	Epigenetic Reader Domain; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 66.67 mg/mL (134.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0174 mL	10.0872 mL	20.1743 mL
	5 mM	0.4035 mL	2.0174 mL	4.0349 mL
	10 mM	0.2017 mL	1.0087 mL	2.0174 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NVS-CECR2-1, a non-BET family Bromodomain (BRD) inhibitor, is a potent and selective cat eye syndrome chromosome region, candidate 2 (CECR2) inhibitor. NVS-CECR2-1 binds to CECR2 BRD with high affinity (IC_{50}=47 nM; K_D=80 nM). NVS-CECR2-1 exhibits cytotoxic activity and induces apoptosis against various cancer cells by targeting CECR2 as well as via CECR2-independent mechanism[1].

IC_{50} & Target

CECR2 47 nM (IC_{50})	CECR2 80 nM (Kd)	BRD4 >37 μM (IC_{50})	BRD7 5.5 μM (IC_{50})
BRD9 2.3 μM (IC_{50})			

In Vitro

NVS-CECR2-1 (1-4 μM; 72 hours) decreases the viability of all cancer cells[1].
?NVS-CECR2-1 (1-6 μM; 72 hours) increases apoptosis in a dose-dependent manner[1].
?NVS-CECR2-1 (10 μM; 2 hours) inhibits chromatin binding of CECR2 BRD within SW48 cells. NVS-CECR2-1 (5, 10, 15 μM; 2

hours) dissociates CECR2 from chromatin in a dose-dependent manner without affecting BRG1[1].
?NVS-CECR2-1 (0.5-4 μM; 10 days) inhibits the clonogenic ability of SW48 cells in a dose dependent manner and its IC_{50} value is estimated to be 0.64 μM[1].
?NVS-CECR2-1 inhibits chromatin binding of CECR2 BRD and displaces CECR2 from chromatin within cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Colon (SW48, HT29 and HCT116), lung (H460), uroepithelium (SV-HUC-1), cervix (HeLa) and bone (U2OS), human embryonic kidney (HEK) 293 T cells
Concentration:	1, 1.5, 2, 2.5, 3, 4 μM
Incubation Time:	72 hours
Result:	Decreased the viability of all cancer cells analyzed in a dose dependent manner. Showed a dose-dependent cytotoxicity on HEK 293 T cells.

Apoptosis Analysis[1]

Cell Line:	SW48 cells
Concentration:	0.5, 1, 1.5, 2, 4, 6 μM
Incubation Time:	72 hours
Result:	Increased apoptosis in a dose-dependent manner, with more than 80% cells undergoing apoptosis at 6 μM, and had virtually no effect on necrosis.

REFERENCES

[1]. Seul Gi Park, et al. Cytotoxic activity of bromodomain inhibitor NVS-CECR2-1 on human cancer cells. Sci Rep. 2020 Oct 1;10(1):16330.

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