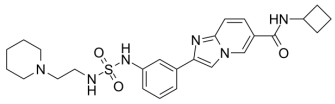
SR-0813

Cat. No.:	HY-145409
CAS No.:	2597186-19-9
Molecular Formula:	$C_{25}H_{32}N_6O_3S$
Molecular Weight:	496.62
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (201.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0136 mL	10.0681 mL	20.1361 mL
	5 mM	0.4027 mL	2.0136 mL	4.0272 mL
	10 mM	0.2014 mL	1.0068 mL	2.0136 mL

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

BIOLOGICAL ACTIVITY

Description

SR-0813 is a potent and selective ENL/AF9 YEATS domain inhibitor. SR-0813 has IC_{50} and EC_{50} values of 25 nM and 205 nM for ENL YEATS domain, respectively. SR-0813 has IC_{50} and EC_{50} values of 311 nM and 76 nM (CETSA) for AF9 YEATS domain, respectively. SR-0813 binds MAP3K19 with over 100-fold lower affinity (K_d=3.5 μM) than ENL YEATS (K_d=30 nM). SR-0813 can be used for the research of acute leukemia[1].

IC_{50} & Target

ENL YEATS domain 25 nM (IC_{50})	ENL YEATS domain 205 nM (EC50)	AF9 YEATS domain 311 nM (IC_{50})	AF9 YEATS domain 76 nM (EC50)
ENL YEATS domain 30 nM (Kd)	MAP3K19 3.5 μM (Kd)		

In Vitro

SR-0813 (compound 10; 0, 1, 10 μM; 4 h) dose-dependent evicts ENL from known ENL binding sites, including the HOXA10 gene body and MYB promoter in MV4;11 cells[1].

SR-0813 (0, 1, 10 μM; 0, 24, 48, 72 h) downregulates the transcript levels of HOXA9, MEIS1, and MYC, and increases the abundance of the ITGAM transcript in MV4;11 cells[1].

SR-0813 (0, 1, 10 μM; approximately 2 weeks) inhibits the growth of multiple lineage leukemia (MLL)-fusion leukemia cell lines (MV4;11, MOLM-13, OCI/AML-2) and HB11;19 cells[1].
SR-0813 (1 μM, 4h) does not elicit global changes in gene expression in MV4;11 cells, but produces a strikingly selective suppression of ENL target genes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MLL-fusion leukemia cell lines: MV4;11 (MLL-AF4 AML), MOLM-13 (MLL-AF9 AML), and OCI/AML-2 (MLL-AF6 AML), which are sensitive to the genetic loss of ENL. HB11;19 cells, which harboring an MLL-ENL fusion.
Concentration:	0, 1, 10 μM
Incubation Time:	Approximately 2 weeks
Result:	Inhibited the growth of cells.

REFERENCES

[1]. Garnar-Wortzel L, et al. Chemical Inhibition of ENL/AF9 YEATS Domains in Acute Leukemia. ACS Cent Sci. 2021 May 26;7(5):815-830.

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