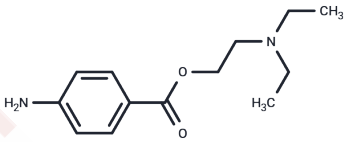
Data Sheet (Cat.No.T0029)

TargetMol

Procaine

Chemical Properties



CAS No. : 59-46-1

Formula: C13H20N2O2

Molecular Weight: 236.31

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Procaine (Vitamin H3) is a local anesthetic of the ester type that has a slow onset and a short duration of action. It is mainly used for infiltration anesthesia, peripheral nerve block, and spinal block.
Targets(IC50)	Histone Demethylase,DNA/RNA Synthesis,Sodium Channel
In vitro	The viability of HLE, HuH7, and HuH6 cells is significantly decreased by procaine treatment. Inhibition of S/G2/M transition, morphological changes such as vacuolation and no increase in apoptosis rate are observed in the procaine-treated HLE cells. All the genes transcriptionally suppressed by DNA hypermethylation are demethylated and reactivated with procaine treatment. Procaine has growth-inhibitory and demethylating effects on human hepatoma cells[2].
In vivo	Procaine has a growth-inhibitory and demethylating effect against xenograft in vivo[2].
Kinase Assay	Biochemical Assays: Compound potency is also assessed through incorporation of 3H-SAM into a biotinylated H3 peptide. Specifically, PRC2 containing either EZH1 (160 pM), wt EZH2 (40 pM), or Y641N mutant EZH2 (80 pM, both EZH2 prepared in-house) is pre-incubated with 3H-SAM (0.9 μM), 2 μM H3K27me3 activating peptide (H2N-RKQLATKAAR (Kme3)SAPATGGVKKP-amide) and compounds (as 10 point duplicate dose response titrations) for 120 min in a buffer consisting of 50 mM Tris (pH 8.5), 1 mM DTT, 0.07 mM Brij-35, 0.1% BSA, and 0.8% DMSO in a total volume of 12.5 μl in a black 384 well plate. Reaction is initiated with biotinylated H3 substrate peptides (H3K27me1 for wt EZH2, H3K27me2 for Y641N mutant EZH2; H2N-RKQLATKAAR(Kmen)SAPATGGVKKP-NTPEGBiot) as a 2 μM stock in 12.5 μL and allowed to react at room temperature for 5 h. Quenching is accomplished by addition of 20 μl of STOP solution (50 mM Tris (pH 8.5), 200 mM EDTA, 2 mM SAH). 35 μL of the quenched solution is transferred to Streptavidin Flashplates, incubated overnight, washed, and read in a TopCount Reader. For titrations all compound dilutions are in DMSO, final DMSO concentrations are 0.8% (v/v), and turnover is kept to less than < 5%. IC50s are calculated using non-linear least square four parameter fits (GraphPad 6.0).
Cell Research	For apoptosis analysis, the TUNEL assay is performed on HLE cells treated with no drug (control), or 1 μM of DAC(5-aza-2'-deoxycytidine), or 1 mM of PCA(procaine), or 1 mM of PCAA(procainamide) for 96 h. The proportion of TUNEL-positive cells was calculated by counting at least 500 cells randomly. All assays were carried out in triplicate. (Only for

	Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 47 mg/mL (198.89 mM),Sonication is recommended. Ethanol: 43 mg/mL (181.96 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.2317 mL	21.1586 mL	42.3173 mL
5 mM	0.8463 mL	4.2317 mL	8.4635 mL
10 mM	0.4232 mL	2.1159 mL	4.2317 mL
50 mM	0.0846 mL	0.4232 mL	0.8463 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Rigon AR, et al. Gen Pharmacol. 1996, 27(4):647-50.
Tada M, et al. Hepatol Int. 2007, 1(3):355-64.