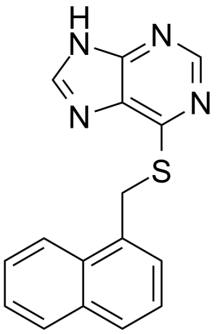
PU02

Cat. No.:	HY-103118
CAS No.:	313984-77-9
Molecular Formula:	$C_{16}H_{12}N_4S$
Molecular Weight:	292.36
Target:	5-HT Receptor; Apoptosis
Pathway:	GPCR/G Protein; Neuronal Signaling; Apoptosis
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (855.11 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4204 mL	17.1022 mL	34.2044 mL
5 mM	0.6841 mL	3.4204 mL	6.8409 mL
10 mM	0.3420 mL	1.7102 mL	3.4204 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (7.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PU02, a derivative of 6-MP (HY-13677), is a negative allosteric modulator (NAM) of 5-HT_3 receptor, with IC_{50} values of 0.36 and 0.73 μM in HEK293 cells transfected with human 5-HT_3A and 5-HT_3AB receptors respectively[1][2].

IC_{50} & Target

5-HT_{3A} Receptor	5-HT_3 AB
0.36 μM (IC_{50})	0.73 μM (IC_{50})

In Vitro

PU02 (NMMP) (0-200 μM) leads to a steady decrease in cell viability of HepG2 cells (IC_{50}=48.585 μM). PU02 (NMMP) shows less toxicity on L02 cells than did 6-MP after 48 h of treatment[2].
PU02 (NMMP) at 6.25 or 25 μM exhibits inhibitory effects on the viability of the tested cell lines, including SMMC-7721, MDA-

MB-231, RKO and HCT-8 cells[2].
PU02 (NMMP) induces cell cycle arrest at the G2/M phase. PU02 (NMMP) downregulats the expression of cyclin B1/D1 and CDK4 in a time-dependent manner in HepG2 cells,but the expression of cyclin E is not affected[2].
PU02 (NMMP)-treated cells exhibits a significant increase in caspase-3 cleavage, suggesting enhanced apoptotic activity[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	HepG2 cells.
Concentration:	6.26, 12.5, 25, 50 μM.
Incubation Time:	6, 12, 24, 36 h.
Result:	Induced mitochondria-dependent apoptosis.

REFERENCES

[1]. Sarah M Trattnig, et al. Discovery of a novel allosteric modulator of 5-HT3 receptors: inhibition and potentiation of Cys-loop receptor signaling through a conserved transmembrane intersubunit site. J Biol Chem. 2012 Jul 20;287(30):25241-54.

[2]. Xiao-Guang Yang, et al. 6-[(1-naphthylmethyl)sulfanyl]-9H-purine induces G2/M phase arrest and apoptosis in human hepatocellular carcinoma HepG2 cells. Eur J Pharmacol. 2012 Nov 15;695(1-3):27-33.

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