PU139

Cat. No.: HY-124696

CAS No.: 158093-65-3

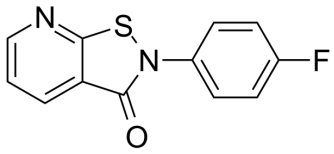
Molecular Formula: $C_{12}H_7FN_2OS$

Molecular Weight: 246.26

Target: Histone Acetyltransferase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (50.76 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0607 mL	20.3037 mL	40.6075 mL
	5 mM	0.8121 mL	4.0607 mL	8.1215 mL
	10 mM	0.4061 mL	2.0304 mL	4.0607 mL

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

BIOLOGICAL ACTIVITY

Description

PU139 is a potent pan-histone acetyltransferase (HAT) inhibitor. PU139 blocks the HATs Gcn5, p300/CBP-associated factor (PCAF), CREB (cAMP response element-binding) protein (CBP) and p300 with IC_{50}s of 8.39, 9.74, 2.49 and 5.35 μM, respectively[1][2].

IC_{50} & Target

GCN5	CBP	p300	PCAF
8.39 μM (IC_{50})	2.49 μM (IC_{50})	5.35 μM (IC_{50})	9.74 μM (IC_{50})

In Vitro

PU139 inhibits cell growth with GI_{50}s of <60 μM (A431, A549, A2780, HepG2, SW480, U-87 MG, HCT116 and SK-N-SH and MCF7 cells)[1].

PU139 (0-100 μM; 24-72 hours) triggers caspase-independent cell death in the neuroblastoma cell line SK-N-SH[1].

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

In Vivo

PU139 (25 mg/kg; i.p.) synergizes with Doxorubicin used as a prototypic chemotherapeutic drug in growth inhibition[1].

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Animal Model:	Male NMRI:nu/nu mice (Neuroblastoma xenografts)[1]
Dosage:	25 mg/kg
Administration:	Intraperitoneally (PU139) with Dxorubicin at 8 mg/kg i.v.; Administered on days 14 and 21 as a single dose of each compound or, for combination therapy; both drugs were administered successively within 1 h.
Result:	Optimum growth inhibition following a single PU139 therapy was moderate, but significant as compared with the untreated group and confirmed the previous findings.

REFERENCES

[1]. Gajer JM, et al. Histone acetyltransferase inhibitors block neuroblastoma cell growth in vivo. Oncogenesis. 2015;4(2):e137. Published 2015 Feb 9.

[2]. Carneiro VC, et al. Epigenetic changes modulate schistosome egg formation and are a novel target for reducing transmission of schistosomiasis. PLoS Pathog. 2014;10(5):e1004116. Published 2014 May 8.

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