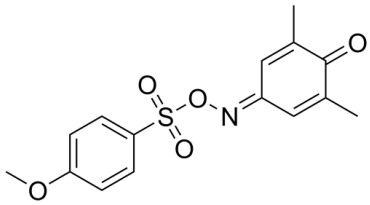
Data Sheet

Product Name:	L002
Cat. No.:	CS-0019911
CAS No.:	321695-57-2
Molecular Formula:	$C_{15}H_{15}NO_5S$
Molecular Weight:	321.35
Target:	Histone Acetyltransferase; STAT
Pathway:	Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Solubility:	DMSO : 62.5 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

L002 is a potent, cell permeable, reversible and specific **acetyltransferase p300 (KAT3B)** inhibitor with an **IC_{50}** of 1.98 μM[1]. L002 binds the acetyl-CoA pocket and competitively inhibits the FATp300 catalytic domain, blocks histone acetylation and p53 acetylation, and inhibits STAT3 activation[2]. L002 has the potential for hypertension‐induced cardiac hypertrophy and fibrogenesis treatment [3]. IC50 & Target: IC50: 1.98 uM (KAT3B); 35 μM (PCAF); 34 μM (GCN5)[1] ;STAT3; p53[2] ***In Vitro:*** L002 also has weak inhibitory effects against PCAF and GCN5 (IC_{50}s =35 and 34 μM, respectively) and is specific for p300 over a panel of additional acetyltransferases, deacetylases, and methyltransferases[1]. ***In Vivo:*** L002 (intraperitoneal injection; 20 μg/gm body weight; every 3rd day; 2 weeks) reverses hypertension‐induced cardiac hypertrophy and fibrosis by treatment of mice after inducing hypertension for two weeks significantly.It also reduces the levels of perivascular and interstitial collagen in the myocardium compared to non-treated hypertensive mice[3].

References:

[1]. Rai R, et al. A novel acetyltransferase p300 inhibitor ameliorates hypertension-associated cardio-renal fibrosis. Epigenetics. 2017;12(11):1004-1013.

[2]. Sun XJ, et al. The Role of Histone Acetyltransferases in Normal and Malignant Hematopoiesis. Front Oncol. 2015 May 26;5:108.

[3]. Rai R, et al. Acetyltransferase p300 inhibitor reverses hypertension-induced cardiac fibrosis.J Cell Mol Med. 2019 Apr;23(4):3026-3031.

CAIndexNames:

2,5-Cyclohexadiene-1,4-dione, 2,6-dimethyl-, 4-[O-[(4-methoxyphenyl)sulfonyl]oxime]

SMILES:

O=C1C(C)=C/C(C=C1C)=N\OS(=O)(C2=CC=C(OC)C=C2)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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