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## L002 (NSC764414)

产品编号: D50862

CAS: 321695-57-2 分子式: C15H15NO5S

纯度: ≥98%

InChi: InChI=1S/C15H15NO5S/c1-10-8-12(9-11(2)15(10)17)16-21-22(18,19)14-6-4-13(20-3)5-7-14/h4

-9H,1-3H3

InChi Key: VEWFTYOFWIXCIO-UHFFFAOYSA-N

Smiles: COC1C=CC(=CC=1)S(=O)(=O)ON=C1C=C(C)C(=O)C(C)=C1

外观: 固体粉末

作用通路: Histone Acetyltransferase

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: L002 (NSC764414) is a novel selective, cell permeable and reversible inhibitor of p300

histone acetyl transferase (IC50  $\sim$ 1.98  $\mu$ M). It has no activity in histone methyltransferases tested, including DOT1, EZH1, G9a, PRMT1, SETD2, SET7-9, SMYD2, and SUV39H2 etc. L002 was shown to occupy Ac-CoA binding pocket of p300, and is less potent to CBP, PCAF, GCN5 and GNAT. It suppresses Histone H3 and H4 acetylation in triple negative breast cancer cell line MDAMB-468 as well as in HCT116 cell line and blocks p300-mediated STAT3 phosphorylation in pancreatic cancer cell line MIA Paca-2. L002 can suppress tumor growth and histone acetylation in a mouse MDA-MB-468 xenograft model.

## 基本信息:

CAS: 321695-57-2

分子式: C15H15NO5S

分子量: 321.35

纯度:≥98%

溶解性: 溶于DMSO: 62.5 mg/mL (194.49 mM; Need ultrasonic)

性状: 白色固体

## 产品简介:

L002 是一种有效的,细胞可渗透的,可逆的和特定的乙酰转移酶 p300(KAT3B) 抑制剂,IC50 为  $1.98~\mu M$ 。 L002 结合乙酰辅酶 A 口袋并竞争性抑制 FATp300 催化结构域,阻断组蛋白乙酰化和 p53 乙酰化,且抑制 STAT3 激活。L002 有潜力用于高血压引起的心脏肥大和纤维化的研究。

作用靶点: Histone Acetyltransferase; STAT;

作用通路: Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt;

体外研究:	Cancer; Inflammation/Immunology;