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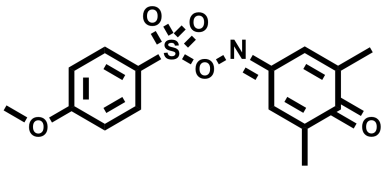
p300 Inhibitor – L002 (NSC764414)

Chemical Name: 4-((((4-methoxyphenyl)sulfonyl)oxy)imino)-2,6-dimethylcyclohexa-2,5-dienone



Molecular Weight:	321.35
Formula:	$C_{15}H_{15}NO_5S$
Purity:	≥98%
CAS#:	321695-57-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

L002 (NSC764414) is a novel selective, cell permeable and reversible inhibitor of p300 histone acetyl transferase (IC_{50} ~1.98 µM). 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.

How to Use:

In vitro: L002 was used at 5-30 µM final concentration in various in vitro assays.

In vivo: L002 was administered through IP injection at 500 µg/100 µl twice a week for 3 weeks in xenografts models.

Reference:

1. Yang H, et al. Small-molecule inhibitors of acetyltransferase p300 identified by high-throughput screening are potent anticancer agents. (2013) Mol Cancer Ther. 12(5):610-20.