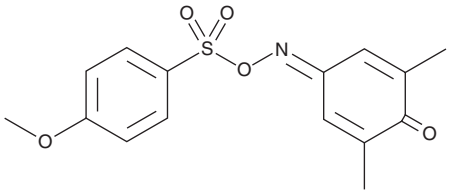
PRODUCT INFORMATION

L002

Item No. 17778

CAS Registry No.:	321695-57-2
Formal Name:	2,6-dimethyl-2,5-cyclohexadiene-1,4-dione 4-[O-[(4-methoxyphenyl)sulfonyl]oxime]
Synonyms:	p300/CBP Inhibitor VI, NSC 764414
MF:	$C_{15}H_{15}NO_5S$
FW:	321.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 245, 281 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

L002 is supplied as a crystalline solid. A stock solution may be made by dissolving the L002 in the solvent of choice. L002 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of L002 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

L002 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, L002 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. L002 has a solubility of approximately 0.25 in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

L002 is an inhibitor of p300 histone acetyltransferase (KAT3B; IC_{50} = 1.98 µM in vitro).1 It has weaker 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. L002 blocks acetylation of histones and p53 in cells treated with trichostatin A or etoposide, respectively, and reduces STAT3 phosphorylation, which requires p300-mediated acetylation of STAT3.[1] It induces growth arrest and apoptosis in certain cancer cell lines and, when administered intraperitoneally in mice, suppresses the growth of triple-negative breast cancer xenografts.[1]

Reference

1. Yang, H., Pinello, C.E., Luo, J., *et al.* Small-molecule inhibitors of acetyltransferase p300 identified by high-throughput screening are potent anticancer agents. *Mol. Cancer Ther.* **12(5)**, 610-620 (2013).

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