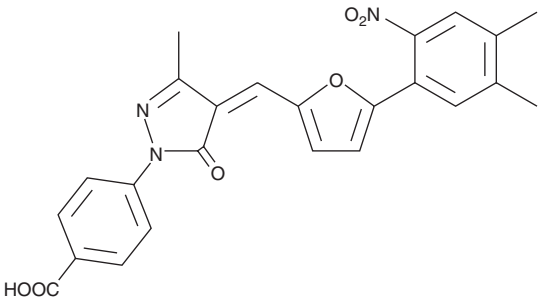
PRODUCT INFORMATION

C646

Item No. 10549

CAS Registry No.:	328968-36-1
Formal Name:	4-[4-[[5-(4,5-dimethyl-2-nitrophenyl)-2-furanyl]methylene]-4,5-dihydro-3-methyl-5-oxo-1H-pyra-zol-1-yl]-benzoic acid
MF:	$C_{24}H_{19}N_3O_6$
FW:	445.4
Purity:	≥98% (mixture of isomers)
UV/Vis.:	λ_{max}: 275, 283, 405 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

C646 is supplied as a crystalline solid. A stock solution may be made by dissolving the C646 in the solvent of choice, which should be purged with an inert gas. C646 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of C646 in these solvents is approximately 11 and 1.5 mg/ml, respectively.

C646 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, C646 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. C646 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

C646 is an inhibitor of the histone acetyltransferase p300 (IC_{50} = 1.6 µM).[1] It competitively (*versus* acetyl-CoA) binds p300 (K_i = 400 nM) and does not inhibit several other acetyltransferases.[1] The action of C646 appears to be irreversible through covalent modification of the enzyme target.[1] It blocks the growth of human melanoma, leukemia, lung, and prostate cancer cells *in vitro*.[1-3] C646 has been used to study the role of p300-mediated acetylation in such models as fear extinction memory and the regulation of immediate-early genes.[4-5]

References

1. Bowers, E.M., Yan, G., Mukherjee, C., *et al.* Virtual ligand screening of the p300/CBP histone acetyltransferase: Identification of a selective small molecule inhibitor. *Chem. Biol.* **17(5)**, 471-482 (2010).
2. Gao, X.-n., Lin, J., Ning, Q.-y., *et al.* A histone acetyltransferase p300 inhibitor C646 induces cell cycle arrest and apoptosis selectively in AML1-ETO-positive AML cells. *PLoS One* **8(2)**, (2013).
3. Santer, F.R., Höschele, P.P.S., Oh, S.J., *et al.* Inhibition of the acetyltransferases p300 and CBP reveals a targetable function for p300 in the survival and invasion pathways of prostate cancer cell lines. *Mol. Cancer Ther.* **10(9)**, 1644-1655 (2011).
4. Marek, R., Coelho, C.M., Sullivan, R.K.P., *et al.* Paradoxical enhancement of fear extinction memory and synaptic plasticity by inhibition of the histone acetyltransferase p300. *J. Neurosci.* **31(20)**, 7486-7491 (2011).
5. Crump, N.T., Hazzalin, C.A., Bowers, E.M., *et al.* Dynamic acetylation of all lysine-4 trimethylated histone H3 is evolutionarily conserved and mediated by p300/CBP. *Proc. Natl. Acad. Sci. USA* **108(19)**, 7814-7819 (2011).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM