

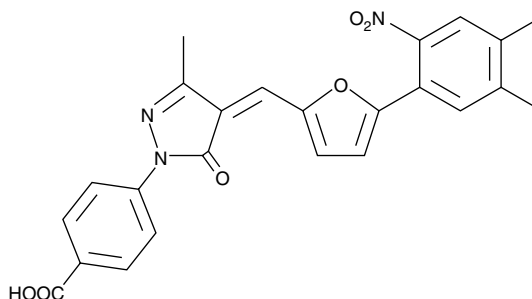
Product Information



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-pyrazol-1-yl]-benzoic acid
MF: C₂₄H₁₉N₃O₆
FW: 445.4
Purity: ≥98% (mixture of isomers)
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 283, 405 nm



Laboratory Procedures

For long term storage, we suggest that C646 be stored as supplied at -20°C. It should be stable for at least two years.

C646 is supplied as a crystalline solid. A stock solution may be made by dissolving the C646 in the solvent of choice. C646 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. 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.

C646 is an inhibitor of the histone acetyltransferase p300 (IC₅₀ = 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**, 471-482 (2010).
2. Gao, X., Lin, J., Ning, Q., *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).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/10549

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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Cayman Chemical

Mailing address

1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone

(800) 364-9897
(734) 971-3335

Fax

(734) 971-3640

E-Mail

custserv@caymanchem.com

Web

www.caymanchem.com