

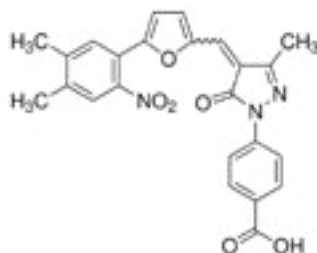
C-646

Catalog Number P014-5MG

Catalog Number P014-25MG

FEATURES

- p300/CBP HAT inhibitor
- Inhibits melanoma cancer cell growth
- Less than 10% inhibition of other HATs



ARBOR
ASSAYS

INTRODUCTION

A reversible, cell-permeable pyrazolone p300/CBP HAT inhibitor ($K_i = 400$ nM), which competes with acetyl-CoA for the p300 Lys-CoA binding pocket. Demonstrates 86% inhibition against p300 at 10 nM. Less than 10% inhibition against serotonin N-acetyltransferase, PCAF, GCN5, Rtt109, Sas, and MOZ HATs in a chemical screening assay. Treatment of C3H 10T1/2 mouse fibroblasts at 25 μ M results in an inhibitory effect against basal and TSA-inducible acetylation of histones H3 and H4. Shown to inhibit human cell growth in melanoma and non-small-cell-lung cancer cell lines at 10 μ M with similar or higher potency than peptide-based bisubstrate p300/CBP HAT inhibitor Lys-CoA-Tat at 25 μ M.

FORM:	Orange powder
MOLECULAR WEIGHT:	445.4
STORAGE:	4°C, desiccated
FORMULA:	$C_{24}H_{19}N_3O_6$
CAS NUMBER:	328968-36-1
OTHER NAMES:	4-[4-[[5-(4,5-Dimethyl-2-nitrophenyl)-2-furanyl]methylene]-4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl]benzoic acid
USES:	Soluble to 10 mg/mL in DMSO

REFERENCES:

Bowers EM, et al. Virtual ligand screening of the p300/CBP histone acetyltransferase: identification of a selective small molecule inhibitor. *Chem Biol.* 17:5, 471-82. (2010).

Ott M, Verdin E., HAT trick: p300, small molecule, inhibitor. *Chem Biol.* 17:5, 417-8. (2010).

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