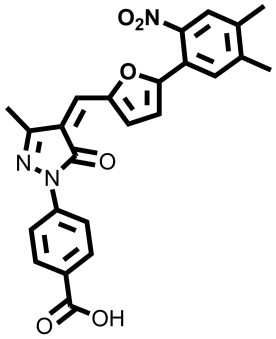
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

p300/CBP inhibitor – C646

Chemical Name: (Z)-4-(4-((5-(4,5-dimethyl-2-nitrophenyl)furan-2-yl)methylene)-3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzoic acid



Molecular Weight:	445.42
Formula:	$C_{24}H_{19}N_3O_6$
Purity:	≥98%
CAS#:	328968-36-1
Solubility:	DMSO up to 30 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

C646 is a potent, selective and cell-permeable p300/CBP histone acetyltransferase (HAT) inhibitor with an IC_{50} ~400 nM. It competes with acetyl-CoA for the p300 Lys-CoA binding pocket selectively. It blocks the growth of human melanoma, leukemia, lung, and prostate cancer cells in vitro. C646 has also been used to study the role of p300-mediated gene regulation in neuroscience. The histone acetyltransferase (HAT) p300/CBP is a transcriptional coactivator implicated in many gene regulatory pathways and protein acetylation events. C646 is a useful chemical probe to study p300/CBP HAT functions.

How to Use:

In vitro: C646 was used at 10 μM final concentration in various in vitro assays.

In vivo: C646 was dosed to mice by Alzet pumps at 0.9 mg/kg per day for 14 days.

Reference:

1. Gao XN, et al. A histone acetyltransferase p300 inhibitor C646 induces cell cycle arrest and apoptosis selectively in AML1-ETO-positive AML cells. (2013) PLoS One. 8(2):e55481
2. Santer FR, 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. (2011) Mol Cancer Ther. 10(9):1644-55.
3. Crump NT, et al. Dynamic acetylation of all lysine-4 trimethylated histone H3 is evolutionarily conserved and mediated by p300/CBP. (2011) Proc Natl Acad Sci USA. 108(19):7814-9.
4. Marek R, et al. Paradoxical enhancement of fear extinction memory and synaptic plasticity by inhibition of the histone acetyltransferase p300. (2011) J Neurosci. 31(20):7486-91.
5. Bowers EM, et al. Virtual ligand screening of the p300/CBP histone acetyltransferase: identification of a selective small molecule inhibitor. (2010) Chem Biol. 17(5):471-82.