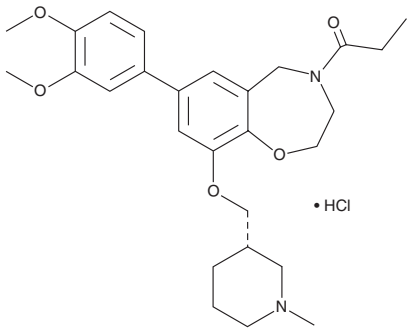
PRODUCT INFORMATION

I-CBP112 (hydrochloride)

Item No. 14468

CAS Registry No.:	2147701-33-3
Formal Name:	1-[7-(3,4-dimethoxyphenyl)-2,3-dihydro-9-[[(3S)-1-methyl-3-piperidinyl]methoxy]-1,4-benzoxazepin-4(5H)-yl]-1-propanone, monohydrochloride
MF:	$C_{27}H_{36}N_2O_5 \bullet HCl$
FW:	505.1
Purity:	≥90%
UV/Vis.:	λ_{max}: 213, 269, 291 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

I-CBP112 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the I-CBP112 (hydrochloride) in the solvent of choice. I-CBP112 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of I-CBP112 (hydrochloride) in these solvents is approximately 16 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of I-CBP112 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of I-CBP112 (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

I-CBP112 is an inhibitor of p300 and CREB-binding protein (CBP) histone acetyltransferases.[1] It binds to the p300 and CBP bromodomains (K_ds = 167 and 151 nM, respectively) and is selective for p300 and CBP over BRD4, as well as a panel of 104 nuclear receptors and ion channels and a panel of 32 enzymes at 10 µM. I-CBP112 displaces acetylated histones from CBP in a cell-free assay (IC_{50} = 170 nM). It reduces colony formation and increases differentiation of primary murine leukemic blasts and delays disease initiation following leukemic blast transplantation into sub-lethally irradiated mice when used at concentrations of 5 and 10 µM.

Reference

1. Picaud, S., Fedorov, O., Thanasopoulou, A., *et al*. Generation of a selective small molecule inhibitor of the CBP/p300 bromodomain for leukemia therapy. *Cancer Res.* **75(23)**, 5106-5119 (2015).

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