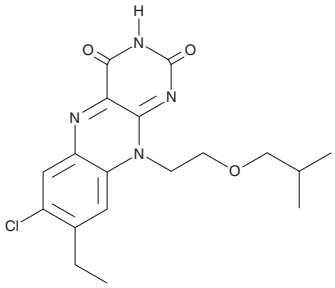
PRODUCT INFORMATION

JG-2016

Item No. 41876

CAS Registry No.:	2887480-87-5
Formal Name:	7-chloro-8-ethyl-10-[2-(2-methylpropoxy)ethyl]-benzo[g]pteridine-2,4(3H,10H)-dione
MF:	$C_{18}H_{21}ClN_4O_3$
FW:	376.8
Purity:	≥98%
Supplied as:	A 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

JG-2016 is supplied as a solid. A stock solution may be made by dissolving the JG-2016 in the solvent of choice, which should be purged with an inert gas. JG-2016 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Description

JG-2016 is an inhibitor of histone acetyltransferase 1 (HAT1; IC_{50} = 14.8 µM).[1] It is selective for HAT1 over lysine acetyltransferase 2A (KAT2A/GCN5) and p300/CBP-associated factor (PCAF), for which it has no activity, KAT5, KAT6B, and KAT7 (IC_{50}s = >100, >100, and 84.82 µM, respectively), CREB-binding protein (CBP), and p300 (IC_{50}s = 90.41 and 74.25 µM, respectively). JG-2016 (20 µM) reduces acetylation of histone H4 lysine 5 (H4K5) and H4K12 in hTert-HME1 mammary epithelial cells. It reduces the growth of HCC1806 triple-negative breast cancer and A549 lung cancer cells (EC_{50}s = 10.4 and 1.9 µM, respectively). JG-2016 reduces intratumoral H4K12 acetylation in an A549 mouse xenograft model in a dose-dependent manner. It also reduces tumor growth in an A549 mouse xenograft model when administered at doses of 50 and 100 mg/kg once every three days.

Reference

1. Gaddameedi, J.D., Chou, T., Geller, B.S., *et al.* An acetyl-click screening platform identifies small-molecule inhibitors of histone acetyltransferase 1 (HAT1). *J. Med. Chem.* **66(8)**, 5774-5801 (2023).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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