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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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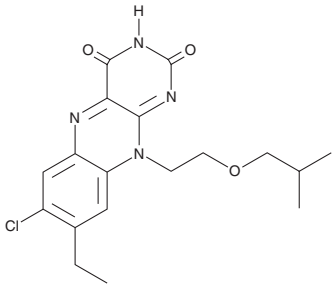
PRODUCT INFORMATION

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).

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