

PRODUCT INFORMATION



SKLB03220

Item No. 45614

CAS Registry No.: 2852050-29-2
Formal Name: N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-5-[ethyl(tetrahydro-2H-pyran-4-yl)amino]-2',4-dimethyl-5'-[(1-oxo-2-propen-1-yl)amino]-[1,1'-biphenyl]-3-carboxamide

MF: C₃₃H₄₀N₄O₄

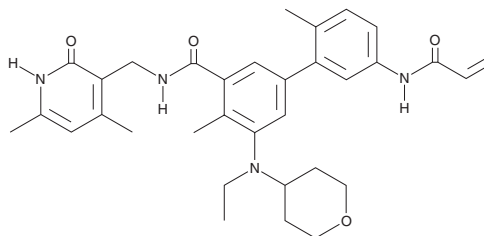
FW: 556.7

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

SKLB03220 is supplied as a solid. A stock solution may be made by dissolving the SKLB03220 in the solvent of choice, which should be purged with an inert gas. SKLB03220 is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

Description

SKLB03220 is a covalent inhibitor of the histone-lysine methyltransferase enhancer of zeste homolog 2 (EZH2; IC₅₀ = 1.72 nM).¹ It also inhibits EZH2^{A677G}, EZH2^{Y641N}, and EZH2^{Y641F}, mutations found in various cancers, when used at a concentration of 10 nM. SKLB03220 is selective for EZH2 over a variety of other histone-lysine methyltransferases, including G9a, SUV39H1, SET domain-containing protein 8 (SETD8), SMYD2, and protein arginine methyltransferase 1-7 (PRMT1-7) at 10 μM but does inhibit EZH1 at 1 and 10 μM. It is also selective for EZH2 over a panel of 224 kinases at 200 nM. SKLB03220 inhibits the proliferation of PA-1 and A2780 ovarian cancer cells in a concentration-dependent manner. It reduces levels of trimethylated histone H3 lysine 27 (H3K27Me3) and induces apoptosis in PA-1 cells. SKLB03220 (75 and 150 mg/kg twice per day) reduces tumor growth in a PA-1 mouse xenograft model.

Reference

1. Zhang, Q., Chen, X., Cao, J., *et al.* Discovery of a novel covalent EZH2 inhibitor based on tazemetostat scaffold for the treatment of ovarian cancer. *J. Med. Chem.* **66**(3), 1725-1741 (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.

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