
Product Data Sheet

Product Name: UNC 2400
Cat. No.: GC15652

Chemical Properties

Cas. No. 1433200-49-7

Chemical Name N-((1,6-dimethyl-2-oxo-4-propyl-1,2-dihydropyridin-3-yl)methyl)-1-isopropyl-6-(6-(4-isopropylpiperazin-1-yl)pyridin-3-yl)-N-methyl-1H-indazole-4-carboxamide

SMILES CC(C)N1CCN(C2=NC=C(C3=CC(N(C(C)C)N=C4)=C4C(C(N(C)CC5=C(CCC)C=C(C)N(C)C5=O)=O)=C3)C=C2)CC1

Formula C₃₅H₄₇N₇O₂

M.Wt 597.79

Solubility Soluble to 100 mM in DMSO and to 100 mM in 1eq. HCl

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure

Background

UNC 2400, a close analogue of UNC1999, is a negative control of UNC1999 that inhibits EZH2 and EZH1 [1].

Histone-lysine N-methyltransferase (EZH1 or EZH2) is the catalytic subunit of the polycomb repressive complex 2 that catalyzes methylation of histone H3 lysine 27 (H3K27). The trimethylation of H3K27 (H3K27me3) is a transcriptionally repressive post-translational modification. Hypertrimethylation of H3K27 and overexpression of EZH2 are involved in cancers [1].

UNC 2400 is a negative control of UNC1999. UNC 2400 inhibited EZH2 with IC₅₀ value of 13 μM and exhibited >1,000-fold less potency than UNC1999. Also, UNC 2400 inhibited EZH1 and EZH2 Y641F with IC₅₀ values of 62 and >200 μM, respectively. In MCF10A cells, UNC 2400 exhibited little inhibition of H3K27me3 levels and had low cellular toxicity with EC₅₀ value of 27.5 μM that was similar to UNC1999. In DB cells, UNC 2400 (3 μM) did not significantly inhibit DB cell proliferation and had no influence on H3K27me3 or EZH2 levels [1].

Reference:

[1]. Konze KD, Ma A, Li F, et al. An orally bioavailable chemical probe of the Lysine Methyltransferases EZH2 and EZH1. ACS Chem Biol, 2013, 8(6): 1324-1334.

Caution: Product has not been fully validated for medical applications. For research use only.

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