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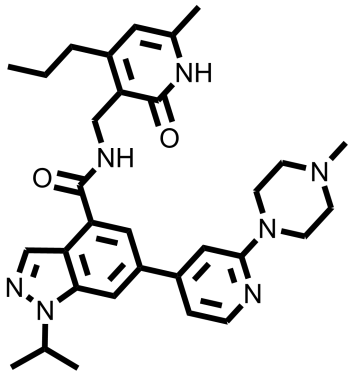
EZH2 Methyltransferase Inhibitor – GSK343

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



Molecular Weight:	541.69
Formula:	$C_{31}H_{39}N_7O_2$
Purity:	≥98%
CAS#:	1346704-33-3
Solubility:	DMSO up to 1 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 month -20 °C 1 year

Biological Activity:

GSK343 is a potent and selective small molecule inhibitor of histone methyltransferase EZH2 with an IC50 of 4 nM. It showed 60 fold selectivity against EZH1, and >1000 fold selectivity against other histone methyltransferases. GSK343 inhibits H3K27 methylation in HCC1806 breast cancer cells with an IC_{50} of <200 nM. GSK343 significantly suppressed the growth of EOC cells cultured in 3D matrigel extracellular matrix (ECM), which more closely mimics the tumor microenvironment in vivo. Notably, it induces apoptosis of EOC cells in 3D but not 2D culture. In addition, GSK343 significantly inhibited the invasion of EOC cells.

How to Use:

In vitro: GSK343 was used at 10-50 μM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. Sharad K, et al. Identification of Potent, Selective, Cell-Active Inhibitors of the Histone Lysine Methyltransferase EZH2. (2012) ACS Med. Chem. Lett. 3 (12): 1091–1096
2. Amatangelo MD, et al. Three-dimensional culture sensitizes epithelial ovarian cancer cells to EZH2 methyltransferase inhibition. (2013) Cell Cycle 12(13):2113-9.