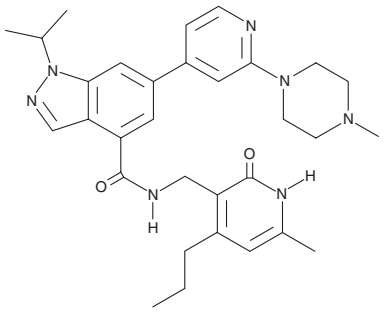
PRODUCT INFORMATION

GSK343

Item No. 14094

CAS Registry No.:	1346704-33-3
Formal Name:	N-[(1,2-dihydro-6-methyl-2-oxo-4-propyl-3-pyridinyl)methyl]-1-(1-methylethyl)-6-[2-(4-methyl-1-piperazinyl)-4-pyridinyl]-1H-indazole-4-carboxamide
MF:	$C_{31}H_{39}N_7O_2$
FW:	541.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 256, 305 nm
Supplied as:	A crystalline 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

GSK343 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK343 in the solvent of choice, which should be purged with an inert gas. GSK343 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK343 in these solvents is approximately 2, 1, and 25 mg/ml, respectively.

GSK343 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK343 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK343 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The histone H3 lysine 27 (H3K27) methyltransferase EZH2 plays an important role in regulating gene expression, and its aberrant activity is linked to the onset and progression of cancer.[1] GSK343 is a cell-permeable EZH2 inhibitor (IC_{50} = 4 nM) that is 60-fold selective over EZH1 and >1,000-fold selective over other histone methyltranferases.[2] GSK343 has been shown to inhibit the trimethylation of H3K27 in HCC1806 cells with an IC_{50} value of 174 nM.[2] See the Structural Genomics Consortium (SGC) website for more information.

References

1. Simon, J.A. and Lange, C.A. Roles of the EZH2 histone methyltransferase in cancer epigenetics. *Mutat. Res.* **647(1-2)**, 21-29 (2008).
2. Verma, S.K., Tian, X., LaFrance, L.V., *et al.* Identification of potent, selective, cell-active inhibitors of the histone lysine methyltransferase EZH2. *ACS Med. Chem. Lett.* **3(12)**, 1091-1096 (2012).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM