Print Date: Mar 23rd 2021

Certificate of Analysis

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Product Name: **GSK 343** **Catalog No.: 6128** **Batch No.: 1**

CAS Number: 1346704-33-3

IUPAC 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]-1*H*-indazole-4-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{31}H_{39}N_7O_2.H_2O$

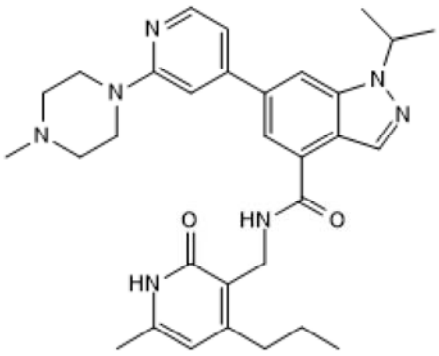
Batch Molecular Weight: 559.71

Physical Appearance: Beige solid

Solubility: DMSO to 20 mM with gentle warming

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.4% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	66.52	7.38	17.52
Found	66.32	7.33	17.62

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Description:

GSK 343 is a potent and selective SAM-competitive EZH2 inhibitor (IC_{50} = 4 nM), that exhibits >60 fold selectivity for EZH2 over EZH1 and a range of other methyltransferases. GSK 343 decreases H3K27me3 levels in breast cancer cells and inhibits proliferation of prostate cancer cell lines in vitro.

Physical and Chemical Properties:

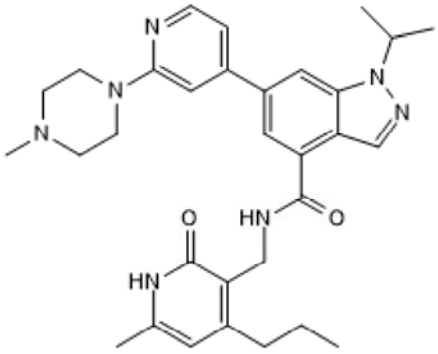
Batch Molecular Formula: $C_{31}H_{39}N_7O_2.H_2O$

Batch Molecular Weight: 559.71

Physical Appearance: Beige solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 20 mM with gentle warming

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

This probe is supplied in conjunction with the Structural Genomics Consortium. For further characterization details, please visit the GSK343 probe summary on the SGC website.

References:

Scheer *et al* (2019) A chemical biology toolbox to study protein methyltransferases and epigenetic signaling. Nat.Commun. ***10*** 19. PMID: 30604761.

Verma *et al* (2012) Identification of potent, selective, cell-active inhibitors of the histone lysine methyltransferase EZH2. ACS Med.Chem.Lett. ***3*** 1091. PMID: 24900432.