

# PRODUCT INFORMATION

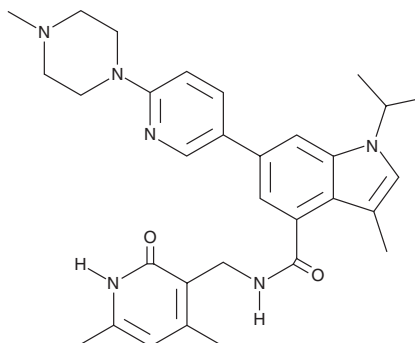


## GSK503

Item No. 18531

**CAS Registry No.:** 1346572-63-1  
**Formal Name:** N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-3-methyl-1-(1-methylethyl)-6-[6-(4-methyl-1-piperazinyl)-3-pyridinyl]-1H-indole-4-carboxamide

**MF:** C<sub>31</sub>H<sub>38</sub>N<sub>6</sub>O<sub>2</sub>  
**FW:** 526.7  
**Purity:** ≥98%  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 235, 282 nm  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

GSK503 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK503 in the solvent of choice, which should be purged with an inert gas. GSK503 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK503 in these solvents is approximately 2, 15, and 25 mg/ml, respectively.

GSK503 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK503 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK503 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 lysine methyltransferase EZH2 (KMT6), part of the polycomb repressive complex 2, catalyzes trimethylation of lysine 27 on histone H3 (H3K27me3) and is involved in proliferation and aggressive cell growth associated with neoplastic cells. GSK503 is an inhibitor of EZH2 that prevents the methyltransferase activity of wild-type and mutant EZH2 with similar potency (apparent K<sub>i</sub> = 3-27 nM).<sup>1</sup> It is more than 200-fold selective for EZH2 over EZH1 and more than 4,000-fold selective over other histone methyltransferases. GSK503 displays favorable pharmacokinetics in mice. It prevents germinal center formation and hyperplasia that is relevant to lymphoma generation and inhibits growth and metastasis of cutaneous melanomas in mice.<sup>1,2</sup>

### References

1. Béguelin, W., Popovic, R., Teater, M., *et al.* EZH2 is required for germinal center formation and somatic EZH2 mutations promote lymphoid transformation. *Cancer Cell*. **23**(5), 677-692 (2013).
2. Zingg, D., Debbache, J., Schaefer, S.M., *et al.* The epigenetic modifier EZH2 controls melanoma growth and metastasis through silencing of distinct tumour suppressors. *Nat. Commun.* **6**, (2015).

#### 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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