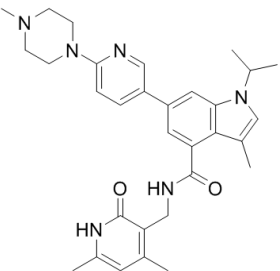


| | | |
|--------------------------|--|--|
| Product Name | : GSK503 |  |
| Synonyms | : GSK-503 | |
| Cat No. | : M11402 | |
| CAS Number | : 1346572-63-1 | |
| Molecular Formula | : C ₃₁ H ₃₈ N ₆ O ₂ | |
| Formula Weight | : 526.67 | |
| Chemical Name | 1H-Indole-4-carboxamide, N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-3-methyl-1-(1-methylethyl)-6-[6-(4-methyl-1-piperazinyl)-3-pyridinyl]- | |
| Description | GSK503 is a potent, specific EZH2 methyltransferase inhibitor that inhibits the methyltransferase activity of WT and mutant EZH2 with similar potency (K _i app=3-27 nM); GSK503 is highly selective for the SET domain of EZH2, >200 fold selective over EZH1 and > 4000 fold selective over other HMTases; inhibits GCB lymphoma cell lines with IC ₅₀ of 0.5-20 uM; impairs proliferation and invasiveness, accompanied by re-expression of tumour suppressor in melanoma cells; stabilizes the disease through inhibition of growth and virtually abolishes metastases formation without affecting normal melanocyte biology in melanoma mouse model. | |
| Pathway | : Chromatin/Epigenetic | |
| Target | : HMTase | |
| Receptor | : EZH2 | |
| Solubility | : DMSO: ≥ 44 mg/mL | |
| SMILES | <chem>O=C(C1=CC(C2=CC=C(N3CCN(C)CC3)N=C2)=CC4=C1C(C)=CN4C(C)C)NCC5=C(C)C=C(C)NC5=O</chem> | |
| Storage | : (-20°C) | |
| Stability | : ≥ 2 years | |
| Reference | : | |

1. Zingg D, et al. Nat Commun. 2015 Jan 22;6:6051. | 2. Béguelin W, et al. Cancer Cell. 2013 May 13;23(5):677-92.