

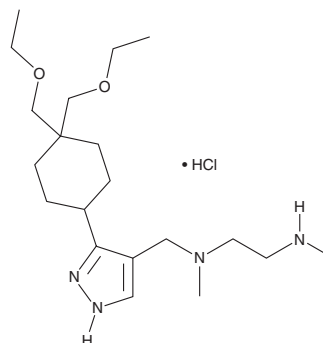
PRODUCT INFORMATION



GSK3368715 (hydrochloride)

Item No. 34886

CAS Registry No.: 2227587-25-7
Formal Name: N¹-[[3-[4,4-bis(ethoxymethyl)cyclohexyl]-1H-pyrazol-4-yl]methyl]-N¹,N²-dimethyl-1,2-ethanediamine, monohydrochloride
MF: C₂₀H₃₈N₄O₂ • HCl
FW: 403.0
Purity: ≥98%
Supplied as: A 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

GSK3368715 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the GSK3368715 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. GSK3368715 (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 40 mg/ml.

Description

GSK3368715 is an inhibitor of type I protein arginine methyltransferases (PRMTs; IC₅₀s = 3.1, 162, 38, 4.7, and 39 nM for PRMT1, 3, 4, 6, and 8, respectively).¹ It is selective for type I PRMTs over PRMT5, 7, and 9 (IC₅₀s = >20,408, >40,000, and >15,000 nM, respectively), as well as 20 additional methyltransferases and a panel of ion channels, receptors, and transporters at 10 μM. GSK3368715 (5 μM) inhibits the growth of patient-derived diffuse large B cell lymphoma (DLBCL) cells. *In vivo*, GSK3368715 (9.375-150 mg/kg) reduces tumor volume in Toledo DLBCL and BxPC-3 pancreatic adenocarcinoma mouse xenograft models. It also reduces tumor growth in ACHN renal carcinoma and MDA-MB-468 breast cancer mouse xenograft models and a pancreatic adenocarcinoma patient-derived xenograft (PDX) mouse model when administered at doses of 150 and 300 mg/kg, respectively.

Reference

1. Fedoriw, A., Rajapurkar, S.R., O'Brien, S., *et al.* Anti-tumor activity of the type I PRMT inhibitor, GSK3368715, synergizes with PRMT5 inhibition through MTAP loss. *Cancer Cell* **36**(1), 100-114.e25 (2019).

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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