

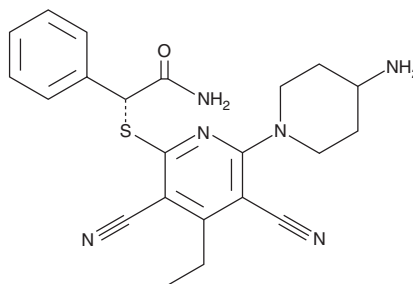
PRODUCT INFORMATION



GSK3685032

Item No. 41882

CAS Registry No.: 2170140-50-6
Formal Name: αR-[[6-(4-amino-1-piperidiny)-3,5-dicyano-4-ethyl-2-pyridinyl]thio]-benzeneacetamide
MF: C₂₂H₂₄N₆OS
FW: 420.5
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

GSK3685032 is supplied as a solid. A stock solution may be made by dissolving the GSK3685032 in the solvent of choice, which should be purged with an inert gas. GSK3685032 is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

GSK3685032 is an inhibitor of DNA methyltransferase 1 (DNMT1; IC₅₀ = 0.23 μM).¹ It is selective for DNMT1 over DNMT3A/3L and DNMT3B/3L and a panel of 34 methyltransferases and 369 kinases (IC₅₀s = >10 μM for all). GSK3685032 inhibits the proliferation of 51 hematological cancer cell lines (median IC₅₀ = 0.64 μM). It decreases overall DNA methylation and gene expression in MV4-11, THP-1, and NOMO-1 acute myeloid leukemia (AML) cells in a time- and concentration-dependent manner. GSK3685032 increases the expression of genes encoding chemokine (C-X-C) motif ligand 11 (CXCL11), IFN-α-inducible protein 27 (IFI27), and melanoma-associated antigen 4 (MAGEA4). *In vivo*, GSK3685032 (45, 30, or 15 mg/kg twice per day) reduces tumor volume and increases survival but does decrease blood numbers of neutrophils and red blood cells in SKM-1 and MV4-11 leukemia mouse xenograft models.

Reference

1. Pappalardi, M.B., Keenan, K., Cockerill, M., *et al.* Discovery of a first-in-class reversible DNMT1-selective inhibitor with improved tolerability and efficacy in acute myeloid leukemia. *Nat. Cancer* **2(10)**, 1002-1017 (2021).

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.

WARRANTY AND LIMITATION OF REMEDY

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