PRODUCT INFORMATION



GSK2606414

Item No. 17376

CAS Registry No.: Formal Name:	1337531-36-8 1-[5-(4-amino-7-methyl-7H- pyrrolo[2,3-d]pyrimidin-5-yl)- 2,3-dihydro-1H-indol-1-yl]-2-[3- (trifluoromethyl)phenyl]-ethanone
MF:	$C_{24}H_{20}F_{3}N_{5}O$
FW:	451.4
Purity:	≥98% N
UV/Vis.:	λ _{max} : 210, 290 nm
Supplied as:	A crystalline solid /
Storage:	-20°C
Stability:	≥4 years
Information represents the product specifications. Patch specific analytical results are provided on each certificate of analysis	

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Laboratory Procedures

GSK2606414 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2606414 in the solvent of choice, which should be purged with an inert gas. GSK2606414 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK2606414 in ethanol is approximately 2 mg/ml and approximately 10 mg/ml in DMSO and DMF.

GSK2606414 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2606414 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK2606414 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2606414 is an orally available, selective protein kinase R-like ER kinase (PERK) inhibitor with an IC₅₀ value of 0.4 nM.¹ It can inhibit thapsigargin-induced PERK phosphorylation in lung carcinoma A549 cells and attenuates subcutaneous pancreatic human tumor xenograft growth in mice.¹ Because PERK mediates the unfolded protein response pathway, which has roles in neurotoxicity and cancer, inhibition of PERK signaling has potential applications for the treatment of cancer and also cognitive degeneration.

Reference

1. Hetz, C., Chevet, E., and Harding, H.P. Targeting the unfolded protein response in disease. Nat. Rev. Drug Discov. 12(9), 703-719 (2013).

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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