

PRODUCT INFORMATION



GSK2606414

Item No. 17376

CAS Registry No.: 1337531-36-8

Formal Name: 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: C₂₄H₂₀F₃N₅O

FW: 451.4

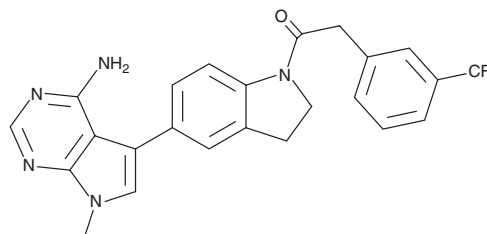
Purity: ≥98%

UV/Vis.: λ_{max}: 210, 290 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

GSK2606414 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2606414 in the solvent of choice. GSK2606414 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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. *Drug Discovery* **12**, 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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