GSK621

Small Molecules

AMPK agonist



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Catalog #100-0265

100-0265 1 mg 100-0266 5 mg

Product Description

GSK621 is a specific agonist of AMP-activated protein kinase (AMPK; Sujobert et al.).

Molecular Name: GSK621

Alternative Names: Not applicable CAS Number: 1346607-05-3 Chemical Formula: $C_{26}H_{20}CIN_3O_5$ Molecular Weight: 489.9 g/mol \geq 98%

Chemical Name: 6-chloro-5-(2'-hydroxy-3'-methoxy[1,1'-biphenyl]-4-yl)-3-(3-methoxyphenyl)-1H-pyrrolo[3,2-d]pyrimidine-

2,4(3H,5H)-dione

Structure:

Properties

Physical Appearance: A crystalline solid

Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light. For long-term storage store with

a desiccant. Stable as supplied for 12 months from date of receipt.

Solubility: \cdot DMSO \leq 60 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 204 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

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Published Applications

CANCER RESEARCH

- · Increases AMPKa T172 phosphorylation, a marker of AMPK activation, in acute myeloid leukemia (AML) cells and primary AML samples (Sujobert et al.).
- · Displays selective cytotoxicity ($IC_{50} = 13 30 \mu M$) by activating autophagy via the eIF2 α signaling pathway independent of mTORC1 activation in AML cell lines but not in normal hematopoietic progenitor cells (Sujobert et al.).
- · Inhibits human melanoma cell survival and proliferation (Chen et al.).

References

Chen L et al. (2016) AMPK activation by GSK621 inhibits human melanoma cells in vitro and in vivo. Biochem Biophys Res Commun 480(4): 515–21.

Sujobert P et al. (2015) Co-activation of AMPK and mTORC1 induces cytotoxicity in acute myeloid leukemia. Cell Rep 11(9): 1446–57.

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