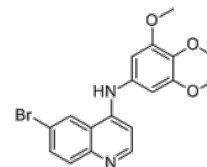


Product Name : SGC-GAK-1
Cat. No. : PC-35852
CAS No. : 2226517-76-4
Molecular Formula : C₁₈H₁₇BrN₂O₃
Molecular Weight : 389.249
Target : Other Targets
Solubility : 10 mM in DMSO



1. Asquith CRM, et al. *J Med Chem.* 2019 Feb 15.
doi: 10.1021/acs.jmedchem.8b01213.

Biological Activity

SGC-GAK-1 (GAK inhibitor 1) is a potent, selective, and cell-active inhibitor of cyclin **G-associated kinase (GAK)** with K_i of 3.2 nM, 16,000-fold selectivity over NAK.

SGC-GAK-1 is highly selective in an in vitro kinome-wide screen, but cellular engagement assays defined RIPK2 as a collateral target.

SGC-GAK-1 shows high affinity for GAK in cells in cellular target engagement assays (IC₅₀=120 nM), 3-fold selectivity over RIPK2 in cells (IC₅₀=360 nM).

SGC-GAK-1 robustly blocked growth of 22Rv1 and LNCaP cell lines (Viability IC₅₀=0.17 and 0.65 uM) that express AR splice variants associated with poor clinical prognosis, treatment of 22Rv1 cells with led to PARP cleavage, a marker of cells undergoing apoptosis, and an increase in phosphorylated histone H3 Ser10, as had been observed in with GAK targeting siRNA.

References

