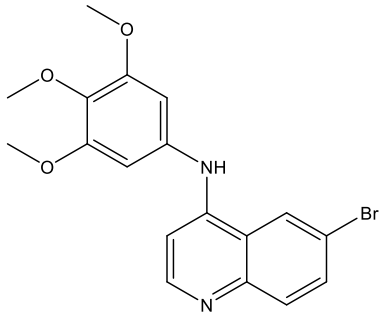
Catalog # 10-4796

SGC-GAK-1

CAS# 2226517-76-4

6-Bromo-N-(3,4,5-trimethoxyphenyl)quinoline-4-amine

Lot # FBA6163



SGC-GAK-1 is a highly potent and selective inhibitor of cyclin G associated kinase (GAK), a member of the numb-associated kinase (NAK) family involved in membrane trafficking and sorting of proteins and is required for the maintenance of centrosome maturation and progression though mitosis. K_D = 1.9 nM with >50-fold selectivity against a panel of 400 kinases. It also displayed affinity for RIPK2 (K_D = 110 nM) and ADCK3 (K_D = 190 nM). SGC-GAK-1 displayed potent cellular activity in HEK293T cells (IC_{50} = 120 nM) and showed strong growth inhibition in the prostate cancer cell lines LNCaP (IC_{50} = 0.65 µM) and 22Rv1 (IC_{50} = 0.17 µM).

1) Asquith *et al.* (2019), *SGC-GAK-1: A Chemical Probe for Cyclin G Associated Kinase (GAK)*; J. Med. Chem., **62** 2830

PHYSICAL DATA

Molecular Weight:	389.25
Molecular Formula:	$C_{18}H_{17}BrN_2O_3$
Purity:	>99% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/mL); ethanol (10mg/mL)
Physical Description:	Pale yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 2 months.

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