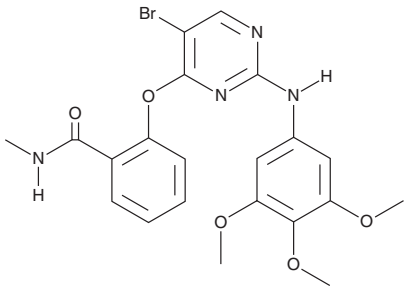
PRODUCT INFORMATION

SBI-0206965

Item No. 18477



CAS Registry No.:	1884220-36-3
Formal Name:	2-[[5-bromo-2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]oxy]-N-methyl-benzamide
MF:	$C_{21}H_{21}BrN_4O_5$
FW:	489.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 202, 284 nm
Supplied as:	A crytalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SBI-0206965 is supplied as a crystalline solid. A stock solution may be made by dissolving the SBI-0206965 in the solvent of choice. SBI-0206965 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of SBI-0206965 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

SBI-0206965 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SBI-0206965 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SBI-0206965 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ULK1 is a serine/threonine kinase that acts upstream of phosphatidylinositol 3-kinase (PI3K) to regulate the formation of autophagophores, the precursors of autophagosomes, in response to nutrient deprivation. It is activated by phosphorylation by AMPK and, in turn, phosphorylates and inhibits AMPK. SBI-0206965 is an inhibitor of ULK1 (IC_{50} = 108 nM) that is less effective against ULK2 (IC_{50} = 711 nM).[1] It is selective for ULK1 and ULK2 over a panel of 456 additional kinases, showing activity against a few kinases *in vitro* but not in cells.[1] SBI-0206965 suppresses autophagy induced by mTOR inhibition *via* AZD 8055 (Item No. 16978). It also blocks ULK1-dependent cell survival following nutrient deprivation.[1]

Reference

1. Egan, D.F., Chun, M.G.H., Vamos, M., *et al.* Small Molecule Inhibition of the Autophagy Kinase ULK1 and Identification of ULK1 Substrates. *Mol. Cell* **59**, 285-297 (2015).

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