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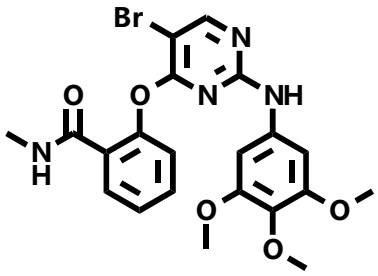
Autophagy Kinase ULK1 Inhibitor – SBI-0206965

Chemical Name: 2-((5-bromo-2-((3,4,5-trimethoxyphenyl)amino)pyrimidin-4-yl)oxy)-N-methylbenzamide



Molecular Weight:	489.33
Formula:	$C_{21}H_{21}BrN_4O_5$
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 50 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

SBI-0206965 is a potent, selective and cell permeable autophagy kinase ULK1 inhibitor. It inhibits ULK1 kinase with IC_{50} of 108 nM and the highly related kinase ULK2 with IC_{50} of 711 nM. It has very high selectivity, only inhibits 10 out of 456 kinases >95% when tested at 10 μM. SBI-0206965 suppressed ULK1-mediated phosphorylation events in cells, regulating autophagy and cell survival It can suppress autophagy induced by mTOR inhibition, prevent ULK1-dependent cell survival following nutrient deprivation. It greatly synergized with mechanistic target of rapamycin (mTOR) inhibitors to kill tumor cells, providing a strong rationale for their combined use in the clinic.

How to Use:

In vitro: SBI-0206965 was usually used at 10 μM final concentration in vitro.

In vivo: n/a

Reference:

1. Egan DF, et al. Small Molecule Inhibition of the Autophagy Kinase ULK1 and Identification of ULK1 Substrates. (2015) Mol Cell. 59(2):285-97.