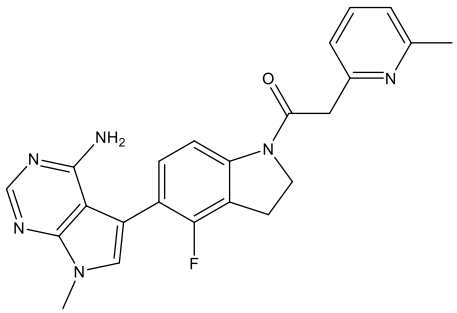
Catalog # 10-4855
GSK2656157
CAS# 1337532-29-2

1-[5-(4-Amino-7-methylpyrrolo[2,3-d]pyrimidin-5-yl)-4-fluoro-2,3-dihydroindol-1-yl]-2-(6-methylpyridin-2-yl)ethanone

Lot # FBS2115



GSK2656157 is a potent (IC_{50} = 0.9 nM) and selective (over 300 kinases) inhibitor of protein kinase RNA-like endoplasmic reticulum kinase (PERK).[1,2] Inhibited growth of multiple human tumor xenografts in mice. GSK2656157 has also been found to potently inhibit RIPK1 (IC_{50} = 69 nM) and TNF-mediated RIPK1 kinase-dependent cell death in mouse embryonic fibroblasts.[3] It prevented the loss of dendritic spines and rescued memory deficits after traumatic brain injury.[4] GSK2656157 also enhanced glucose-stimulated insulin secretion in a mouse model of type 2 diabetes mellitus.[5]

1) Atkins *et al.* (2013*) Characterization of a novel PERK kinase inhibitor with antitumor and antiangiogenic activity;* Cancer Res. **73** 1993
2) Axten *et al.* (2014*) Discovery of GSK2656157: An Optimized PERK Inhibitor Selected for Preclinical Development;* ACS Med. Chem. Lett. **4** 964
3) Rojas-Rivera *et al.* (2017*) When PERK inhibitors turn out to be new potent RIPK1 inhibitors: critical issues on the specificity and use of GSK2606414 and GSK2656157;* Cell Death Differ. **24** 1100
4) Sen *et al.* (2017*) Activation of PERK Elicits Memory Impairment through Inactivation of CREB and Downregulation of PSD95 After Traumatic Brain Injury;* J. Neurosci. **37** 5900
5) Kim *et al.* (2019*) Specific PERK inhibitors enhanced glucose-stimulated insulin secretion in a mouse model of type 2 diabetes;* Metabolism, **97** 87

PHYSICAL DATA

Molecular Weight:	416.45
Molecular Formula:	$C_{23}H_{21}FN_6O$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (10 mg/ml with warming)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462
www.focusbiomolecules.com