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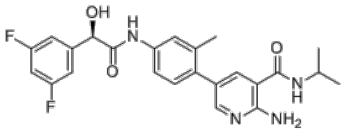
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HC-5404
Cat. No.	:	PC-21218
CAS No.	:	2247396-91-2
Molecular Formula	:	$C_{24}H_{24}F_2N_4O_3$
Molecular Weight	:	454.48
Target	:	PERK
Solubility	:	10 mM in DMSO



CAS: 2247396-91-2

Biological Activity

HC-5404 (LY-4) is a potent, selective and orally active inhibitor of PKR-like endoplasmic reticulum kinase (**PERK**, **EIF2AK3**) with IC50 of 1 nM.
HC-5404 displays >2000-fold biochemical selectivity against the other integrated stress response (ISR) kinases GCN2 (EIF2AK4), HRI (EIF2AK1), and PKR (EIF2AK2) (IC50>2,000 nM), and excellent selectivity against a KINOMEScan binding panel assay with 468 unique kinases.
HC-5404 potently inhibits tunicamycin (1 uM) induced ER stress in HEK-293 cells, inhibits PERK autophosphorylation at Thr982 (pPERK) and suppresses ATF4 levels with IC50 of 23 nM and 88 nM, respectively.
HC-5404 (30 mg/kg, orally BID) suppress tumor growth in the 786-O xenograft model of RCC.
Cotreatment with HC-5404 inhibited PERK in tumors and significantly increased antitumor effects of VEGFR-TKIs across multiple RCC models, resulting in tumor stasis or regression.

References

Michael E Stokes, et al. ***Clin Cancer Res***. 2023 Sep 21. doi: 10.1158/1078-0432.CCR-23-1182.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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