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IRE-3

产品编号: D50833

CAS: 1414938-21-8

分子式: C27H23F3N6O

纯度: ≥98%

InChi: InChI=1S/C27H23F3N6O/c1-15(2)25-35-22(23-24(31)32-12-13-36(23)25)20-10-11-21(19-9-4-3-8-18(19)20)34-26(37)33-17-7-5-6-16(14-17)27(28,29)30/h3-15H,1-2H3,(H2,31,32)(H2,33,34,37)

InChi Key: MEJKZYOOTMLMBA-UHFFFAOYSA-N

Smiles: CC(C)C1=NC(=C2C(N)=NC=CN21)C1=CC=C(NC(=O)NC2=CC(=CC=C2)C(F)(F)F)C2=CC=CC=C21

外观: 固体粉末

作用通路: IRE1

溶解性: DMSO up to 50 mM

保存条件: Store in dry, dark place for one year.

产品介绍: IRE-3 is a highly potent and selective small molecule modulator of IRE1α. Under endoplasmic reticulum stress, unfolded protein accumulation leads to activation of the endoplasmic reticulum transmembrane kinase/endoRNase (RNase) IRE1α. IRE1α oligomerizes, autophosphorylates and initiates splicing of XBP1 mRNA, thus triggering the unfolded protein response (UPR). Interestingly, IRE-3 inhibits the XBP1 mRNA splicing through binding to the IRE1α ATP-binding site, even under endoplasmic reticulum stress. It shows dose-dependent reduction of IRE1α kinase autophosphorylation in vitro with IC50~3.12 μM. IRE-3 can also block enzymatic activities of IRE1α in INS-1 rat insulinoma cell lines. As dysregulation of the UPR has been implicated in a variety of cell degenerative and neoplastic disorders, small molecule modulators of IRE1α, such as IRE-3 and APY-29, serve as useful tools to understand the UPR's role in pathophysiology and to develop drugs for endoplasmic reticulum stress-related diseases.