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APY29

产品编号: D50834
CAS: 1216665-49-4
分子式: C17H16N8
纯度: ≥98%
InChi: InChI=1S/C17H16N8/c1-2-10(1)13-8-16(25-24-13)22-15-5-6-18-17(23-15)21-11-3-4-12-14(7-11)20-9-19-12/h3-10H,1-2H2,(H,19,20)(H3,18,21,22,23,24,25)
InChi Key: WJNBSTLIALIIEW-UHFFFAOYSA-N
Smiles: C1CC1C1=CC(NC2C=CN=C(NC3=CC4NC=NC=4C=C3)N=2)=NN1
外观: 固体粉末
作用通路: IRE1
溶解性: DMSO up to 100 mM
保存条件: Store in dry, dark place for one year.
产品介绍: APY29 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, APY29 occupies IRE1α's kinase ATP-binding site to activate RNase-mediated XBP1 mRNA splicing even without upstream endoplasmic reticulum stress. It dose-dependently reduces IRE1α kinase autophosphorylation in vitro with IC50 ~0.28 μM. As dysregulation of the UPR has been implicated in a variety of cell degenerative and neoplastic disorders, small molecule modulators of IRE1α, such as APY29, serve as useful tools to understand the UPR's role in pathophysiology and to develop drugs for endoplasmic reticulum stress-related diseases.