Product Data Sheet

Product Name: IRE1α kinase-IN-1
Cat. No.: GC63513

Chemical Properties

Cas. No.	2328097-41-0		
Formula	$C_{26}H_{26}ClFN_8$	M.Wt	504.99
Solubility	DMSO : 25 mg/mL (49.51 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	IRE1α kinase-IN-1		

Background

IRE1α kinase-IN-1 is a highly selective IRE1α (ERN1) inhibitor, with an IC50 of 77 nM. IRE1α kinase-IN-1 displays 100-fold selectivity for IRE1α over the IRE1β isoform. IRE1α kinase-IN-1 inhibits ER stress-induced IRE1α oligomerization and autophosphorylation, and also inhibits IRE1α RNase activity (IC50=80 nM)[1].

IRE1α kinase-IN-1 (compound 31) prevents endoplasmic reticulum stress-induced IRE1α oligomerization and phosphorylation, and inhibits endoribonuclease activity in human cells[1].IRE1α kinase-IN-1 and is very high selectivity with >70% inhibition of only 4/455 kinases. IRE1α kinase-IN-1 inhibits recombinant G547 IRE1α KEN domain pS274 autophosphorylation with an IC50 of 160 nM. IRE1α kinase-IN-1 inhibits tunicamycin-induced GFP-IRE1α foci in HEK293 cells with an IC50 of 0.74 μM. IRE1α kinase-IN-1 Inhibits ATP-site LanthaScreen tracer binding to recombinant dephosphorylated G547 IRE1α KEN with an IC50 of 0.27 μM[1].IRE1α kinase-IN-1 inhibits both tunicamycin- and thapsigargin-induced IRE1α-dependent splicing of XBP1 luciferase fusion mRNA in HEK293 cells with IC50s ranging 0.68-1.63 μM[1].IRE1α kinase-IN-1 (0-20 μM) inhibits IRE1α-dependent XBP1s mRNA expression in H929 cells.IRE1α kinase-IN-1 (0-20 μM) dose-dependently inhibits tunicamycin-induced expression of XBP1s in NCI-H929

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cells[1].

[1]. Colombano G, et al. Binding to an Unusual Inactive Kinase Conformation by Highly Selective Inhibitors of Inositol-Requiring Enzyme 1α Kinase-Endoribonuclease. J Med Chem. 2019;62(5):2447-2465.