IRE1

Inositol requiring enzyme 1

Inositol-requiring enzyme 1 (IRE1) is a bifunctional serine/threonine kinase and endoribonuclease that is a major mediator of the unfolded protein response (UPR) during endoplasmic reticulum (ER) stress. It represents a potential therapeutic target for a number of diseases associated with endoplasmic reticulum stress.

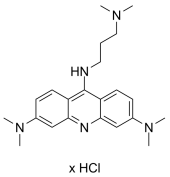
IRE1 is the only identified ER stress sensor in yeast and essential for UPR in animals and plants. As an ER transmembrane protein, IRE1 monitors ER homeostasis through an ER luminal stress-sensing domain and triggers UPR through a cytoplasmic kinase domain and an RNase domain. Upon ER stress, IRE1 RNase is activated through conformational change, autophosphorylation, and higher order oligomerization. Mammalian IRE1 initiates diverse downstream signaling of the UPR either through unconventional splicing of the transcription factor Xbp-1 or and through posttranscriptional modifications via Regulated IRE1-Dependent Decay (RIDD) of multiple substrates.

IRE1 Inhibitors & Antagonists

3,6-DMAD hydrochloride

Cat. No.: HY-U00460

3,6-DMAD hydrochloride is a inhibitor of the **IRE1α-XBP1** pathway of the unfolded protein response.



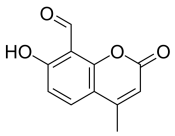
Purity: 98.88%
Clinical Data: No Development Reported
Size: 5 mg

4μ8C

(IRE1 Inhibitor III)

Cat. No.: HY-19707

4μ8C (IRE1 Inhibitor III) is a small-molecule inhibitor of **IRE1α**.



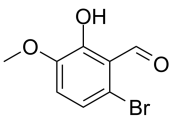
Purity: 98.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

6-Bromo-2-hydroxy-3-methoxybenzaldehyde

(NSC95682)

Cat. No.: HY-107371

6-Bromo-2-hydroxy-3-methoxybenzaldehyde (NSC95682) is an **IRE-1α** inhibitor with an IC_{50} of 0.08 μM, extracted from patent WO 2008154484 A1, IRE-Iα inhibitor compound 3-5.

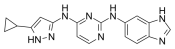


Purity: 99.55%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg

APY29

Cat. No.: HY-17537

APY29, an ATP-competitive inhibitor, is an allosteric modulator of **IRE1α** which inhibits IRE1α autophosphorylation by binding to the ATP-binding pocket with IC_{50} of 280 nM. APY29 acts as a ligand that allosterically activates IRE1α adjacent RNase domain.

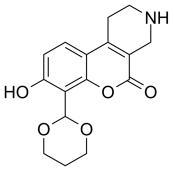


Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

B I09

Cat. No.: HY-107400

B I09 is an **IRE-1** RNase inhibitor, with an IC_{50} of 1230 nM.

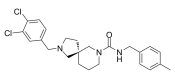


Purity: 99.60%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GSK2850163

Cat. No.: HY-U00459

GSK2850163 is a novel inhibitor of inositol-requiring enzyme-1 alpha (**IRE1α**) which can inhibit **IRE1α kinase activity** and **RNase activity** with IC_{50}s of 20 and 200 nM, respectively.

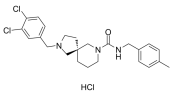


Purity: 98.48%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

GSK2850163 hydrochloride

Cat. No.: HY-U00459B

GSK2850163 hydrochloride is a novel inhibitor of inositol-requiring enzyme-1 alpha (**IRE1α**) which can inhibit **IRE1α kinase activity** and **RNase activity** with IC_{50}s of 20 and 200 nM, respectively.

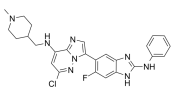


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IRE1α kinase-IN-1

Cat. No.: HY-136735

IRE1α kinase-IN-1 is a highly selective **IRE1α (ERN1)** inhibitor, with an IC_{50} of 77 nM. IRE1α kinase-IN-1 displays 100-fold selectivity for IRE1α over the IRE1β isoform.

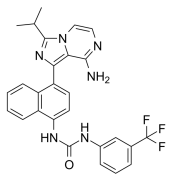


Purity: 99.44%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IRE1α kinase-IN-2

Cat. No.: HY-18509

IRE1α kinase-IN-2 is a potent **IRE1α kinase** inhibitor, with an EC_{50} of 0.82 μM. IRE1α kinase-IN-2 inhibits IRE1α kinase autophosphorylation (IC_{50}=3.12 μM). IRE1α kinase-IN-2 inhibits XBP1 mRNA splicing in the WT cell lines.

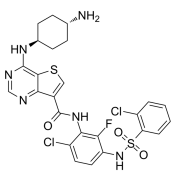


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IRE1α kinase-IN-6

Cat. No.: HY-142659

IRE1α kinase-IN-6 is a potent **IRE1α** inhibitor with an IC_{50} value of 4.4 nM.

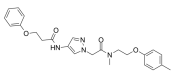


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IXA4

Cat. No.: HY-139214

IXA4 is a highly selective, non-toxic **IRE1/XBP1s** activator. IXA4 activates IRE1/XBP1s signaling without globally activating the unfolded protein response (UPR) or other stress-responsive signaling pathways (e.g., the heat shock response or oxidative stress response).

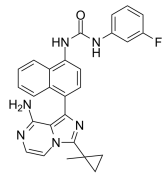


Purity: 99.16%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

KIRA-7

Cat. No.: HY-124646

KIRA-7, an imadazopyrazine compound, binds the **IRE1α kinase** (IC_{50} of 110 nM) to allosterically inhibit its RNase activity. KIRA-7 has an anti-fibrotic effect.

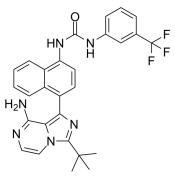


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

KIRA6

Cat. No.: HY-19708

KIRA6 is an advanced small-molecule **IRE1α** RNase kinase inhibitor with an IC_{50} of 0.6 μM. KIRA6 can trigger an **apoptotic** response.



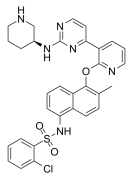
Purity: 99.86%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg

Kira8

(AMG-18)

Cat. No.: HY-114368

Kira8 (AMG-18) is a mono-selective **IRE1α** inhibitor that allosterically attenuates **IRE1α RNase activity** with an IC_{50} of 5.9 nM.



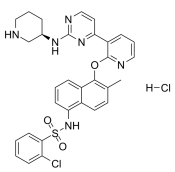
Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Kira8 Hydrochloride

(AMG-18 Hydrochloride)

Cat. No.: HY-114368A

Kira8 Hydrochloride (AMG-18 Hydrochloride) is a mono-selective **IRE1α** inhibitor that allosterically attenuates **IRE1α RNase activity** with an IC_{50} of 5.9 nM.

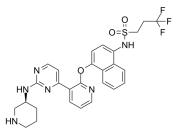


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

KIRA9

Cat. No.: HY-145422

KIRA9 is a potent **IRE1** inhibitor (IC_{50}=4.8 μM in INS-1 cells). KIRA9 is able to fully engage the ATP-binding site of IRE1α. KIRA9 can block ER-localized mRNA decay and **apoptosis**.

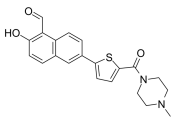


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MKC3946

Cat. No.: HY-19710

MKC3946 is a potent **IRE1α** inhibitor, used for cancer research.

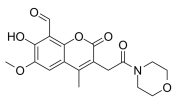


Purity: 99.68%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

MKC8866

Cat. No.: HY-104040

MKC8866, a salicylaldehyde analog, is a potent, selective **IRE1 RNase** inhibitor with an IC_{50} of 0.29μM in human vitro.

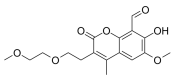


Purity: 99.87%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MKC9989

Cat. No.: HY-12399

MKC9989 is a **Hydroxy aryl aldehydes** (**HAA**) inhibitor and also inhibits **IRE1α** with an IC_{50} of 0.23 to 44 μM.

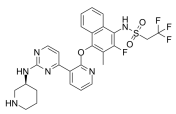


Purity: 98.36%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

PAIR2

Cat. No.: HY-145425

PAIR2 is a potent and selective partial antagonist of **IRE1α RNase**. PAIR2 can completely occupy IRE1α's ATP-binding site in cells and block the ability of a potent KIRA to inhibit XBP1 splicing.

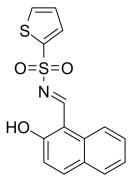


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

STF-083010

Cat. No.: HY-15845

STF-083010 is a specific **IRE1α** inhibitor. STF-083010 inhibits Ire1 endonuclease activity, without affecting its kinase activity, after endoplasmic reticulum stress.



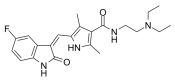
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Sunitinib

(SU 11248)

Cat. No.: HY-10255A

Sunitinib (SU 11248) is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 80 nM and 2 nM for **VEGFR2** and **PDGFRβ**, respectively.



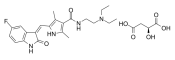
Purity: 98.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

Sunitinib Malate

(SU 11248 Malate)

Cat. No.: HY-10255

Sunitinib Malate (SU 11248 Malate) is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 80 nM and 2 nM for **VEGFR2** and **PDGFRβ**, respectively.



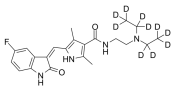
Purity: 99.47%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

Sunitinib-d10

(SU 11248-d10)

Cat. No.: HY-10255AS

Sunitinib D10 (SU 11248 D10) is a deuterium labeled Sunitinib. Sunitinib is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 80 nM and 2 nM for **VEGFR2** and **PDGFRβ**, respectively.

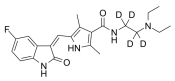


Purity: 99.89%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Sunitinib-d4

Cat. No.: HY-10255AS1

Sunitinib-d4 (SU 11248-d4) is the deuterium labeled Sunitinib. Sunitinib (SU 11248) is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 80 nM and 2 nM for **VEGFR2** and **PDGFRβ**, respectively.



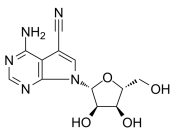
Purity: >98%
Clinical Data:
Size: 2.5 mg, 1 mg, 25 mg

Toyocamycin

(Vengicide)

Cat. No.: HY-103248

Toyocamycin (Vengicide) is an adenosine analog produced by Actinomycete, acts as an **XBP1** inhibitor, inhibits IRE1α-induced ATP-dependent XBP1 mRNA cleavage, with an IC_{50} of 80 nM. Toyocamycin (Vengicide) induces apoptosis.



Purity: 99.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg