

Catalog # 10-1579 4µ8C

CAS# 14003-96-4 7-Hydroxy-4-methyl-2-oxo-2H-1-benzopyran-8-carboxaldehyde Lot # X106811



Selective inhibitor of IRE1 α ribonuclease (RNase) activity (IC₅₀ = 60 nM). Covalently binds to lysine 907 in the IRE1 endonuclease domain, blocking substrate access to the active site of IRE1 α and inactivating both XBP1 splicing and IRE1 α -mediated mRNA degradation but not IRE1 kinase activity.¹ Inhibits IRE1 α in response to hypoxia or other ER stress-inducing agents but has no effect on proliferation or clonogenic survival of hypoxic cells.² Blocks production of IL-4, IL-5 and IL-13 production in T cells.³ Prevents the splicing of the XBP1 mRNA in response to ER stress caused by mutant proinsulin production in pancreatic β -cells.⁴

- 1) Cross et al. (2012), The molecular basis for selective inhibition of unconventional mRNA splicing by an IRE1binding small molecule; Proc. Natl. Acad. Sci. USA., **109** E869
- 2) Cojocari *et al.* (2013), New small molecule inhibitors of UPR activation demonstrate that PERK, but not IRE1α signaling is essential for promoting adaptation and survival to hypoxia; Radiother. Oncol., **108** 541
- 3) Kemp et al. (2013), The serine-threonine kinase inositol-requiring enzyme 1α (IRE1α) promotes IL-4 production in T helper cells; J. Biol. Chem., **288** 33272
- Zhang et al. (2014), IRE1 inhibition perturbs the unfolded protein response in a pancreatic β-cell line expressing mutant proinsulin, but does not sensitize the cells to apoptosis; BMC Cell Biol., 15 29

PHYSICAL DATA

Molecular Weight:	204.18
Molecular Formula:	$C_{11}H_8O_4$
Purity:	97% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 20 mg/ml)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in
DMSO may be stored a	at -20°C for up to 1 month.

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