

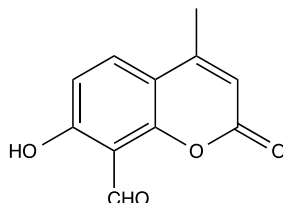
**Catalog # 10-1579**

**4 $\mu$ 8C**

CAS# 14003-96-4

7-Hydroxy-4-methyl-2-oxo-2H-1-benzopyran-8-carboxaldehyde

Lot # X106811



Selective inhibitor of IRE1 $\alpha$  ribonuclease (RNase) activity (IC<sub>50</sub> = 60 nM). Covalently binds to lysine 907 in the IRE1 endonuclease domain, blocking substrate access to the active site of IRE1 $\alpha$  and inactivating both XBP1 splicing and IRE1 $\alpha$ -mediated mRNA degradation but not IRE1 kinase activity.<sup>1</sup> Inhibits IRE1 $\alpha$  in response to hypoxia or other ER stress-inducing agents but has no effect on proliferation or clonogenic survival of hypoxic cells.<sup>2</sup> Blocks production of IL-4, IL-5 and IL-13 production in T cells.<sup>3</sup> Prevents the splicing of the XBP1 mRNA in response to ER stress caused by mutant proinsulin production in pancreatic  $\beta$ -cells.<sup>4</sup>

- 1) Cross *et al.* (2012), *The molecular basis for selective inhibition of unconventional mRNA splicing by an IRE1-binding 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 IRE1 $\alpha$  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 1 $\alpha$  (IRE1 $\alpha$ ) promotes IL-4 production in T helper cells*; J. Biol. Chem., **288** 33272
- 4) Zhang *et al.* (2014), *IRE1 inhibition perturbs the unfolded protein response in a pancreatic  $\beta$ -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 <sub>11</sub> H <sub>8</sub> O <sub>4</sub>
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 at -20°C for up to 1 month.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**