

# PRODUCT INFORMATION

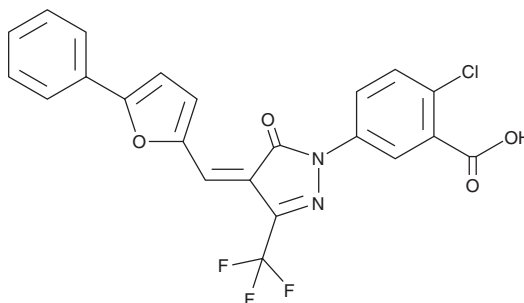


## EN460

Item No. 43950

**CAS Registry No.:** 496807-64-8  
**Formal Name:** 2-chloro-5-[4,5-dihydro-5-oxo-4-[(5-phenyl-2-furanyl)methylene]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-benzoic acid

**MF:** C<sub>22</sub>H<sub>12</sub>ClF<sub>3</sub>N<sub>2</sub>O<sub>4</sub>  
**FW:** 460.8  
**Purity:** ≥98%  
**Supplied as:** A solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

EN460 is supplied as a solid. A stock solution may be made by dissolving the EN460 in the solvent of choice, which should be purged with an inert gas. EN460 is soluble (≥10 mg/ml) in DMSO. EN460 is slightly soluble (0.1-1 mg/ml) in ethanol.

### Description

EN460 is an inhibitor of ERO1-like protein  $\alpha$  (ERO1 $\alpha$ ; IC<sub>50</sub> = 1.94  $\mu$ M).<sup>1</sup> It also inhibits additional FAD-containing enzymes, including monoamine oxidase A (MAO-A), MAO-B, and lysine-specific demethylase 1 (LSD1; IC<sub>50</sub>s = 7.91, 30.59, and 4.16  $\mu$ M, respectively).<sup>2</sup> EN460 (25  $\mu$ M) induces endoplasmic reticulum (ER) stress and apoptosis in U266 multiple myeloma cells. It inhibits hypoxia-induced apoptosis in HT22 cells when used at a concentration of 10  $\mu$ M.<sup>3</sup> *In vivo*, EN460 increases survival and decreases the number of intrahepatic metastases in a Panc02 murine pancreatic ductal adenocarcinoma (PDAC) model.<sup>4</sup> It inhibits isoproterenol-induced ventricular fibrillation in a rat model of cardiac hypertrophy induced by thoracic aortic banding.<sup>5</sup>

### References

1. Blais, J.D., Chin, K.T., Zito, E., *et al.* A small molecule inhibitor of endoplasmic reticulum oxidation 1 (ERO1) with selectively reversible thiol reactivity. *J. Biol. Chem.* **285**(27), 20993-21003 (2010).
2. Hayes, K.E., Batsomboon, P., Chen, W.C., *et al.* Inhibition of the FAD containing ER oxidoreductin 1 (Ero1) protein by EN-460 as a strategy for treatment of multiple myeloma. *Bioorg. Med. Chem.* **27**(8), 1479-1488 (2019).
3. Zhang, N., Shentu, Y., Zhu, M., *et al.* Role of Ero1 $\alpha$  in cognitive impairment induced by chronic hypoxia. *Brain Res.* **1797**:148117, (2022).
4. Yang, J., Xu, Y., Huo, Y., *et al.* ERO1L promotes hepatic metastasis through activating epithelial-mesenchymal transition (EMT) in pancreatic cancer. *J. Immunol. Res.* 5553425 (2021).
5. Hamilton, S., Terentyeva, R., Bogdanov, V., *et al.* Ero1 $\alpha$ -dependent ERp44 dissociation From RyR2 contributes to cardiac arrhythmia. *Circ. Res.* **130**(5), 711-724 (2022).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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