# ER-000444793

**Cat. No.:** HY-100852

**CAS No.:** 792957-74-5

**Molecular Formula:** $C_{23}H_{18}N_2O_2$

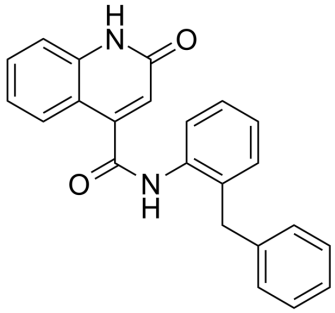
**Molecular Weight:** 354.4

**Target:** Mitochondrial Metabolism

**Pathway:** Metabolic Enzyme/Protease

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (141.08 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.8217 mL | 14.1084 mL | 28.2167 mL |
| | 5 mM | 0.5643 mL | 2.8217 mL | 5.6433 mL |
| | 10 mM | 0.2822 mL | 1.4108 mL | 2.8217 mL |

Please refer to the solubility information to select the appropriate solvent.

## BIOLOGICAL ACTIVITY

**Description**

ER-000444793 is a potent inhibitor of mitochondrial permeability transition pore (mPTP) opening. ER-000444793 inhibits mPTP with an $IC_{50}$ of 2.8 μM.

**$IC_{50}$ & Target**

IC50: 2.8 μM (mPTP)[1]

**In Vitro**

ER-000444793 is a small molecule, non-toxic mPTP inhibitor with a mechanism of action independent of CypD inhibition. ER-000444793 potently and dose-dependently inhibits $Ca^{2+}$-induced mPT. ER-000444793 binds CypD, a CsA/CypD homogenous time-resolved fluorescence (HTRF) assay is used to study compound binding. Both CsA and SfA dose-dependently decrease HTRF signal, suggesting displacement of labelled CsA from rhCypD protein (CsA $IC_{50}$=23 nM and SfA $IC_{50}$= 5 nM). In contrast, ER-000444793 has no effect up to a concentration of 50 μM, indicating lack of displacement of labelled-CsA from rhCypD protein. Together, these data suggest the mechanism of ER-000444793 in delaying mPT is independent of CypD functional inhibition[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

**Cell Assay** [1]

NFAT-RE-luc2 Jurkat cells are collected, centrifuged at 300 g for 5 minutes and re-suspended in serum-free RPMI-1640 media, plus supplements without antibiotic. Cell suspension (15,000 cells/20 µL) is added to each well of a solid black 384 well plate and incubated in the presence of compound for 20 hours at 37 °C. Alamar Blue is used and is added to each well at 2x concentration in media and reaction allowed to proceed for 4 hours at 37 °C. Fluorescence (ex. 540 nm/em. 590 nm) is recorded using Pherastar FS[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Environ Toxicol Pharmacol. 2023 Jan 20;98:104070.
- Biochemistry. 2022 Apr 19;61(8):639-655.

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## REFERENCES

[1]. Briston T, et al. Identification of ER-000444793, a Cyclophilin D-independent inhibitor of mitochondrial permeability transition, using a high-throughput screen in cryopreserved mitochondria. Sci Rep. 2016 Nov 25;6:37798.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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