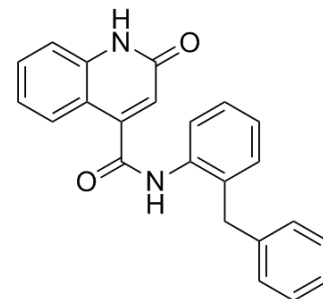


ER-000444793

Cat. No.:	HY-100852		
CAS No.:	792957-74-5		
Molecular Formula:	C ₂₃ H ₁₈ N ₂ O 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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

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

Concentration	Mass		
	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 IC₅₀ of 2.8 μM.

IC₅₀ & 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 Ca²⁺-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 IC₅₀=23 nM and SfA IC₅₀= 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.

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.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA