上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：ER-000444793
产品别名：ER-000444793

生物活性：

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].
Solvent&Solubility	***In Vitro:*** **DMSO： ≥ 100 mg/mL (282.17 mM)** * "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Cell Assay	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].
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.