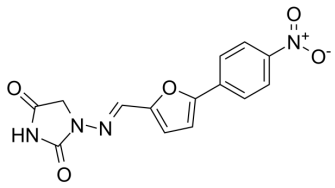
Dantrolene

Cat. No.:	HY-12542		
CAS No.:	7261-97-4		
Molecular Formula:	$C_{14}H_{10}N_4O_5$		
Molecular Weight:	314.25		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description

Dantrolene (F368), a muscle relaxant, non-competitively inhibits human erythrocyte glutathione reductase. K_i and IC_{50} values are 111.6 μM and 52.3 μM, respectively. Dantrolene is a ryanodine receptor antagonist and Ca^{2+} signaling stabilizer. Dantrolene can be used for the research of muscle spasticity, malignant hyperthermia, Huntington's disease and other neuroleptic malignant syndrome[1][2][3][4][5].

In Vitro

Dantrolene interferes with calcium release from the sarcoplasmic reticulum and thus to inhibit excitation--contraction coupling[1].

Dantrolene acts directly on the RYR1 to reduce the extent of channel activation by calmodulin (CaM) and thereby decreases the Ca^{2+} sensitivity of channel activation[2].

Dantrolene inhibits abnormal Ca^{2+} release from the sarcoplasmic reticulum[3].

Dantrolene depresses excitation-contraction coupling in muscle fibers by inhibiting calcium release from the sarcoplasmic reticulum[3].

Dantrolene also shows calcium channel blocker effect in the smooth muscle membrane as well as antioxidative and cytoprotective properties[3].

Dantrolene affects the membrane calcium channel of smooth muscle cells and inhibits calcium influx[3].

Dantrolene is a skeletal muscle-specific muscle relaxant that works on the cardiac muscle. Dantrolene (0.3 μM) binds to domain 601-620 of RyR2 and corrects defective inter-domain interaction within RyR2 in failing hearts. This in turn inhibits spontaneous Ca^{2+}+ leak/Ca^{2+} sparks, and improves cardiomyocyte function in failing hearts[4].

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

In Vivo

Dantrolene is neuroprotective in Huntington's disease transgenic mouse model[5].

Feeding dantrolene (5 mg/kg) twice a week to YAC128 mice between 2 months and 11.5 months of age resulted in significantly improved performance in the beam-walking and gait-walking assays[5].

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

Animal Model:	YAC128 transgenic mice (FVBN/NJ background strain) and WT mice[5]
Dosage:	5 mg/kg
Administration:	Fed orally twice per week from 2 to 11.5 months of age, followed by a washout period of 2 months (13.5 months of age)

Result:	Resulted in significantly improved performance in the beam-walking and gait-walking assays.

CUSTOMER VALIDATION

- Cell Res. 2022 Mar;32(3):288-301.
- Anim Nutr. 28 September 2021.
- Front Immunol. 2021 Jul 7;12:688674.
- J Anim Sci Biotechnol. 2022 Feb 11;13(1):9.
- ACS Omega. 2020 Oct 12;5(41):26551-26561.

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REFERENCES

[1]. W J Meyler, et al. The effect of dantrolene sodium on rat skeletal muscle in relation to the plasma concentration. Eur J Pharmacol. 1979 Feb 1;53(4):335-42.

[2]. F Zhao, et al. Dantrolene inhibition of ryanodine receptor Ca2+ release channels. Molecular mechanism and isoform selectivity. J Biol Chem. 2001 Apr 27;276(17):13810-6.

[3]. Murat Sentürk, et al. Dantrolene inhibits human erythrocyte glutathione reductase. Biol Pharm Bull. 2008 Nov;31(11):2036-9.

[4]. Shigeki Kobayashi, et al. Dantrolene, a therapeutic agent for malignant hyperthermia, markedly improves the function of failing cardiomyocytes by stabilizing interdomain interactions within the ryanodine receptor. J Am Coll Cardiol. 2009 May 26;53(21):1993-2005.

[5]. Xi Chen, et al. Dantrolene is neuroprotective in Huntington's disease transgenic mouse model. Mol Neurodegener. 2011 Nov 25;6:81.

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

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