**Proteins** 

# **Product** Data Sheet

# **Hydroxy-Dynasore**

Cat. No.: HY-13863 CAS No.: 1256493-34-1 Molecular Formula:  $C_{18}H_{14}N_2O_5$ Molecular Weight: 338.31 Target: Dynamin Pathway: Cytoskeleton

Storage: Powder -20°C 3 years

2 years

In solvent -80°C 6 months

> -20°C 1 month

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 50 mg/mL (147.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.9559 mL	14.7793 mL	29.5587 mL
	5 mM	0.5912 mL	2.9559 mL	5.9117 mL
	10 mM	0.2956 mL	1.4779 mL	2.9559 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (6.15 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description

Hydroxy Dynasore (Dyngo-4a), a structural analog of Dynasore (HY-15304), is an potency improved, low cytotoxicity and non ⊠specific binding dynamin inhibitor with IC50 values of 0.38 µM and 2.3 µM for brain dynamin I and recombinant rat dynamin II, respectively. Hydroxy Dynasore inhibits dynamin  $\square$  dependent endocytosis of transferrin with an IC<sub>50</sub> of 5.7  $\mu$ M in vitro.

In Vitro

Dynamin is a large GTPase enzyme that severs membrane⊠bound clathrin⊠coated vesicles. Endocytosis internalizes portions of cells' plasma membrane along with extracellular material, is fundamentally important in cell physiology.  $Hydroxy\ Dynasore\ inhibits\ Dynamin\ I\ (Dyn\ I)\ activity\ with\ IC_{50}\ values\ of\ 2.7\ \mu M\ and\ 0.38\ \mu M\ with\ or\ without\ 0.06\%\ Tween\ M80$ in the GTPase assay<sup>[1]</sup>.

Hydroxy Dynasore shows an IC<sub>50</sub> of 5.7 μM in clathrin⊠mediated endocytosis (CME) assay for inhibition of Tfn⊠A594 uptake in U2OS cells<sup>[1]</sup>.

Hydroxy Dynasore shows IC $_{50}$  values of 0.38  $\mu$ M and 1.1  $\mu$ M in absence of Tween-80, and exhibits IC $_{50}$  values of 4.9  $\mu$ M and 30.0 µM in presence of Tween-80, respectively. Hydroxy Dynasore is 2.1 🛮 fold selective for DynI versus DynII from Sf21 cells] and DynII (recombinant protein from Sf21 cells) in this GTPase assay<sup>[1]</sup>.

Hydroxy Dynasore prevents the uptake of BoNT/A-Hc in cultured hippocampal neurons and in motor nerve terminals [2]. Hydroxy Dynasore (1-100 $\mu$ M; 20 min prior to the addition of Alexa Fluor 488-BoNT/A-Hc) results in depolarization of Hippocampal neurons, it dose-dependently inhibits internalization of Alexa Fluor 488-BoNT/A-Hc with an IC<sub>50</sub> of 16.0  $\pm$  1.2  $\mu$  M[2]

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

#### In Vivo

Hydroxy Dynasore (intraperitoneal injection; 30 mg/kg; 1.5-2 h before BoNT/A injection) provides protection again BoNT/A-induced paralysis in the phrenic nerve-hemidiaphragm twitch model in CD-1 mice<sup>[2]</sup>.

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

Animal Model:	CD-1 mice <sup>[2]</sup> .	
Dosage:	30 mg/kg	
Administration:	Intraperitoneal injection; 1.5–2 h before BoNT/A injection	
Result:	Protected BoNT/A-induced paralysis in vivo.	

## **CUSTOMER VALIDATION**

• Traffic. 2022 Nov 22.

See more customer validations on www.MedChemExpress.com

### **REFERENCES**

[1]. Adam McCluskey, et al. Building a Better Dynasore: The Dyngo Compounds Potently Inhibit Dynamin and Endocytosis. Traffic. 2013 Dec;14(12):1272-89.

[2]. Callista B Harper, et al. Dynamin Inhibition Blocks Botulinum Neurotoxin Type A Endocytosis in Neurons and Delays Botulism. J Biol Chem. 2011 Oct 14;286(41):35966-76.

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