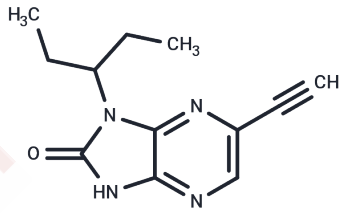
Data Sheet (Cat.No.T3966)

TargetMol

Tirasemtiv

Chemical Properties



CAS No. : 1005491-05-3

Formula: C12H14N4O

Molecular Weight: 230.27

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tirasemtiv (CK-2017357)(CK 2017357) is a small-molecule fast-skeletal-troponin activator, with a potential treatment for muscle weakness and neuromuscular dysfunction.
Targets(IC50)	Others
In vitro	Tirasemtiv acts as a fast skeletal troponin activator, enhancing the sarcomere's sensitivity to calcium, thereby magnifying muscle force production in response to diminished neuromuscular input. It specifically increases fast skeletal muscle troponin's responsiveness to calcium (Ca2+), and decelerates the Ca2+ dissociation from the fast skeletal muscle's regulatory troponin complex[1].
In vivo	A single administration of Tirasemtiv significantly enhances muscle strength and endurance—as evidenced by improvements in submaximal isometric force, forelimb grip strength, grid hang time, and rotarod performance—in a female B6SJL-SOD1 g93A transgenic mouse model of ALS exhibiting functional deficits. This treatment also notably increases diaphragm force and tidal volume in these mice. Specifically, Tirasemtiv-treated mice showed a 38% increase in grip strength, rising from 49.6±4.6 g in vehicle-treated mice to 68.6±8.1 g, a statistically significant enhancement ($p<0.05$, single tailed t-test). The administered dosage regimen of Tirasemtiv was 2 mg/kg at approximately 20-minute intervals, totaling a cumulative dose of 10 mg/kg. Regression analysis further confirmed the efficacy of Tirasemtiv, showing a significant increase in muscle force not only in normal (WT) mice but also in B6SJL-SOD1 g93A mice at mid-stage ALS (WT $p<0.0001$; mid-stage $p=0.0028$), with a noted trend towards improved muscle function even at later disease stages ($p=0.064$)[1].

Solubility Information

Solubility	DMSO: 60 mg/mL (260.56 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (4.34 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.3427 mL	21.7136 mL	43.4273 mL
5 mM	0.8685 mL	4.3427 mL	8.6855 mL
10 mM	0.4343 mL	2.1714 mL	4.3427 mL
50 mM	0.0869 mL	0.4343 mL	0.8685 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hwee DT, et al. Fast skeletal muscle troponin activator tirasemtiv increases muscle function and performance in the B6SJL-SOD1G93A ALS mouse model. PLoS One. 2014 May 7;9(5):e96921.