

Catalog Number: CM05619

产品信息

Catalog Number:
CM05619

CAS号:
1005491-05-3

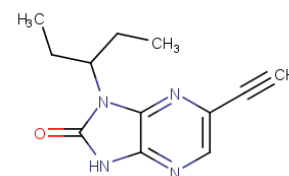
分子式:
C₁₂H₁₄N₄O

主要靶点:
Others

主要通路:
其他

分子量:
230.27

溶解度:
DMSO:60 mg/mL (260.56 mM)



体外活性

Tirasemtiv is a fast skeletal troponin activator that sensitizes the sarcomere to calcium; this mechanism of action amplifies the response of muscle to neuromuscular input producing greater force when nerve input is reduced. Tirasemtiv selectively sensitizes fast skeletal muscle troponin to calcium (Ca²⁺), and slows the rate of Ca²⁺ release from the regulatory troponin complex of fast skeletal muscle[1].

体内活性

A single dose of Tirasemtiv significantly increases submaximal isometric force, forelimb grip strength, grid hang time, and rotarod performance in a female transgenic mouse model (B6SJL-SOD1 g93A) of ALS with functional deficits. Additionally, diaphragm force and tidal volume are significantly higher in Tirasemtiv-treated female B6SJL-SOD1 g93A mice. At the 25% deficit milestone, vehicle-treated B6SJL-SOD1 g93A mice demonstrated forelimb grip strength of 49.6±4.6 g. Tirasemtiv increases grip strength by 38% to 68.6±8.1 g (p<0.05, single tailed t-test). Tirasemtiv doses of 2, 2, 2, and 4 mg/kg given at approximately 20 min intervals to achieve a cumulative dose of 10 mg/kg. Regression analysis of the log dose vs. response relationship indicated that Tirasemtiv significantly increased muscle force in WT and mid-stage B6SJL-SOD1 g93A mice (WT p<0.0001; mid-stage p=0.0028). At later stages of disease, the mice exhibited a trend for increased muscle function in response to Tirasemtiv compared to baseline (p=0.064)[1].

描述

Tirasemtiv(CK 2017357) is a small-molecule fast-skeletal-troponin activator, with a potential treatment for muscle weakness and neuromuscular dysfunction.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year