

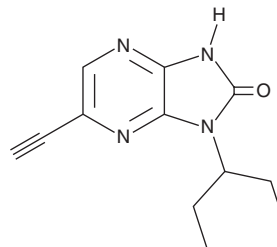
PRODUCT INFORMATION



Tirasemtiv

Item No. 26075

CAS Registry No.: 1005491-05-3
Formal Name: 1-(1-ethylpropyl)-6-ethynyl-1,3-dihydro-2H-imidazo[4,5-b]pyrazin-2-one
Synonym: CK-2017357
MF: C₁₂H₁₄N₄O
FW: 230.3
Purity: ≥98%
UV/Vis.: λ_{max}: 258, 330 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tirasemtiv is supplied as a crystalline solid. A stock solution may be made by dissolving the tirasemtiv in the solvent of choice, which should be purged with an inert gas. Tirasemtiv is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of tirasemtiv in these solvents is approximately 30 mg/ml.

Tirasemtiv is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tirasemtiv should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Tirasemtiv has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tirasemtiv is an activator of the fast skeletal troponin complex.¹ It selectively binds to purified fast skeletal troponin complex (K_d = 40 nM) over slow skeletal (K_d = 3,800 nM) and cardiac troponin complexes. Tirasemtiv (20 μM) slows the rate of calcium release from the fast skeletal troponin complex. It increases the ATPase activity of isolated rabbit fast skeletal myofibrils at a fixed calcium concentration (EC₅₀ = 390 nM) and sensitizes skinned fast skeletal muscle fibers isolated from human and rabbit to calcium in a concentration-dependent manner. Tirasemtiv increases *in situ* extensor digitorum longus (EDL) muscle force and forelimb grip strength in a rat model of myasthenia gravis. It also increases forelimb grip strength, grid hang test performance, and rotarod performance in a mouse model of amyotrophic lateral sclerosis (ALS) when administered at a dose of 10 mg/kg.²

References

1. Russell, A.J., Hartman, J.J., Hinken, A.C., *et al.* Activation of fast skeletal muscle troponin as a potential therapeutic approach for treating neuromuscular diseases. *Nat Med.* **18**(3), 452-455 (2012).
2. Hwee, D.T., Kennedy, A., Ryans, J., *et al.* Fast skeletal muscle troponin activator *tirasemtiv* increases muscle function and performance in the B6SJL-SOD1G^{93A} ALS mouse model. *PLoS One* **9**(5), e96921 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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