

# CTEP

**Catalog No: tcsc0974**



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**CAS No:**

871362-31-1

**Formula:**

$C_{19}H_{13}ClF_3N_3O$

**Pathway:**

GPCR/G Protein

**Target:**

mGluR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

RO 4956371;mGluR5 inhibitor

**Observed Molecular Weight:**

391.77

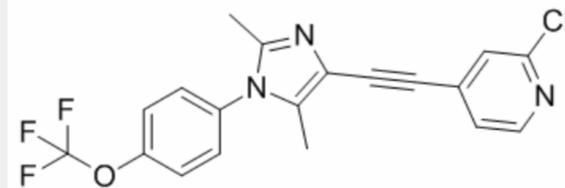
## Product Description

CTEP (RO 4956371) is a novel, long-acting, orally bioavailable allosteric antagonist of **mGlu5 receptor** with **IC<sub>50</sub>** of 2.2 nM, and shows > 1000-fold selectivity over other mGlu receptors.

IC50 & Target: IC50: 2.2 nM (mGlu5 receptor)

**In Vitro:** CTEP (RO 4956371) inhibits quisqualate-induced Ca<sup>2+</sup> mobilization with an IC<sub>50</sub> of 11.4 nM and [<sup>3</sup>H]IP accumulation with an IC<sub>50</sub> of 6.4 nM in HEK293 cells stably expressing human mGlu5. CTEP (RO 4956371) inhibits the constitutive activity of human mGlu5 by approximately 50% with an IC<sub>50</sub> of 40.1 nM in HEK293 cells stably expressing human mGlu5<sup>[1]</sup>.

**In Vivo:** CTEP (RO 4956371) is significantly active at doses of 0.1 mg/kg and 0.3 mg/kg in treatment of anxiety in mouse. CTEP (RO 4956371) significantly increases drinking time at doses of 0.3 mg/kg and 1.0 mg/kg in the Vogel conflict drinking test in rat, whereas it has no effect at lower doses. The half-life of CTEP (RO 4956371) (oral) is 18 h, and the B/P ratio based on total drug concentrations in plasma and whole brain homogenates is 2.6 in mice. After single oral doses of 4.5 and 8.7 mg/kg CTEP (RO 4956371) formulated as microsuspension in a saline/Tween vehicle administered to adult C57BL/6 mice is rapidly absorbed and achieves close to maximal exposure after approximately 30 min. Chronic administration in adult mice with a dose of 2 mg/kg p.o. every 48 h for 2 months reaches a minimal CTEP (RO 4956371) brain exposure of 240 ng/g. CTEP (RO 4956371) fully displaces [<sup>3</sup>H]ABP688 in mouse brain regions known to express mGlu5, and 50% displacement is achieved with doses producing an average compound concentration of 77.5 ng/g measured in whole brain homogenate<sup>[1]</sup>. CTEP (RO 4956371) (2 mg/kg, p.o. bid) achieves uninterrupted mGlu5 occupancy per 48 hours in mice. CTEP (RO 4956371) (2 mg/kg, p.o.) treatment corrects elevated hippocampal long-term depression, excessive protein synthesis, and audiogenic seizures in the Fmr1 knockout mouse<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!