

CTEP

Catalog No: tcsc0974



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

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

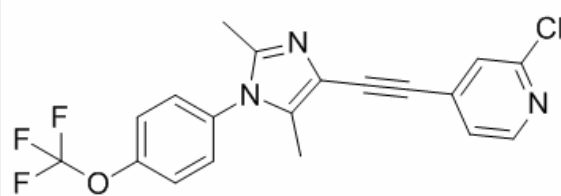
Product Description

CTEP (RO 4956371) is a novel, long-acting, orally bioavailable allosteric antagonist of **mGlu5 receptor** with **IC₅₀** 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 Ca²⁺ mobilization with an IC₅₀ of 11.4 nM and [³H]IP accumulation with an IC₅₀ 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 IC₅₀ of 40.1 nM in HEK293 cells stably expressing human mGlu5^[1].

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 [³H]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^[1]. 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^[2].



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