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# CTEP

产品编号: D50772

CAS: 871362-31-1

分子式: C19H13ClF3N3O

纯度: ≥98%

InChi: InChI=1S/C19H13ClF3N3O/c1-12-17(8-3-14-9-10-24-18(20)11-14)25-13(2)26(12)15-4-6-16(7-5-15)27-19(21,22)23/h4-7,9-11H,1-2H3

InChi Key: GOHCTCOGYKAJLZ-UHFFFAOYSA-N

Smiles: CC1=C(C#CC2=CC(Cl)=NC=C2)N=C(C)N1C1C=CC(=CC=1)OC(F)(F)F

外观: 固体粉末

作用通路: mGluR

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: CTEP is a highly potent, selective and orally bioavailable allosteric antagonist of mGlu5 receptor with an IC50 of 2.2 nM. It shows >1000-fold selectivity against 103 targets, including all known mGlu receptors. CTEP can penetrate the brain with a brain/plasma ratio of 2.6. CTEP is active in the stress-induced hyperthermia procedure in mice and the Vogel conflict drinking test in rats with minimal effective doses of 0.1 and 0.3 mg/kg, respectively, reflecting a 30- to 100-fold higher in vivo potency compared with 2-methyl- 6-(phenylethynyl)pyridine (MPEP) and fenobam. CTEP is the first reported mGlu5 inhibitor with both long half-life of approximately 18 h and high oral bioavailability allowing chronic treatment with continuous receptor blockade with one dose every 48 h in adult and newborn animals. Acute CTEP treatment corrects elevated hippocampal long-term depression, protein synthesis, and audiogenic seizures. Chronic treatment that inhibits mGlu5 within a receptor occupancy range of 81% ± 4% rescues cognitive deficits, auditory hypersensitivity, aberrant dendritic spine density, overactive ERK and mTOR signaling, and partially corrects macroorchidism. By enabling long-term treatment through a wide age range, CTEP allows the exploration of the full therapeutic potential of mGlu5 inhibitors for indications requiring chronic receptor inhibition.

Important Note: This product as supplied is intended for research use only, not for use in human, therapeutic or diagnostic applications.