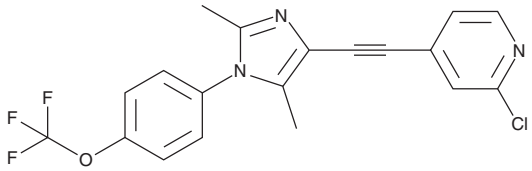
PRODUCT INFORMATION

CTEP

Item No. 28773

CAS Registry No.:	871362-31-1
Formal Name:	2-chloro-4-[2-[2,5-dimethyl-1-[4-(trifluoromethoxy)phenyl]-1H-imidazol-4-yl]ethynyl]-pyridine
MF:	$C_{19}H_{13}ClF_3N_3O$
FW:	391.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 240, 330 nm
Supplied as:	A 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

CTEP is supplied as a solid. A stock solution may be made by dissolving the CTEP in the solvent of choice, which should be purged with an inert gas. CTEP is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CTEP in ethanol is approximately 25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CTEP is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CTEP should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CTEP has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CTEP is an inverse agonist of metabotropic glutamate receptor 5 (mGluR5, K_is = 16.4, 12.6, and 9.5 nM for the human, rat, and mouse receptors, respectively, in a radioligand binding assay).[1] It is selective for mGluR5 over mGluR1, -2, -3, -4, -6, -7, and -8 (IC_{50}s = >10 µM for all) and the histamine H_1 and $\alpha_5\beta_3\gamma_2$ subunit-containing $GABA_A$ receptors (K_is = >4 and >3.2 µM, respectively), but does inhibit adenosine A_1, A_3, muscarinic, and kainate receptors (K_is = 6.2, 2.3, 5.3, and 7.9 µM, respectively), as well as L-type calcium and sodium channels (K_is = 2.7 and 5 µM, respectively) in a panel of 103 receptors, enzymes, and ion channels at 10 µM. CTEP inhibits inositol phosphate accumulation and quisqualate-induced calcium mobilization in HEK293 cells expressing human recombinant mGluR5 (IC_{50}s = 6.4 and 11.4 nM, respectively). It reduces stress-induced hyperthermia in mice and increases drinking in the Vogel conflict test in rats, indicating anxiolytic-like activity, when administered at a dose of 0.3 mg/kg.

Reference

1. Lindemann, L., Jaeschke, G., Michalon, A., *et al.* CTEP: A novel, potent, long-acting, and orally bioavailable metabotropic glutamate receptor 5 inhibitor. *J. Pharmacol. Exp. Ther.* **339(2)**, 474-486 (2011).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM