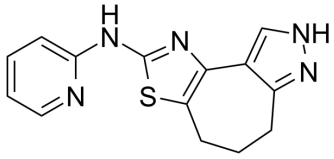
TC-N 22A

Cat. No.:	HY-18679
CAS No.:	1314140-00-5
Molecular Formula:	$C_{14}H_{13}N_5S$
Molecular Weight:	283.35
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TC-N 22A is a potent, selective, orally active and brain-permeable $mGlu_4$ PAM with an EC_{50} of 9 nM in human $mGlu_4$-expressing BHK cells. TC-N 22A is less active (EC_{50}>10 μM) in agonist and PAM model at mGlu 1, 2, 3, 5, and 7 receptors. TC-N 22A has the potential for research of CNS disease in vivo[1].
IC_{50} & Target	EC50: 9 nM ($mGlu_4$ receptor) EC50: >10 μM (mGlu 1, 2, 3, 5, and 7 receptors)[1]
In Vitro	TC-N 22A is selected for $mGlu_4$ and has an EC_{50} of 9 nM in human $mGlu_4$-expressing BHK cells. This compound is less active in agonist and PAM modelinactive (EC_{50}>10 μM), and is inactive in negative allosteric modulator (NAM) model at mGlu 1, 2, 3, 5, and 7 receptors (IC_{50} >10 μM) and shows low potential for hERG channel inhibition[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TC-N 22A (oral administration; 10 mg/kg) displays good plasma (259 ng/mL) and brain exposure levels (200 ng/mL) as well as good brain penetration (brain/plasma ratios of 0.8) after 1 h following a 10 mg/kg oral administration in SprageDawley rats [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sang-Phyo Hong, et al. Tricyclic thiazolopyrazole derivatives as metabotropic glutamate receptor 4 positive allosteric modulators. J Med Chem. 2011 Jul 28;54(14):5070-81.

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