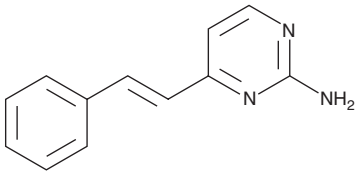
PRODUCT INFORMATION

TCN 238

Item No. 11994

CAS Registry No.:	125404-04-8
Formal Name:	(E)-4-(2-phenylethenyl)-2-pyrimidinamine
MF:	$C_{12}H_{11}N_3$
FW:	197.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 228, 295 nm
Supplied as:	A crystalline 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

TCN 238 is supplied as a crystalline solid. A stock solution may be made by dissolving the TCN 238 in the solvent of choice, which should be purged with an inert gas. TCN 238 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TCN 238 in ethanol is approximately 5 mg/ml and approximately 25 mg/ml in DMSO and DMF.

TCN 238 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TCN 238 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TCN 238 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TCN 238 is an orally bioavailable positive allosteric modulator of the metabotropic glutamate receptor 4 (mGluR4; EC_{50} = 1 µM).[1] It has a greater than 30-fold selectivity for mGluR4 over mGluR5 using human recombinant receptors in CHO-K1 cells. It has no activity at the adenosine A_{2A}, serotonin 5-HT_{1A}, or α_{2A}-adrenergic receptors at concentrations up to 10 µM. In a haloperidol-induced catalepsy rat model of Parkinson's disease, it dose-dependently reduces catalepsy with an ED_{50} of approximately 1 mg/kg. TCN 238 administration in rats leads to downregulation of the mGluR4 gene, GRM4, in the hippocampus and the gene for the $GABA_A$ receptor α subunit, GABRA1, in the frontal cortex without affecting hippocampal-dependent memory.[2]

References

1. East, S.P., Bamford, S.J., Dietz, M.G.A., *et al.* An orally bioavailable positive allosteric modulator of the mGlu4 receptor with efficacy in an animal model of motor dysfunction. *Bioorg. Med. Chem. Lett.* **20(16)**, 4901-4905 (2010).
2. Pershina, E.V., and Arkhipov, V.I. Subacute activation of mGlu4 receptors causes the feedback inhibition of its gene expression in rat brain. *Life Sci.* **153**, 50-54 (2016).

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