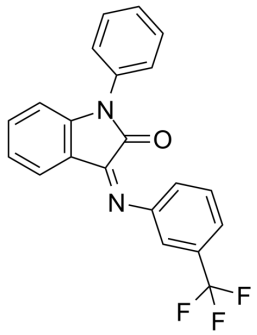
HT-2157

Cat. No.:	HY-100717
CAS No.:	303149-14-6
Molecular Formula:	$C_{21}H_{13}F_3N_2O$
Molecular Weight:	366.34
Target:	Neuropeptide Y Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 29 mg/mL (79.16 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7297 mL	13.6485 mL	27.2970 mL
5 mM	0.5459 mL	2.7297 mL	5.4594 mL
10 mM	0.2730 mL	1.3649 mL	2.7297 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HT-2157 (SNAP 37889) is a selective, high-affinity, competitive antagonists of galanin-3 receptor (Gal_3).

IC_{50} & Target

Galanin-3 receptor[1]

In Vitro

HT-2157 (SNAP 37889) binds with high affinity to membranes from transiently transfected $LMTK^-$ cells expressing the human Gal_3 receptor (K_i=17.44±0.01 nM; n>100) and is highly selective for Gal_3 over the Gal_1 and Gal_2 subtypes (K_i>10,000 nM for each subtype; n=46 of each subtype). When tested for the antagonism of galanin-evoked inhibition of adenylyl cyclase, HT-2157 (0.1-10 μM) produces concentration-dependent rightward shifts of the concentration-effect curve to galanin[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	The galanin-3 receptor antagonist, HT-2157 (SNAP 37889), reduces operant responding for ethanol in alcohol-preferring rats. The novel selective GALR3 antagonist, HT-2157, to reduce anxiety-like behaviour and voluntary ethanol consumption in the iP (alcohol-preferring) rat. Male iP rats treated with HT-2157 at a dose of 30 mg/kg (i.p.) do not show altered locomotor activity or changes in anxiety-like behaviour in the elevated plus maze or light-dark paradigms. Treatment with HT-2157 (30 mg/kg, i.p.) reduces operant responding for solutions containing ethanol, sucrose and saccharin. Collectively, results from the current study shows that HT-2157 (30 mg/kg, i.p.) is effective in reducing operant responding for ethanol[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Binding affinities for HT-2157 (SNAP 37889) and SNAP 398299 at the human Gal_1, Gal_2, and Gal_3 receptors are determined by using the ^{125}I-galanin displacement assay. Additionally, is tested for binding in a broad cross-reactivity panel that included G-protein-coupled receptors, ion channels, enzymes, and transporters. The ability of HT-2157 to antagonize functional responses to galanin is examined in modified HEK-293 cells ($PEAK^{rapid}$ cells) transiently cotransfected with the Gal_3 receptor and $G\alpha_z$ by measuring the inhibition of adenylyl cyclase activity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Rats[2] The effect of HT-2157 on locomotor activity is examined using adult male iP rats (n=12; 418-467 g). Locomotor activity is tested to ensure that any potential change in anxiety or ethanol consumption in subsequent tests is not due to any sedative property of the drug. Rats are habituated to the locomotor cells (26×26×40 cm) for 60 minute sessions which are conducted daily for three consecutive days. To minimise the effect of habituation during the treatment phase, rats are divided into two even groups which received a single injection of either HT-2157 (30 mg/kg, i.p.) or vehicle (1 ml/kg i.p.) 30 min prior to being placed in the locomotor cells for a 60 minute session. The following day the treatments are reversed so that all rats received treatment with both the vehicle and SNAP 37889 compound. Movements in terms of number of moves, move time (s) and total distance travelled (cm), are recorded automatically using TruScan 2.0 software. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Am J Pathol. 2019 Apr;189(4):886-899.
- Int J Endocrinol. 2020 Aug 5;2020:4913785.

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REFERENCES

[1]. Swanson CJ, et al. Anxiolytic- and antidepressant-like profiles of the galanin-3 receptor (Gal3) antagonists SNAP 37889 and SNAP 398299. Proc Natl Acad Sci U S A. 2005 Nov 29;102(48):17489-94.

[2]. Ash BL, et al. The galanin-3 receptor antagonist, SNAP 37889, reduces operant responding for ethanol in alcohol-preferring rats. Regul Pept. 2011 Jan 17;166(1-3):59-67.

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