Product Data Sheet

Product Name: 3-pyr-Cytisine
Cat. No.: GC10484

Chemical Properties

Cas. No.	948027-43-8		
Chemical Name	(1R,5S)-9-(pyridin-3-yl)-3,4,5,6-tetrahydro-1H-1,5-methanopyrido[1,2-a][1,5]diazocin-8(2H)-one		
SMILES	O=C1C(C2=CN=CC=C2)=CC=C3[C@]4([H])C[C@@](CN31)([H])CNC4		
Formula	$C_{16}H_{17}N_3O$	M.Wt	267.33
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	3-pyr-Cytisine		

Background

3-pyr-Cytisine is a partial agonist of α4β2 receptor with Ki values of 0.91, 119 and 1100 nM for α4β2, α3β4 and α7 receptors, respectively [1].

The alpha-4 beta-2 nicotinic receptor (α4β2 receptor) is a nicotinic acetylcholine receptor participated in learning and is widely expressed in the central nervous system. Also, α4β2 receptor has the highest affinity for nicotine.

3-pyr-Cytisine is an α4β2 receptor partial agonist. In cells expressed α4β2 receptor, 3-pyr-Cyt reduced the agonist response by ACh, which relayed on the intrinsic activity of 3-pyr-Cyt and 3-pyr-Cyt concentration [1]. In PC12 cells, 3-pyr-Cyt significantly induced release of norepinephrine (NE) in a time-, dose- and Ca2+-dependent way. Also, 3-pyr-Cyt inhibited nicotine-induced NE release and increased the mRNA levels of tyrosine hydroxylase (TH), which is necessary for catecholamine biosynthetic [2].

In the tail suspension test, mice treated with 3-pyr-Cyt (0.6 mg/kg) spent significantly

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less time immobile in a dose-dependent way. In the forced swim test, mice treated with 3-pyr-Cyt (0.3, 0.6 or 0.9 mg/kg) were significantly less immobile in a dose-dependent way, which suggested that 3-pyr-Cyt exhibited antidepressant-like effects in a dose-dependent way [1].

References:

[1]. Mineur YS, Eibl C, Young G, et al. Cytisine-based nicotinic partial agonists as novel antidepressant compounds. J Pharmacol Exp Ther, 2009, 329(1): 377-386.
[2]. Turcanu DS, Kirtok N, Eibl C, et al. Nicotinic receptor partial agonists alter catecholamine homeostasis and response to nicotine in PC12 cells. Neurosci Lett, 2012, 516(2): 212-216.