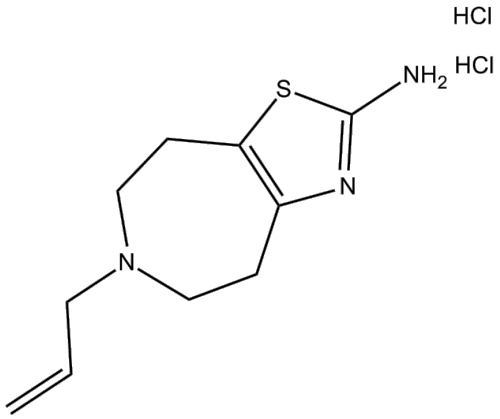
Product Data Sheet

Product Name: B-HT 920
Cat. No.: GC14491

Chemical Properties

Cas. No.	36085-73-1		
Chemical Name	6-allyl-5,6,7,8-tetrahydro-4H-thiazolo[4,5-d]azepin-2-amine dihydrochloride		
SMILES	C=CCN1CCC2=C(SC(N)=N2)CC1.Cl.Cl		
Formula	$C_{10}H_{17}Cl_2N_3S$	M.Wt	282.23
Solubility	PBS (pH 7.2): 10 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Background

B-HT 920, marketed as talipexole in Japan, is a full agonist at both pre- and postsynaptic D-2 dopamine receptors agonist and α2-adrenergic receptor agonist. In addition, it is a 5-HT3 receptor antagonist in both rat cortical and intestinal membrane fractions with Ki values of 0.35 μM and 0.22 μM, respectively. [1, 2]
Adrenergic receptor and dopamine receptors are distributed in many cells. α-adrenergic receptor can be divided into two categories, α1 and α2 adrenergic receptors, which involve in functions of smooth

muscles and veins. Dopamine receptors are widely distributed in the brain and control neural signaling that modulates many important behaviors

By measuring the DOPA in mice corpus striatum, effect of B-HT 920 on the presynaptic D-2 receptors was studied in mice treated with gamma-butyrolactone (GBL, 750 mg/kg i.p.). B-HT 920 inhibited the synthesis of DA to approximately the same extent from 0.1 to 3mg/kg. Haloperidol (2 mg/kg) completely inhibited the effects of 0.1 to 1 mg/kg B-HT 920. Furthermore, B-HT 920 on postsynaptic DA receptors were studied in mice in which the DA stores had been depleted by reserpine. The motor activity of three groups of mice was recorded by the use of electronic motility meters. The motor activity was enhanced by apomorphine. Combined treatment with B-HT920 (0.1 mg/kg) and SKF38393 produced an even greater increase in the motor activity of the reserpine-treated mice. Moreover, B-HT 920 at a dose 1 mg/ kg had a persistent effect on mice. A study was performed relating the involvement of α-adrenergic receptors in the cardiovascular responses to intracerebroventricular (ICV) injection of B-HT 920. In sham-operated rats, B-HT 920 (10–60 mg) caused cardiovascular activities including increased blood pressure and bradycardia. However, in sinoaortic-denervated rats, after the pressor response, there was a decline in blood pressure observed. The responses to this agent were greater in sinoaortic-denervated rats than in sham-operated subjects. B-HT 920 was assessed in combination of other active agents. Treatment with the α2-adrenergic receptor antagonist yohimbine (30 mg), the imidazoline receptor antagonist idazoxan (15 mg) and the α1A -adrenergic receptor antagonist 5-methylurapidil (15 mg) blocked the revesed effects of B-HT 920 (30 mg). The α1-adrenergic receptor antagonist prazosin (15mg) and the α1B -adrenergic receptor antagonist chloroethylclonidine (100 mg) did not alter the responses to B-HT 920. [1, 3]

References:

[1] Andén, N-E., and M. Grabowska-Andén. "B-HT 920 is a full agonist at both pre-and postsynaptic D-2 dopamine receptors." Journal of Neural Transmission/General Section JNT 79.3 (1990): 209-214.

[2] Nishio, Hiroaki, et al. "5-HT 3 receptor blocking properties of the antiparkinsonian agent, talipexole." General Pharmacology: The Vascular System 27.5 (1996): 779-785.

[3] Ricci, Daniel, and Carlos Alberto Taira. "Adrenoceptor involvement in the cardiovascular responses to B-HT 920 in sinoaortic denervated rats." General Pharmacology: The Vascular System 32.1 (1999): 29-34.