
Product Data Sheet

Product Name: LH 21
Cat. No.: GC12538

Chemical Properties

Cas. No. 611207-11-5

Chemical Name 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-hexyl-1H-1,2,4-triazole

SMILES CCCCCCC1=NN(C2=CC=C(Cl)C=C2Cl)C(C3=CC=C(Cl)C=C3)=N1

Formula $C_{20}H_{20}Cl_3N_3$ M.Wt 407.1

Solubility $\leq 10\text{mg/ml}$ in ethanol; 10mg/ml in DMSO; 10mg/ml in dimethyl formamide 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

IC₅₀: 631 ± 98 and 690 ± 41 nM for human and rat CB1 receptors, respectively

LH 21 is a CB1 antagonist.

The endogenous cannabinoid system plays a critical modulatory role in feeding behavior and metabolism, acting at both peripheral and central levels. Recently studies suggest that the chronic administration of cannabinoid CB1 receptor antagonists is effective in experimental obesity.

In vitro: Previous study showed that LH-21 was able to inhibit the binding of [³H]CP55940 to cloned human and rat CB1 receptors with IC₅₀ values of 631 ± 98 nM, and 690 ± 41 nM, respectively. LH-21 acted as an inverse agonist in a cAMP functional assay using cultured cells expressing human, rat or mouse CB1 receptor. In addition, in CHO cells overexpressing CB1, LH-21 was able to elevate cAMP, further confirming that

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LH-21 acted as an inverse agonist of CB1 in this model [1].

In vivo: Animal study showed that when given acutely LH-21 could decrease food intake and enhance the anorectic actions of oleoylethanolamide, a feeding suppressant lipid acting on peripheral sensory terminals in a similar way as rimonabant. However, unlike rimonabant, chronic administration of LH-21 at 3 mg/kg was able to reduce feeding but did not improve hypercholesterolaemia or hypertriglyceridaemia; nor did it reduce liver fat deposits in Zucker rats [2].

Clinical trial: So far, no clinical study has been conducted.

References:

[1] Chen, R. Z., Frassetto, A., Lao, J.Z., et al. Pharmacological evaluation of LH-12, a newly discovered molecule that binds to cannabinoid CB1 receptor. *European Journal of Pharmacology* 584, 338-342 (2008).

[2] Pavón, F. J., Serrano, A., Pérez-Valero, V., et al. Central versus peripheral antagonism of cannabinoid CB1 receptor in obesity: Effects of LH-21, a peripherally acting neutral cannabinoid receptor antagonist, in Zucker rats. *Journal of Neuroendocrinology* 20, 116-123 (2008).

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