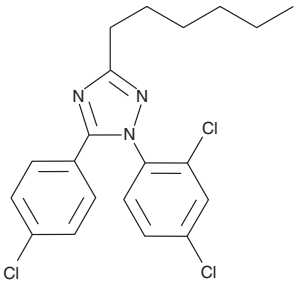
PRODUCT INFORMATION

LH 21

Item No. 13453

CAS Registry No.:	611207-11-5
Formal Name:	5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-hexyl-1H-1,2,4-triazole
MF:	$C_{20}H_{20}Cl_3N_3$
FW:	408.8
Purity:	≥98%
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

LH 21 is supplied as a crystalline solid. A stock solution may be made by dissolving the LH 21 in the solvent of choice, which should be purged with an inert gas. LH 21 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of LH 21 in ethanol and DMF is approximately 20 mg/ml and approximately 10 mg/ml in DMSO.

LH 21 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LH 21 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. LH 21 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LH 21 is a 1,2,4-triazole that acts as a cannabimimetic. It has a relatively low-affinity for the central cannabinoid (CB_1) receptor (K_i = 855 nM). However, it interferes, at low nanomolar concentrations, with the action of the potent CB_1 agonist WIN 55,212-2 on murine vas deferens, suggesting that LH 21 acts as a silent CB_1 antagonist.[1] Consistent with this interpretation, LH 21 diminishes the *in vivo* effects of WIN 55,212-2 on standard CB tetrad responses in mice and reduces food intake and body weight gain in obese Zucker rats.[1-3] However, in CHO cells overexpressing CB_1, LH 21 is able to elevate cAMP, suggesting that, in this model, it acts as an inverse agonist of CB_1. Furthermore, LH 21 suppresses food intake and body weight gain in both wild-type and CB_1 receptor knockout mice, indicating that this receptor is not necessary for these effects.[4]

References

1. Jagerovic, N., Hernandez-Folgado, L., Alkorta, I., *et al*. Discovery of 5-(4-Chlorophenyl)-1-(2,4-dichlorophenyl)-3-hexyl-1H-1,2,4-triazole, a novel in vivo cannabinoid antagonist containing a 1,2,4-triazole motif. *J. Med. Chem.* **47(11)**, 2939-42 (2004).
2. Pavon, F.J., Bilbao, A., Hernández-Folgado, L., *et al*. Antiobesity effects of the novel neutral cannabinoid receptor antagonist 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-hexyl-1H-1,2,4-triazole - LH 21. *Neuropharmacology* **51(2)**, 358-66 (2006).
3. 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. *J. Neuroendocrinol*. **20(Suppl 1)**, 116-123 (2008).
4. 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. *Eur. J. Pharmacol*. **584(2-3)**, 338-342 (2008).

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