Product Data Sheet

Product Name: Lusaperidone (R107474)
Cat. No.: GC31266

Chemical Properties

Cas. No.	214548-46-6		
SMILES	O=C1C(CCN2CCC(OC3=CC=CC=C34)=C4C2)=C(C)N=C5N1C=CC=C5		
Formula	$C_{22}H_{21}N_3O_2$	M.Wt	359.42
Solubility	DMSO : 3.45 mg/mL (9.60 mM; ultrasonic and warming and heat to 60°C)	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	Lusaperidone (R107474)		

Protocol

Animal experiment: Rats: Radio labeled Lusaperidone (24–28 GBq/μmol) is injected into the tail vein of diethyl ether anesthetized male Wistar rats (200–250 g). The rats received 30–40 MBq (injected at the start of the experiment) in 300 μL saline including 10% (v/v) ethanol. The rats are sacrificed by cervical dislocation at 5, 10, 20, and 30 min post injection under diethyl ether anesthesia. A blood sample is taken by cardiac puncture and selected tissues are rapidly dissected and weighed. The radioactivity is measured[1].

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References:

[1]. Van der Mey M, et al. Synthesis and biodistribution of [11C]R107474, a new radiolabeled alpha2-adrenoceptor antagonist. Bioorg Med Chem. 2006 Jul 1;14(13):4526-34.

Background

Lusaperidone (R107474) is an α2 adrenergic receptor antagonist with Kis of 0.13 and 0.15 nM for α2A and α2C, respectively.

Lusaperidone has subnanomolar affinity for α2A and α2C adrenergic receptor (Ki=0.13 and 0.15 nM, respectively) and shows nanomolar affinity for the hα2B adrenergic receptor and h5-HT7 receptors (Ki=1 and 5 nM, respectively). Lusaperidone interacts weakly (Ki values ranging between 81 and 920 nM) with dopamine-hD2L, -hD3 and -hD4, h5-HT1D-, h5-HT1F-, h5-HT2A-, h5-HT2C-, and h5-HT5A receptors. Lusaperidone, tested up to 10 μM, interacts only at micromolar concentrations or not at all with any of the other receptor or transporter binding sites tested in this study. Lusaperidone has been shown to reverse the clonidine-induced inhibition of cyclic AMP production mediated by human α2A and α2C adrenoceptors expressed in cell lines (Kb is 2.8 and 4.4 nM, respectively) and is a full antagonist on both receptor subtypes[1].

Lusaperidone occupies the α2A and α2C adrenergic receptor with an ED50 of 0.014 mg/kg sc (0.009-0.019) and 0.026 mg/kg sc (0.022-0.030), respectively. The uptake of R107474 after in vivo intravenous administration is very rapid; in most tissues (including the brain) it reaches maximum concentration at 5 min after tracer injection[1].

[1]. Van der Mey M, et al. Synthesis and biodistribution of [11C]R107474, a new radiolabeled alpha2-adrenoceptor antagonist. Bioorg Med Chem. 2006 Jul 1;14(13):4526-34.

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