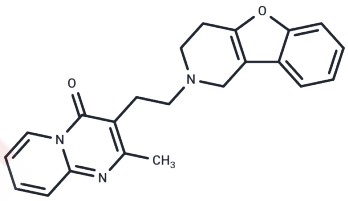
Data Sheet (Cat.No.T11894)

TargetMol

Lusaperidone

Chemical Properties



CAS No. : 214548-46-6

Formula: C22H21N3O2

Molecular Weight: 359.42

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lusaperidone (R107474) is a potent α2-adrenergic receptor antagonist, a potential radioligand for the α (2)-adrenergic receptor, with inhibitory effects on α2A and α2C, with Ki values of 0.13 and 0.15 nM, respectively.
Targets(IC50)	Adrenergic Receptor
In vitro	Lusaperidone exhibits subnanomolar affinity for α2A and α2C adrenergic receptors (Ki=0.13 and 0.15 nM, respectively) and demonstrates nanomolar affinity for hα2B adrenergic receptors and h5-HT7 receptors (Ki=1 and 5 nM, respectively). It 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. Furthermore, Lusaperidone has been demonstrated 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 acts as a full antagonist on both receptor subtypes[1].
In vivo	Lusaperidone occupies the α2A and α2C adrenergic receptors 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 is very rapid after in vivo intravenous administration, reaching maximum concentration in most tissues, including the brain, within 5 minutes of tracer injection[1].

Solubility Information

Solubility	DMSO: 1 mg/mL (2.78 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7823 mL	13.9113 mL	27.8226 mL
5 mM	0.5565 mL	2.7823 mL	5.5645 mL
10 mM	0.2782 mL	1.3911 mL	2.7823 mL
50 mM	0.0556 mL	0.2782 mL	0.5565 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.