Product Data Sheet

Product Name: L-771688
Cat. No.: GC33410

Chemical Properties

Cas. No.	200050-59-5		
SMILES	O=C(C([C@H](C1=CC=C(F)C(F)=C1)N2C(NCCCN3CCC(C4=NC=CC=C4)CC3)=O)=C(COC)NC2=O)OC		
Formula	$C_{28}H_{33}F_2N_5O_5$	M.Wt	557.59
Solubility	DMSO : ≥ 100 mg/mL (179.34 mM)	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	L-771688		

Protocol

Cell experiment: [3H]L-771688 is prepared by a catalytic reduction of the precursor, L-797429, in the presence of tritium gas followed by preparative high pressure liquid chromatography. Receptor membranes are prepared for [3H]prazosin/[125I]HEAT binding assays. To measure [3H]L-771688 binding, 980 µL of membranes (cloning human α1A or rat tissues) are added to triplicate tubes containing 10 µL of dimethyl sulfoxide (DMSO) (for total binding) or phentolamine (10 µM final concentration, for nonspecific binding) or tested compounds (at the desiring final concentrations) and 10 µL of [3H]L-771688 (0.3 to 0.6 nM final concentration for routine studies and 10 pM to 5 nM for saturation assays). [3H]L-771688 is diluted in DMSO/methanol/water (1:1:2) from stock solution to minimize its loss to the wall of test tubes. The binding reaction is conducted at 25°C for 1 h or various time intervals in the association rate studies[1].

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References:

[1]. Chang RS, et al. In vitro studies on L-771,688 (SNAP 6383), a new potent and selective alpha1A-adrenoceptor antagonist. Eur J Pharmacol. 2000 Dec 15;409(3):301-12.

Background

L-771688 is a highly selective α1A-Adrenoceptor antagonist with a Ki of 0.43±0.02 nM.

Specific [3H]L-771688 binding to cloned human α1A-Adrenoceptors is inhibited with high potency by subtype selective compounds, GG818 (Ki=0.026±0.002 nM) and L-771688 (Ki=0.052±0.008 nM) and subtype non-selective α1-adrenoceptor antagonists, prazosin (Ki=0.088±0.0.032 nM) and terazosin (Ki=1.8±0.65 nM). The relative amount of [3H]L-771688 (0.5 nM) binding in various rat tissue membranes is highest in submaxillary gland (9.5 pmol/g tissue), followed by brain (5.8 pmol/g tissue), vas deferens (4.3 pmol/g tissue), kidney (3.4 pmol/g tissue), heart (1.5 pmol/g tissue), urethra (1.1 pmol/g tissue) and prostate (0.88 pmol/g tissue). In contrast, low specific [3H]L-771688 binding is observed in rat urinary bladder (0.55 pmol/g tissue), liver (0.44 pmol/g tissue), aorta (0.11 pmol/g tissue) and spleen (0.11 pmol/g tissue)[1].

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