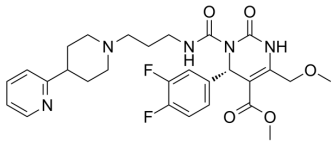
L-771688

Cat. No.:	HY-U00237
CAS No.:	200050-59-5
Molecular Formula:	$C_{28}H_{33}F_2N_5O_5$
Molecular Weight:	557.59
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	L-771688 is a highly selective α1A-Adrenoceptor antagonist with a K_i of 0.43±0.02 nM.
IC_{50} & Target	Ki: 0.43±0.02 nM (α1A-Adrenoceptor)[1]
In Vitro	Specific [^{3}H]L-771688 binding to cloned human α1A-Adrenoceptors is inhibited with high potency by subtype selective compounds, GG818 (K_i=0.026±0.002 nM) and L-771688 (K_i=0.052±0.008 nM) and subtype non-selective α1-adrenoceptor antagonists, prazosin (K_i=0.088±0.0.032 nM) and terazosin (K_i=1.8±0.65 nM). The relative amount of [^{3}H]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 [^{3}H]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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	[^{3}H]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 [^{3}H]prazosin/[^{125}I]HEAT binding assays. To measure [^{3}H]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 [^{3}H]L-771688 (0.3 to 0.6 nM final concentration for routine studies and 10 pM to 5 nM for saturation assays). [^{3}H]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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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