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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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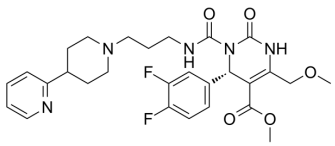
L-771688

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:	Pure form -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (179.34 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7934 mL	8.9672 mL	17.9343 mL
	5 mM	0.3587 mL	1.7934 mL	3.5869 mL
	10 mM	0.1793 mL	0.8967 mL	1.7934 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution

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.

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