

BRL 44408 Maleate Salt

Chemical Properties

CAS No. : 681806-46-2

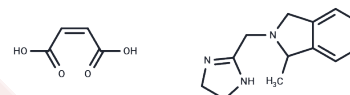
Formula: C17H21N3O4

Molecular Weight: 331.37

Store at low temperature

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	BRL 44408 Maleate is a potent α 2A-adrenoceptor antagonist with antidepressant and analgesic activity that reduces lipopolysaccharide (LPS)-induced expression and attenuates endogenous lipopolysaccharide-induced acute lung injury through inhibition of the mitogen-activated protein kinase/extracellularly regulated protein kinase signaling pathway in mice.
Targets(IC50)	Adrenergic Receptor
In vitro	BRL 44408 Maleate Salt, a potent ($K_i=8.5$ nM) and selective (>50-fold) α 2A-adrenoceptor antagonist ($K(B)=7.9$ nM). [1]
In vivo	In rats, BRL 44408 Maleate Salt penetrated the central nervous system resulting in peak brain and plasma concentrations of 586 ng/g and 1124 ng/ml, respectively. In a pharmacodynamic assay, pretreatment with BRL 44408 Maleate Salt to rats responding under a fixed-ratio 30 operant response paradigm resulted in a rightward shift of the clonidine dose-response curve, an effect indicative of α 2-adrenoceptor antagonism in vivo. [1]

Solubility Information

Solubility	DMSO: 20 mg/mL(60.36 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	--

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0178 mL	15.0889 mL	30.1777 mL
5 mM	0.6036 mL	3.0178 mL	6.0355 mL
10 mM	0.3018 mL	1.5089 mL	3.0178 mL
50 mM	0.0604 mL	0.3018 mL	0.6036 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

- Dwyer JM, et al. Preclinical characterization of BRL 44408: antidepressant- and analgesic-like activity through selective alpha2A-adrenoceptor antagonism. *Int J Neuropsychopharmacol.* 2010 Oct;13(9):1193-205.
- Cong Z, et al. α 2A -AR antagonism by BRL-44408 maleate attenuates acute lung injury in rats with downregulation of ERK1/2, p38MAPK, and p65 pathway. *J Cell Physiol.* 2020 Oct;235(10):6905-6914.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481