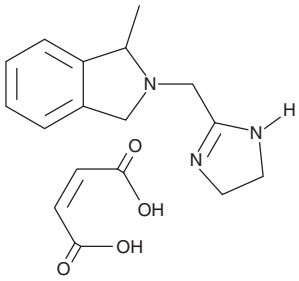
PRODUCT INFORMATION

BRL 44408 (maleate)

Item No. 29454

CAS Registry No.: 681806-46-2
Formal Name: 2-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2,3-dihydro-1-methyl-1H-isoindole, 2Z-butenedioate
MF: $C_{13}H_{17}N_3 \bullet C_4H_4O_4$
FW: 331.4
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BRL 44408 (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the BRL 44408 (maleate) in the solvent of choice, which should be purged with an inert gas. BRL 44408 (maleate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BRL 44408 (maleate) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of BRL 44408 (maleate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of BRL 44408 (maleate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

BRL 44408 is an antagonist of α_{2A}-adrenergic receptors (α_{2A}-ARs; K_i = 8.56 nM for the recombinant human receptors).[1] It is greater than 50-fold selective for α_{2A}-ARs over α_1-, α_{2B}-, α_{2C}-, β_1-, and β_2-ARs, as well as 19 other neurotransmitter receptors, transporters, and enzymes in a panel at 1 µM. BRL 44408 inhibits forskolin-stimulated cAMP accumulation with an IC_{50} value of 92.3 nM in CHO cells expressing the recombinant human α_{2A}-AR. It increases norepinephrine and dopamine levels by 200 and 100%, respectively, in rat medial prefrontal cortex when administered at a dose of 10 mg/kg. BRL 44408 (10 and 30 mg/kg) decreases the time rats spend immobile in the forced swim test, indicating antidepressant-like activity, and reduces *para*-phenylquinone-induced abdominal stretching, indicating analgesic activity, in rats.

Reference

1. Dwyer, J.M., Platt, B.J., Sukoff Rizzo, S.J., *et al.* Preclinical characterization of BRL 44408: Antidepressant- and analgesic-like activity through selective α_{2A}-adrenoceptor antagonism. *Int. J. Neuropsychopharmacol.* **13(9),** 1193-1205 (2010).

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