2614W94

**Cat. No.:** HY-101578

**CAS No.:** 205187-35-5

**Molecular Formula:** C₁₅H₁₁F₃O₄S

**Molecular Weight:** 344.31

**Target:** Monoamine Oxidase

**Pathway:** Neuronal Signaling

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.

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**BIOLOGICAL ACTIVITY**

**Description**

2614W94 is a selective, reversible inhibitor of monoamine oxidase-A with a competitive mechanism of inhibition and IC₅₀ of 5 nM and Kᵢ of 1.6 nM with serotonin as substrate.

**IC₅₀ & Target**

IC₅₀: 5 nM (Monoamine Oxidase) [1]

Kᵢ: 1.6 nM (Monoamine Oxidase) [1]

**In Vitro**

2614W94 shows potent inhibitory activity against MAO-A, but shows no inhibition of MAO-B at 30 nM [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

2614W94 (5 mg/kg, p.o.) produces selective inhibition of MAO-A in brains and livers of rats. 2614W94 (5 mg/kg, p.o.) also causes an elevation of neurotransmitter amines in brain, in particular serotonin and norepinephrine, with a concomitant decrease in their oxidized metabolites. 2614W94 (0.5, 1, 2 mg/kg, p.o.) potentiates 5-hydroxytryptophan-induced head twitches in rats in a dose-dependent manner, with an extrapolated ED₅₀ of 1.1 mg/kg [1].

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**PROTOCOL**

**Kinase Assay [1]**

MAO-A and -B forms are assayed. Rat brain mito-chondrial extract is pre-incubated with the inhibitor for 15 min at 37°C in 50 mM potassium phosphate buffer (pH 7.4). Substrates [³H]serotonin (0.2 mM, 5 Ci/mol) and [¹⁴C]β-phenethylamine (10 µM, 3 Ci/mol) are then added, and incubation at 37°C is continued for 20 min. Blank assays contain 2 mM pargyline to inhibit all MAO activity. The reaction is terminated with 0.2 mL of 2 N HCl, and products are extracted with 6 mL of ethyl acetate/toluene (1:1). A 4 mL aliquot of the organic layer is counted in 10 mL of Ecolite in a scintillation spectrometer programmed for double-label counting. Assays are performed in triplicate unless otherwise indicated. At the above concentrations, serotonin is a selective substrate for MAO-A, and β-phenethylamine is a selective substrate for MAO-B.

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**Animal Administration [1]**

Rats: Nonfasted Sprague-Dawley male rats (250-350 g) are dosed by gavage with 0.5% methyl cellulose or with 2614W94 or other compounds suspended in the methyl cellulose vehicle. For all groups, n = 3 unless otherwise specified. For oral administration, dosing volume is 10 mL/kg of body weight. For intravenous dosing, the vehicle is a mixture of PEG 400 (polyethylene glycol; molecular weight, 400), ethanol, and physiologic saline in a volume ratio of 1.5/1.5/1.0, respectively, and the dosing volume is 1 mL/kg. After dosing, rats are returned to their cages and allowed free access to water. Any
animals kept overnight are also given food. Death is by CO₂ asphyxiation, after which brains and livers are promptly removed, frozen on dry ice, and stored at -70°C. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES