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Produktinformation

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

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

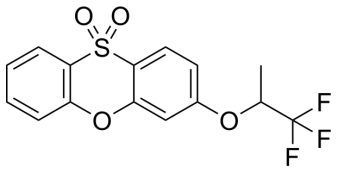
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

2614W94

Cat. No.:	HY-101578
CAS No.:	205187-35-5
Molecular Formula:	$C_{15}H_{11}F_3O_4S$
Molecular Weight:	344.31
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (290.44 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9044 mL	14.5218 mL	29.0436 mL
5 mM	0.5809 mL	2.9044 mL	5.8087 mL
10 mM	0.2904 mL	1.4522 mL	2.9044 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

2614W94 is a selective, reversible inhibitor of monoamine oxidase-A with a competitive mechanism of inhibition and IC_{50} of 5 nM and K_i of 1.6 nM with serotonin as substrate.

IC_{50} & 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, inparticular 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 ED_{50} of 1.1 mg/kg[1].

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

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 [^{3}H]serotonin (0.2 mM, 5 Ci/mol) and [^{14}C]β-phene-thylamine (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 countedin 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 aselective substrate for MAO-B.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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 CO_2 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

[1]. Helen L. White, et al. Biochemical and Pharmacologic Properties of 2614W94, a Reversible, Competitive Inhibitor of MonoamineOxidase-A. DRUG DEVELOPMENT RESEARCH 45:1-9 (1998).

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA