Product Data Sheet

Product Name: 2614W94
Cat. No.: GC31021

Chemical Properties

Cas. No.	205187-35-5		
SMILES	CC(OC(C=C1OC2=C3C=CC=C2)=CC=C1S3(=O)=O)C(F)(F)F		
Formula	$C_{15}H_{11}F_3O_4S$	M.Wt	344.31
Solubility	DMSO : 100 mg/mL (290.44 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	2614W94		

Protocol

Kinase experiment:

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-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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Animal experiment:

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.

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).

Background

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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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

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 ED50 of 1.1 mg/kg[1].

[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: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA