Product Data Sheet

Product Name: TB5
Cat. No.: GC30988

Chemical Properties

Cas. No.	948841-07-4		
SMILES	O=C(C1=CC=C(Br)S1)/C=C/C2=CC=C(N(C)C)C=C2		
Formula	$C_{15}H_{14}BrNOS$	M.Wt	336.25
Solubility	DMF: 33 mg/ml,DMSO: 33 mg/ml,Ethanol: 1 mg/ml	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	TB5		

Protocol

Kinase experiment: Compounds are dissolved in DMSO (5 mg/mL) and diluted with PBS/EtOH (70:30).Kinetic analyses are carried out for TB5 and TB8. A set of Lineweaver–Burk plots are constructed in the absence and presence of various concentrations of compounds TB5 and TC8. The set consists of five graphs, each constructed by measuring MAO-B and MAO-A catalytic rates at different substrate concentrations (0.1-1 μM). The first Lineweaver–Burk plot is constructed in the absence of inhibitor, while the remaining four graphs are constructed in the presence of different concentrations of TB5 and TB8[1].

Cell experiment: In vitro cytotoxicity of brominated thiophene chalcones and standard MAO inhibitors are tested in human HepG2 hepatic cancer cells at three different concentrations (1, 5 and 25 μM)[1].

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References:

[1]. Mathew B, et al. Synthesis, Biochemistry, and Computational Studies of Brominated Thienyl Chalcones: A New Class of Reversible MAO-B Inhibitors. ChemMedChem. 2016 Jun 6;11(11):1161-71.

Background

TB5 is a potent and selective monoamine oxidase B (MAO-B) inhibitor with K_i values of 110 and 1,450 nM for MAO-B and MAO-A, respectively.[1] It interacts with the catalytic site of human MAO-B in a competitive manner. In an enzyme assay, MAO-B activity increases?from 37% to 97% following inhibitor washout, indicating TB5 binding is reversible.?TB5 also demonstrates permeability in a parallel artificial membrane permeation assay (PAMPA), a model for the blood-brain barrier, and has minimal cytotoxicity (>84% cell viability) in HepG2 cells at a concentrations up to 25 μM.

1.Mathew, B., Haridas, A., U?ar, G., et al.Synthesis, biochemistry, and computational studies of brominated thienyl chalcones: A new class of reversible MAO-B inhibitorsChemMedChem11(11)1161-1171(2016)