

Product Data Sheet

Product Name: GSK598809

Cat. No.: GC30241

Chemical Properties

Cas. No. 863680-45-9

SMILES CC1=C(C2=NN=C(SCCCN3CC4(C5=CC=C(C(F)(F)F)C=C5F)CC4C3)N2C)OC=N1

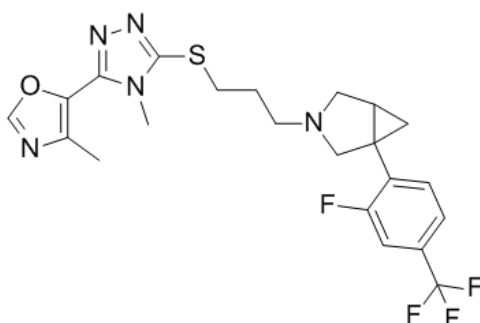
Formula $C_{22}H_{23}F_4N_5OS$ M.Wt 481.51

Solubility DMSO : 83.33 mg/mL (173.06 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: Dogs[1] Male beagle dogs are used throughout the study. The experiment is conducted in phases. In the first (hemodynamics) phase, the dogs are dosed at weekly intervals over a period of 9 weeks with combinations of either GSK598809 or vehicle, followed by either cocaine or vehicle. After the baseline measurements are recorded, technical staff briefly returns to the animal room to administer GSK598809 (or vehicle) to all the dogs via oral gavage and activate the timers on the preloaded and preprogrammed infusion pumps[1].

References:

- [1]. Appel NM, et al. Dopamine D3 Receptor Antagonist (GSK598809) Potentiates the Hypertensive Effects of Cocaine in Conscious, Freely-Moving Dogs. J Pharmacol Exp Ther. 2015 Sep;354(3):484-92.
- [2]. Micheli F, et al. 1,2,4-Triazolyl azabicyclo[3.1.0]hexanes: a new series of potent and selective dopamine D(3) receptor antagonists. J Med Chem. 2010 Jan 14;53(1):374-91.

Background

GSK598809 is a potent and selective dopamine D3 Receptor (DRD3) antagonist, with a pKi of 8.9.

Cocaine and GSK598809 each increases peak mean arterial blood pressure. Least square mean differences are significant for both doses of cocaine compared with its vehicle. Likewise, least square mean differences are significant for both doses of GSK598809 as compared with its vehicle. The experimental question of interest, that is, whether GSK598809 significantly increases cocaine effects on peak mean arterial blood pressure, is probed by a prior it tests on the means of the fitted regression model. The dose of 3 mg/kg GSK598809 significantly increases the pressor effects of 5.6 mg/kg cocaine and of 1.7 mg/kg cocaine[1].

- [1]. Appel NM, et al. Dopamine D3 Receptor Antagonist (GSK598809) Potentiates the Hypertensive Effects of Cocaine in Conscious, Freely-Moving Dogs. J Pharmacol Exp Ther. 2015 Sep;354(3):484-92. [2]. Micheli F, et al. 1,2,4-Triazolyl azabicyclo[3.1.0]hexanes: a new series of potent and selective dopamine D(3) receptor antagonists. J Med Chem. 2010 Jan 14;53(1):374-91.

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