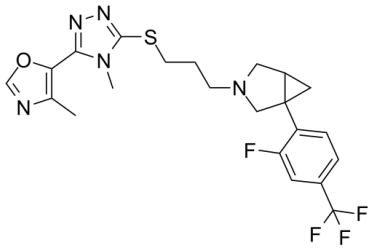
Data Sheet

Product Name:	GSK598809
Cat. No.:	CS-0016167
CAS No.:	863680-45-9
Molecular Formula:	C22H23F4N5OS
Molecular Weight:	481.51
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 83.33 mg/mL (173.06 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

GSK598809 is a potent and selective dopamine D3 Receptor **(DRD3)** antagonist, with a **pK_i** of 8.9. IC50 & Target: pKi: DRD3[1][2]. **In Vivo:** 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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [1]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.

CAIndexNames:

3-Azabicyclo[3.1.0]hexane, 1-[2-fluoro-4-(trifluoromethyl)phenyl]-3-[3-[[4-methyl-5-(4-methyl-5-oxazolyl)-4H-1,2,4-triazol-3-yl]thio]propyl]-

SMILES:

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

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

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