
Product Data Sheet

Product Name: GSK962040

Cat. No.: GC16491

Chemical Properties

Cas. No. 923565-21-3

Chemical Name 1-[4-(3-fluoroanilino)piperidin-1-yl]-2-[4-[[[(3S)-3-methylpiperazin-1-yl]methyl]phenyl]ethanone

SMILES CC1CN(CCN1)CC2=CC=C(C=C2)CC(=O)N3CCC(CC3)NC4=CC(=CC=C4)FFormula $C_{25}H_{33}FN_4O$ M.Wt 424.55

Solubility DMSO : 100 mg/mL (235.54 mM; Need ultrasonic) 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

Background

GSK962040 is a first small-molecule agonist of motilin receptor with pEC₅₀ value of 7.9 [1].

GSK962040 is discovered as a therapeutic agent for conditions associated with delayed gastric emptying. In the in vitro assay using recombinant human motilin receptor, GSK962040 shows an excellent inhibition activity against motilin receptor with pEC₅₀ value of 7.9. GSK962040 is highly selective against motilin receptor over the human ghrelin receptor and hERG. It also has no significant activity against other receptors including 5-HT, adrenergic, dopamine, histamine and adenosine receptors. In rabbit isolated gastric antrum, GSK962040 is found to enhance the EFS-induced cholinergic contraction and cause a small muscle contraction at high concentration. GSK962040 also induces the contraction of human-isolated stomach preparations at 10μM [1, 2].

References:

[1] Westaway S M, Brown S L, Fell S C M, et al. Discovery of N-(3-Fluorophenyl)-1-[(4-((3

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

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S)-3-methyl-1-piperazinyl] methyl) phenyl) acetyl]-4-piperidinamine (GSK962040), the First Small Molecule Motilin Receptor Agonist Clinical Candidate. Journal of medicinal chemistry, 2009, 52(4): 1180-1189.

[2] Sanger G J, Westaway S M, Barnes A A, et al. GSK962040: a small molecule, selective motilin receptor agonist, effective as a stimulant of human and rabbit gastrointestinal motility. Neurogastroenterology & Motility, 2009, 21(6): 657-e31.

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