

GSK2945 hydrochloride (1438071-12-5 free base)

## Chemical Properties

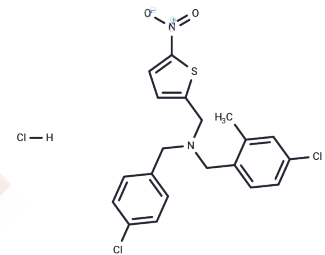
CAS No. :

Formula: C<sub>20</sub>H<sub>19</sub>Cl<sub>3</sub>N<sub>2</sub>O<sub>2</sub>S

Molecular Weight: 457.8

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	GSK2945 hydrochloride is a highly specific Rev-erb $\alpha$ /REV-ERB $\alpha$ (mouse/human reverse erythroblastosis virus $\alpha$ ) antagonist (EC <sub>50</sub> s: 21.5 $\mu$ M and 20.8 $\mu$ M). It enhances cholesterol 7 $\alpha$ -hydroxylase (CYP7A1) level and cholesterol metabolism.
Targets(IC <sub>50</sub> )	Others
In vitro	GSK2945 (20 $\mu$ M) treatment also increases Lrh-1/LRH-1 (a known hepatic activator of Cyp7a1/CYP7A1) mRNA and protein. GSK2945 dose-dependently enhances the transcriptional activity of Rev-erb $\alpha$ and a Bmal1 (a target gene of REV-ERBs) luciferase reporter (EC <sub>50</sub> of 2.05 $\mu$ M). GSK2945 (20 $\mu$ M; 12 hours and 24 hours; mouse and human primary hepatocytes) treatment increases levels of Cyp7a1/CYP7A1 in mouse and human primary hepatocytes.
In vivo	GSK2945 treatment increases hepatic mouse cholesterol 7 $\alpha$ -hydroxylase (Cyp7a1) level and lowers plasma cholesterol in wild-type mice.

## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1844 mL	10.9218 mL	21.8436 mL
5 mM	0.4369 mL	2.1844 mL	4.3687 mL
10 mM	0.2184 mL	1.0922 mL	2.1844 mL
50 mM	0.0437 mL	0.2184 mL	0.4369 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zhang T, et al. REV-ERB $\alpha$  Regulates CYP7A1 Through Repression of Liver Receptor Homolog-1. Drug Metab Dispos. 2018 Mar;46(3):248-258.

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