

GSK 525768A

Chemical Properties

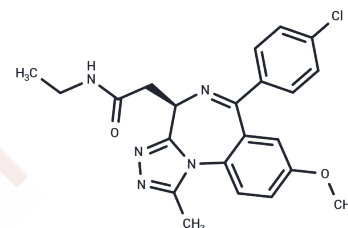
CAS No. : 1260530-25-3

Formula: C₂₂H₂₂ClN₅O₂

Molecular Weight: 423.9

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	GSK 525768A, the inactive enantiomer of GSK525762A, exhibits no activity towards BET.
Targets(IC50)	Others,Epigenetic Reader Domain
In vitro	GSK 525768A interacts with the protein's module by establishing hydrogen bonds with the conserved asparagine residue, effectively mimicking the acetylated lysine's binding mechanism. This interaction leads to the compound's binding deeper within the acetylated lysine's binding site, all the while preserving the position of conserved water molecules in the acetyl-lysine binding cavity's base. GSK 525768A exhibits no activity towards BET and is identified as the (R)-enantiomer of GSK525762A. Contrasting its counterpart, GSK525762A, which influences the regulation of apolipoprotein A1 (APOA1) levels in liver cell assays, GSK 525768A does not impact APOA1 release, highlighting a distinctive functional divergence between the two enantiomers.

Solubility Information

Solubility	DMSO: 100 mg/mL (235.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (7.78 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.359 mL	11.7952 mL	23.5905 mL
5 mM	0.4718 mL	2.359 mL	4.7181 mL
10 mM	0.2359 mL	1.1795 mL	2.359 mL
50 mM	0.0472 mL	0.2359 mL	0.4718 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

Nicodeme E, et al. Suppression of inflammation by a synthetic histone mimic. *Nature*. 2010 Dec 23;468(7327):1119-23.

Filippakopoulos P, et al. Targeting bromodomains: epigenetic readers of lysine acetylation. *Nat Rev Drug Discov*. 2014 May;13(5):337-56.

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