

GSK046

## Chemical Properties

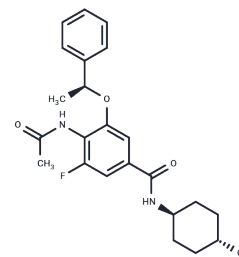
CAS No. : 2474876-09-8

Formula: C<sub>23</sub>H<sub>27</sub>FN<sub>2</sub>O<sub>4</sub>

Molecular Weight: 414.47

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	GSK046 (iBET-BD2) is a potent, selective, and orally active BD2 bromodomain inhibitor of the BET proteins, with IC <sub>50</sub> values of 264 nM [BRD2 BD2], 98 nM [BRD3 BD2], 49 nM [BRD4 BD2], and 214 nM [BRDT BD2], respectively. It exhibits immunomodulatory activity.
Targets(IC <sub>50</sub> )	Epigenetic Reader Domain
In vivo	GSK046 (40 mg/kg/QD;?s.c. for 14 days) has immunomodulatory activity.?GSK046 exhibits C <sub>max</sub> (C57BL6 1589, C57B16 2993 ng/mL) and terminal elimination half-lives (C57BL6 1.8, C57B16 1.9 h) following oral administration (C57BL6 10, C57B16 40 mg/kg).?GSK046 exhibits C <sub>max</sub> (mouse 1589, rat 202 ng/mL) and terminal elimination half-lives (mouse 1.8, rat 1.4 h) following oral administration (mouse 10, rat 10 mg/kg) [1].

## Solubility Information

Solubility	DMSO: 83.33 mg/mL (201.05 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 (7.96 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

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	1mg	5mg	10mg
1 mM	2.4127 mL	12.0636 mL	24.1272 mL
5 mM	0.4825 mL	2.4127 mL	4.8254 mL
10 mM	0.2413 mL	1.2064 mL	2.4127 mL
50 mM	0.0483 mL	0.2413 mL	0.4825 mL

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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

Omer G, et, al. Selective targeting of BD1 and BD2 of the BET proteins in cancer and immunoinflammation. Science. 2020 Apr 24; 368(6489): 387-394.

The Optimization of a Novel, Weak Bromo and Extra Terminal Domain (BET) Bromodomain Fragment Ligand to a Potent and Selective Second Bromodomain (BD2) Inhibitor[J]. Journal of Medicinal Chemistry, 2020, 63(17):9093-9126.

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