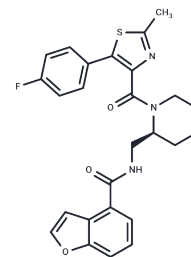


SB-649868

## Chemical Properties

CAS No. : 380899-24-1  
 Formula: C<sub>26</sub>H<sub>24</sub>FN<sub>3</sub>O<sub>3</sub>S  
 Molecular Weight: 477.55  
 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	SB-649868 (GSK649868) is a potent and selective orally active antagonist of orexin (pK <sub>i</sub> =9.4 and 9.5 at the OX1 and OX2 receptor, respectively).
Targets(IC <sub>50</sub> )	OX Receptor
In vitro	SB-649868 antagonizes orexin-A-induced inositol 1 phosphate (IP1) accumulation with the following pK <sub>B</sub> value (OX1=9.67; OX2=9.64). SB-649868 displaces the [ <sup>3</sup> H]ACT-078573 receptor binding with the following pK <sub>i</sub> values: OX1=9.27; OX2=8.91. Increasing concentrations of SB-649868 (0.3 nM-30 nM) induces a rightward shift of the orexin-A CRCs with a depression of the agonist efficacy suggesting a clear non-surmountable behavior. The calculated apparent pK <sub>B</sub> values are 9.67 0.03 and 9.64 0.07 for OX1 and OX2

## Solubility Information

Solubility	DMSO: 100 mg/mL (209.4 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: 4 mg/mL (8.38 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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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	2.094 mL	10.4701 mL	20.9402 mL
5 mM	0.4188 mL	2.094 mL	4.188 mL
10 mM	0.2094 mL	1.047 mL	2.094 mL
50 mM	0.0419 mL	0.2094 mL	0.4188 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

Faedo S, et al. Functional and binding kinetic studies make a distinction between OX1 and OX2 orexin receptor antagonists. *Eur J Pharmacol.* 2012 Oct 5;692(1-3):1-9.

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