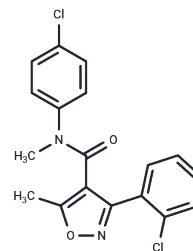


## TGR5 Receptor Agonist

## Chemical Properties

CAS No. :	1197300-24-5
Formula:	C <sub>18</sub> H <sub>14</sub> Cl <sub>2</sub> N <sub>2</sub> O <sub>2</sub>
Molecular Weight:	361.22
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	TGR5 is a potent TGR5(GPCR19) agonist.
Targets(IC50)	Calcium Channel,GPCR19
Kinase Assay	Inhibition assays are carried out in 384-well white ProxiPlates in 10 µL of reaction volume. Standard reaction mixtures consisted of the compound (in 2% DMSO final concentration), enzyme mix (0.001 µM of PHD2, 10 µM of Fe(II), 100 µM of ascorbate) and peptide mix (0.06 µM of biotinylated C-terminal oxygen dependent degradation domain (CODD) peptide, 2 µM of 2OG) in 50 mM HEPES pH 7.5, 0.01% Tween-20 and 0.1% BSA buffer. Compounds (e.g., IOX2) are preincubated with the enzyme mix for 15 min before being incubated with peptide mix for 10 min at 22°C. Each reaction is quenched with 5 µL of 30 mM EDTA. The bead mix containing AlphaScreen beads is preincubated for 1h with a rabbit monoclonal antibody selective for hydroxy-HIF1α (Pro564) and are added to the wells for a further 1 h at 22°C. The plates are then analyzed with an Envision plate reader. The IC50 values are calculated using nonlinear regression with normalized dose-response fit using Prism GraphPad (n≥3)[1].

## Solubility Information

Solubility	DMSO: 50 mg/mL (138.42 mM),Sonication is recommended. Ethanol: 100 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: 10 mg/mL (27.68 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	2.7684 mL	13.842 mL	27.684 mL
5 mM	0.5537 mL	2.7684 mL	5.5368 mL
10 mM	0.2768 mL	1.3842 mL	2.7684 mL
50 mM	0.0554 mL	0.2768 mL	0.5537 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

Evans KA, et al. J Med Chem. 2009 Dec 24;52(24): 7962-5.

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