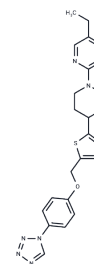


MBX-2982

Chemical Properties

CAS No. : 1037792-44-1
 Formula: C₂₂H₂₄N₈O₅
 Molecular Weight: 448.54
 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

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| Description | MBX-2982 is a selective, orally available GPR119 agonist used in the treatment of diabetes. |
| Targets(IC50) | GPCR |
| In vitro | In cells that underwent chronic incubation and subsequent washout with MBX-2982 (1 μM), a significant rise in cAMP levels was observed, as measured by IBMX inclusion, when compared to control cells, indicating enhanced accumulation despite thorough washing to eliminate any excess agonist (P<0.01; ANOVA; n=3-6). Similarly, AR-231,453 elicited prolonged responses within a comparable concentration range to acute exposure, showing a modest increase in potency (1.82-fold difference), with pEC50 values of 8.67±0.11 for sustained exposure and 8.93±0.17 for acute. Furthermore, MBX-2982 displayed a substantial yet smaller alteration in concentration response curves during sustained versus acute exposure (57.54-fold difference), with pEC50 values of 7.03±0.13 for sustained and 8.79±0.12 for acute treatment[1]. |
| In vivo | To determine the physiological relevance of findings in GLUTag and primary intestinal cells, C57BL/6 mice were administered 10 mg/kg of the GPR119 agonist MBX-2982, without co-administration of a DPP-IV inhibitor, to assess the direct effects of GPR119 activation. However, a DPP-IV inhibitor was utilized to maintain active GLP-1 levels in blood samples. Results showed elevated plasma GLP-1 levels in mice treated with MBX-2982, even in the absence of a glucose load, demonstrating that GPR119-induced GLP-1 secretion does not require glucose (2). |
| Kinase Assay | HEK-GPR119 cells are transfected with GloSensor 22F plasmid and used for dynamic cAMP measurements 24-30 h later. Cell suspensions are made by dislodging the cells using PBS wash and Accutase treatment followed by resuspension in culture media. Cells are then washed twice by pelleting through centrifugation (300 g, 5 min) and resuspension in assay buffer (Hank's Balanced Salt Solution supplemented with 20 mM HEPES and 0.01% fatty acid free BSA, pH 7.4). Cells are then counted and diluted to 600,000 cells/mL in buffer, before GloSensor cAMP reagent is added (2% v/v) and equilibrated with the cells for 2 h at 20°C with periodic mixing. 50 μl/well of cells are added to white-bottomed 384 well plates (30,000 cells/well) in triplicate and baseline luminescence is measuring using an Envision plate-reader. 5 μL of MBX-2982 (serially diluted in DMSO and then diluted 1:100 in assay buffer to obtain ×10 concentrated solution) is manually added to the assay wells to achieve the stated final concentration. |

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| Kinase Assay | Plates are incubated at 20°C with luminescence read at regular intervals to detect dynamic cAMP changes over time within the same wells. cAMP responses at each time-point are expressed as fold over control (vehicle-treated cells)[1]. |
| Cell Research | MBX-2982 is serially diluted in DMSO and then diluted 1:100 in assay buffer to obtain ×10 concentrated solution[s][1]. HEK-GPR119 cells are grown to confluency in flasks, and cell suspensions are made by dislodging cells using PBS wash and accutase treatment followed by resuspension in culture media. Cells are then washed twice by pelleting through centrifugation (227 g, 7 min, 20°C) and resuspension in warm assay buffer (Hank's Balanced Salt Solution supplemented with 20 mM HEPES and 0.01% fatty acid free BSA, pH 7.4), with a 5 min incubation at 37°C after the second wash. Cells are then counted and diluted to 200,000 cells/mL in warm assay buffer[1]. |

Solubility Information

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| Solubility | DMSO: 50 mg/mL (111.47 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Corn Oil: 2.5 mg/mL (5.57 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 | 2.2295 mL | 11.1473 mL | 22.2946 mL |
| 5 mM | 0.4459 mL | 2.2295 mL | 4.4589 mL |
| 10 mM | 0.2229 mL | 1.1147 mL | 2.2295 mL |
| 50 mM | 0.0446 mL | 0.2229 mL | 0.4459 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

Hothersall JD, et al. Sustained wash-resistant receptor activation responses of GPR119 agonists. *Eur J Pharmacol.* 2015 Sep 5;762:430-42.

Lan H, et al. Agonists at GPR119 mediate secretion of GLP-1 from mouse enteroendocrine cells through glucose-independent pathways. *Br J Pharmacol.* 2012 Apr;165(8):2799-807.

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