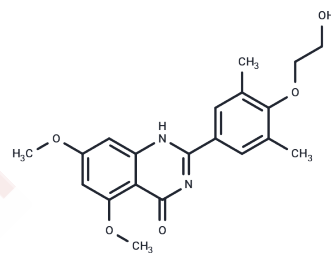


Apabetalone

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 1044870-39-4 |
| Formula: | C ₂₀ H ₂₂ N ₂ O ₅ |
| Molecular Weight: | 370.4 |
| 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 | Apabetalone (RVX000222), an effective BET bromodomain inhibitor, has been investigated for the treatment of diabetes, atherosclerosis, and coronary artery disease. |
| Targets(IC50) | Epigenetic Reader Domain, HIV Protease |
| In vitro | In AGMs, RVX-208 significantly increases serum apoA-I and HDL-C levels and enhances cholesterol efflux through various pathways. |
| In vivo | In vitro studies have demonstrated that RVX-208 activates the expression of the apolipoprotein AI gene, leading to an increase in apoA-I and HDL-C levels. Additionally, RVX-208 inhibits BET bromodomain proteins, exhibiting a preferential binding to the second bromodomain of BET proteins. |
| Cell Research | RVX-208 is prepared in DMSO and stored, and then diluted with appropriate medium before use[2]. Huh7 cells are plated at 23,000/well in a 96 well plate in DMEM+10% FBS before allowing to grow overnight. Cells are treated with compounds for 48 h in 0.1% DMSO with or without 5 µM Actinomycin D. U937 cells are differentiated for 3 days in 60 ng/mL PMA, 32,000 cells/well in 96-well format. Cells are then treated with compound in 0.1% DMSO in RPMI media+10% FBS, and after 1 h, lipopolysaccharide is added to the cells at 1 µg/mL for 3 hours[2]. |

Solubility Information

| | |
|---------------------|--|
| Solubility | Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 27.32 mg/mL (73.76 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Saline: 2.73 mg/mL (7.37 mM), Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.4 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 | 2.6998 mL | 13.4989 mL | 26.9978 mL |
| 5 mM | 0.540 mL | 2.6998 mL | 5.3996 mL |
| 10 mM | 0.270 mL | 1.3499 mL | 2.6998 mL |
| 50 mM | 0.054 mL | 0.270 mL | 0.540 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

- Picaud S, et al. Proc Natl Acad Sci U S A. 2013, 110(49), 19754-19759.
McNeill E. Curr Opin Investig Drugs. 2010, 11(3), 357-364.
Bailey D, et al. J Am Coll Cardiol. 2010, 55(23), 2580-2589.

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