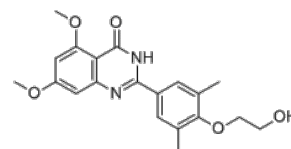


Product Name : RVX-208
Cat. No. : PC-49079
CAS No. : 1044870-39-4
Molecular Formula : C₂₀H₂₂N₂O₅
Molecular Weight : 370.405
Target : Bromodomain
Solubility : 10 mM in DMSO



Biological Activity

Apabetalone (RVX-208) is a potent, selective inhibitor of second BET bromodomains (BD2) with IC₅₀ of 0.51 μ M, 170-fold selectivity over BD1 (IC₅₀=87 μ M).

Apabetalone (RVX-208) exhibits a K_D of 4.06 \pm 0.16 μ M for BD1 and more than 20-fold stronger binding to BD2 (0.194 \pm 0.013 μ M) in the case of BRD3.

Apabetalone (RVX-208) displaces BET proteins from chromatin.

Apabetalone (RVX-208) increases the production of ApoA-I in hepatocytes in vitro, and in vivo in monkeys and humans, which results in increased HDL-C through an epigenetic mechanism.

Apabetalone (RVX-208) downregulates expression of cell surface receptors involved in SARS-CoV-2 entry, including angiotensin-converting enzyme 2 (ACE2) and dipeptidyl-peptidase 4 (DPP4 or CD26) in SARS-CoV-2 permissive cells, inhibits SARS-CoV-2 infection in vitro.

References

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Caution: Product has not been fully validated for medical applications. Lab Use Only!

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