

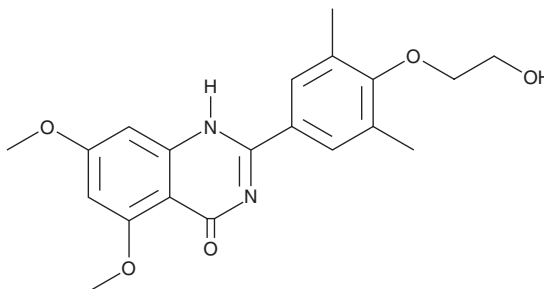
PRODUCT INFORMATION



RVX-208

Item No. 16424

CAS Registry No.: 1044870-39-4
Formal Name: 2-[4-(2-hydroxyethoxy)-3,5-dimethylphenyl]-5,7-dimethoxy-4(3H)-quinazolinone
MF: C₂₀H₂₂N₂O₅
FW: 370.4
Purity: ≥98%
UV/Vis.: λ_{max}: 261, 309 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

RVX-208 is supplied as a crystalline solid. A stock solution may be made by dissolving the RVX-208 in the solvent of choice, which should be purged with an inert gas. RVX-208 is soluble in the organic solvents ethanol and DMSO. The solubility of RVX-208 in these solvents is approximately 1 mg/ml in ethanol and 50 mg/ml in DMSO.

Description

RVX-208 is a selective antagonist of BET bromodomains, binding with 10-100-fold higher affinity for BD2 (IC₅₀ = 0.04-0.28 μM) over BD1 (IC₅₀ = 1.8-3.1 μM).^{1,2} RVX-208 causes the selective release of BET proteins from chromatin in cells.^{1,2} It interferes with the BET protein BRD4, resulting in an increased expression of apolipoprotein (Apo) A₁ in cells, mice, monkeys, and humans.^{3,4} RVX-208 also reduces atherosclerosis in hyperlipidemic ApoE-deficient mice.⁴

References

1. McLure, K.G., Gesner, E.M., Tsujikawa, L., *et al.* RVX-208, an inducer of ApoA-I in humans, is a BET bromodomain antagonist. *PLoS One* **8(12)**, 1-12 (2013).
2. Picaud, S., Wells, A., Felletar, I., *et al.* RVX-208, an inhibitor of BET transcriptional regulators with selectivity for the second bromodomain. *Proc. Natl. Acad. Sci. U.S.A.* **110(49)**, 19754-19759 (2013).
3. Bailey, D., Jahagirdar, R., Gordon, A., *et al.* RVX-208: A small molecule that increases apolipoprotein A-I and high-density lipoprotein cholesterol in vitro and in vivo. *J. Am. Coll. Cardiol.* **55(23)**, 2580-2589 (2010).
4. Jahagirdar, R., Zhang, H., Azhar, S., *et al.* A novel BET bromodomain inhibitor, RVX-208, shows reduction of atherosclerosis in hyperlipidemic ApoE deficient mice. *Atherosclerosis* **236(1)**, 91-100 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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