Revision 1

Store at -20C

#76889

RVX-208

10 mg

Cell Signaling TECHNOLOGY®

Orders: 877-616-CELL (2355) orders@cellsignal.com

Support: 877-678-TECH (8324)

Web: info@cellsignal.com cellsignal.com

3 Trask Lane | Danvers | Massachusetts | 01923 | USA

For Research Use Only. Not for Use in Diagnostic Procedures.

Background

RVX-208 is a potent and selective inhibitor of bromodomain and extra terminal (BET) proteins, with a much stronger affinity for BD2 (IC_{50} = 0.510 µM) over BD1 (IC_{50} = 87 µM) (1,2). BET proteins interact with acetylated lysine-containing sequences to transcriptionally regulate several cellular processes. BRD4, a BET protein that mediates induction of Apolipoprotein A-I (ApoA-I) mRNA, can be disrupted at the binding site by RVX-208. This leads to altered transcription, resulting in increased ApoA-I production and high-density lipoprotein cholesterol (HDL-C) levels, both of which are promising in the treatment of atherosclerosis and vascular inflammation (2-4). Recently, BRD4 inhibition has shown anti-viral activity and increased host resistance to several DNA and RNA viruses *in vitro* and *in vivo*, making BRD4 disruptors important compounds to study in relation to viral diseases (5).

Molecular Formula

$C_{20}H_{22}N_2O_5$

Molecular Weight

370.4 g/mol

Purity

>98%

CAS

1044870-39-4

Solubility

Soluble in DMSO at 75 mg/ml or ethanol at 4 mg/ml.

Storage

Store lyophilized at -20°C, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, store at -20°C and use within 1 month to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

RVX-208 is supplied as a lyophilized powder. For a 20 mM stock, reconstitute 10 mg of powder in 1.35 ml of DMSO. Working concentrations and length of treatment can vary depending on the desired effect.

Background References

1. Picaud, S. et al. (2013) *Proc Natl Acad Sci U S A* 110, 19754-9.
2. McLure, K.G. et al. (2013) *PLoS One* 8, e83190.
3. Bailey, D. et al. (2010) *J Am Coll Cardiol* 55, 2580-9.
4. Tsujikawa, L.M. et al. (2019) *Clin Epigenetics* 11, 102.
5. Wang, J. et al. (2020) *PLoS Pathog* 16, e1008429.

Trademarks and Patents

Limited Uses

not alter or remove from the Products any trademarks, trade names, logos, patent or copyright notices or markings, (d) use the Products solely in accordance with CST Product Terms of Sale and any applicable documentation, and (e) comply with any license, terms of service or similar agreement with respect to any third party products or services used by Customer in connection with the Products.