Revision 1

#76889 Store at -20C

# RVX-208

10 mg

Cell Signaling TECHNOLOGY®

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## 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 -20ºC, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, store at -20ºC 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.

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## Cross-Reactivity Key

**H:** human **M:** mouse **R:** rat **Hm:** hamster **Mk:** monkey **Vir:** virus **Mi:** mink **C:** chicken **Dm:** D. melanogaster **X:** Xenopus **Z:** zebrafish **B:** bovine **Dg:** dog **Pg:** pig **Sc:** S. cerevisiae **Ce:** C. elegans **Hr:** horse **GP:** Guinea Pig **Rab:** rabbit **All:** all species expected

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