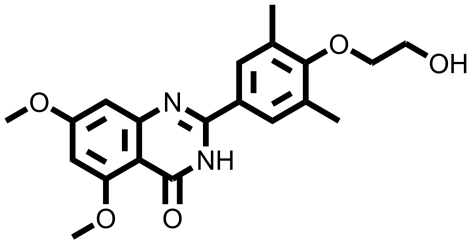
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

BET Bromodomain Inhibitor – RVX-208

Chemical Name: 2-(4-(2-hydroxyethoxy)-3,5-dimethylphenyl)-5,7-dimethoxyquinazolin-4(3H)-one



Molecular Weight:	370.40
Formula:	$C_{20}H_{22}N_2O_5$
Purity:	≥98%
CAS#:	1044870-39-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

RVX-208 is a potent and selective BET bromodomain inhibitor with an IC_{50} of 0.51 μM for the second bromodomain (BD2), which is about 170-fold selectivity over BD1. RVX 208 binds to the acetyl-lysine binding pocket in a peptide-competitive manner, increases apoA-I and HDL-C in vitro and in vivo. In AGMs, RVX-208 raises serum pre-beta(1)-LpA-I and alpha-LpA-I levels and enhances cholesterol efflux. RVX-208 is the first-in-class BD2-selective inhibitor of BET bromodomain tested in Phase II clinical trials for treatment of coronary syndromes and atherosclerosis.

How to Use:

In vitro: RVX-208 was used at 10 μM final concentration in various in vitro assays.

In vivo: RVX-208 was dosed to naïve adult male African green monkeys (AGMs) by oral gavage or intravenous administration at 60 mg/kg once per day.

Reference:

1. Bailey D, et al. RVX-208: a small molecule that increases apolipoprotein A-I and high-density lipoprotein cholesterol in vitro and in vivo. (2010) J Am Coll Cardiol. 55(23):2580-9.
2. Picaud S, et al. RVX-208, an inhibitor of BET transcriptional regulators with selectivity for the second bromodomain. (2013) Proc Natl Acad Sci USA. 110(49):19754-9.
3. McLure KG, et al. RVX-208, an inducer of ApoA-I in humans, is a BET bromodomain antagonist. (2013) PLoS One. 8(12):e83190.