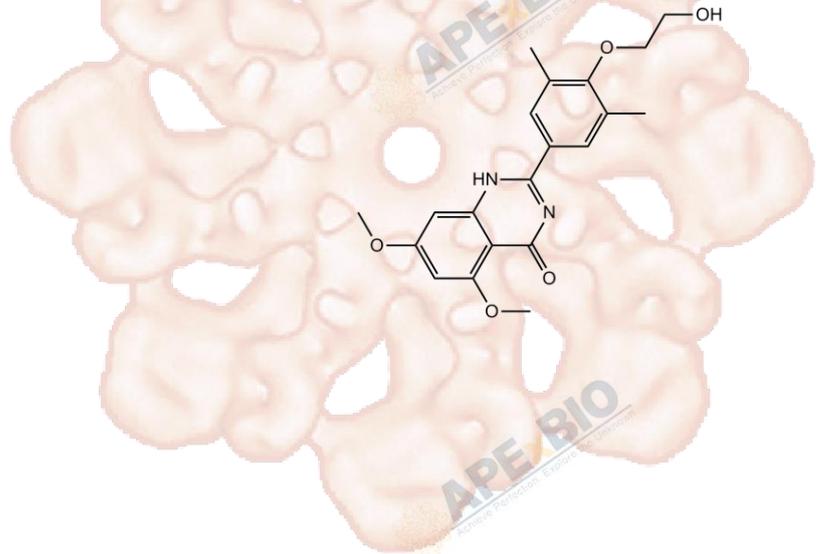


# Product Data Sheet

## RVX-208

<b>Cat. No.:</b>	B1499
<b>CAS No.:</b>	1044870-39-4
<b>Formula:</b>	C <sub>20</sub> H <sub>22</sub> N <sub>2</sub> O <sub>5</sub>
<b>M.Wt:</b>	370.4
<b>Synonyms:</b>	
<b>Target:</b>	Chromatin/Epigenetics
<b>Pathway:</b>	Bromodomain
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

insoluble in H<sub>2</sub>O;  $\geq 18.52$  mg/mL in DMSO;  $\geq 2.48$  mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.6998 mL	13.4989 mL	26.9978 mL
	<b>5 mM</b>	0.5400 mL	2.6998 mL	5.3996 mL
	<b>10 mM</b>	0.2700 mL	1.3499 mL	2.6998 mL

Please refer to the solubility information to select the appropriate solvent.

### Biological Activity

Shortsummary

Potent BET bromodomain inhibitor

IC<sub>50</sub> & Target

0.51  $\mu$ M (BD2)

In Vitro

#### Cell Viability Assay

Cell Line:	Human liver carcinoma HepG2 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	5 $\mu$ mol/L for 4 h; or 0 to 60 $\mu$ mol/l for 12, 24, and 48 h

	Applications:	HepG2 cells treated with RVX-208 (5 $\mu$ M) for 4 h only modestly affected BET-dependent gene transcription. Moreover, RVX-208 increased apolipoprotein (apo)A-I and high-density lipoprotein cholesterol (HDL-C) levels in HepG2 cells.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Na <sup>?</sup> ve adult male African Green monkeys (AGMs) model
	Dosage form:	60 mg/kg, oral gavage, once daily for 63 days
	Applications:	RVX-208 induced elevation of serum apoA-I and HDL-C levels (60% and 97%, respectively) and enhanced cholesterol efflux in vivo.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Product Citations

1. Zhao L, Li J, et al. "Photoreceptor protection via blockade of BETepigenetic readers in a murine model of inherited retinal degeneration." JNeuroinflammation. 2017 Jan 19;14(1):14.PMID:28103888
2. Alonso, Victoria Lucia, et al. "Overexpression of bromodomain factor 3 in Trypanosoma cruzi (TcBDF3) affects differentiation of the parasite and protects it against bromodomain inhibitors." FEBS Journal (2016).PMID:27007774

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## References

1. Picaud, S., Wells, C., Felletar, I., Brotherton, D., Martin, S., Savitsky, P., Diez-Dacal, B., Philpott, M., Bountra, C., Lingard, H., Fedorov, O., Muller, S., Brennan, P. E., Knapp, S. and Filippakopoulos, P. (2013) RVX-208, an inhibitor of BET transcriptional regulators with selectivity for the second bromodomain. Proc Natl Acad Sci U S A. 110, 19754-19759
2. Bailey, D., Jahagirdar, R., Gordon, A., Hafiane, A., Campbell, S., Chatur, S., Wagner, G. S., Hansen, H. C., Chiacchia, F. S., Johansson, J., Krimbou, L., Wong, N. C. and Genest, J. (2010) 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, 2580-2589

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX<sup>®</sup>BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



**APExBIO Technology**

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