Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Apabetalone

Catalog No: tcsc3239

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1044870-39-4

Formula:
$C_{20}H_{22}N_2O_5$

Pathway:
Epigenetics

Target:
Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (89.09 mM)

Alternative Names:
RVX-208;RVX000222

Observed Molecular Weight:
370.4

Product Description

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Apabetalone (RVX-208) is an inhibitor of **BET** transcriptional regulators with selectivity for the second bromodomain. The **IC_{50}**s are 87±10 μM and 0.51±0.041 μM for **BD1** and **BD2**, respectively.

IC50 & Target: IC50: 510±41 nM (BD2), 87±10 μM (BD1)[1]

In Vitro: Apabetalone (RVX-208) competes with binding of an acetylated histone peptide to tandem BD1 BD2 protein constructs of the four BET proteins, with IC_{50}s between 0.5 and 1.8 μM. Apabetalone increases the production of ApoA-I in hepatocytes in vitro, which results in increased high density lipoprotein cholesterol (HDL-C). Apabetalone selectively binds to bromodomains of the BET (Bromodomain and Extra Terminal) family, competing for a site bound by the endogenous ligand, acetylated lysine, and that this accounts for its pharmacological activity. Apabetalone increases Apolipoprotein A-I (ApoA-I) production through an epigenetic mechanism and suggests that BET inhibition may be a promising new approach to the treatment of atherosclerosis. Apabetalone increases ApoA-I expression in liver cells[2].

In Vivo: In the atherosclerosis prophylactic treatment study design, mice are fed a Western diet concurrent with the treatment with 150 mg/kg/dose b.i.d. for 12 weeks. Mice are sacrificed at 12 weeks after treatment. There is a progressive increase in body weight in both the vehicle treated as well as the Apabetalone (RVX-208) treated groups. However, there is only an increase of 4 g (from 24 g to 28 g) body weight after 12 weeks on Western diet in the Apabetalone treated group whereas this increase is found to be 9 g (25 g-34 g) in the vehicle treated group. The significant decrease in body weight gain in Apabetalone treated mice is not due to decreased feed consumption, suggesting a positive attribute of the molecule. Plasma lipid measurements are done at 6 weeks and 12 weeks of treatment with either the vehicle or Apabetalone. Compared to the vehicle control animals, Apabetalone treated mice show significant increase (~200%) in the levels of HDL-C at 6 weeks of treatment, which is sustained until end of the study (12 weeks)[3].