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

Crisaborole

Catalog No: tcsc1057

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
906673-24-3

Formula:
$C_{14}H_{10}BNO_3$

Pathway:
Metabolic Enzyme/Protease

Target:
Phosphodiesterase (PDE)

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 32 mg/mL (127.46 mM)

Alternative Names:
AN-2728; PF-06930164

Observed Molecular Weight:
251.05

Product Description

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Crisaborole (AN-2728) is a potent inhibitor of **PDE4** and **cytokine** release; inhibit PDE4 with an **IC_{50}** of 0.49 μM.

IC50 & Target: IC50: 0.49 μM (PDE4)[1]

In Vitro: Crisaborole (AN-2728) inhibits PDE4, TNF-α, IL-2, IFN-γ, IL-5 and IL-10 with IC_{50} values of 0.49, 0.54, 0.61, 0.83, 2.4 and 5.3 μM. Crisaborole (AN-2728) shows the most potent activity against PDE4 catalytic domain, but it also shows inhibition against PDE1A3, PDE3Cat, and PDE7A1. Crisaborole (AN-2728) inhibits PDE isozymes PDE1A3, PDE3Cat , PDE4Cat and PDE7A1 with IC_{50} values of 6.1, 6.4, 0.11 and 0.73 μM[1]. Crystallography reveals that interaction of benzoxaboroles with the hydrophobic pocket in the PDE4 catalytic domain increase their affinity for PDE4. These benzoxaboroles strongly suppresses the secretion of cytokines associated with Ps and AD[2]. Crisaborole (AN-2728) is a topically administered, boron-containing, anti-inflammatory compound that inhibits PDE4 activity and thereby suppresses the release of TNFalpha, IL-12, IL-23 and other cytokines[3].

In Vivo: Crisaborole (AN-2728) shows significant inhibition against the ear edema caused by phorbol ester after dosing at 1 mg/ear×2 (78% and 68%, respectively). The efficacy is comparable to that of dexamethasone, suggesting that Crisaborole (AN-2728) has good anti-inflammatory activity as well as skin penetration[1]. Crisaborole (AN-2728) is reported to be well tolerated and to demonstrate significant effects on markers of efficacy, with results that are comparable to positive controls in clinical trials[3].