Product Data Sheet

Product Name: AN-2728
Cat. No.: GC11472

Chemical Properties

Cas. No.	906673-24-3		
Chemical Name	4-((1-hydroxy-1,3-dihydrobenzo[c][1,2]oxaborol-5-yl)oxy)benzonitrile		
SMILES	N#CC1=CC=C(OC2=CC=C3C(COB3O)=C2)C=C1		
Formula	$C_{14}H_{10}BNO_3$	M.Wt	251.05
Solubility	≥ 12.55 mg/mL in DMSO, ≥ 94.4 mg/mL in EtOH	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AN-2728		

Protocol

Cell experiment [1]:

Cell lines	Peripheral blood mononuclear cells (PBMCs)
Preparation method	The solubility of this compound in DMSO is >12.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.

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Reacting condition	10 μM
Applications	AN-2728 showed a broad range of potent cytokine release inhibition, such as TNF-α, IL-23, IL-12 and other cytokines.

Animal experiment [2]:

Animal models	Phorbol ester-induced mouse ear edema model
Dosage form	1 mg/ear×2
Application	AN-2728 demonstrated in vivo efficacy in phorbol ester-induced mouse ear edema model by topical application. AN-2728 showed significant inhibition against the ear edema.
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.

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References:

[1]. Akama T, Baker S J, Zhang Y K, et al. Discovery and structure–activity study of a novel benzoxaborole anti-inflammatory agent (AN2728) for the potential topical treatment of psoriasis and atopic dermatitis[J]. Bioorganic & medicinal chemistry letters, 2009, 19(8): 2129-2132.

Background

AN-2728 is an inhibitor of PDE4 with IC50 value of 0.49 μM [1]. PDE4 is a phosphodiesterase and plays an important role in the chronic inflammation associated with psoriasis and atopic dermatitis [2].
AN-2728 is a boron-containing, anti-inflammatory, topically administered compound that inhibits PDE4 activity and suppresses the release of TNF-α, IL-23, IL-12 and other cytokines [2]. In cell based assays, AN-2728 inhibited cytokine release of TNF-α, IL-2, IFN-γ, IL-5 and IL-10 with IC50 values of 0.54, 0.61, 0.83, 2.4 and 5.3 μM, respectively [1].
AN-2728 was well tolerated and had good therapeutic potential. AN-2728 is now in clinical development for the treatment of psoriasis and atopic dermatitis [2].

References:
[1]. Akama T, Baker SJ, Zhang YK, et al. Discovery and structure-activity study of a novel benzoxaborole anti-inflammatory agent (AN2728) for the potential topical treatment of psoriasis and atopic dermatitis. Bioorg Med Chem Lett, 2009, 19(8): 2129-2132.
[2]. Nazarian R, Weinberg JM. AN-2728, a PDE4 inhibitor for the potential topical treatment of psoriasis and atopic dermatitis. Curr Opin Investig Drugs, 2009, 10(11): 1236-1242.

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