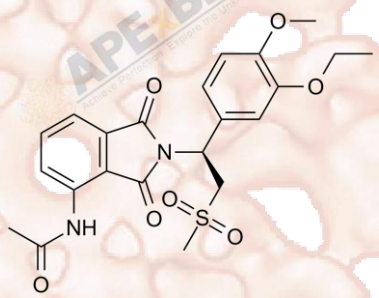
Product Data Sheet

Apremilast (CC-10004)

Cat. No.: A4317
CAS No.: 608141-41-9
Formula: C22H24N2O7S
M.Wt: 460.5
Synonyms:
Target: Metabolism
Pathway: PDE
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥23.05 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.1716 mL	10.8578 mL	21.7155 mL
5 mM	0.4343 mL	2.1716 mL	4.3431 mL
10 mM	0.2172 mL	1.0858 mL	2.1716 mL

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

Biological Activity

Shortsummary	PDE4 inhibitor
IC_{50} & Target	74 nM (PDE4)

In Vitro

Cell Viability Assay

Cell Line:	U937 human monocytic 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:	18h; IC50=74 nM

	Applications:	Apremilast was initially screened for PDE4 inhibition using a partially purified enzyme preparation from U937 human monocytic cells and which has been shown previously to contain predominantly PDE4B and PDE4D activities. Apremilast was also found to exhibit an IC50 of around 74 nM using 1 mM cAMP as substrate.
In Vivo	**Animal experiment**	
	Animal models:	SCID mice
	Dosage form:	5 mg·kg-1·day-1 ; oral taken
	Applications:	The pharmacological activity of apremilast (5 mg·kg-1·day-1 total divided into 2 daily doses) was tested in comparison with cyclosporine (5 mg·kg-1·day-1 total divided into 2 daily doses) and vehicle control (0.1 mL·day-1 divided into twice daily doses) in a mouse xenograft model of psoriasis. Epidermal thickness and proliferation index correlated with histological findings and demonstrated significant differences between treatment groups. Notably, apremilast caused statistically significant reductions in epidermal thickness ($P < 0.001$) and proliferation index ($P < 0.001$).
	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

See more customer validations on www.apexbt.com.

References

[1] Schafer P H, Parton A, Gandhi A K, et al. Apremilast, a cAMP phosphodiesterase‐4 inhibitor, demonstrates anti‐inflammatory activity in vitro and in a model of psoriasis[J]. British journal of pharmacology, 2010, 159(4): 842-855.

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 APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm 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
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com