Dapivirine (TMC120)

Catalog No.C08962 Batch:C0896203

Product Datasheet

Technical Data

Formula	$C_{20}H_{19}N_5$					
Molecular Weight	329.4	**CAS No.**	244767-67-7			
Solubility (25°C)*	In vitro	DMSO	34 mg/mL (103.21 mM)			
		Water	Insoluble			
		Ethanol	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.
		Injection	Clear solution	5%DMSO [1]→ 40%PEG300 [2]→ 5%Tween80 [3]→ 50%ddH2O Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	1.700mg/ml (5.16mM)	Taking the 1 mL working solution as an example, add 50 μL of 34 mg/ml clarified DMSO stock solution to 400 μL of PEG300, mix evenly to clarify it; add 50 μL of Tween80 to the above system, mix evenly to clarify; then continue to add 500 μL of ddH2O to adjust the volume to 1 mL. The mixed solution should be used immediately for optimal results.
			Clear solution	5% DMSO [1]→ 95% Corn oil Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	0.240mg/ml (0.73mM)	Taking the 1 mL working solution as an example, add 50 μL of 4.8 mg/ml clear DMSO stock solution to 950 μL of corn oil and mix evenly. The mixed solution should be used immediately for optimal results.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume \ Mass	1 mg	5 mg	10 mg
1 mM	3.0358 mL	15.1791 mL	30.3582 mL
5 mM	0.6072 mL	3.0358 mL	6.0716 mL
10 mM	0.3036 mL	1.5179 mL	3.0358 mL
50 mM	0.0607 mL	0.3036 mL	0.6072 mL

Biological Activity

Description	Dapivirine (TMC120) is a non-nucleoside inhibitor for **HIV reverse transcriptase** with **IC50** of 24 nM. It inhibits a broad panel of HIV-1 isolates from different classes, inclucing a wide range of NNRTI-resistant isolates. Phase 3.
Targets	HIV reverse transcriptase [1] 24 nM
In vitro	Dapivirine (TMC120) prevents HIV-induced syncytium formation in the nanomolar range and shows a low cytostatic activity. It apparently blocks HIV-1 infection in the primary cultures at a 10 nM concentration, but secondary cultures reveals that a 100 nM concentration is needed to completely prevent proviral integration. [1] This compound is well tolerated by epithelial cells, T cells, macrophages, and cervical tissue explants with CC50 (50% cytotoxic concentration) of 10 μM to 20 μM. It potently inhibits infection by both X4- and R5-utilizing HIV-1 strains with IC50 of 1.46 nM in cell-based assays. Dapivirine potently inhibits HIV-1BaL infection of human ectocervical explant tissue in a dose-dependent manner, as evaluated by the reduction in both p24 release and provirus content in cultured explants. It inhibits the transmission of virus to permissive T cells in a dose-dependent manner, with an IC50 of 0.1 nM. This compound results in significant inhibition of HIV infection when explants are challenged with virus immediately with IC90 of 100 nM. It is also able to inhibit viral dissemination by migratory cells. [2]
In vivo	Dapivirine (TMC120)-containing gel at vaginal level inhibits cell-associated HIV infection in mice. [3] More placebo (7 of 12) than this compound (3 of 24) gel users has positive vaginal swab results, with white blood cells being the most common finding. It results in Cmax of 715 pg/mL, AUC of 15 ng×h/mL and T1/2 of 89.87 hours in plasma after 14 days post-dose. Mean concentrations of this compound (0.05%) in vaginal fluids collected at the introitus, mid vagina, and cervix are in the range of 62-265 μg/g on day 1. [4]

References

https://pubmed.ncbi.nlm.nih.gov/14693562/ https://pubmed.ncbi.nlm.nih.gov/19029331/ https://pubmed.ncbi.nlm.nih.gov/12853741/

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RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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