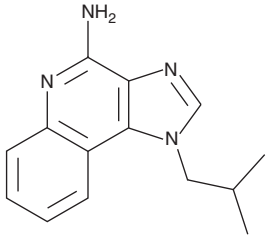
PRODUCT INFORMATION

Imiquimod

Item No. 14956

CAS Registry No.:	99011-02-6
Formal Name:	1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine
Synonyms:	R-837, S-26308, TMX 101
MF:	$C_{14}H_{16}N_4$
FW:	240.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 240, 246, 267, 326 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Imiquimod is supplied as a crystalline solid. A stock solution may be made by dissolving the imiquimod in the solvent of choice, which should be purged with an inert gas. Imiquimod is soluble in organic solvents such as DMSO and dimethyl formamides. The solubility of imiquimod in these solvents is approximately 1 mg/ml.

Description

Imiquimod is an imidazoquinoline agonist of toll-like receptor 7 (TLR7; EC_{50} = 2.12 µM).[1] It increases TNF-α and IL-12 p40 production in IFN-γ-treated murine peritoneal macrophages in a concentration- and MyD88-dependent manner.[2] Topical application of imiquimod (30 µl of 5% cream) increases TNF and IFN levels at the application site in hairless mice.[3] Imiquimod dose-dependently increases serum levels of IFN-α in mice when administered by gavage.[4] It reduces tumor growth in an MC-26 model of murine colon cancer when administered at a dose of 30 mg/kg every three days. Imiquimod (5 mg/kg, intravaginally, twice daily) reduces vaginal viral titer and lesion formation in a guinea pig model of genital HSV-2 infection.[5] Formulations containing imiquimod have been used in the treatment of actinic keratosis, superficial basal cell carcinoma, and external genital warts.

References

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2. Hemmi, H., Kaisho, T., Takeuchi, O., *et al.* Small anti-viral compounds activate immune cells *via* the TLR7 MyD88-dependent signaling pathway. *Nat. Immunol.* **3(2)**, 196-200 (2002).
3. Imbertson, L.M., Beaurline, J.M., Couture, A.M., *et al.* Cytokine induction in hairless mouse and rat skin after topical application of the immune response modifiers imiquimod and S-28463. *J. Invest. Dermatol.* **110(5)**, 734-739 (1998).
4. Sikdky, Y.A., Borden, E.C., Weeks, C.E., *et al.* Inhibition of murine tumor growth by an interferon-inducing imidazoquinolinamine. *Cancer Res.* **52(13)**, 3528-3533 (1992).
5. Harrison, C.J., Jenski, L.J., Voychehovski, T., *et al.* Modification of immunological responses and clinical disease during topical R-837 treatment of genital HSV-2 infection. *Antiviral Res.* **10(4-5)**, 209-223 (1988).

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