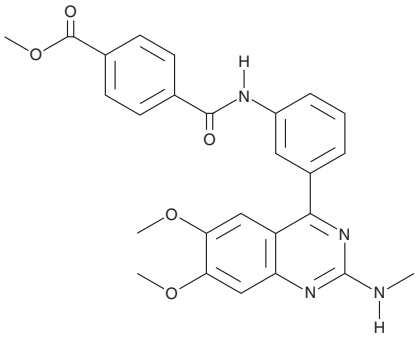
PRODUCT INFORMATION

E6005

Item No. 30203



CAS Registry No.:	947620-48-6
Formal Name:	4-[[[3-[6,7-dimethoxy-2-(methylamino)-4-quinazolinyl]phenyl]amino]carbonyl]-benzoic acid, methyl ester
Synonym:	RVT-501
MF:	$C_{26}H_{24}N_4O_5$
FW:	472.5
Purity:	≥98%
Supplied as:	A 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

E6005 is supplied as a solid. A stock solution may be made by dissolving the E6005 in the solvent of choice, which should be purged with an inert gas. E6005 is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml.

E6005 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, E6005 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. E6005 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

E6005 is an inhibitor of phosphodiesterase 4 (PDE4; IC_{50} = 2.8 nM).[1] It is selective for PDE4 over PDE1, PDE2, PDE3, and PDE5 with only 46.1, 51.6, 69.4, and 57.4% inhibition, respectively, at 30 µM. It inhibits the production of various cytokines in isolated human lymphocytes and monocytes (IC_{50}s = 0.49-3.1 nM). Topical application of E6005 (0.003-0.3%) reduces ear thickness in a mouse model of oxazolone-induced skin inflammation, as well as reduces oxazolone-induced scratching in mice. It reduces the severity of skin lesions in a model of mite-induced atopic dermatitis. Topical application of E6005 (0.03%) also inhibits the production of leukotriene B_4 (LTB_4; Item No. 20110) in mouse skin, as well as reduces scratching and cutaneous nerve firing induced by the proteinase-activated receptor 2 (PAR2) agonist SLIGRL-NH_2 (Item No. 16723) in mice.[2]

References

1. Ishii, N., Shirato, M., Wakita, H., *et al.* Antipruritic effect of the topical phosphodiesterase 4 inhibitor E6005 ameliorates skin lesions in a mouse atopic dermatitis mode. *J. Pharmacol. Exp. Ther.* **346(1)**, 105-112 (2013).
2. Andoh, T. and Kuraishi, Y. Antipruritic mechanisms of topical E6005, a phosphodiesterase 4 inhibitor: Inhibition of responses to proteinase-activated receptor 2 stimulation mediated by increase in intracellular cyclic AMP. *J. Dermatol. Sci.* **76(3)**, 206-213 (2014).

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