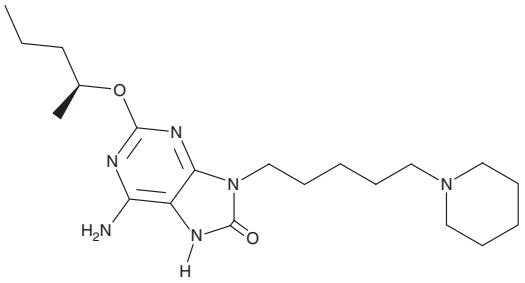
PRODUCT INFORMATION

GSK2245035

Item No. 33171

CAS Registry No.: 1207629-49-9
Formal Name: 6-amino-7,9-dihydro-2-[(1S)-1-methylbutoxy]-9-[5-(1-piperidinyl)pentyl]-8H-purin-8-one
MF: $C_{20}H_{34}N_6O_2$
FW: 390.5
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

GSK2245035 is supplied as a solid. A stock solution may be made by dissolving the GSK2245035 in the solvent of choice, which should be purged with an inert gas. GSK2245035 is soluble in the organic solvent DMSO. The solubility of GSK2245035 in DMSO is approximately 10 mM.

Description

GSK2245035 is a toll-like receptor 7 (TLR7) agonist.[1] It selectively induces the production of IFN-α over TNF-α in isolated human peripheral blood mononuclear cells (PBMCs; EC_{50}s = 0.63 and 316 nM, respectively), as well as over the production of TNF-α, IL-1β, IFN-γ, IL-10, and IL-12p70 in isolated human whole blood (EC_{50}s = 63-10,000 nM). GSK2245035 (0.1-1,000 nM) reduces timothy grass- or house dust mite-induced IL-10 and IL-5 release in isolated human PBMCs. Intranasal administration of GSK2245035 (0.03-1 mg/kg) increases serum IP-10 levels, a marker of IFN-α induction, in mice. It also increases serum levels of IP-10 in cynomolgus monkeys.

Reference

1. Biggadike, K., Ahmed, M., Ball, D.I., *et al.* Discovery of 6-amino-2-{[(1S)-1-methylbutyl]oxy}-9-[5-(1-piperidinyl)pentyl]-7,9-dihydro-8H-purin-8-one (GSK2245035), a highly potent and selective intranasal toll-like receptor 7 agonist for the treatment of asthma. *J. Med. Chem.* **59(5)**, 1711-1726 (2016).

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