PRODUCT INFORMATION

SR 1001

Item No. 10922

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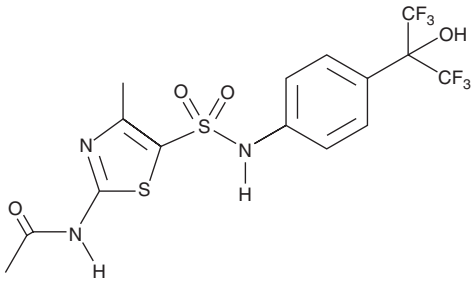
CAS Registry No.:	1335106-03-0
Formal Name:	N-(5-(N-(4-(1,1,1,3,3,3-hexafluoro-2-hydroxypropan-2-yl)phenyl)sulfamoyl)-4-methylthiazol-2-yl)acetamide
MF:	$C_{15}H_{13}F_6N_3O_4S_2$
FW:	477.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 235, 282 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

SR 1001 is supplied as a crystalline solid. A stock solution may be made by dissolving the SR 1001 in the solvent of choice, which should be purged with an inert gas. SR 1001 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SR 1001 in ethanol is approximately 30 mg/ml and approximately 20 mg/ml in DMSO and DMF.

SR 1001 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SR 1001 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. SR 1001 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Retinoic-acid-receptor-related orphan receptors (ROR) α and γ play a key role in the development of T-helper cells that produce interleukin-17 (T_H17 cells), a subset of $CD4^+$ T-cells that contribute to the inflammatory process and have been implicated in the pathology of autoimmune diseases. SR 1001 is a synthetic ligand specific for RORα and RORγ (K_is = 172 and 111 nM, respectively) that functions as an inverse agonist at these receptors.[1] SR 1001 has been shown to suppress IL-17 promoter driven transcriptional activity by inhibiting the interaction of co-activators such as TRAP220 nuclear receptor box 2 peptide (IC_{50} = 117 nM) and SRC2 with RORα and RORγ as well as by increasing the recruitment of corepressors such as NCoR. At 5 µM, SR 1001 inhibits T_H17 cell differentiation and IL-17A secretion in cultured splenocytes and human PBMCs. A 25 mg/kg dose of SR 1001 twice/day delays the onset and the severity of experimental autoimmune encephalomyelitis, a mouse model of multiple sclerosis.[1]

Reference

1. Solt, L.A., Kumar, N., Nuhant, P., *et al.* Suppression of T_H17 differentiation and autoimmunity by a synthetic ROR ligand. *Nature* **472(7344)**, 491-494 (2011).

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