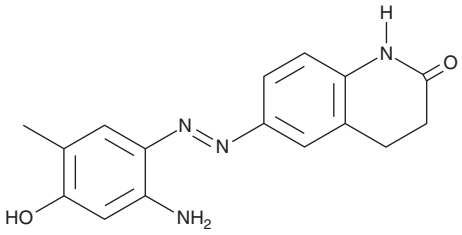
PRODUCT INFORMATION

ZL0420

Item No. 30741

CAS Registry No.:	2229039-45-4
Formal Name:	6-[2-(2-amino-4-hydroxy-5-methylphenyl)diazenyl]-3,4-dihydro-2(1H)-quinolinone
MF:	$C_{16}H_{16}N_4O_2$
FW:	296.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 269, 349, 448 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

ZL0420 is supplied as a crystalline solid. A stock solution may be made by dissolving the ZL0420 in the solvent of choice, which should be purged with an inert gas. ZL0420 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ZL0420 in these solvents is approximately 30 mg/ml.

ZL0420 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ZL0420 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ZL0420 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ZL0420 is an inhibitor of bromodomain 1 (BD1) and BD2 in bromodomain-containing protein 4 (BRD4; IC_{50}s = 27 and 32 nM, respectively).[1] It is selective for BD1 and BD2 in BRD4 over BD1 in BRD2, BRD3, and BRDT (IC_{50}s = 803, 2,275, and 3,183 nM, respectively) and BD2 (IC_{50}s = 1,736, 2,193, and 2,781 nM, respectively), as well as the bromodomain in CBP (IC_{50} = >10,000 nM). ZL0420 inhibits the expression of interferon-stimulated gene 54 (ISG54), ISG56, IL-8, and growth-regulated protein β (Groβ) induced by the toll-like receptor 3 (TLR3) agonist poly(I:C) by approximately 95% in human small airway epithelial cells. It also inhibits neutrophil infiltration around small- and medium-sized airways and reduces cytokine expression in lung tissue in a poly(I:C)-induced mouse model of acute airway inflammation when administered at a dose of 10 mg/kg.

Reference

1. Liu, Z., Tian, B., Chen, H., *et al*. Discovery of potent and selective BRD4 inhibitors capable of blocking TLR3-induced acute airway inflammation. *Eur. J. Med. Chem.* **151**, 450-461 (2018).

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