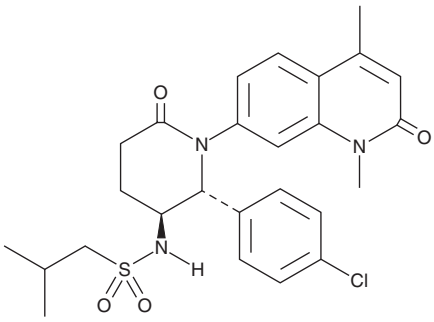
PRODUCT INFORMATION

LP99

Item No. 17661

CAS Registry No.:	1808951-93-0
Formal Name:	N-[(2R,3S)-2-(4-chlorophenyl)-1-(1,2-dihydro-1,4-dimethyl-2-oxo-7-quinolinyl)-6-oxo-3-piperidinyl]-2-methyl-1-propanesulfonamide
MF:	$C_{26}H_{30}ClN_3O_4S$
FW:	516.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 229, 262, 277, 282, 332 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

LP99 is supplied as a crystalline solid. A stock solution may be made by dissolving the LP99 in the solvent of choice. LP99 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of LP99 in these solvents is approximately 20 mg/ml.

LP99 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LP99 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. LP99 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LP99 is a potent inhibitor of the bromodomain containing proteins BRD7 and BRD9 that binds with K_d values of 99 and 909 nM, respectively, as determined by isothermal titration calorimetry.[1,2] It is selective for BRD7/9 over a panel of 48 BRDs at concentrations up to 10 µM determined using differential scanning fluorimetry. It inhibits BRD7 interactions with histones H3.3 and H4 with IC_{50} values of 3.7 and 3.3 µM, respectively, in a bioluminescence resonance energy transfer (BRET) assay in HEK293 cells. Similarly, it inhibits BRD9 from interacting with H3.3 and H4 with IC_{50} values of 5.1 and 6.2 µM, respectively. It also decreases the level of IL-6 secreted from LPS-stimulated THP-1 cells. See the Structural Genomics Consortium (SGC) website for more information.

References

1. Clark, P.G., Vieira, L.C., Tallant, C., *et al.* LP99: Discovery and synthesis of the first selective BRD7/9 bromodomain inhibitor. *Angew Chem. Int. Ed. Engl.* **54(21)**, 6217-6221 (2015).
2. Karim, R.M. and Schönbrunn, E. An advanced tool to interrogate BRD9. *J. Med. Chem.* **59(10)**, 4459-4461 (2016).

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