PRODUCT INFORMATION

dBET1

Item No. 18044

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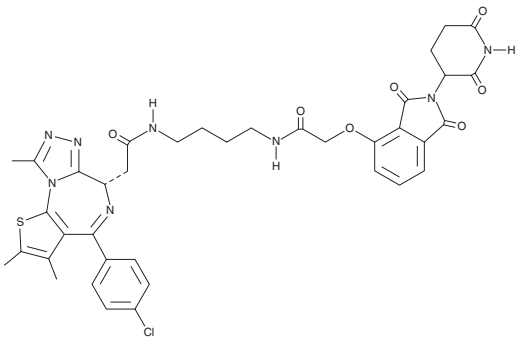
CAS Registry No.:	1799711-21-9
Formal Name:	(6S)-4-(4-chlorophenyl)-N-[4-[[2-[[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1H-isoindol-4-yl]oxy]acetyl]amino]butyl]-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetamide
MF:	$C_{38}H_{37}ClN_8O_7S$
FW:	785.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 254, 323 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

dBET1 is supplied as a crystalline solid. A stock solution may be made by dissolving the dBET1 in the solvent of choice. dBET1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of dBET1 in ethanol is approximately 5 mg/ml and approximately 25 mg/ml in DMSO and DMF.

dBET1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dBET1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. dBET1 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

dBET1 is a hybrid molecule that combines (+)-JQ1 (Item No. 11187) and thalidomide (Item No. 14610).[1] The JQ1 portion facilitates binding of dBET1 to the bromodomains of BET family transcriptional activators. The thalidomide moiety drives proteasomal degradation, as phthalimides bind cereblon to create a substrate recognition site for E3 protein ligase complex-mediated ubiquitination. dBET1 induces cereblon-dependent BET protein degradation *in vitro* (EC_{50} = 430 nM) and *in vivo* and delays leukemia progression in mice.

Reference

1. Winter, G. E., Bucklet, D. L., Paulk, J., *et al.* Phthalimide conjugation as a strategy for *in vivo* target protein degradation. *Sciencexpress* **1-9**, (2015).

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