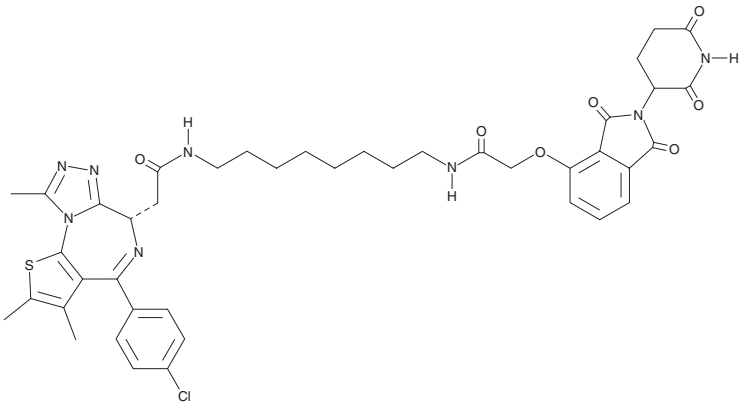
PRODUCT INFORMATION

dBET6

Item No. 35385

CAS Registry No.:	1950634-92-0
Formal Name:	(6S)-4-(4-chlorophenyl)-N-[8-[[2-[[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1H-isoindol-4-yl]oxy]acetyl]amino]octyl]-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetamide
MF:	$C_{42}H_{45}ClN_8O_7S$
FW:	841.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 219, 254 nm
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

dBET6 is supplied as a solid. A stock solution may be made by dissolving the dBET6 in the solvent of choice, which should be purged with an inert gas. dBET6 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of dBET6 in these solvents is approximately 5, 10, and 15 mg/ml, respectively.

Description

dBET6 is a hybrid compound that drives the selective proteasomal degradation of bromodomain-containing protein 4 (BRD4).[1] It is characterized as a proteolysis-targeting chimera (PROTAC) and contains JQ1, which binds bromo- and extra-terminal (BET) proteins, linked to thalidomide, a ligand for the E3 ubiquitin ligase cereblon.[2] dBET6 binds to BRD4 (IC_{50} = 14 nM) and induces its degradation when used at a concentration of 100 nM, leading to a global inhibition of transcription in MOLT-4 T cell acute lymphoblastic leukemia (T-ALL) cells.[1] It also reduces leukemic burden in a MOLT-4 T-ALL mouse xenograft model when administered at a dose of 7.5 mg/kg twice per day.

References

1. Winter, G.E., Mayer, A., Buckley, D.L., *et al.* BET bromodomain proteins function as master transcription elongation factors independent of CDK9 recruitment. *Mol. Cell* **67(1)**, 5-18 (2017).
2. Goracci, L., Desantis, J., Valeri, A., *et al.* Understanding the metabolism of proteolysis targeting chimeras (PROTACs): The next step toward pharmaceutical applications. *J. Med. Chem.* **63(20)**, 11615-11638 (2020).

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