

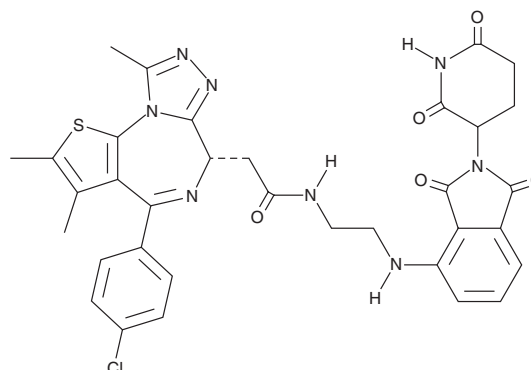
PRODUCT INFORMATION



dBET57

Item No. 36626

CAS Registry No.: 1883863-52-2
Formal Name: (6S)-4-(4-chlorophenyl)-N-[2-[[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1H-isoindol-4-yl]amino]ethyl]-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetamide
MF: C₃₄H₃₁ClN₈O₅S
FW: 699.2
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 260 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

dBET57 is supplied as a solid. A stock solution may be made by dissolving the dBET57 in the solvent of choice, which should be purged with an inert gas. dBET57 is soluble in DMSO.

Description

dBET57 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 domain (BET) proteins, linked to thalidomide, a ligand for the E3 ubiquitin ligase cereblon. dBET57 is selective for inhibiting the interaction between cereblon and bromodomain 1 of BRD4 (BRD4_{BD1}) over the interaction between cereblon and BRD4_{BD2} with apparent cooperativity factor α (α_{app}) values of 0.8 and less than 0.1, respectively, in an assay using purified bromodomains. It reduces BRD4_{BD1} protein levels *in vitro* with a half-maximal degradation (DC₅₀) value of approximately 500 nM after five hours but does not reduce levels of BRD4_{BD2}.

Reference

1. Nowak, R.P., DeAngelo, S.L., Buckley, D., *et al.* Plasticity in binding confers selectivity in ligand-induced protein degradation. *Nat. Chem. Biol.* **14**(7), 706-714 (2018).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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