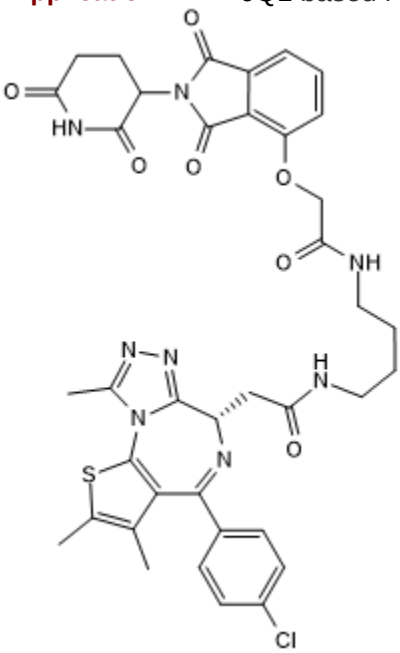
dBET1

Cat. # PC-1002

Background: dBET1 is a potent and selective BRD4 protein degrader. The molecule, dubbed “degronimid”, is harnessed by combining two specific high affinity protein ligands, (+)-JQ1 for BRD4 and Phthalimide for E3 ubiquitin ligase cereblon (CRBN), tethered by a linker. Fully exploiting the cells’ own protein-degrading machinery, the molecule drags the ubiquitin ligase complex into the tagged protein, leading to a fast, sustainable, CRBN-dependent and ligand-guided BRD4 degradation. It is so selective that only three proteins, BRD2, 3, 4, of >7000 within the cell, were affected. dBET1 demonstrated powerful anti-leukemia activities both in cell and in animal model, with few noticeable side effects.

Application: JQ1 based PROTAC that selectively degrades BRD4 in cells



Product Information

Purity:	>99.5%
MW:	799.7
Formula:	$C_{38}H_{37}ClN_8O_7S \cdot 0.8H_2O$
CAS No.	1799711-21-9
Physical State:	Lyophilized white powder
Quantity:	5mg; 10mg; 25 mg
Solubility:	40 mg/mL in DMSO
Storage:	Store desiccated as supplied at -20°C for up to 3 years. Store solutions at -80°C for up to 6 months or -20°C for up to 1 month.

References

1. **Winter** *et al* (2015) Drug Development. Phthalimide conjugation as a strategy for *in vivo* target protein degradation. Science ***348*** 1376 PMID: 25999370

2. **Wurz** *et al* (2017) A "click chemistry platform" for the rapid synthesis of bispecific molecules for inducing protein degradation. J.Med.Chem. 10.1021 PMID: 28378579