APP NOTE

Gator Bio for PROTAC Analysis

The Power of Next Generation BLI

Overview
PROTAC (proteolysis targeting chimera) is a burgeoning field in drug development. Briefly, PROTACs have action by inducing the proteolysis of selected target proteins. These molecules have two domains separated by a linker. One side can bind an E3 ubiquitin ligase while the other side is selective for the protein being targeting for degradation.

Gator Bio BLI and our recently launched SMAP biosensors (Cat #1600011) enable the direct measurement of all three components of a PROTAC complex.

BENEFITS

- **Ability to measure all three binding events**
- **Rapid assays performed in parallel**
- **Sensitive enough to measure direct PROTAC binding (down to 150 da)**

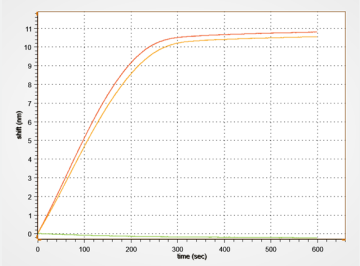
Measurement of PROTAC complex formation using Gator Bio BLI

Experimental Materials:
dBET (a PROTAC targeting BET bromodomains)

Biotinylated BRD4 (Bromodomain-containing protein 4)

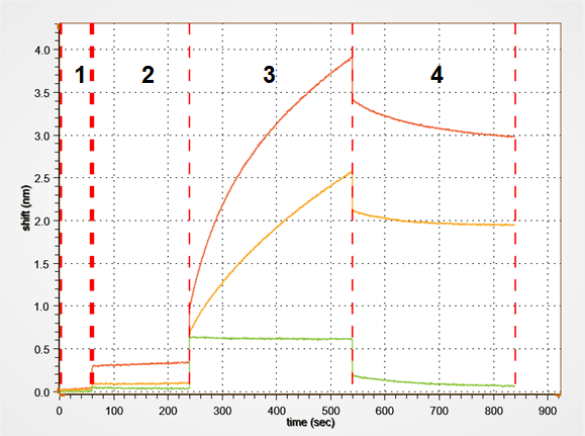
Cereblon (forms an E3 ubiquitin ligase complex)

A



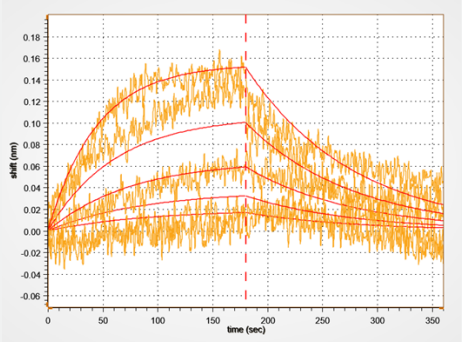
Loading of biotinylated BRD4 onto SMAP biosensors.

B



1. **Baseline (Q buffer)**
2. **Association of 5 uM dBET (or DMSO)**
3. **Binding of Cereblon (10 ug/uL)**
4. **Dissociation of Cereblon**

Direct binding of increasing concentrations of dBET to BRD4 directly.
Calculated kinetics values are shown in the table.



	dBET
kon (1/Ms)	2.42 E5
koff (1/s)	1.02 E-2
KD (M)	4.20 E-8
Req (nm)	0.154

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