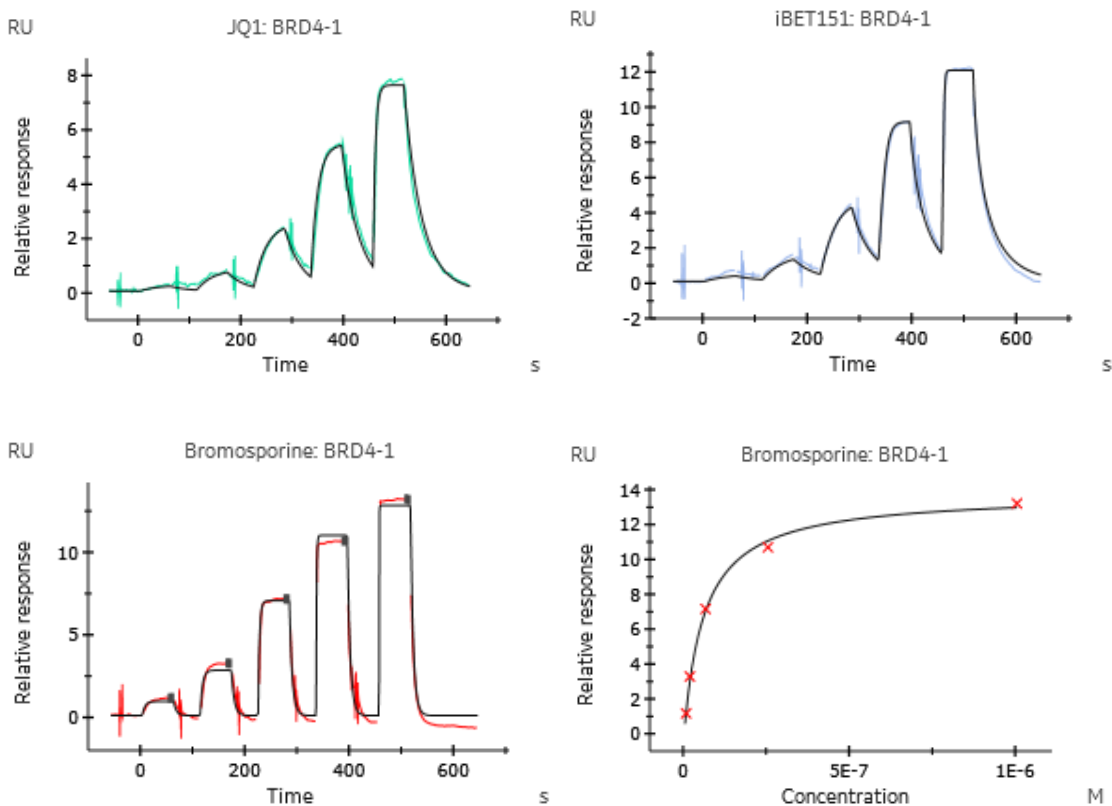


## SPR study of BRD4-1

(Bromodomain-containing protein 4 domain 1, Protein HUNK1)

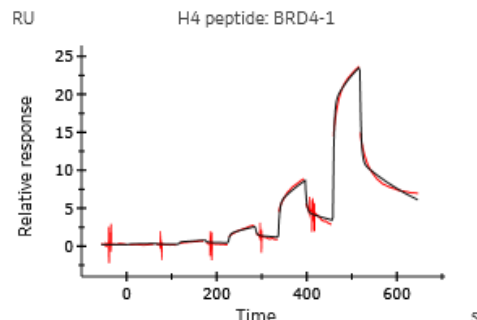
- **CAT#:** BRD4-1
- **Enzyme:** Human BRD4-1
- **Scientific Information:** O60885 (<http://www.expasy.org/uniprot/O60885>)
- **Close affinity, different kinetics:** JQ1 and Bromosporine both showed sub-nano molar binding affinity to the BRD4-1. Bromosporine dissociates about 10 times faster than JQ1, which reflects a short on-target residence time.



Compound	$k_a$ (1/Ms)	$k_d$ (1/s)	KD (M)	KD (M) by steady state affinity fitting	to 50% dissociation ( $t_{1/2}$ )
JQ1	1.56E+06	2.14E-02	1.37E-08	N/A	32.4s
Bromosporine	3.15E+06	1.85E-01	5.86E-08	6.45E-8	3.8s

- Reveal Bivalent binder**

Data from H4 peptide (Histone H4 peptide (1-21) K5/8/12/16Ac) could only be fitted well to a bivalent analyte model. This agrees with previously published structural studies. As KD1 is significantly lower than KD2, it can be seen as the apparent KD for comparing with IC50 data.



Compound	ka1 (1/Ms)	kd1 (1/s)	ka2 (1/RUs)	kd2 (1/s)	KD1 (M)	KD2 (M)
H4 peptide	3.94E+04	3.46E-01	1.85E-04	2.53E-03	8.78E-06	1.36E+01

- Data reproducibility**

Kinetic fitting parameters of three independent run of JQ1 binding to BRD4-1

Replicate	ka (1/Ms)	kd (1/s)	KD (M)
Run 1	1.56E+06	2.14E-02	1.37E-08
Run 2	1.89E+06	2.91E-02	1.54E-08
Run 3	2.08E+06	2.72E-02	1.33E-08

