VENOMTECH®
Drug Discovery Solutions™

Target:	**Epigenetics – KDM and Bromodomains**
Format:	**Targeted Venom Discovery Array**
Code:	**T-VDA^{epi}**

Product Description

Covalent modifications of DNA (e.g. cytosine methylation) or of histone proteins (e.g. lysine acetylation, lysine and arginine methylation, serine and threonine phosphorylation, and lysine ubiquitination and sumoylation) play central roles in many types of epigenetic regulation. Epigenetic factors that produce these modifications can be affected by development (in utero, childhood), environmental chemicals, drug/pharmaceuticals, ageing and diet which in turn can lead to cancer, autoimmune diseases, neurodegenerative disorders and diabetes. Given the large number of epigenetic factors, identifying small molecules and biologics with satisfactory selectivity profiles presents a huge challenge for epigenetic target drug discovery.
Work carried out by SGC Oxford and Venomtech has identified venoms with selectivity profiles and thus make this T-VDA a valuable resource for discovery of new Epigenetic modifier ligands

- Venoms are supplied lyophilised in Echo® qualified acoustic source plates (Labcyte Inc) and are useable on any SBS footprint liquid handling device or by hand.
- 384-well format has 200ng venom fraction per well, suggested dilution 20µl as hit fractions are typically active at 5µg/ml and below.
- 1536-well format also available.

Results (published with permission from SGC Oxford)

- KDMs – a number of venoms showed inhibition, especially for JMJD2A and JMJD3A with some selectivity over the JARID family of KDMs. A generic chemical inhibitor (2,4,-PDCA) inhibited as expected all targets as expected
- Bromodomains - a number of venoms show selective displacement of control peptides from the SGC bromodomain proteins, BRPF1B, CECR2A and FALZA, notably, venom 25 which shows selectivity between CECR2A and FALZA

Web: www.venomtech.co.uk Email: info@venomtech.co.uk Tel: +44 (0)1304 892694