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| <b>Product Name</b>      | : I-BET151                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| <b>Synonyms</b>          | : GSK1210151A; I-BET 151; GSK-1210151A                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |
| <b>Cat No.</b>           | : M11204                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
| <b>CAS Number</b>        | : 1300031-49-5                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |
| <b>Molecular Formula</b> | : C <sub>23</sub> H <sub>21</sub> N <sub>5</sub> O <sub>3</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |
| <b>Formula Weight</b>    | : 415.44                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
| <b>Chemical Name</b>     | : 2H-Imidazo[4,5-c]quinolin-2-one, 7-(3,5-dimethyl-4-isoxazolyl)-1,3-dihydro-8-methoxy-1-[(1R)-1-(2-pyridinyl)ethyl]-                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |
| <b>Description</b>       | <p>I-BET151 (GSK1210151A) is a potent, selective BET bromodomain inhibitor with IC<sub>50</sub> of 0.5, 0.25 and 0.79 uM for BRD2, BRD3 and BRD4 in FP assays, shows no effect on the 23 other bromodomain proteins including MLL; demonstrates potent efficacy against cell lines harbouring different MLL-fusions (MOLM13 cell IC<sub>50</sub>=120 nM, MV4:11 cell IC<sub>50</sub>=26 nM), induces early cell cycle arrest and apoptosis, selectively abrogates BRD3/4 recruitment to chromatin; shows significant therapeutic value and survival benefit in mouse models of murine MLL-AF9 and human MLL-AF4 leukaemia.</p> |
| <b>Pathway</b>           | : Chromatin/Epigenetic                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |
| <b>Target</b>            | : Bromodomain                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| <b>Receptor</b>          | : BRD2;BRD3;BRD4                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |
| <b>Solubility</b>        | : 10 mM in DMSO                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |
| <b>SMILES</b>            | : <chem>O=C(N1[C@@H](C2=NC=CC=C2)C)NC3=C1C4=CC(OC)=C(C5=C(C)ON=C5C)C=C4N=C3</chem>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |
| <b>Storage</b>           | : (-20°C)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |
| <b>Stability</b>         | : ≥ 2 years                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| <b>Reference</b>         | :                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |

1. Dawson MA, et al. Nature. 2011 Oct 2;478(7370):529-33. | 2. Mirguet O, et al. Bioorg Med Chem Lett. 2012 Apr 15;22(8):2963-7. | 3. Chaidos A, et al. Blood. 2014 Jan 30;123(5):697-705. | 4. Wyspiańska BS, et al. Leukemia. 2014 Jan;28(1):88-97.

