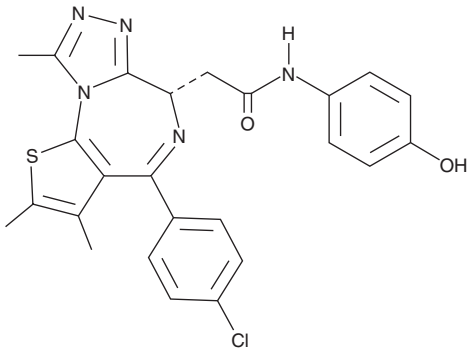
PRODUCT INFORMATION

OTX015

Item No. 15947

CAS Registry No.:	202590-98-5
Formal Name:	(6S)-4-(4-chlorophenyl)-N-(4-hydroxyphenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetamide
Synonyms:	HY-15743, (–)-OTX015
MF:	$C_{25}H_{22}ClN_5O_2S$
FW:	492.0
Purity:	≥95%
UV/Vis.:	λ_{max}: 254 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

OTX015 is supplied as a crystalline solid. A stock solution may be made by dissolving the OTX015 in the solvent of choice, which should be purged with an inert gas. OTX015 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of OTX015 in ethanol is approximately 30 mg/ml and approximately 25 mg/ml in DMSO and DMF.

OTX015 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, OTX015 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. OTX015 has a solubility of approximately 0.1 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

OTX015 is an orally bioavailable, small molecule inhibitor of BRD2, BRD3, and BRD4 (EC_{50}s = 10-19 nM).[1] It displays antiproliferative effects at a sub-micromolar range *in vitro* in a wide range of solid tumor types and leukemias, downregulating c-Myc expression and inducing cell cycle arrest and apoptosis.[1-3] At 100 mg/kg, OTX015 has been shown to inhibit the growth of Ty82 BRD-NUT midline carcinoma tumors in nude mice by 79%.[1]

References

1. Noel, J.K., Iwata, K., Ooike, S., *et al.* Development of the BET bromodomain inhibitor OTX015. *Mol. Cancer Ther.* **12**, C244 (2013).
2. Braun, T., Coude, M.M., Berrou, J., *et al.* Preclinical study of the bromodomain inhibitor OTX015 in acute myeloid (AML) and lymphoid (ALL) leukemias. *Blood* **122**, 4218 (2014).
3. Boi, M., Todaro, M., Vurchio, V., *et al.* OTX015, a bromodomain and extraterminal inhibitor, represents a novel agent for ALK positive anaplastic large cell lymphoma. *Mol. Cancer Ther.* **12**, A219 (2013).

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