EURIPRED
European Research Infrastructures for Poverty Related Diseases

Centre for AIDS Reagent

Data Sheet

PRODUCT NAME	OTX-015
REPOSITORY REFERENCE	#100 227
CAS No.	202590-98-5
MedChemExpress Cat. No.	HY-15743
MWt	491.99
Formula	C25H22ClN5O2S
Purity	>98%
Solubility	DMSO: ≥ 49 mg/mL
Mechanisms	Pathways: Epigenetics; Target: Epigenetic Reader Domain
Biological Activity	OTX-015 is a new potent BRD2/3/4 inhibitor with evident anti-proliferative activity in several cell lines representative of mature B-cell tumors. IC50 value: 0.192uM (DLBCL cell lines) [1]. Target: BET bromodomain. In vitro: OTX-015 demonstrated ant-proliferative activity in DBLCL cell lines (median IC50 0.192uM). Similar results were obtained on SMZL (median IC50 0.165uM), and on MM cell lines (median IC50 0.449uM).MCL cell lines appeared less sensitive to OTX-015 (median IC50 2.01uM). Among DLBCL cell lines, there was no difference based upon the cell of origin of the cell lines. OTX-015 caused a cell cycle arrest in G1 in a dose-dependent manner in5/5 DLBCL and 3/3 MM cell lines, without an increase in cell death [1]. In vivo: clinical trial: a phase 1, dose-finding study of the bromodomain (Brd) inhibitor OTX-015 in haematological malignancies.

Reference

[1]. C Sagara, Kazuyoshi; Omura, Tomoyuki; Samemoto, Hirofumi; Komatsu, Hirotsugu. ompositions controlling release pH range and/or rate. PCT Int. Appl. (2001), WO 2001095912 A1 20011220.
[2]. Sueoka, Hiroyuki; Kobayashi, Hiruhito; Ehara, Syuji; Komatsu, Hirotsugu. Preparation and formulation of thienotriazolodiazepine derivatives for the treatment of inflammatory intestinal diseases, venous insufficiency, and venous ulcer. PCT Int. Appl. (1998), WO 9811111 A1

NIBSC Confidence in Biological Medicines

Medicines and Healthcare Products Regulatory Agency

19980319.
[3]. Sueoka, Hiroyuki; Ehara, Shuji; Kobayashi, Haruhito; Arichi, Takeshi; Komatsu, Hirotsugu. Thienotriazolodiazepine compounds and their pharmaceutical use as cell adhesion inhibitors. U.S. (1998), US 5712274 A 19980127.

CONTRIBUTOR MedChem Express

ACKNOWLEDGEMENTS

Publications should acknowledge the contributor and the Centre for AIDS Reagents. Acknowledgments should read: "The *Name of Reagent* (*Repository Number*) was obtained from the Centre for AIDS Reagents, NIBSC, UK, supported by EURIPRED (EC FP7 INFRASTRUCTURES-2012 - INFRA-2012-1.1.5.: Grant Number 31266). www.euripred.eu/