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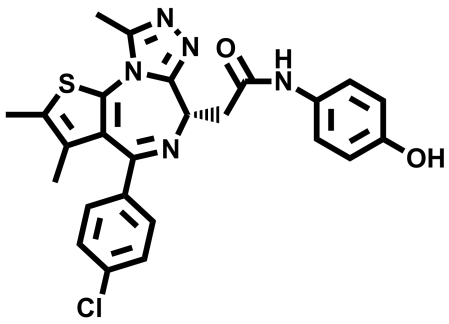
BET Inhibitor – OTX015

Chemical Name: (S)-2-(4-(4-chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-6-yl)-N-(4-hydroxyphenyl)acetamide



Molecular Weight:	491.99
Formula:	$C_{25}H_{22}ClN_5O_2S$
Purity:	≥98%
CAS#:	202590-98-5
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

OTX015 is a novel, highly potent, selective and cell permeable inhibitor of the bromodomain and extra terminal (BET) family proteins BRD2, BRD3 and BRD4 with IC_{50} of 10-19 nM. It inhibits the growth of a variety of human cancer cell lines with IC_{50} ranging from 60 to 200 nM. OTX015 results in rapid down-regulation of c-MYC expression, and show the synergistic anti-proliferative effects in combination with ALK inhibitors in ALKpos ALCL cell lines. In vivo studies using OTX015 have demonstrated efficacy in a range of oncology models. OTX015 is currently in phase I clinical development for oncology indication.

How to Use:

In vitro: OTX015 was used at 2-10 μM final concentration in various in vitro assays.

In vivo: OTX015 could be dosed to the mice by oral administration at 100 mg/kg once per day or 10 mg/kg twice per day.

Reference:

1. Noel JK, et al. Development of the BET bromodomain inhibitor OTX015. (2013) Mol Cancer Ther. 12, C244.
2. Boi M, et al. OTX015, a bromodomain and extraterminal inhibitor, represents a novel agent for ALK positive anaplastic large cell lymphoma. (2013) Mol Cancer Ther. 12, A219.