

## Certificate of Analysis

**Product Name:** OTX 015

**Catalog No.:** 6181

**Batch No.:** 1

**CAS Number:** 202590-98-5

**IUPAC 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

### 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:** C<sub>25</sub>H<sub>22</sub>ClN<sub>5</sub>O<sub>2</sub>S.H<sub>2</sub>O

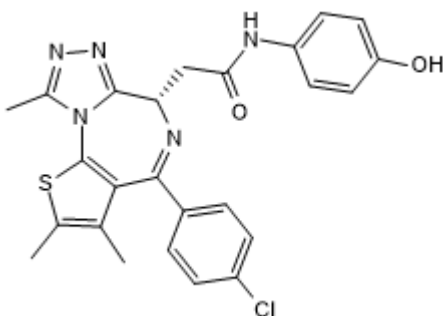
**Batch Molecular Weight:** 510.01

**Physical Appearance:** Off White solid

**Solubility:** DMSO to 100 mM  
ethanol to 20 mM

**Storage:** Store at -20°C

**Batch Molecular Structure:**



### 2. ANALYTICAL DATA

**HPLC:** Shows 99.4% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

Carbon Hydrogen Nitrogen

Theoretical 58.88 4.74 13.73

Found 58.83 4.55 13.8

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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**Description:**

BET bromodomain inhibitor (IC<sub>50</sub> values are in the range 92 - 112 nM). Inhibits proliferation and induces apoptosis of leukemia cell lines *in vitro*. Decreases BRD2, BRD4 and c-MYC protein expression. Orally bioavailable.

**Physical and Chemical Properties:**

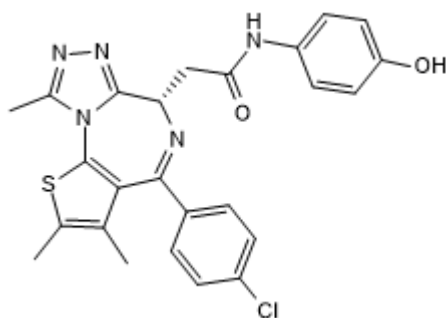
Batch Molecular Formula: C<sub>25</sub>H<sub>22</sub>ClN<sub>5</sub>O<sub>2</sub>S.H<sub>2</sub>O

Batch Molecular Weight: 510.01

Physical Appearance: Off White solid

**Minimum Purity:** >98%

**Batch Molecular Structure:**



**Storage:** Store at -20°C

**Solubility & Usage Info:**

DMSO to 100 mM  
ethanol to 20 mM

**Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

**References:**

**Berenguer-Daizé et al** (2016) OTX015 (MK-8628), a novel BET inhibitor, displays *in vitro* and *in vivo* antitumor effects alone and in combination with conventional therapies in glioblastoma models. *Int.J.Cancer* **139** 2047. PMID: 27388964.

**Coudé et al** (2015) BET inhibitor OTX015 targets BRD2 and BRD4 and decreases c-MYC in acute leukemia cells. *Oncotarget* **6** 17698. PMID: 25989842.

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