

Store at
-20°C
#45122**I-BET762 (GSK525762A)**

5 mg



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For Research Use Only. Not for Use in Diagnostic Procedures.

Background

I-BET762 (GSK525762A) is a potent BET bromodomain inhibitor, as well as a downregulator of the critical oncogene, *MYC* (1,5). This small molecule inhibits binding of acetylated histones to BET proteins, disrupting the expression of inflammatory genes in activated macrophages, as well as blocking acute inflammation in mice (2,3). Studies have found that I-BET762 (GSK525762A) suppressed T cell inflammation resulting in upregulated expression of anti-inflammatory gene products, and downregulated expression of several proinflammatory cytokines (3). I-BET762 (GSK525762A) has also been shown to induce cell death and suppress cell proliferation in pancreatic ductal adenocarcinoma (PDAC) cells (4).

Molecular Formula

$C_{22}H_{22}ClN_5O_2$

Molecular Weight

423.9 g/mol

Purity

>98%

CAS

1260907-17-2

Solubility

Soluble in DMSO at 25 mg/ml or ethanol at 25 mg/ml.

Storage

Store lyophilized at -20°C, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, store at -20°C and use within 3 months to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

I-BET762 (GSK525762A) is supplied as a lyophilized powder. For a 10 mM stock, reconstitute 5 mg of powder in 1.17 ml of DMSO. Working concentrations and length of treatment can vary depending on the desired effect.

Background References

1. Gosmini, R. et al. (2014) *J Med Chem* 57, 8111-31.
2. Nicodeme, E. et al. (2010) *Nature* 468, 1119-23.
3. Bandukwala, H.S. et al. (2012) *Proc Natl Acad Sci U S A* 109, 14532-7.
4. Xie, F. et al. (2018) *Sci Rep* 8, 8102.
5. Wyce, A. et al. (2013) *Oncotarget* 4, 2419-29.

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