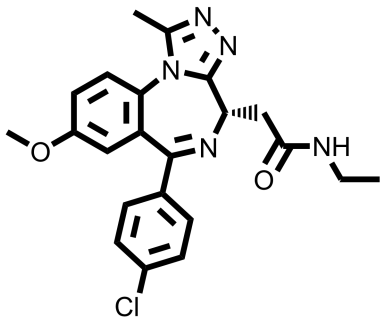
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

BET Inhibitor – I-BET762 (GSK525762)

Chemical Name: (S)-2-(6-(4-chlorophenyl)-8-methoxy-1-methyl-4H-benzo[f][1,2,4]triazolo[4,3-a][1,4]diazepin-4-yl)-N-ethylacetamide



Molecular Weight:	423.90
Formula:	$C_{22}H_{22}ClN_5O_2$
Purity:	≥98%
CAS#:	1260907-17-2
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

I-BET762 (GSK525762) is a novel, highly potent, selective and cell permeable inhibitor of the bromodomain and extra terminal (BET) family protein BRD4 with an IC_{50} of ~35 nM. It suppresses the production of proinflammatory proteins by macrophages and blocks acute inflammation. It is highly selective over other bromodomain-containing proteins. In vivo studies using I-BET762 have demonstrated efficacy in a range of oncology and immunoinflammatory models. I-BET762 is currently in clinical development for oncology indication.

How to Use:

In vitro: I-BET762 was used at 0.5-1 μM final concentration in various in vitro assays.

In vivo: I-BET762 could be dosed to the mice by oral administration at 10-30 mg/kg once per day.

Reference:

1. Mirguet O, et al. Discovery of epigenetic regulator I-BET762: lead optimization to afford a clinical candidate inhibitor of the BET bromodomains. (2013) J Med Chem. 56(19):7501-15.
2. Zhao Y, et al. The making of I-BET762, a BET bromodomain inhibitor now in clinical development. (2013) J Med Chem. 56(19):7498-500.