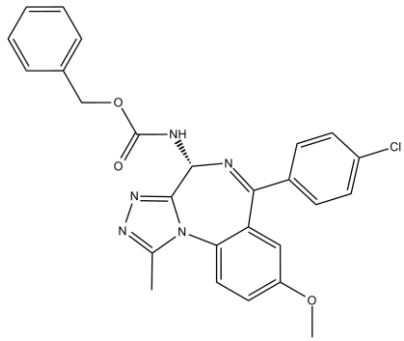
Product Name: GW841819X

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name: GW841819X

Cas No.:

M.Wt: 487.94

Formula: C26H22ClN5O3

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

Canonical SMILES: ClC(C=C1)=CC=C1C2=N[C@@H](NC(OCC3=CC=CC=C3)=O)C4=NN=C(C)N4C5=CC=C(OC)C=C52

Solubility: Soluble in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Chromatin/Epigenetics

Pathways: Bromodomain

Description:

GW841819X is an analogue of (+)-JQ1 and a novel inhibitor of BET bromodomains. GW841819X was a single enantiomer but of undefined chirality at the 4-position of the benzodiazepine ring 3. GW841819X and JQ1 were recently discovered that bind to the acetyl-lysine binding pocket of BET bromodomains with Kd ranges from 50 to 370 nM [1]. GW841819X bounded to both the

individual BD1 and BD2 domains with affinities of 46 and 52.5 nM, respectively. GW841819X-Brd3 interaction was estimated to be around 70 nM 4.
GW841819X displayed activity in vivo against NUT-midline carcinoma, multiple myeloma, mixed-lineage leukemia, and acute myeloid leukemia 1. It also potent induced the ApoA1 reporter gene with an EC50 of 440 nM. It had very little effect on LDL-R luciferase activity at the concentrations at which it induces ApoA1 expression, suggesting that the effect is indeed specific 3. GW841819X competed directly with GATA1 site for BD1 binding and also specifically blocked the interaction between Brd3 and acetylated GATA1 4. Recent findings reported that GW841819X are chose as an interest compound to further develop into potential drugs against diseases including cancer, HIV infection and heart disease 2.

***Reference**:*

1. Baud MG, Lin-Shiao E, Cardote T et al. Chemical biology. A bump-and-hole approach to engineer controlled selectivity of BET bromodomain chemical probes. Science. 2014 Oct 31;346(6209):638-41.
2. Floyd SR, Pacold ME, Huang Q et al. The bromodomain protein Brd4 insulates chromatin from DNA damage signalling. Nature. 2013 Jun 13;498(7453):246-50.
3. Chung CW, Coste H, White JH et al. Discovery and characterization of small molecule inhibitors of the BET family bromodomains. J Med Chem. 2011 Jun 9;54(11):3827-38.
4. Gamsjaeger R, Webb SR, Lamonica JM et al. Structural basis and specificity of acetylated transcription factor GATA1 recognition by BET family bromodomain protein Brd3. Mol Cell Biol. 2011 Jul;31(13):2632-40.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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