SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

GSK1379725A

Cat. No.: HY-112398

CAS No.: 1802251-00-8

Molecular Formula: $C_{23}H_{23}FN_6O_3$

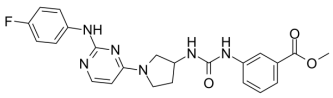
Molecular Weight: 450.47

Target: Epigenetic Reader Domain

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (221.99 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2199 mL	11.0995 mL	22.1990 mL
	5 mM	0.4440 mL	2.2199 mL	4.4398 mL
	10 mM	0.2220 mL	1.1100 mL	2.2199 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.55 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK1379725A is a selective BPTF ligand with a K_d of 2.8 uM, showing no binding activity for Brd4[1].

In Vitro

From the NMR titration of GSK1379725A, the bound and unbound resonances are separated by 171 Hz, providing an upper bound for the chemical exchange rate. Assuming an association rate of 1×10^8 M^{-1} s^{-1} as a high end for a range of protein-small molecule interactions, (e.g., chymotrypsin: proflavin $k_1=1.2\times10^8$ M^{-1} s^{-1}), an upper K_d of 8 μM is estimated from this experiment. For a more accurate determination with a non-fluorinated protein, ITC is used as a complementary direct binding assay using unlabeled BPTF. A K_d of 2.8 μM is obtained, consistent with our intermediate exchange resonance broadening by PrOF NMR. Although GSK1379725A has been demonstrated to be selective over Brd4, a full selectivity panel

against other bromodomains will be needed. A database search using ChEMBL only showed GSK1379725A to be active in five cellular assays with an EC_{50} of 500 nM carried out. Additionally, no kinase activity has been reported for GSK1379725A despite the growing screening use of the PKIS library[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

Cell viability assay of HEK 293 cells are performed using resazurine dye based CellTiter-Blue. HEK 293T cells are plated in 96 well plates and experiments conducted when cells are 80% confluent. Cells are treated with the 0, 1.0, 3.0 and 10.0 μM GSK1379725A (AU1) for 24 and 48 hours in 5% CO_2 (n=5-6, per condition). 20 μL of CellTiter-Blue is added to the each well and incubated for 2.5 h at 37°C. As resazurin dye is reduced by viable cells to resorufin. Resorufin is fluorescent at 580 excitation and 590 emission. The data is normalized with the control (DMSO treated)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Urick AK, et al. Dual Screening of BPTF and Brd4 Using Protein-Observed Fluorine NMR Uncovers New Bromodomain Probe Molecules. ACS Chem Biol. 2015 Oct 16;10(10):2246-56.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA