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

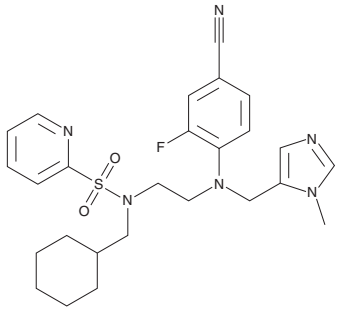
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

FGTI-2734

Item No. 37694

CAS Registry No.:	1247018-19-4
Formal Name:	N-[2-[(4-cyano-2-fluorophenyl)[(1-methyl-1H-imidazol-5-yl)methyl]amino]ethyl]-N-(cyclohexylmethyl)-2-pyridinesulfonamide
MF:	$C_{26}H_{31}FN_6O_2S$
FW:	510.6
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

FGTI-2734 is supplied as a solid. A stock solution may be made by dissolving the FGTI-2734 in the solvent of choice, which should be purged with an inert gas. FGTI-2734 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of FGTI-2734 in ethanol is approximately 30 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of FGTI-2734 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of FGTI-2734 in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

FGTI-2734 is a C-terminal mimetic of Ras and a dual inhibitor of farnesyltransferase (FTase) and geranylgeranyl transferase type I (GGTase I; IC_{50}s = 250 and 520 nM, respectively).[1] It inhibits prenylation of H-Ras and Rap1A in oncogenic H-Ras-transformed NIH3T3 cells (IC_{50}s = 88.7 and 2,700 nM, respectively). FGTI-2734 inhibits membrane localization of K-Ras, which requires prenylation to induce oncogenic transformation, in human MIA PaCa-2 pancreatic and H460 lung cancer cells when used at concentrations of 10 and 30 µM.[2] It reduces tumor growth, increases intratumoral p53 levels, induces intratumoral apoptosis, and decreases intratumoral HDJ2 farnesylation and Rap1A geranylgeranylation in patient-derived xenograft (PDX) mouse models of mutant KRAS-expressing pancreatic cancer when administered at doses of 50 or 100 mg/kg per day.

References

1. Fletcher, S., Keaney, E.P., Cummings, C.G., *et al.* Structure-based design and synthesis of potent, ethylenediamine-based, mammalian farnesyltransferase inhibitors as anticancer agents. *J. Med. Chem.* **53(19)**, 6867-6888 (2010).
2. Kazi, A., Xiang, S., Yang, H., *et al.* Dual farnesyl and geranylgeranyl transferase inhibitor thwarts mutant KRAS-driven patient-derived pancreatic tumors. *Clin. Cancer Res.* **25(19)**, 5984-5996 (2019).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM