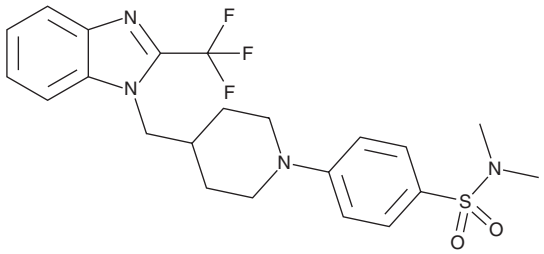
PRODUCT INFORMATION

FA16

Item No. 40499

CAS Registry No.:	3037775-42-8
Formal Name:	N,N-dimethyl-4-[4-[[2-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-1-piperidinyl]-benzenesulfonamide
MF:	$C_{22}H_{25}F_3N_4O_2S$
FW:	466.5
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

FA16 is supplied as a solid. A stock solution may be made by dissolving the FA16 in the solvent of choice, which should be purged with an inert gas. FA16 is slightly soluble (0.1-1 mg/ml) in ethanol and DMSO.

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 FA16 can be prepared by directly dissolving the solid in aqueous buffers. FA16 is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

FA16 is a ferroptosis inducer and an inhibitor of the system x_c^- cystine/glutamate transporter.[1] It reduces the viability of various cancer cell lines, including HT-1080 fibrosarcoma and A375 melanoma cells (IC_{50}s = 1.26 and 2.31 µM, respectively), 786-O renal cell carcinoma cells (IC_{50} = 0.7 µM), and MDA-MB-231 breast cancer cells (IC_{50} = 4.34 µM) but not several non-cancer cell lines at 20 µM. FA16-induced death of HT-1080 cells can be blocked by the ferroptosis inhibitors ferrostatin-1 (Item No. 17729), Trolox (Item No. 10011659), and deferoxamine (DFO; Item No. 14595) and potentiated by ferric ammonium citrate or ferric citrate. FA16-induced death of HT-1080 cells can also be blocked by β-mercaptoethanol, which prevents cell death induced by system x_c^- cystine/glutamate transporter inhibition by increasing intracellular cystine bioavailability. FA16 (5 µM) increases the production of reactive oxygen species (ROS) in HT-1080 cells, an effect that can be blocked by ferrostatin-1, and inhibits glutamate release from HT-1080 cells in an enzyme-coupled glutamate release assay. FA16 (15 and 30 mg/kg) reduces tumor growth and increases intratumoral levels of 4-hydroxy nonenal (4-HNE; Item No. 32100) and malondialdehyde (MDA), markers of lipid peroxidation, in a HepG2 mouse xenograft model. It also has an increased half-life and slower clearance than the ferroptosis inducer erastin (Item No. 17754) in human and rat liver microsomes.

Reference

1. Fang, Y., Tan, Q., Zhou, H., *et al.* Discovery and optimization of 2-(trifluoromethyl)benzimidazole derivatives as novel ferroptosis inducers *in vitro* and *in vivo*. *Eur. J. Med. Chem.* **245(Pt 1)**, 114905 (2023).

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