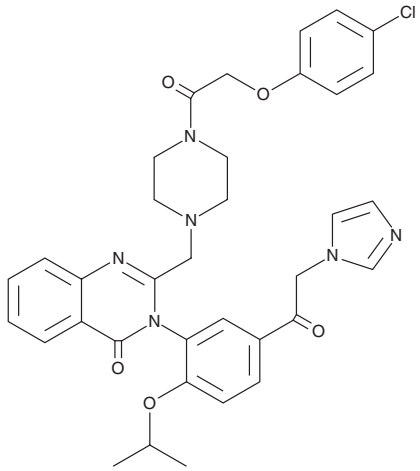
PRODUCT INFORMATION

Imidazole Ketone Erastin

Item No. 27088



CAS Registry No.:	1801530-11-9
Formal Name:	2-[[4-[2-(4-chlorophenoxy)acetyl]-1-piperazinyl]methyl]-3-[5-[2-(1H-imidazol-1-yl)acetyl]-2-(1-methylethoxy)phenyl]-4(3H)-quinazolinone
Synonym:	IKE
MF:	$C_{35}H_{35}ClN_6O_5$
FW:	655.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 227, 275 nm
Supplied as:	A crystalline 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

Imidazole ketone erastin is supplied as a crystalline solid. A stock solution may be made by dissolving the imidazole ketone erastin in the solvent of choice. Imidazole ketone erastin is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of imidazole ketone erastin in these solvents is approximately 1 and 10 mg/ml, respectively.

Imidazole ketone erastin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, imidazole ketone erastin should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Imidazole ketone erastin has a solubility of approximately 0.25 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Imidazole ketone erastin is an inducer of ferroptosis.[1] It inhibits glutamate release in human CCF-STTG1 astrocytoma cells (IC_{50} = 30 nM), indicating inhibition of the system x_c^- cystine/glutamate transporter.[2] Imidazole ketone erastin increases production of lipid reactive oxygen species (ROS) in SUDHL6 diffuse large B cell lymphoma (DLBCL) cells in a concentration-dependent manner, as well as reduces glutathione (GSH) levels in these cells (IC_{50} = 34 nM).[1] It inhibits the growth of HT-1080 fibrosarcoma cells (GI_{50} = 310 nM) as well as $HRAS^{G12V}$-overexpressing BJeLR cells (IC_{50} = 3 nM).[2] Imidazole ketone erastin (23 and 40 mg/kg) reduces tumor growth in an SUDHL6 mouse xenograft model.[1]

References

1. Zhang, Y., Tan, H., Daniels, J.D., *et al.* Imidazole ketone erastin induces ferroptosis and slows tumor growth in a mouse lymphoma model. *Cell Chem. Biol.* **26(5)**, 623-633 (2019).
2. Larraufie, M.-H., Yang, W.S., Jiang, E., *et al.* Incorporation of metabolically stable ketones into a small molecule probe to increase potency and water solubility. *Bioorg. Med. Chem. Lett.* **25(21)**, 4787-4792 (2015).

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