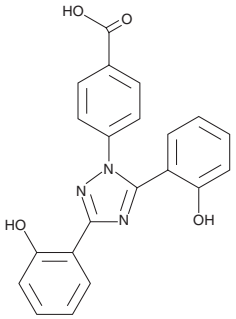
PRODUCT INFORMATION

Deferasirox

Item No. 16753

CAS Registry No.:	201530-41-8
Formal Name:	4-[3,5-*bis*(2-hydroxyphenyl)-1H-1,2,4-triazol-1-yl]-benzoic acid
Synonym:	ICL670A
MF:	$C_{21}H_{15}N_3O_4$
FW:	373.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 205, 250, 300 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

Deferasirox is supplied as a crystalline solid. A stock solution may be made by dissolving the deferasirox in the solvent of choice, which should be purged with an inert gas. Deferasirox is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of deferasirox in these solvents is approximately 2, 20, and 30 mg/ml, respectively.

Deferasirox is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, deferasirox should first be dissolved in DMF and then diluted with the aqueous buffer of choice. deferasirox has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Deferasirox is an orally bioavailable synthetic, tridentate iron chelator that binds iron at a 2:1 ratio.[1] It is selective for iron (Fe(III)) over Cu(II), Zn(II), Mg(II), and Ca(II) but does bind to Al(III). Deferasirox decreases iron levels in iron-loaded rat heart cells *in vitro* by 45.8 and 55.6% compared to control levels when used at concentrations of 160 and 320 µM, respectively.[2] In hypertransfused rats, deferasirox (200 mg/kg) decreases radiolabeled liver iron levels from 41 to 21.7% and blood iron levels from 8.2 to 3.4%.[2] It is primarily excreted *via* the fecal route, in contrast to the iron chelator deferoxamine (Item No. 14595).[2] Deferasirox also inhibits proliferation of SAS human oral squamous carcinoma cells (EC_{50} = 21 µM), decreases cyclin D1 protein levels, and increases protein levels of N-Myc downregulated gene 1 (NDRG1) and NDRG3.[3] It acts in a synergistic manner when used in combination with gemcitabine (Item Nos. 11690 | 22080 | 9003096) to reduce proliferation of BxPC-3 pancreatic cancer cells *in vitro* and reduce tumor growth in a BxPC-3 mouse xenograft model when administered at a dose of 200 mg/kg.[4] Formulations containing deferasirox have been used in the treatment of β-thalassemia and chronic iron overload.

References

1. Heinz, U., Hegetschweiler, K., Acklin, P., *et al. Angew. Chem. Int. Ed.* **38(17)**, 2568-2570 (1999).
2. Hershko, C., Konijn, A.M., Nick, H.P., *et al. Blood* **97(4)**, 1115-1122 (2014).
3. Lee, J.-C., Chiang, K.-C., Feng, T.-H., *et al. Int. J. Mol. Sci.* **17(9)**, pii: E1435 (2016).
4. Shinoda, S., Kaino, S., Amano, S., *et al. Oncotarget* **9(47)**, 28434-28444 (2018).

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