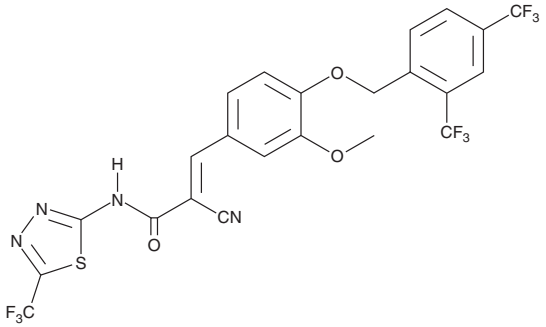
PRODUCT INFORMATION

XCT790

Item No. 16035

CAS Registry No.:	725247-18-7
Formal Name:	3-[4-[[2,4-*bis*(trifluoromethyl)phenyl]methoxy]-3-methoxyphenyl]-2-cyano-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]-2-propenamide
MF:	$C_{23}H_{13}F_9N_4O_3S$
FW:	596.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 253, 370 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

XCT790 is supplied as a crystalline solid. A stock solution may be made by dissolving the XCT790 in the solvent of choice, which should be purged with an inert gas. XCT790 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of XCT790 in these solvents is approximately 3 and 12 mg/ml, respectively.

XCT790 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, XCT790 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. XCT790 has a solubility of approximately 0.03 mg/ml in a 1:30 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

XCT790 is an inverse agonist of estrogen-related receptor α (ERRα; IC_{50} = ~300-500 nM).[1,2] It demonstrates 90-100% inhibition of ERRα constitutive activity and has no significant activity at related nuclear receptors at 10 µM.[2] XCT790 associates with the ligand-binding domain of ERRα and blocks ERRα/PGC-1α-dependent signaling, suppressing the expression of monoamine oxidases A and B.[2] XCT790 induces proteasomal degradation of ERRα and potentiates the degradation of the estrogen receptor ERα by fulvestrant (Item No. 10011269).[3]

References

1. Busch, B.B., Stevens, W.C., Jr., Martin, R., *et al.* Identification of a selective inverse agonist for the orphan nuclear receptor estrogen-related receptor α *J. Med. Chem.* **47(23)**, 5593-5596 (2004).
2. Willy, P.J., Murray, I.R., Qlan, J., *et al.* Regulation of PPARγ coactivator 1α (PGC-1α) signaling by an estrogen-related receptor α (ERRα) ligand. *Proc. Natl. Acad. Sci. USA* **101(24)**, 8912-8917 (2004).
3. Lanvin, O., Bianco, S., Kersual, N., *et al.* Potentiation of ICI182,780 (Fulvestrant)-induced estrogen receptor-α degradation by the estrogen receptor-related receptor-α inverse agonist XCT790. *J. Biol. Chem.* **282(39)**, 28328-28334 (2007).

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