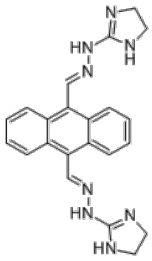
ProbeChem®
*Expedite Your Scientific Research*

WWW.PROBECHEM.COM

# Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

| | | |
|---|---|---|
| **Product Name** | : | FTO inhibitor CS1 |
| **Cat. No.** | : | PC-72385 |
| **CAS No.** | : | 78186-34-2 |
| **Molecular Formula** | : | $C_{22}H_{22}N_8$ |
| **Molecular Weight** | : | 398.474 |
| **Target** | : | Histone Demethylase |
| **Solubility** | : | 10 mM in DMSO |



## Biological Activity

FTO inhibitor CS1 (Bisantrene, NSC 337766) is a potent, selective small-molecule inhibitor of **m6A demethylase FTO**, inhibits m6A demethylation with IC50 of 142.6 nM in vitro (cell-free) assays.
FTO inhibitor CS1 (Bisantrene) also is a small molecule **DNA intercalater** with antineoplastic activity
CS1 is highly efficacious FTO inhibitors with potent anti-leukemic efficacy againsta panel of leukemia cell lines with high FTO expression in vitro (IC50 range from 20 to 175 nM, MV4-11 IC50=58.9 nM).
CS1 blocks the binding of FTO with its known target mRNAs, such as MYC, CEBPA, and RARA, notably increased global m6A abundance in AML cells, does not suppress the enzymatic activity of ALKBH5, or TET1.
CS1 treatment resulted in substantially increased apoptosis and cell cycle arrest (at the G0 phase), also significantly promoted myeloid differentiation in human AML cells.
FTO inhibitor CS1 substantially delayed AML progression and improved survival in AML PDX mouse model, significantly more effective than FB23-2.

## References


Rui Su, et al. ***Cancer Cell.*** 2020 Jul 13;38(1):79-96.e11.
2. Citarella RV, et al. ***Cancer Res***. 1982 Feb;42(2):440-4.
3. Von Hoff DD, et al. ***Cancer Chemother Pharmacol.*** 1981;6(2):141-4.





E-mail: tech@probechem.com