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FRONTIERS IN LIFE SCIENCE

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JAPAN MADE QUALITY

HCCFA

< Selective Inhibitor of AKR1B10 >

For more information : http://www.funakoshi.co.jp/exports_contents/80996

HCCFA is a new, potent and selective Inhibitor of Aldo-Keto Reductase family member 1B10 (AKR1B10).

Background of HCCFA

AKR1B10 is a NADPH dependent reductase, and involved in metabolism of retinoid and isoprenoid. After high expression of AKR1B10 in non-small cell lung cancer (NSCLC) was reported in 2005, such high expression was also found in many kinds of cancer including liver cancer, uterus cancer and bile duct cancer. Therefore, AKR1B10 inhibitor is noted as a new target of anti-cancer drug development.

AKR1B10 shows 70.6% homology in amino-acid sequence to aldose reductase (AKR1B1, or AR), and both have similar structure and substrate specificity.
Since conventional inhibitors for AKR1B10 also inhibit AR to the same degree, a selective inhibitor against AKR1B10 or AR has been awaited.

HCCFA is a new compound which selectively and strongly inhibits AKR1B10.

This product has been commercialized with the support of both the University of Toyama and Gifu Pharmaceutical University.

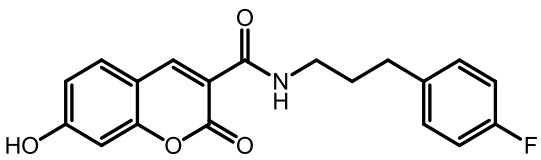
Reference : Endo S., *et al., J. Med. Chem*., **60**:8441-8445 (2017).

Features

- Selective and strong inhibitor of AKR1B10.
- Low inhibitory potency to AKR1B1 (AR, aldose reductase)
- Compatible to *in vitro* and *in vivo* use (not for human or veterinary use)

Chemical Information

- Chemical Name: 7-Hydroxy-2-oxo-2*H*-chromene-3-carboxylic acid [3-(4-fluorophenyl)propyl] amide
- Alternate Name: *N*-[3-(4-fluorophenyl)propyl]-7-hydroxy-2-oxo-2*H*-1-Benzopyran-3-carboxamide
- CAS No.: 2136579-33-2
- Molecular Formula: $C_{19}H_{16}FNO_4$
- Molecular Weight: 341.33
- Melting Point: 207-209℃ (Ethanol)
- Solubility: Soluble in DMSO
- Purity: >98% by HPLC



Product Information

[Manufacturer : FNA]

Product Name	Size	Catalog #	Storage
HCCFA <AKR1B10 Inhibitor>	1 mg	FDV-0016	-20 ℃

Application Data

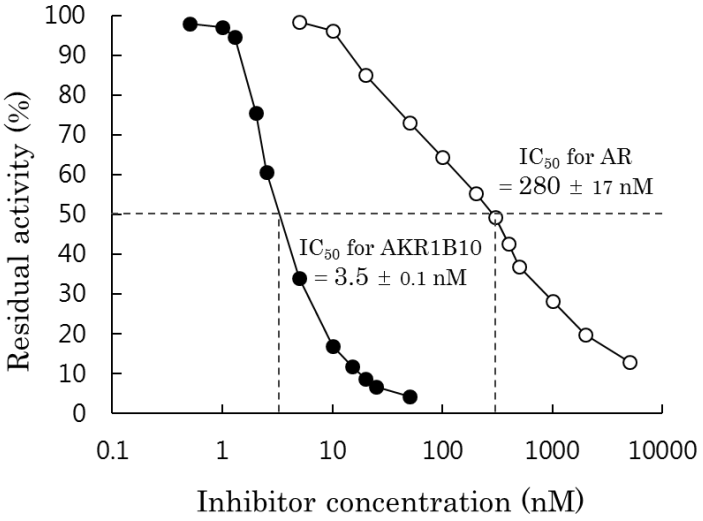


Fig.1 Inhibitory activity of HCCFA
Inhibitory activity of HCCFA was measured by using recombinant AKR1B10 or AR.
HCCFA inhibits AKR1B10 strongly and selectively.

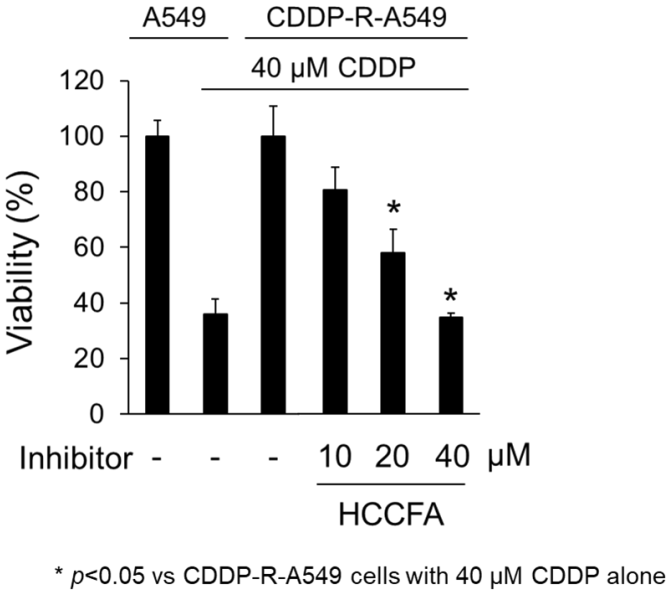


Fig.2 Recovery of CDDP susceptibility
A549 cells or CDDP-R-A549 cells (cisplatin-resistant A549 cells) were treated with 0 to 40 μM of HCCFA for 2 hours and cultured for 24 hours under culture media containing 40 μM of CDDP (cisplatin). HCCFA recovers CDDP susceptibility of CDDP-R-A549 cells in a dose-dependent manner.

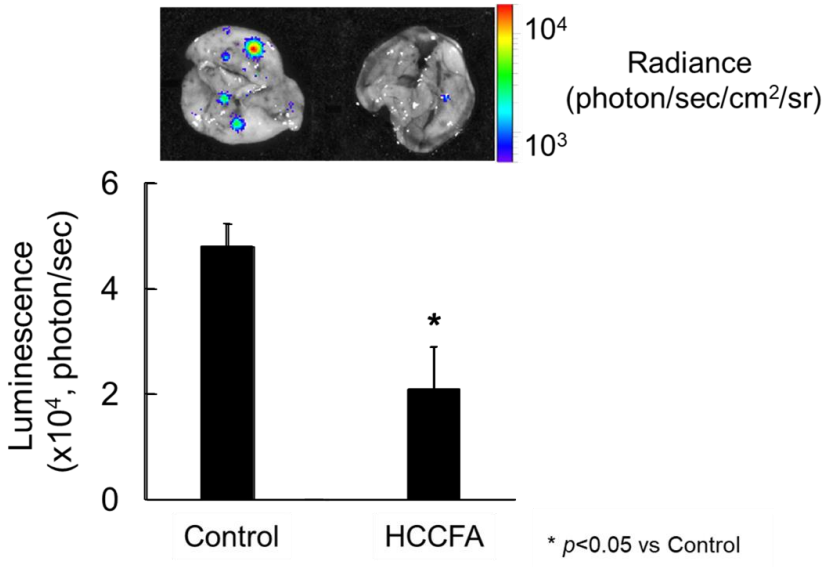


Fig.3 Inhibition of proliferation and metastasis of cancer cells
Luciferase expressing A549-Luc cells were cultured in DMSO (control) or 20 μM HCCFA containing medium for 24 hours, and transferred to BALB/c nude mouse by tail vein injection.
After 4 days, luminescent in lung was observed. Data shows that HCCFA inhibits proliferation and metastasis of A549 cells *in vivo*.

NOTE
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