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FRONTIERS IN LIFE SCIENCE

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HCCFA <AKR1B10 inhibitor>

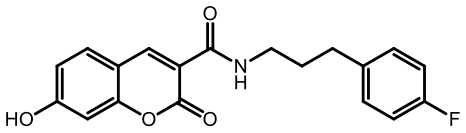
Catalog NO. FDV-0016

Product Background

A novel low-molecular compound, HCCFA, is a potent and selective inhibitor of Aldo-Keto Reductase family member 1B10 (AKR1B10). The inhibitory effect of HCCFA on AKR1B10 is remarkably strong and IC_{50} value is 3.5 nM. In contrast, HCCFA has a low inhibitory potency toward aldose reductase (AR, also known as AKR1B1) whose structure is similar to AKR1B10. AKR1B10 is thought to be involved in the development, progression, and survival of carcinomas. The inhibitor HCCFA is reported to suppress migration and proliferation of lung cancer A549 cells, to suppress metastasis of A549 cells into mouse lung, and to increase CDDP sensitivity of CDDP-resistant A549 cells

Description

Catalog Number: FDV-0016
Size: 1 mg
Formulation: $C_{19}H_{16}FNO_4$
Molecular weight: 341.33 g/mol
CAS No.: 2136579-33-2
Solubility: Soluble in DMSO



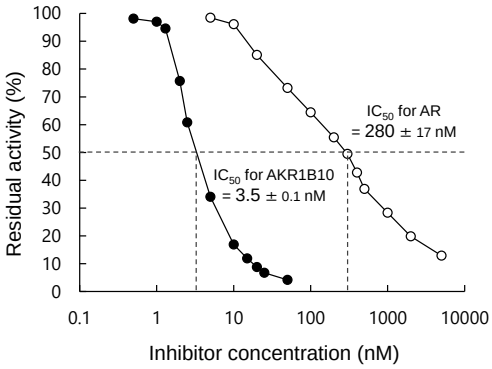
Reconstitution and Storage

Reconstitution: Stock solution recommended concentration 10 mM in 100% DMSO.
Storage (powder): Store powder at -20°C
Storage (solution): After reconstitution in DMSO, aliquot and store at -20°C.
Avoid repeated freeze-thaw cycles.

Reference data

Inhibition activity of HCCFA on AKR1B10 and AR

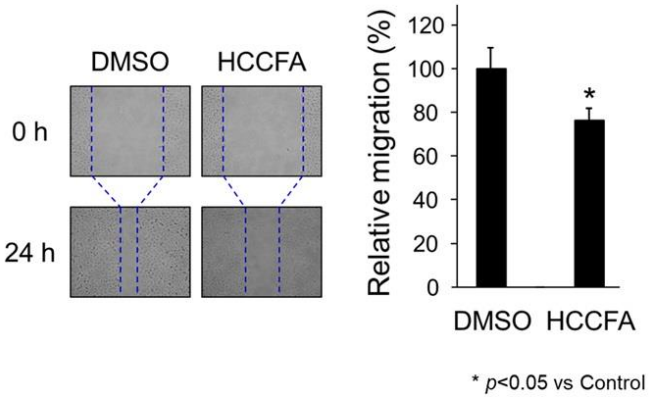
Inhibition activities of HCCFA on recombinant AKR1B10 and AR were measured *in vitro* assay. IC_{50} for AKR1B10 was about 100-fold lower than IC_{50} for AR. This data shows HCCFA is selective inhibitor for AKR1B10.



Application data

Inhibition of A549 cell migration

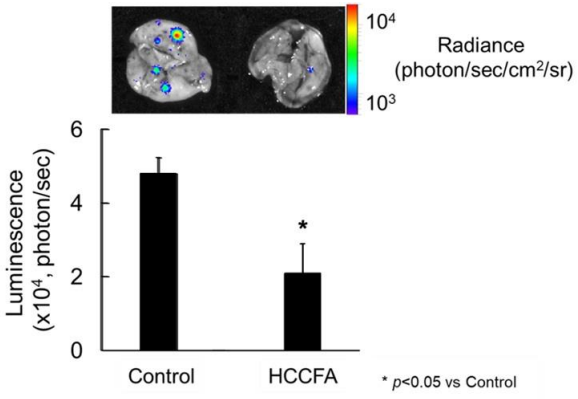
Lung cancer cell line A549 were treated with 40 μM HCCFA or DMSO for 24 hours and cell migration activities were estimated by wound healing assay. HCCFA inhibits migration of A549 cells.



* p<0.05 vs Control

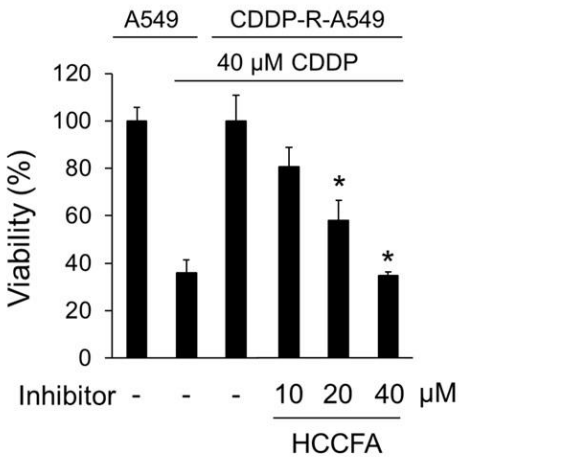
Inhibition of cancer cell metastasis *in vivo*

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



Recovery of cisplatin-sensitivity by HCCFA

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 CDDP (cisplatin) 40 μM.
Data shows that HCCFA recovers CDDP susceptibility of CDDP-R-A549 cells in a dose-dependent manner.



* p<0.05 vs CDDP-R-A549 cells with 40 μM CDDP alone

Reference

1. Endo, *et al., J. Med. Chem.*, **60**, 8441-8455 (2017) Synthesis of Potent and Selective Inhibitors of Aldo-Keto Reductase 1B10 and Their Efficacy against Proliferation, Metastasis, and Cisplatin Resistance of Lung Cancer Cells

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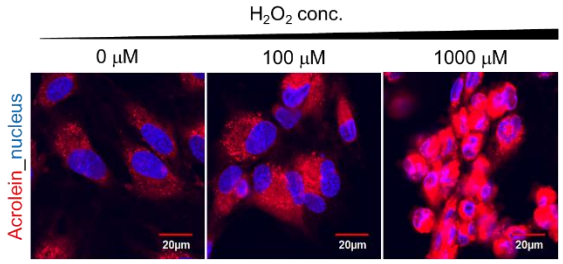
Related products

AcroleinRED <Cell-based Acrolein Detection Reagent>

Acrolein is a lipid peroxidation (LPO) downstream aldehyde and one of the most toxic oxidative stress marker. AcroleinRED is the world first cell-based acrolein detection reagent.

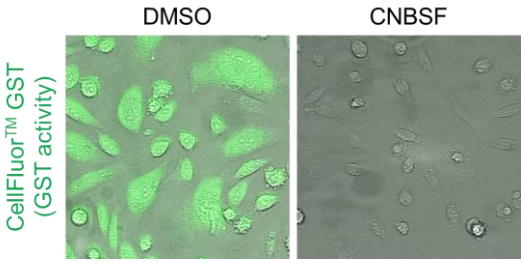
Catalog No. FDV-0022
Size 0.5 mg
Features
- Easy and quick protocol
- Enable to monitor acrolein production under live cells with various stimulations



CellFluor™ GST <Cell-based GST Activity Assay Reagent >

CellFluor™ GST is a novel fluorescent probe for monitoring wide GST members' activity both *in celluo* or *in vitro*. CellFluor™ GST releases green fluorophore rhodamine 110 upon GST activities. This probe has cell-permeability and can detect intracellular GST activity.

Catalog No. FDV-0030
Size 0.1 μmol
Features
- Easy and quick protocol
- Broad specificity for various GST family members
- Ex/Em: 496 nm/520 nm
  (Compatible with commercial FITC filters)

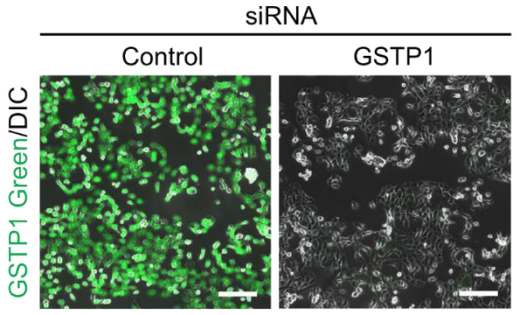


CellFluor™ GSTP1

CellFluor™ GSTP1 is an activity assay probe for pi-class GST (GSTP1). Since GSTP1 is highly expressed in various cancer cells among GST family, GSTP1 is considered as one of the anti-cancer drug-resistant and LPO-derived aldehydes neutralizing enzymes. CellFluor™ GSTP1, a world's first reagent specific for GSTP1, is only visualized GSTP1 activity among the other GST family members in live cells.

Catalog No. FDV-0034
Size 1 set
- 0.1 mg CellFluor™ GSTP1
- 0.5 mg supplemental reagent MK571)

Features
- Highly specific for GSTP1 among cytosolic GST family members
- Optimized in live cell experiments (not compatible with *in vitro* assay)



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