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DATASHEET

LUF7909

Product overview

Name	LUF7909
Cat No	HB4786
Biological description	Novel, adenosine A_1AR Affinity-Based Probe (AfBP) which is suitable for click conjugation for use in confocal microscopy, SDS-PAGE and chemical proteomics profiling applications. Labeling of the A_1AR is more specific in live CHOhA1AR cells compared to labeling in membrane fractions. LUF7909 acts as a partial agonist which is highly specific to the A_1AR and binds covalently (apparent pK_i values at A_1AR are 7.8 and 9.5 (following a 4h preincubation), where a K_i shift indicates a covalent mode of action).

Applications

Live cells or membrane fractions should be incubated with LUF7909 to selectively label the desired receptor in the presence of other proteins.

The desired reporter group can subsequently be clicked onto the probe, effectively labeling the receptor.

Finally, the reporter-bound receptor is processed based on the detection method (e.g. confocal microscopy, SDS-PAGE, chemical proteomics)

Please see our protocol booklet: LUF7909 (HB4786) Protocol

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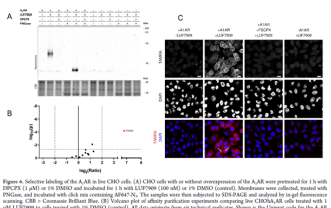
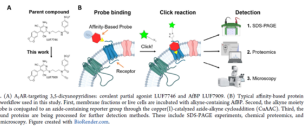
Biological action	Agonist
Purity	>95%
Description	Novel, clickable Adenosine hA_1AR Affinity-Based Probe (AfBP).

Images





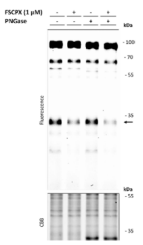
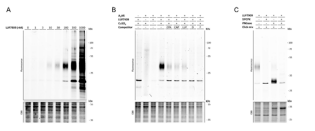
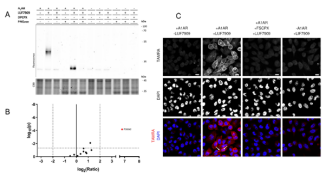


Figure 7. Labeling of the A_1AR in adipocyte membranes derived from mouse gonadal fat pads. The membranes were pretreated with the covalent antagonist FSCPX (1 μM) or 1% DMSO prior to incubation with LUF7909 (100 nM) and subsequent incubation with click mix containing AF647-N_3. The samples were then denatured, subjected to SDS-PAGE, and analyzed using in-gel fluorescence scanning. CBB = Coomassie Brilliant Blue. The band that appears upon Coomassie staining (lanes 3 and 4) corresponds to the molecular weight of PNGase.





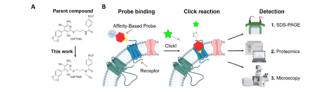
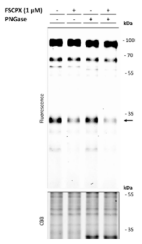


Figure 1. (A) A_3AR-targeting 3,5-dicyanopyridines: covalent partial agonist LUF7746 and AfBP LUF7909. (B) Typical affinity-based protein profiling workflow used in this study. First, membrane fractions or live cells are incubated with alkyne-containing AfBP. Second, the alkyne moiety of the probe is conjugated to an azide-containing reporter group through the copper(I)-catalyzed azide-alkyne cycloaddition (CuAAC). Third, the probe-bound protein are being processed for further detection methods. These include SDS-PAGE experiments, chemical proteomics, and confocal microscopy. Figure created with BioRender.com.



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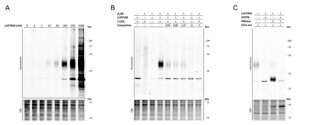


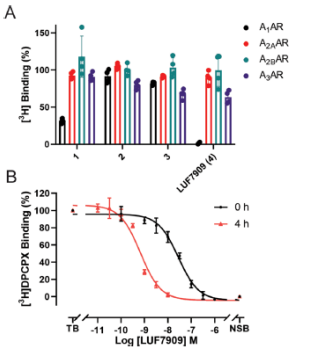


Figure 2. Affinities of LUF7909 and analogues for the four adenosine receptor subtypes. (A) Displacement of [^{3}H]DPCPX (A_1AR), [^{3}H]ZM241385 ($A_{2A}AR$), [^{3}H]PSB-603 ($A_{2B}AR$), and [^{3}H]PSB-11 (A_3AR) binding by 1 μM of the respective AfBP. Data represent the values of two individual experiments performed in duplicate and are normalized to the vehicle control (100%). (B) Displacement of [^{3}H]DPCPX from the A_1AR by LUF7909 measured after 0 or 4 h of preincubation of LUF7909 with CHO membranes stably over-expressing the A_1AR. TB = total radioligand binding (vehicle control); NSB = nonspecific radioligand binding. Data represent the mean ± SEM of three individual experiments performed in duplicate.

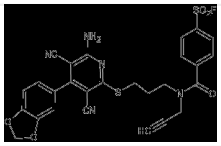
Biological Data

Application notes	**Please see our protocol booklet: LUF7909 (HB4786) Protocol**

Solubility & Handling

Storage instructions	-20 °C
Solubility overview	Soluble in DMSO
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use

Chemical Data

Chemical name	4-((3-((6-Amino-4-(benzo[d][1,3]dioxol-5-yl)-3,5-dicyanopyridin-2-yl)thio)propyl)(prop-2-yn-1-yl)carbamoyl)benzenesulfonyl fluoride
Molecular Weight	577.6
Chemical structure	
Molecular Formula	$C_{27}H_{20}FN_5O_5S_2$
PubChem identifier	167312224
SMILES	NC1=C(C#N)C(C2=CC=C3OCOC3=C2)=C(C#N)C(SCCCN(CC#C)C(=O)C2=CC=C(C=C2)S(F)(=O)=O)=N1
InChiKey	DGFSACSPMOOCNR-UHFFFAOYSA-N
Licensing details	Sold under license from the Oncode Cancer Institute and Universiteit Leiden

References

A Chemical Biological Approach to Study G Protein-Coupled Receptors: Labeling the Adenosine A(1) Receptor Using an Electrophilic Covalent Probe.

Beerkens BLH et al (2022) ACS chemical biology 17

PubMedID 36279267