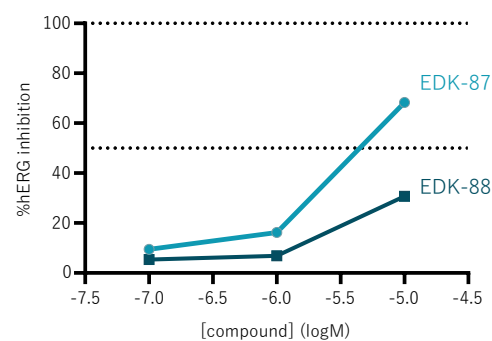


# Supplementary Figure S8

A

ADMET		EDK-87	EDK-88
<b>Solubility</b> ( $\mu\text{M}$ )	Gastric fluid	$177.9 \pm 5.0$	$197.7 \pm 5.9$
	Intestinal fluid	$106.7 \pm 0.3$	$122.3 \pm 2.8$
	PBS pH 7.4	$4.6 \pm 0.5$	$53.5 \pm 0.3$
<b>Partition coefficient</b>	logD	4.77	4.49
<b>Plasma protein binding</b>	% Bound	$99.45 \pm 0.2$	$99.1 \pm 0.3$
<b>Permeability</b> (Caco-2)	Papp <sub>AB</sub> ( $10^{-6}\text{cm s}^{-1}$ )	$0.21 \pm 0.01$	$0.33 \pm 0.04$
	Papp <sub>BA</sub> ( $10^{-6}\text{cm s}^{-1}$ )	$0.19 \pm 0.05$	$0.29 \pm 0.06$
	Efflux ratio	0.90	0.88
<b>Intrinsic clearance</b> (human liver microsomes)	CL <sub>int</sub> ( $\text{ml min}^{-1} \text{kg}^{-1}$ )	<115.5	144.5
	T <sub>1/2</sub> (min)	>60	$64.9 \pm 14$

B



C

	Lipinski	EDK-87	EDK-88	
logP	<5	4.77	4.49	✓
MW	<500	317	305	✓
HBD	<5	0	0	✓
HBA	<10	1	1	✓

D

PK	EDK-87 30 mg/kg		EDK-88 30 mg/kg	
	PO	SC	PO	SC
T <sub>max</sub> (h)	0,5	4	0,5	4
C <sub>max</sub> ( $\mu\text{M}$ )	3,3	2,1	10,2	5,4
AUC <sub>0-24h</sub> ( $\text{h} \cdot \mu\text{M}$ )	14,9	26,6	28,7	72,2
AUC <sub>inf</sub> ( $\text{h} \cdot \mu\text{M}$ )	15,7	27,1	41,3	72,3
t <sub>1/2</sub> (h)	6,2	4,2	2,2	2,6
k <sub>e</sub> ( $\text{h}^{-1}$ )	0,112	0,1667	0,308	0,269
V <sub>D</sub> (L/kg)	53,87	20,96	7,64	5,06
CI (L/h·kg)	6,02	3,49	2	1,36

E

