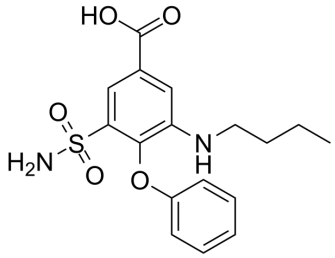
Bumetanide

Cat. No.: HY-17468
CAS No.: 28395-03-1
Molecular Formula: $C_{17}H_{20}N_2O_5S$
Molecular Weight: 364.42
Target: NKCC
Pathway: Membrane Transporter/Ion Channel
Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (274.41 mM; Need ultrasonic)
H_2O : 0.1 mg/mL (0.27 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7441 mL	13.7204 mL	27.4409 mL
5 mM	0.5488 mL	2.7441 mL	5.4882 mL
10 mM	0.2744 mL	1.3720 mL	2.7441 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bumetanide (Ro 10-6338; PF 1593), a highly potent loop diuretic, is a Na^+-K^+-Cl^+ cotransporter (NKCC) blocker. Bumetanide is a selective NKCC1 inhibitor, but also inhibits NKCC2, with IC_{50}s of 0.68 μM and 4.0 μM for hNKCC1A and hNKCC2A, respectively[1][2].

IC_{50} & Target

IC50: 0.68 μM (hNKCC1A), 4.0 μM (hNKCC2A)[1]

In Vitro

Bumetanide has inhibitory effects for the two major human splice variants of NKCCs, hNKCC1A and hNKCC2A [1].
Bumetanide (0.03-100 μM; 5 minutes) inhibits the $^{86}Rb^+$ uptake in NKCC1A-expressing oocytes in a dose-dependent manner [1].
Bumetanide inhibits NKCC2 isoform B in HEK-293 cells with an IC_{50} value of 0.54 μM[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Bumetanide (7.6-30.4 mg/kg; i.v.) attenuates the decrease in apparent diffusion coefficients (ADC) ratios for both cortex and striatum (by 40-67%), indicating reduced edema formation[3].
Bumetanide also reduces infarct size[3].
Bumetanide shows different half-lives of 21.4 min, 53.8 min and 137 min following 2 mg/kg, 8 mg/kg and 20 mg/kg intravenous injection, respectively, in rats[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Normotensive Sprague-Dawley rats (250-300 g)[3]
Dosage:	7.6 mg/kg, 15.2 mg/kg, 30.4 mg/kg
Administration:	Intravenous injection
Result:	Reduced the middle cerebral artery occlusion (MCAO)-induced decrease in ADC values in all four ipsilateral regions (L1-L4).

Animal Model:	Male Sprague-Dawley rats (220-300 g)[4]
Dosage:	2 mg/kg, 8 mg/kg, 20 mg/kg (Pharmacokinetic Analysis)
Administration:	Intravenous administration
Result:	$T_{1/2}$ (21.4 min, 53.8 min and 137 min for 2 mg/kg, 8 mg/kg and 20 mg/kg, respectively)

CUSTOMER VALIDATION

- J Pharmaceut Biomed. 2020, 113870.
- Research Square Preprint. 2020 Nov.

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REFERENCES

[1]. Lykke K, et al. The search for NKCC1-selective drugs for the treatment of epilepsy: Structure-function relationship of bumetanide and various bumetanide derivatives in inhibiting the human cation-chloride cotransporter NKCC1A. Epilepsy Behav. 2016 Jun;59:42-9.

[2]. Ciaran Richardson, et al. Regulation of the NKCC2 ion cotransporter by SPAK-OSR1-dependent and -independent pathways. J Cell Sci. 2011 Mar 1;124(Pt 5):789-800.

[3]. Martha E O'Donnell, et al. Bumetanide inhibition of the blood-brain barrier Na-K-Cl cotransporter reduces edema formation in the rat middle cerebral artery occlusion model of stroke. J Cereb Blood Flow Metab. 2004 Sep;24(9):1046-56.

[4]. S H Lee, et al. Pharmacokinetics and pharmacodynamics of bumetanide after intravenous and oral administration to rats: absorption from various GI segments. J Pharmacokinet Biopharm. 1994 Feb;22(1):1-17.6

Caution: Product has not been fully validated for medical applications. For research use only.

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